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EUROPEAN PATENT APPLICATION published in accordance with Art. 158(3) EPC

(43) Date of publication: 26.11.2003 Bulletin 2003/48

(21) Application number: 02701539.5

(22) Date of filing: 07.02.2002

(51) Int CI.7: **C07D 261/10**, C07D 261/12, C07D 413/12, C07D 498/04, C07D 513/04, C07D 413/14, C07D 417/12, C07D 261/20, C07D 487/04, A01N 43/80

(86) International application number: PCT/JP02/01015

(87) International publication number: WO 02/062770 (15.08.2002 Gazette 2002/33)

(84) Designated Contracting States:

AT BE CH CY DE DK ES FI FR GB GR IE IT LI LU MC NL PT SE TR
Designated Extension States:

AL LT LV MK RO SI

(30) Priority: 08.02.2001 JP 2001031784

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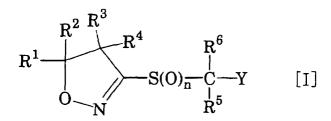
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(54) ISOXAZOLINE DERIVATIVE AND HERBICIDE COMPRISING THE SAME AS ACTIVE INGREDIENT

(57) An isoxazoline derivative represented by the following general formula [I]:



wherein R¹ and R² may be the same or different and are each an alkyl group;

R³, R⁴, R⁵ and R⁶ are each a hydrogen atom;

Y is an optionally substituted 5- to 6-membered aromatic heterocyclic group or fused aromatic heterocyclic group having a hetero atom selected from a nitrogen atom, a oxygen atom and a sulfur atom; and n is an integer of 0 to 2.

The isoxazoline derivative has an excellent herbicidal effect and an excellent selectivity between crop and weed.

Description

Background of the Invention

1. Field of the Invention

[0001] The present invention relates to a novel isoxazoline derivative and a herbicide containing the isoxazoline derivative as the active ingredient.

2. Description of the Prior Art

[0002] The herbicidal activity of isoxazoline derivatives are reported in, for example, JP-A-8-22558, JP-A-9-328477 and JP-A-9-328483. The compound of the present invention described in detail later, however, is not described in these literatures.

[0003] Herbicides applied to useful crops are desired to (a) be applicable to soil or foliage, (b) show a sufficient herbicidal effect at a low ingredient amount, and (c) show a high selectivity between crop and weed. In these respects, the compounds described in the above literatures are not fully satisfactory.

Summary of the Invention

[0004] In view of the above situation, the present inventors made a study on the herbicidal effect and selectivity between crop and weed of various compounds. As a result, the present inventors found out that a novel isoxazoline derivative has an excellent herbicidal effect and an excellent selectivity between crop and weed. The above finding has led to the completion of the present invention.

[0005] The present invention provides the followings.

(1) An isoxazoline derivative represented by the following general formula [I] or a pharmaceutically acceptable salt thereof:

$$\begin{array}{c|cccc}
R^2 & R^3 & R^6 \\
R^1 & & R^6 \\
\hline
S(O)_n & C - Y & [I]
\end{array}$$

wherein R¹ and R² may be the same or different and are each a hydrogen atom, a C1 to C10 alkyl group, a C3 to C8 cycloalkyl group or a C3 to C8 cycloalkyl C1 to C3 alkyl group, or R1 and R2 may be bonded to each other to form a C3 to C7 spiro ring together with the carbon atoms to which they bond;

[0006] R³ and R⁴ may be the same or different and are each a hydrogen atom, a C1 to C10 alkyl group or a C3 to C8 cycloalkyl group; or R³ and R⁴ may be bonded to each other to form a C3 to C7 spiro ring together with the carbon atoms to which they bond; or R¹, R², R³ and R⁴ may form a 5- to 8-membered ring together with the carbon atoms to which they bond;

[0007] R⁵ and R⁶ may be the same or different and are each a hydrogen atom or a C1 to C10 alkyl group;

[0008] Y is a 5- to 6-membered aromatic heterocyclic group or condensed aromatic heterocyclic group having one or more hetero atoms selected from a nitrogen atom, an oxygen atom and a sulfur atom; the heterocyclic group may be substituted with 0 to 6 same or different groups selected from the following substituent group α ; when the heterocyclic group is substituted at the two adjacent positions with two alkyl groups, two alkoxy groups, an alkyl group and an alkoxy group, an alkyl group and an alkylthio group, an alkyl group and an alkylsulfonyl group, an alkyl group and a monoalkylamino group, or an alkyl group and a dialkylamino group, all selected from the substituent group α , the two groups may form, together with the atoms to which they bond, a 5- to 8-membered ring which may be substituted with 1 to 4 halogen atoms; the hetero atom of the heterocyclic group, when it is a nitrogen atom, may be oxidized to become N-oxide;

n is an integer of 0 to 2.

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[Substituent group α]

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[0009] Hydroxyl group; thiol group; halogen atoms; C1 to C10 alkyl groups; C1 to C10 alkyl groups each monosubstituted with a group selected from the following substituent group β, C1 to C4 haloalkyl groups; C3 to C8 cycloalkyl groups; C1 to C10 alkoxy groups; C1 to C10 alkoxy groups each mono-substituted with a group selected from the following substituent group γ; C1 to C4 haloalkoxy groups; C3 to C8 cycloalkyloxy groups; C3 to C8 cycloalkyl C1 to C3 alkyloxy groups; C1 to C10 alkylthio groups; C1 to C10 alkylthio groups each mono-substituted with a group selected from the substituent group γ; C1 to C4 haloalkylthio groups; C2 to C6 alkenyl groups; C2 to C6 alkenyloxy groups; C2 to C6 alkynyl groups; C2 to C6 alkynyloxy groups; C1 to C10 alkylsulfinyl groups; C1 to C10 alkylsulfinyl groups each mono-substituted with a group selected from the substituent group γ ; C1 to C10 alkylsulfonyl groups; C1 to C10 alkylsulfonyl groups each mono-substituted with a group selected from the substituent group γ; C1 to C4 haloalkylsulfinyl groups; C1 to C10 alkylsulfonyloxy groups each mono-substituted with a group selected from the substituent group γ; C1 to C4 haloalkylsulfonyl groups; C1 to C10 alkylsulfonyloxy groups; C1 to C4 haloalkylsulfonyloxy groups; optionally substituted phenyl group; optionally substituted phenoxy group; optionally substituted phenylthio group; optionally substituted aromatic heterocyclic groups; optionally substituted aromatic heterocyclic oxy groups; optionally substituted aromatic heterocyclic thio groups; optionally substituted phenylsulfinyl groups; optionally substituted phenylsulfonyl groups; optionally substituted aromatic heterocyclic sulfonyl groups; optionally substituted phenylsulfonyloxy groups; acyl groups; C1 to C4 haloalkylcarbonyl groups; optionally substituted benzylcarbonyl group; optionally substituted benzoyl group; carboxyl group; C1 to C10 alkoxycarbonyl groups; optionally substituted benzyloxycarbonyl group; optionally substituted phenoxycarbonyl group; cyano group; carbamoyl group (its nitrogen atom may be substituted with same or different groups selected from C1 to C10 alkyl groups and optionally substituted phenyl group); C1 to C6 acyloxy groups; C1 to C4 haloalkylcarbonyloxy groups; optionally substituted benzylcarbonyloxy group; optionally substituted benzoyloxy group; nitro group; and amino group (its nitrogen atom may be substituted with same or different groups selected from C1 to C10 alkyl groups, optionally substituted phenyl group, C1 to C6 acyl groups, C1 to C4 haloalkylcarbonyl groups, optionally substituted benzylcarbonyl group, optionally substituted benzoyl group, C1 to C10 alkylsulfonyl group, C1 to C4 haloalkylsulfonyl groups, optionally substituted benzylsulfonyl group, and optionally substituted phenylsulfonyl group).

[Substituent group β]

[0010] Hydroxyl group; C3 to C8 cycloalkyl groups which may be substituted with halogen atom or alkyl group); C1 to C10 alkoxy groups; C1 to C10 alkylthio groups; C1 to C10 alkylsulfonyl groups; C1 to C10 alkoxycarbonyl groups; C2 to C6 haloalkenyl groups; amino group (its nitrogen atom may be substituted with same or different groups selected from C1 to C10 alkyl groups, C1 to C6 acyl groups; C1 to C4 haloalkylcarbonyl groups, C1 to C10 alkylsulfonyl groups and C1 to C4 haloalkylsulfonyl groups); carbamoyl group (its nitrogen atom may be substituted with same or different C1 to C10 alkyl groups); C1 to C6 acyl groups; C1 to C4 haloalkylcarbonyl groups; C1 to C10 alkoxyimino groups; cyano group; optionally substituted phenyl group; and optionally substituted phenoxy group.

[Substituent group γ]

[0011] C1 to C10 alkoxycarbonyl groups; optionally substituted phenyl group; optionally substituted aromatic heterocyclic groups; cyano group; and carbamoyl group (its nitrogen atom may be substituted with same or different C1 to C10 alkyl groups).

(2) An isoxazoline derivative according to (1), wherein the substituent group α on the heterocycle which may be substituted with 0 to 6 same or different groups, includes hydroxyl group; halogen atoms; C1 to C10 alkyl groups; C1 to C10 alkyl groups each mono-substituted with a group selected from the substituent group β , C1 to C4 haloalkyl groups; C3 to C8 cycloalkyl groups; C1 to C10 alkoxy groups; C1 to C10 alkoxy groups each mono-substituted with a group selected from the substituent group γ ; C1 to C4 haloalkoxy groups; C3 to C8 cycloalkyloxy groups; C3 to C8 cycloalkyl C1 to C3 alkyloxy groups; C1 to C10 alkylthio groups; C1 to C10 alkylthio groups each mono-substituted with a group selected from the substituent group γ ; C1 to C4 haloalkylthio groups; C2 to C6 alkenyl groups; C2 to C6 alkenyloxy groups; C2 to C6 alkynyloxy groups; C1 to C10 alkylsulfonyl groups; C1 to C4 haloalkylsulfonyl groups; optionally substituted phenyl group; optionally substituted phenyl group; optionally substituted aromatic heterocyclic groups; optionally substituted aromatic heterocyclic thio groups; optionally substituted phenylsulfonyl groups; optionally substituted aromatic heterocyclic thio groups; C1 to C4 haloalkylcarbonyl groups; optionally substituted benzylcarbonyl group; optionally substituted benzylcarbonyl group; optionally substituted benzylcarbonyl group; carboxyl group; C1 to C10 alkoxycarbonyl groups; cyano group; carbamoyl group (its nitrogen atom may be substituted with same or different groups selected from C1 to C10 alkyl groups and optionally substituted phenyl group; nitro group; and amino group (its nitrogen atom

may be substituted with same or different groups selected from C1 to C10 alkyl groups, optionally substituted phenyl group, C1 to C6 acyl groups, C1 to C4 haloalkylcarbonyl groups, optionally substituted benzylcarbonyl group, optionally substituted benzylcarbonyl group, C1 to C10 alkylsulfonyl groups, C1 to C4 haloalkylsulfonyl groups, optionally substituted benzylsulfonyl group, and optionally substituted phenylsulfonyl group); when the heterocyclic group is substituted at the two adjacent positions with two alkyl groups, two alkoxy groups, an alkyl group and an alkoxy group, an alkyl group and an alkylthio group, an alkyl group and an alkylsulfonyl group, an alkyl group and a dialkylamino group, all selected from the substituent group α , the two groups may form, together with the atoms to which they bond, a 5- to 8-membered ring which may be substituted with 1 to 4 halogen atoms.

- (3) An isoxazoline derivative according to (2), wherein the substituent group α on the heterocycle which may be substituted with 0 to 6 same or different groups, includes halogen atoms; C1 to C10 alkyl groups; C1 to C4 haloalkyl groups; C1 to C10 alkoxy C1 to C3 alkyl groups; C3 to C8 cycloalkyl groups which may be substituted with halogen atom or alkyl group; C1 to C10 alkoxy groups; C1 to C4 haloalkoxy groups; C3 to C8 cycloalkyl C1 to C3 alkyloxy groups; optionally substituted phenoxy group; C1 to C10 alkylthio groups; C1 to C10 alkylsulfonyl groups; acyl groups; C1 to C4 haloalkylcarbonyl groups; C1 to C10 alkoxycarbonyl groups; cyano group and carbamoyl group (its nitrogen atom may be substituted with same or different C1 to C10 alkyl groups).
- (4) An isoxazoline derivative according to any of (1), (2) or (3), wherein R^1 and R^2 may be the same or different and are each a methyl group or an ethyl group; and R^3 , R^4 , R^5 and R^6 are each a hydrogen atom.
- (5) An isoxazoline derivative according to any of (1), (2), (3) or (4), wherein Y is a 5- or 6-membered aromatic heterocyclic group having a hetero atom selected from a nitrogen atom, an oxygen atom and a sulfur atom.
- (6) An isoxazoline derivative according to (5), wherein Y is a thienyl group, a pyrazolyl group, an isoxazolyl group, a pyridyl group or a pyrimidinyl group.

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- (7) An isoxazoline derivative according to (6), wherein Y is a thiophen-3-yl group, a pyrazol-4-yl group, a pyrazol-4-yl group, an isoxazol-4-yl group, an isoxazol-4-yl group, an isoxazol-4-yl group, an isoxazol-4-yl group.
- (8) An isoxazoline derivative according to (7), wherein Y is a thiophen-3-yl group and the thiophene ring is substituted with the substituent group α at the 2- and 4-positions.
- (9) An isoxazoline derivative according to (7), wherein Y is a pyrazol-4-yl group and the pyrazole ring is substituted at the 3- and 5-positions with the substituent group α and at the 1-position with a hydrogen atom, a C1 to C10 alkyl group, a C1 to C10 alkyl group mono-substituted with a group selected from the substituent group β , a C1 to C4 haloalkyl group, a C3 to C8 cycloalkyl group, a C2 to C6 alkenyl group, a C2 to C6 alkynyl group, a C1 to C10 alkylsulfinyl group, a C1 to C10 alkylsulfonyl group, a C1 to C10 alkylsulfonyl group, an optionally substituted with a group selected from the substituent group γ , a C1 to C4 haloalkylsulfonyl group, an optionally substituted phenyl group, an optionally substituted aromatic heterocyclic group, an optionally substituted phenylsulfonyl group, an optionally substituted benzylcarbonyl group, an optionally substituted phenyl group, a carbamoyl group (its nitrogen atom may be substituted with same or different groups selected from C1 to C10 alkyl groups and optionally substituted phenyl group), or an amino group (its nitrogen atom may be substituted with same or different groups selected from C1 to C10 alkyl groups, optionally substituted phenyl group, acyl groups, C1 to C4 haloalkylcarbonyl groups, O1 to C4 haloalkylsulfonyl groups, O1 to C4 haloalkylsulfonyl groups).
- (10) An isoxazoline derivative according to (7), wherein Y is a pyrazol-5-yl group and the pyrazole ring is substituted at the 4-position with the substituent group α and at the 1-position with a hydrogen atom, a C1 to C10 alkyl group, a C1 to C10 alkyl group, a C3 to C8 cycloalkyl group, a C2 to C6 alkenyl group, a C2 to C6 alkenyl group, a C1 to C10 alkylsulfinyl group, a C1 to C10 alkylsulfinyl group, a C1 to C10 alkylsulfonyl group, a C1 to C10 alkylsulfonyl group, a C1 to C10 alkylsulfonyl group, an optionally substituted with a group selected from the substituent group γ , a C1 to C4 haloalkylsulfonyl group, an optionally substituted phenyl group, an optionally substituted aromatic heterocyclic group, an optionally substituted phenylsulfonyl group, an optionally substituted benzylcarbonyl group, a carbamoyl group (its nitrogen atom may be substituted with same or different groups selected from C1 to C10 alkyl groups and optionally substituted phenyl group), or an amino group (its nitrogen atom may be substituted with same or different groups selected from C1 to C10 alkyl groups, optionally substituted benzylcarbonyl group, optionally substituted benzylcarbonyl group, c1 to C4 haloalkylcarbonyl groups, C1 to C4 haloalkylsulfonyl groups, optionally substituted benzylsulfonyl group and optionally substituted phenylsulfonyl groups, optionally substituted benzylsulfonyl group and optionally substituted phenylsulfonyl groups, optionally substituted benzylsulfonyl group and optionally substituted phenylsulfonyl group).
- (11) An isoxazoline derivative according to (7), wherein Y is an isoxazol-4-yl group and the isoxazole ring is substituted with the substituent group α at the 3- and 5-positions.
- (12) An isoxazoline derivative according to (7), wherein Y is an isothiazol-4-yl group and the isothiazole ring is substi-

tuted with the substituent group α at the 3- and 5-positions.

- (13) An isoxazoline derivative according to (7), wherein Y is a pyridin-3-yl group and the pyridine ring is substituted with the substituent group α at the 2- and 4-positions.
- (14) An isoxazoline derivative according to (7), wherein Y is a pyrimidin-5-yl group and the pyrimidine ring is substituted with the substituent group α at the 4- and 6-positions.
- (15) An isoxazoline derivative according to any of (1) to (14), wherein n is an integer of 2.
- (16) An isoxazoline derivative according to any of (1) to (14), wherein n is an integer of 1.
- (17) An isoxazoline derivative according to any of (1) to (14), wherein n is an integer of 0.
- (18) A herbicide containing, as the active ingredient, an isoxazoline derivative set forth in any of (1) to (17) or a pharmaceutically acceptable salt thereof.

Detailed Description of the Invention

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[0012] The definitions of the terms used in the present specification are given below.

[0013] The expression of "C1 to C10", etc. indicates that the substituent appearing after the expression has 1 to 10 carbon atoms in the case of "C1 to C10".

[0014] Halogen atom refers to a fluorine atom, a chlorine atom, a bromine atom or an iodine atom.

[0015] C1 to C10 alkyl group refers to a straight or branched chain alkyl group of 1 to 10 carbon atoms unless other wise specified; and there can be mentioned, for example, methyl group, ethyl group, n-propyl group, isopropyl group, n-butyl group, isobutyl group, sec-butyl group, tert-butyl group, n-pentyl group, isopentyl group, neopentyl group, n-hexyl group, isohexyl group, 3,3-dimethylbutyl group, heptyl group and octyl group.

[0016] C3 to C8 cycloalkyl group refers to a cycloalkyl group of 3 to 8 carbon atoms; and there can be mentioned, for example, cyclopropyl group, cyclobutyl group, cyclopentyl group and cyclohexyl group.

[0017] C3 to C8 cycloalkyl C1 to C3 alkyl group (which may be substituted with halogen atom or alkyl group) refers, unless otherwise specified, to a C1 to C3 alkyl group substituted with a C3 to C8 cycloalkyl group which may be substituted with 1 to 4 same or different halogen atoms or C1 to C3 alkyl group; and there can be mentioned, for example, cyclopropylmethyl group, 1-cyclopropylethyl group, 2-cyclopropylethyl group, 1-cyclopropylpropyl group, 2-cyclopropylpropyl group, cyclobatylmethyl group, cyclopentylmethyl group, cyclopentylmethyl group, 2-fluorocyclopropylmethyl group, 2-fluorocyclopropylmethyl group, 2,2-difluorocyclopropylmethyl group, 2-methylcyclopropylmethyl group, 2,2-dimethylcyclopropylmethyl group and 2-methylcyclopropylethyl group.

[0018] C3 to C8 cycloalkyl C1 to C3 alkyl group refers to a alkyl group of 1 to 3 carbon atoms, substituted with a cycloalkyl group of 3 to 8 carbon atoms; and there can be mentioned, for example, cyclopropylmethyl group, 1-cyclopropylethyl group, 2-cyclopropylpropyl group, 2-cyclopropylpropyl group, cyclopropylpropyl group, cyclobutylmethyl group, cyclopentylmethyl group and cyclohexylmethyl group.

[0019] C1 to C4 haloalkyl group refers, unless otherwise specified, to a straight or branched chain alkyl group of 1 to 4 carbon atoms, substituted with 1 to 9 same or different halogen atoms; and there can be mentioned, for example, fluoromethyl group, chloromethyl group, bromomethyl group, difluoromethyl group, trifluoromethyl group, 2,2-difluoroethyl group, 2,2,2-trifluoroethyl group and pentafluoroethyl group.

[0020] C2 to C6 alkenyl group refers to a straight or branched chain alkenyl group of 2 to 6 carbon atoms; and there can be mentioned, for example, ethenyl group, 1-propenyl group, 2-propenyl group, isopropenyl group, 1-butenyl group, 2-butenyl group, 3-butenyl group and 2-pentenyl group.

[0021] C2 to C6 alkynyl group refers to a straight or branched chain alkynyl group of 2 to 6 carbon atoms; and there can be mentioned, for example, ethynyl group, 2-propynyl group, 1-methyl-2-propynyl group, 2-butynyl group, 3-butynyl group and 2-methyl-3-butynyl group.

[0022] C2 to C6 haloalkenyl group refers, unless otherwise specified, to a straight or branched alkenyl group of 2 to 6 carbon atoms, substituted with 1 to 4 same or different halogen atoms; and there can be mentioned, for example, 3-chloro-2-propenyl group and 2-chloro-2-propneyl group.

[0023] C1 to C10 alkoxy group refers to an (alkyl)-O- group wherein the alkyl moiety has the above definition; and there can be mentioned, for example, methoxy group, ethoxy group, n-propoxy group, isopropoxy group, tert-butoxy group, n-butoxy group, sec-butoxy group and isobutoxy group.

[0024] C1 to C4 haloalkoxy group refers to a (haloalkyl)-O-group wherein the haloalkyl moiety has the above definition; and there can be mentioned, for example, difluoromethoxy group, trifluoromethoxy group, 2,2-difluoroethoxy group and 2,2,2-trifluoroethoxy group.

[0025] C3 to C8 cycloalkyloxy group refers to a (cycloalkyl)-O- group wherein the cycloalkyl moiety has the above definition; and there can be mentioned, for example, cyclopropyloxy group, cyclobutyloxy group, cyclopentyloxy group and cyclohexyloxy group.

[0026] C3 to C8 cycloalkyl C1 to C3 alkyloxy group refers to a (cycloalkylalkyl)-O- group wherein the cycloalkylalkyl

moiety has the above definition; and there can be mentioned, for example, cyclopropylmethoxy group, 1-cyclopropylethoxy group, 2-cyclopropylpropoxy group, 2-chclopropylpropoxy group, 3-cyclopropylpropoxy group, cyclobutylmethoxy group, cyclopentylmethoxy group and cyclohexylmethoxy group.

[0027] C2 to C6 alkenyloxy group and C2 to C6 alkynyloxy group refer, respectively, to an (alkenyl)-O- group and an (alkynyl)-O- group, in each of which the alkenyl or alkynyl moiety has the above definition; and there can be mentioned, for example, 2-propenyloxy group and 2-propynyloxy group.

[0028] C1 to C10 alkoxyimino group refers to an (alkoxy)-N= group wherein the alkoxy moiety has the above definition; and there can be mentioned, for example, methoxyimino group and ethoxyimino group.

[0029] C1 to C10 alkylthio group, C1 to C10 alkylsulfinyl group and C1 to C10 alkylsulfonyl group refer, respectively, to an (alkyl)-S- group, an (alkyl)-SO- group and an (alkyl)-SO₂- group, in each of which the alkyl moiety has the above definition; and there can be mentioned, for example, methylthio group, ethylthio group, n-propylthio group, isopropylthio group, methylsulfinyl group, methylsulfonyl group, ethylsulfonyl group, n-propylsulfonyl group and isopropylsulfonyl group.

[0030] C1 to C10 alkylsulfonyloxy group refers to an (alkylsulfonyl)-O- group wherein the alkylsulfonyl moiety has the above definition, and there can be mentioned, for example, methylsulfonyloxy group and ethylsulfonyloxy group.

[0031] C1 to C10 alkoxycarbonyl group refers to an (alkoxy)-CO- group wherein the alkoxy moiety has the above

definition, and there can be mentioned, for example, methoxycarbonyl group, ethoxycarbonyl group, n-propoxycarbonyl group and isopropoxycarbonyl group.

[0032] C1 to C6 acryl group refers to a straight or branched chain aliphatic acyl group of 1 to 6 carbon atoms, and there can be mentioned, for example, formyl group, acetyl group, propionyl group, isopropionyl group, butyryl group and pivaloyl group.

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[0033] C1 to C10 acyloxy group refers to an (acyl)-O- group wherein the acyl moiety has the above definition; and there can be mentioned, for example, acetoxy gorup, propionyloxy group, ispropionyloxy group and pivalolyoxy group. [0034] C1 to C4 haloalkylcarbonyl group, C1 to C4 haloalkylthio group and C1 to C4 haloalkylsulfonyl group refers, respectively, to a (haloalkyl)-CO- group, a (haloalkyl)-S-group and a (haloalkyl)-SO₂- group, in each of which the haloalkyl moiety has the above definition; and there can be mentioned, for example, chloroacetyl group, trifluoroacetyl group, pentafluoropropyl group, difluoromethylthio group, trifluoromethylthio group, chloromethylsulfonyl group, difluoromethylsulfonyl group and trifluoromethylsulfonyl group.

[0035] C1 to C4 haloalkylcarbonyloxy group and C1 to C4 haloalkylsulfonyloxy group refer, respectively, to a (haloalkylcarbonyl)-O- group and a (haloalkylsulfonyl)-O-group, in each of which the haloalkylcarbonyl moiety or the haloalkylsulfonyl moiety has the above definition; and there can be mentioned, for example, chloroacetyloxy group, trifluoroacetyloxy group, chloromethylsulfonyloxy group and trifluoromethylsulfonyloxy group.

[0036] "Optionally substituted" in (optionally substituted) phenyl group, (optionally substituted) aromatic heterocyclic group, (optionally substituted) phenoxy group, (optionally substituted aromatic heterocyclic oxy group, (optionally substituted) phenylthio group, (optionally substituted) aromatic heterocyclic thio group, (optionally substituted) phenylsulfonyl group, (optionally substituted) phenylsulfonyloxi group, (optionally substituted) aromatic heterocyclic sulfonyl group, (optionally substituted) benzylcarbonyl group, (optionally substituted) benzylcarbonyloxy group, (optionally substituted) benzylsulfonyl group, (optionally substituted) benzylsulfonyl group, (optionally substituted) benzyloxycarbonyl group and (optionally substituted) phenoxycarbonyl group, refers to being optionally substituted with, for example, halogen atom, C1 to C10 alkyl group, C1 to C4 haloalkyl group, C1 to C10 alkoxyalkyl group, C1 to C10 alkoxy group, C1 to C10 alkoxycarbonyl group, cyano group, carbamoyl group (its nitrogen atom may be substituted with same or different C1 to C10 alkyl groups), nitro group or amino group (its nitrogen atom may be substituted with same or different groups selected from C1 to C10 alkyl groups, C1 to C6 acyl groups, C1 to C4 haloalkylcarbonyl groups, C1 to C10 alkylsulfonyl groups and C1 to C4 haloalkylsulfonyl groups).

[0037] 5- to 6-membered aromatic heterocyclic group having a hetero atom selected from a nitrogen atom, an oxygen atom and a sulfur atom includes, for example, furyl group, thienyl group, pyrrolyl group, pyrazolyl group, isoxazolyl group, isothiazolyl group, oxazolyl group, thiazolyl group, imidazolyl group, pyridyl group, pyridazinyl group, pyrimidinyl group, pyrazinyl group, triazinyl group, triazolyl group, oxadiazolyl group and thiadiazolyl group, each having 1 to 3 hetero atoms.

[0038] Fused aromatic heterocyclic group refers to a group having 1 to 3 hetero atoms randomly selected from nitrogen atom, oxygen atom and sulfur atom; and there can be mentioned, for example, benzofuryl group, benzothienyl group, indolyl group, benzoxazolyl group, benzothiazolyl group, benzimidazolyl group, benzisoxazolyl group, quinolyl group, isoquinolyl group, phthalazinyl group, quinoxalinyl group, quinazolinyl group, cinnolinyl group and benzotriazolyl group.

[0039] Aromatic heterocycle in (optionally substituted) aromatic heterocyclic group, (optionally substituted) aromatic heterocyclic oxy group, (optionally substituted) aromatic heterocyclic thio group and (optionally substituted) aromatic heterocyclic sulfonyl group, refers to a 5- to 6-membered group having 1 to 3 hetero atoms randomly selected from

nitrogen atom, oxygen atom and sulfur atom; and there can be mentioned, for example, furyl group, thienyl group, pyrrolyl group, pyrazolyl group, isoxazolyl group, isothiazolyl group, oxazolyl group, thiazolyl group, imidazolyl group, pyridyl group, pyridazinyl group, pyrimidinyl group, pyrazinyl group, triazinyl group, triazolyl group, oxadiazolyl group and thiadiazolyl group.

[0040] Pharmaceutically acceptable salt is a salt of a compound of the general formula [I] having, in the structure, hydroxyl group, carboxyl group, amino group or the like, with a metal or an organic base or with a mineral acid or an organic acid. As the metal, there can be mentioned alkali metals such as sodium, potassium and the like; and alkaline earth metals such as magnesium, calcium and the like. As the organic base, there can be mentioned triethylamine, diisopropylamine, etc. As the mineral acids, there can be mentioned hydrochloric acid, sulfuric acid, etc. As the organic acid, there can be mentioned acetic acid, methanesulfonic acid, p-toluenesulfonic acid, etc.

[0041] In the above-mentioned general formula [I], it is preferred that

R¹ and R² may be the same or different and are each a methyl group or an ethyl group;

R³, R⁴, R⁵ and R⁶ are each a hydrogen atom;

n is an integer of 2; and

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Y is a thiophen-3-yl group [the 2- and 4-positions of the group are substituted with same or different groups selected from halogen atoms, alkyl groups, haloalkyl groups, alkoxyalkyl groups, cycloalkyl groups, alkoxy groups, haloalkylcarbonyl groups, alkoxycarbonyl groups, cyano group and carbamoyl group (its nitrogen atom may be substituted with same or different alkyl groups)], or

a pyrazol-4-yl group [the 3- and 5-positions of the group are substituted with same or different groups selected from halogen atoms, alkyl groups, haloalkyl groups, alkoxyalkyl groups, cycloalkyl groups, alkoxy groups, haloalkoxy groups, cycloalkylalkyloxy groups, optionally substituted phenoxy group, alkylthio groups, alkylsulfonyl groups, acyl groups, haloalkylcarbonyl groups, alkoxycarbonyl groups, cyano group and carbamoyl group (its nitrogen atom may be substituted with same or different alkyl groups); the 1-position is substituted with hydrogen atom, alkyl group, alkyl group mono-substituted with a group selected from the substituent group β , haloalkyl group, cycloalkyl group, alkenyl group, alkynyl group, alkylsulfonyl group, group mono-substituted with a group selected from the substituent group γ , haloalkylsulfonyl group, optionally substituted phenyl group, optionally substituted aromatic heterocyclic group, optionally substituted phenylsulfonyl group, optionally substituted aromatic heterocyclicsulfonyl group, acyl group, haloalkylcarbonyl group, optionally substituted benzylcarbonyl group, optionally substituted benzylcarbonyl group, optionally substituted phenoxycarbonyl group or carbamoyl group (its nitrogen atom may be substituted with same or different groups selected from alkyl groups and optionally substituted phenyl group)], or

a pyrazol-5-yl group [the 4-position of the group is substituted with halogen atom, alkyl group haloalkyl group, alkoxyalkyl group, haloalkoxy group, acyl group, haloalkylcarbonyl group, alkoxycarbonyl group, cyano group or carbamoyl group (its nitrogen atom maybe substituted with same or different alkyl groups); the 1-position is substituted with hydrogen atom, alkyl group, alkyl group mono-substituted with a group selected from the substituent group β , haloalkyl group, cycloalkyl group, or optionally substituted phenyl group], or

an isoxazol-4-yl group [the 3- and 5-positions of the group are substituted with same or different groups selected from halogen atoms, alkyl groups, haloalkyl groups, alkoxyalkyl groups, cycloalkyl groups, alkoxy groups, haloalkoxy groups, alkylthio groups, alkylsulfonyl groups, acyl groups, haloalkylcarbonyl groups, alkoxycarbonyl groups, cyano group and carbamoyl group (its nitrogen atom may be substituted with same or different alkyl groups)], or

an isothiazol-4-yl group [the 3- and 5-positions of the group are substituted with same or different groups selected from halogen atoms, alkyl groups, haloalkyl groups, alkoxyalkyl groups, cycloalkyl groups, alkoxy groups, haloalkoxy groups, optionally substituted phenoxy group, alkylthio groups, alkylsulfonyl groups, acyl groups, haloalkylcarbonyl groups, alkoxycarbonyl groups, cyano group and carbamoyl group (its nitrogen atom may be substituted with same or different alkyl groups)], or

a pyridin-3-yl group [the 2- and 4-positions of the group are substituted with same or different groups selected from halogen atoms, alkyl groups, haloalkyl groups, alkoxyalkyl groups, cycloalkyl groups, alkoxy groups, haloalkoxy groups, alkylthio groups, alkylsulfonyl groups, acyl groups, haloalkylcarbonyl groups, alkoxycarbonyl groups, cyano group and carbamoyl group (its nitrogen atom may be substituted with same or different alkyl groups)], or

a pyrimidin-5-yl group [the 4- and 6-positions of the group are substituted with same or different groups selected from halogen atoms, alkyl groups, haloalkyl groups, alkoxyalkyl groups, cycloalkyl groups, alkoxy groups, haloalkoxy groups, alkylthio groups, alkylsulfonyl groups, acyl groups, haloalkylcarbonyl groups, alkoxycarbonyl groups, cyano group and carbamoyl group (its nitrogen atom may be substituted with same or different alkyl groups)].

[0042] Next, representative examples of the present compound represented by the general formula [I] are shown in Tables 1 to 10. However, the present compound is not restricted to these examples.

[0043] The following abbreviated expressions used in the Tables refer to the following groups.

Me: methyl group	Et: ethyl group
Pr: n-propyl group	Pr-i: isopropyl group
Pr-c: cyclopropyl roup	Bu: n-butyl group
Bu-i: isobutyl group	Bu-s: sec-butyl group
Bu-t: tert-butyl group	Bu-c: cyclobutyl group
Pen: n-pentyl group	Pen-c: cyclopentyl group
Hex: n-hexyl grou	p Hex-c: cyclohexyl group
Ph: phenyl group	

[0044] For example, (4-CI)Ph indicates 4-chlorophenyl group, and 3-Hex indicates 3-hexyl group.

[0045] When the present compound contains hydroxyl group as a substituent, there may exist keto-enol tautomers. Any of these tautomers and any mixture of these tautomers are included in the present compound.

Table 1

5				,	R¹-	R^2 R	3 R ⁴	S(O) _n	\mathbb{R}^{6} \mathbb{C} \mathbb{R}^{5} \mathbb{Z}_{1}	\mathbb{R}^{23} \mathbb{R}^{24}	
10	R ¹	R ²	R ³	R ⁴	n	R ⁵	R ⁶	Z^1	R ²²	R ²³	R ²⁴
	Me	Me	Н	Н	2	Н	Н	S	Me	Н	Н
	Me	Me	Н	Н	2	Н	Н	S	Cı	Ме	Н
15	Me	. Me	Н	Н	2	Н	Н	S	Н	н	Me
	Me	Me	Н	Н	2	Н	Н	S	Cl	н	Н
	Me	Me	Н	Н	2	Н	Н	S	Н	H	CI
	Me	Me	Н	Н	2	Н	Н	S	Cl	Cl	Cl
20	Me	Me	Н	Н	2	Н	Н	S	ОМе	Н	Н
	Me	Me	Н	H	2	Н	Н	S	OEt	Н	H
	Me	Me	Н	Н	2	Н	Н	S	OCHF ₂	Н	Н
	Me	Me	H	Н	2	Н	Н	S	OCH₂Ph	Н	Н
25	Me	Me	Н	Н	2	Н	Н	0	Н	Н	Н
	Me	Me	Н	Н	2	Н	Н	0	Н	Н	C(=O)Ome
	Me	Me	Н	H	2	Н	Н	NMe	Me	Н	Me
20	Me	Me	Н	Н	2	Н	Н	NMe	Ме	C(=O)OMe	$CH_2C(=O)OMe$
30	Me	Me	Н	Н	2	Н	Н	NMe	Ме	C(=O)OEt	$CH_2C(=O)OEt$
	Me	Me	H	Н	2	Н	Н		Me	Me	Me
	Me	Me	Н	Н	2	Н	Н	NPb	ОМе	H	H
35	Me	Me	H	H	2	Н	Н	NPh	OEt	Н	Н
30	Me	Me	Н	H	2	Н	Н	NPh	OCHF ₂	Н	Н
	H	H	H	Н	2	H	Н	S	OCHF ₂	Н	H
	Me	Н	H	H	2	H	Н	S	OCHF ₂	H	Ħ
40	Me	H	Me	Н	2	Н	H	S	OCHF ₂	H	Н
•	Me	Me	Н	Н	2	Me	Н	S	OCHF ₂	H	H
	Me	Me	H	Н	2	Et	Н	S	OCHF ₂	H	Н

	Me	Me	Н	Н	2	Pr-i	Н	S	OCHF ₂	Н	H .	
	Me	Me	Н	Н	2	Me	Me	S	OCHF ₂	Н	Н	
5	Me	Et	Н	Н	2	Н	Н	S	OCHF ₂	Н	Н	
i	Et	Et	Н	Н	2	H	Н	S	OCHF ₂	Н	H	1
	Me	Pr-i	Н	Н	2	Н	Н	S	OCHF ₂	Н	Н	
	Me	Pr	Н	Н	2	Н	Н	S	OCHF ₂	Н	H	
10	Me	Pr-c	Н	Н	2	Н	Н	S	OCHF₂	Н	Н	}
10	Me	CH ₂ Pr-c	Н	Н	2	Н	Н	S	OCHF ₂	Н	H	
	-	(CH ₂) ₂ -	Н	н	2	Н	Н	S	CI	Cl	CI	
	1	$(CH_2)_3$	· H	Ħ	2:	Н	Н	S ~ .	CI	CI	Ck «	M -
45	-	(CH ₂) ₄ -	Н	Н	2	Н	Н	S	CI	CI	CI	
15	_	(CH ₂) ₅ -	Н	Н	2	Н	Н	S	Cl	Cl	CI	
	Н	-(CH ₂))3-	Н	2	Н	Н	S	Cı	Cl	Cl	
į	Н	-(CH ₂)	4-	Н	2	Н	н	S	Cl	CI	Cl	
	Н	-(CH ₂))5-	н	2	Н	Н	S	Cl	Cl	CI	
20	Н	-(CH ₂))6-	Н	2	Н	Н	S	Cl	Cl	Cl	
!	Me	Me	Н	Н	1	Н	Н	S	Me	Н	Н	
	Me	Me	Н	Н	1	Н	Н	S	CI	Me	H	
	Me	Me	H	Н	1	Н	Н	S	Н	Н	Me	
25	Me	Me	H	H	1	Н	H	S	CI	Н	H	
	Me	Me	Н	Н	1	Н	Н	S	H	Н	Cl	
	Me	Me	Н	H	1	Н	Н	S	Cl	Cl	Cl	
	Me	Me	H	Н	1	Н	H	S	OMe	H	H	
30	Me	Me	Н	Н	1	Н	H	S	OEt	H	Н	İ
	Me	Me	H	H	1	H	Н	S	OCHF ₂	н	Н	1
	Me	Me	H	H	1	Н	Н	S	OCH ₂ Ph	Н	H	
	Me	Me	Н	Н	1	H	H	0	H	H	H	
35	Me	Me	H	H	1	H	H	0	H	H	C(≈O)Ome	
	Me	Me	H	Н	1	H	H	í	Me	Н	Me	}
	Me	Me	H	Н	1	Н	Н	i e	Me	C(≈O)OMe	CH ₂ C(=O)OMe	
	Me	Me	H	H	1	H	Н	NMe		C(≈O)OEt	CH ₂ C(=O)OEt	
40	Me	Me	Н	Н	1	Н	Н	ì	Me	Me	Me	}
	Me	Me	Н	H	1	H	H	NPh	OMe	H	H	
	Me	Me	H	Н	1	Н	H	NPh	OEt	H	H	
	Me	Me	H	Н	1	Н	Н	NPh	OCHF ₂	H	Н	
45	Н	H	Н	Н	1	H	H	S	OCHF ₂	H	Н	Ì
	Me	H	Н	H	1	Н	Н	S	OCHF ₂	H	H	
	Me	Н	Me	Н		Н	H	S	OCHF ₂	H	Н	
	Me	Me	H	H	1	Me	H	S	OCHF ₂	H	Н	
50	Me	Me	H	H	1	Et	H	S	OCHF ₂	H	Н	
	Me	Me	H	H	1	Pr-i	H	S	OCHF ₂	H	H	
	Me	Me	1	l .	1	Me	Me	S	OCHF ₂	H	n	
	Me	Et Et	H	Н	1	H	Н	S	OCHF ₂	H	H	
55	Et	Et	Н	H	1	Н	Н	S	OCHF ₂	Н	H	l

	ایدا		ا ۔۔ ا		١, ١		1		locur	lvz	н
	Me	Pr-i	Н	Н	1	Н	Н	S	OCHF ₂	Н	1
	Me	Pr	H	Н	1	Н	Н	S	OCHF ₂	H	H
5	Me	Pr-c	H	Н	1	Н	Н	S	OCHF ₂	H	H
	Me	CH ₂ Pr-c	H	Н	1	H	Н	S	OCHF ₂	H	H
	j.	(CH ₂) ₂ -	Н	Н	1	H	Н	S	CI	CI	CI
	l .	(CH ₂) ₃ -	Н	Н	1	H	H	S	C1	Cl	Cl
10	i	(CH ₂) ₄ -	Н	Н	1	Н	H	S	CI	Cl	CI
		(CH ₂) ₅ -	Н	Н	1	Н	Н	S	CI	Cl	CI
	Н	-(CH ₂)		Н	1	H	Н	S	CI	C1	Cl
	H	-(CH ₂)	1	Н	1	Н	н.	, S .,	C1.	Cl	Cl
15	Н	-(CH ₂)		Н	1	Н	H	S	CI	Cl	Cl
	H	-(CH ₂)		Н	1	Н	Н	S	CI	CI	Cl
	Me	Me	Н	Н	0	Н	H	S S	Ме	H	H
	Me	Me	Н	Н	0	Н	H		CI	Me	H
20	Me	Me	Н	Н	0	Н	Н	S	H	H	Me
20	Me	Me	Н	H	0	Н	Н	S	CI	H	H
•	Me	Me	Н	Н	0	Н	Н	S	H	H	Cl
	Me	Me	Н	Н	0	Н	Н	S	CI	Cl	Cl
25	Me	Me	H	Н	0	H	Н	S	ОМе	H	H
25	Me	Me	Н	Н	0	H	Н	S	OEt	H	Н
	Me	Me	H	Н	0	H	H	S	OCHF ₂	H	H
	Me	Me	Н	Н	0	Н	H	S	OCH ₂ Ph	H	H
	Me	Me	Н	Н	0	H	Н	0	H	H	H
30	Me	Me	H	Н	0	H	Н	0	H	Н	C(=O)Ome
	Me	Me	Н	Н	0	Н	Н		Me	H	Me
	Me	Me	H	Н	0	H	H	NMe	Me	C(=O)OMe	CH ₂ C(=O)OMe
	Me	Me	Н	H	0	H	H		Me	C(=O)OEt	CH ₂ C(=O)OEt
35	Me	Me	Н	H	0	H	Н		Me	Me	Me H
	Me	Me	H	H	0	H	Н	NPh	OMe	H	H
	Me	Me	Н	H	0	H	Н	NPh	OEt	H	1
	Me	Me	H H	H H	0	H H	H H	NPh S	OCHF ₂	H H	H H
40	Н	H	Н	Н		Н	Н	S	OCHF ₂	Н	H
	Me Me	H H	Me	Н	0	Н	Н	S	OCHF ₂	H	H
	Me	Me	Н	Н	0	Me	H	S	OCHF ₂	H	H
	Me	Me	H	H	0	Et	H	S	OCHF ₂	H	H
45	Me	Me	H	H	0	Pr-i	H	S	OCHF ₂	H	H
	Me	Me	Н	Н	0	Me	Me	S	OCHF ₂	Н	H
	Me	Et	H	Н	0	Н	Н	S	OCHF ₂	H	H
	Et	Et .	Н	H	0	H	H	S	OCHF ₂	H	H
50	Me	Pr-i	H	H	0	H	H	S	OCHF ₂	H	H
	Me	Pr	Н	Н	0	Н	Н	S	OCHF ₂	H	H
	Me	Pr-c	H	Н	0	H	Н	S	OCHF ₂	H	H
	Me	CH ₂ Pr-c	H	H	0	H	H	S	OCHF ₂	H	H
55	MIC	CIZFI-U	I IX	11	10	111	1 11		JOCI 11-2	1-1	1

1	-	(CH ₂) ₂ -	Н	Н	0	Н	Н	S	Cl	CI	CI
	-	$(CH_2)_3$ -	Н	Н	0	Н	Н	S	Cı	Cı	CI
	-	$(CH_2)_4$ -	Н	Н	0	Н	Н	S	Cl	Cl	Cl
	-	$(CH_2)_{5}$ -	Н	Н	0	Н	Н	S	Cl	Cı	Cl
	Н	-(CH ₂))3-	Н	0	Н	Н	S	Cl	Cı	Cl .
	Н	-(CH ₂))4-	Н	0	Н	Н	S	Cı	Cl	Cl
)	H	-(CH ₂))5-	Н	0	Н	Н	S	CI	Cı	Cl
	H	-(CH ₂)	6-	H	0	H	Н	S	CI	CI	CI
	Me	Et	Н	Н	2	Н	Н	S	H	н	Н
	Me	r we Et rove	Н	" H· •	∿2	Н	H	0	H ·	Н	H-
5	Me	Et	Н	Н	2	H	Н	NH	H	H	Н

Table 2

5						F	2 R	$\frac{1}{2}$ R	4		
10						R ¹ —)) N		$\begin{array}{c} R^6 \\ \\ S(O)_{\overline{n}C} \\ \\ \\ D^5 \end{array}$	R^{27} Z^2	
	\mathbb{R}^1	R ²	R ³	R ⁴	'n	R ⁵	R ⁶	Z ²	$\frac{R}{R^{25}}$	R ²⁶	R ²⁷
5	Me	Me	Н	Н	2	H	H	S	H	H	Н
Ü	Me	Me	Н	Н	2	H	Н	S	H	ОМе	H
	Me	Me	Н	Н	2	Н	H	S	Cı	H	Cl
	Me	Me	Н	Н	2	H	Н	S	Cı	CI	Cl
	Me	Me	Н	H	2	H	Н	S	CI	Me	Н
0	Me	Me	Н	Н	2	H	H	S	NHMe	Me	Н
	Me	Me	Н	Н	2	Н	Н	S	N(Me) ₂	Me	Н
	Me	Me	Н	Н	2	H	Н	S	NHC(=O)Me	Ме	Н
	Me	Me	Н	Н	2	H	Н	S	NHC(=O)Ph	Me	Н
5	Me	Me	Н	Н	2	Н	Н	S	NHSO₂Me	Me	Н
	Me	Me	Н	Н	2	Н	н	S	NHSO₂Ph	Me	н
	Me	Me	Н	Н	2	Н	Н	S	Ме	Me	Me
	Me	Me	H	Н	2	Н	H	S	Me	C(=O)OMe	Me
0	Me	Me	Н	Н	2	Н	H	S	Me	C(=O)OEt	Me
	Me	Me	Н	Н	2	Н	H	S	Me	C(=O)OPh	Me
	Me	Me	H	H	2	Н		S	Me	CN	Me
	Me	Me	H	Н	2	H	H	S	Me	C(=O)NHMe	Me
-	Me	Me	H	Н	2	Н	H	S	Me	C(=O)Me	Ме
5	Me	Me	Н	Н	2	Н	H	S	Me	C(=O)Et	Me
	Me	Me	Н	Н	2	H	H	S	Me	C(=O)Pr-i	Me
	Me	Me	Н	Н	2	Н	H	S	Me	C(=O)Pr	Me
	Me™	™Me**	H.	H	⁷ 2	Н			Me	C(=O)CF ₃	Me
10	Me	Me	Н	Н	2	Н		S	Ме	C(=NOMe)Me	Ме
	Me	Me	Н	Н	2	Н	Н	S	Ph	C(=O)Me	Me
	Me	Me	Н	Н	2	H	Н	S	Ph	C(=NOMe)Me	Me

	Me	Me	Н	Н	2	Н	H S	CF ₃	OMe	Н	
	Me	Me	Н	Н	2	Н	H S	CF ₃	OEt	Н	
5	Me	Me	Н	Н	2	Н	H S	CF ₃	OPr-i	н	
	Me	Me	Н	Н	2	Н	H S	CF ₃	OPr-i	Н	
	Me	Me	Н	Н	2	Н	H S	CF₃	OCHF ₂	Н	
	Me	Me	H	Н	2	Н	H S	Cl	Me	Н	
10	Me	Me	Н	Н	2	Н	H S	Cl	Me	Ме	
	Me	Me	Н	Н	2	Н	H S	Cl	C(=O)OMe	CI	
	Me	Me	Н	Н	2	Н	H S	Cl	CN	CI	
	Me	Me	Н	Н	2	H	H S	Cl	C(=O)NHMe	Cl	ļ.
15	Me	Me	Н	Н	2	H	H S	Cl	$C(=O)N(Me)_2$	Cl	
	Me	Me	H	Н	2	H	HS	Cl	C(=O)Me	Cl	
	Me Me	Me Me	H	H	2 2	H H	H S H S	CI CI	C(=O)Et C(=O)Pr-i	Cl Cl	
	Me	Me	H	H	2	H	HS	CI	C(=0)Pr	CI	
20	Me	Me	Н	Н	2	Н	H S	CI	$C(=0)CF_3$	CI	
	Me	Me	Н	Н	2	Н	H S	CI	C(=NOMe)Me	CI	
	Me	Me	Н	Н	2	Н	но	Н	Н	Н	
	Me	Me	Н	Н	2	H	но	Me	H	Cl	
25	H	H	H	Н	2	H	H S	Cl	Cl	Cl	
	Me	Н	Н	H	2	H	HS	CI	Cl	Cl	
:	Me Me	H Me	Me H	H	2 2	H Me	H S H S	CI CI	Cl Cl	Cl Cl	
	Me	Me	H	Н	2	Et	HS	CI	CI	CI	
30	Me	Me	H	Н	2	Pr-i	H S	Ci	Ci	CI	
	Me	Me	Н	Н	2	Me		Cl	Cl	Cl	
	Me	Et	H	H	2	H	H S	Cl	CI	Cl	
	Et	Et	H	H	2	H	HS	C1	C1	Cl	
35	Me Me	Pr-i Pr	H	H	2 2	H	H S H S	CI CI	CI CI	CI CI	
	Me	Pr-c	H	Н	2	Н	H S	CI	Ci	CI	
	Me	CH ₂ Pr-c	Н	Н	2	H	H S	CI	Cl	CI	
	-(($CH_2)_2$ -	H	Н	2	Н	нѕ	CI	Cı	CI	[
40	ļ	$CH_2)_3$ -	Н	Н	2	Н	H S	Cl	Cl	Cl	
	i			1	i	1	1 1	ì	ľ	į	
		CH ₂) ₄ -	Н	Н	2	Н	H S	Cl	CI	Cl	
	-(0	CH ₂) ₅ -	H	Н	2	Н	H S	Cl	Cl	CI	
45	Н	-(CH ₂))3-	H	2	Н	H S	Cl	CI	CI	
	Н	-(CH ₂))4-	Н	2	Н	H S	Cl	Cl	Cl	
	H	-(CH ₂))5-	Н	2	Н	H S	CI	Cl	CI	
	Н	-(CH ₂))6-	Н	2	Н	H S	Ci	Cl	Cl	
50	Me	Me	H	Н	1	Н	H S	н	Н	Н	
	Me	Me	Н	Н	1	Н	H S	Н	OMe	Н	
	Me	Me	Н	Н	1	Н	H S	Cl	H	Cl	
	Me	Me	H	H	1	H	HS	Cl	Cl	Cl	
55	Me Me	Me Me	H H	H	1 1	H H	H S H S	Cl NHMe	Me Me	H H	
	1410	1410	1 11	1 11	1	1 11	11 10	J. T. L.	1,10	1	l

1	Me	Me	н	н	1	нΙ	Н	S	N(Me) ₂	Me	н	
	Me	Me	Н	Н	1	H		S	NHC(=O)Me	Me	н	
5	Me	Me	Н	H	1	H		S	NHC(=O)Ph	Me	Н	
·	Me	Me	Н	H	1	Н		S	NHSO₂Me	Ме	Н	
				- 1	İ	Н		S	NHSO ₂ Ph	Me	Н	
	Me	Me	H	H	1	. 1		1		Me	Me	
	Me	Me	H	Н	1	H	H	S	Me Me	C(=O)OMe	Me	
10	Me	Me	Н	H	i	H	H	S	Me	C(=O)OMe C(=O)OEt	Me	
	Me	Me	Н	H H	1 1	H H	Н	S S	Me	C(=O)OPh	Me	
	Me Me	Me Me	H H	н Н	1	Н	Н	S	Me	CN CN	Me	
		Me ∴ Me	: Н »	H	1	H	H	S	Me	C(=O)NHMe	Menance	
15	Me	Me	Н	H	1	Н	Н	S	Me	C(=O)Me	Me	
	Me	Me	Н	H	1	Н	Н	S	Me	C(=O)Et	Me	
	Me	Me	Н	Н	1	Н	Н	S	Ме	C(=O)Pr-i	Me	
	Me	Me	Н	Н	1	Н	Н	S	Me	C(=O)Pr	Me	
00	Me	Me	Н	Н	1	Н	Н	S	Me	$C(=O)CF_3$	Me	
20	Me	Me	Н	Н	1	Н	Н	S	Me	C(=NOMe)Me	Me	
	Me	Me	Н	Н	1	Н	Н	S	Ph	C(=O)Me	Me	
	Me	Me	Н	H	1	Н	Н	S	Ph	C(=NOMe)Me	Me	
	Me	Me	Н	Н	1	Н	Н	S	CF ₃	ОМе	H	
25	Me	Me	Н	Н	1	Н	Н	S	CF ₃	OEt	H	
	Me	Me	Н	Н	1	Н	Н	S	CF ₃	OPr-i	Н	
	Me	Me	Н	Н	1	Н	Н	S	CF₃	OPr-i	H	
30	Me	Me	Н	Н	1	Н	Н	S	CF ₃	OCHF ₂	H	
	Me	Me	Н	Н	1	н	Н	S	Cl	Me	H	
	Me	Me	H	Н	1	Н	Н	S	Cl	Me	Me	
	Me	Me	H	Н	1	Н	H	S	Cl	C(=O)OMe	Cl	
	Me	Me	H	Н	1	H	H	S	Cl	CN	CI	
35	Me	Me	H	H	1	H	H	S	Cl	C(=O)NHMe	Cl	
	Me	Me	H	Н	1	H	H	S	Cl	$C(=O)N(Me)_2$	Cl	
	Me	Me	H	H	1	H	H	S	Cl	C(=O)Me	Cl	
	Me	Me	H	H	1	H	H	S	C1	C(=O)Et	Cl	
40	Me	Me	H	H	1	H		S	Cl	C(=O)Pr-i	Cl Cl	
	Me	Me	Н	H	1	H	H	S	C1	C(=O)Pr	1	
	Me	Me	H	H	1	Н	Н	S	CI	C(=O)CF ₃	Cl	
	Me	Me	H	H	1	H	H	S	CI	C(=NOMe)Me	CI	
45	Me	Me	H	H	1	H	Н	0	H	H	H Cl	
70	Me	Me	H	H	1	H	H	0	Me	H Cl	CI	
	H	H	H	H	1	H	H	S S	CI CI	CI	Cl	
	Me Me	H	H Me	H	1 1	H	H	S	CI	CI	Ci	
	Me	Me	H	H	1	Me	· ·	S	Cl	Ci	Ci	
50	Me	Me	H	H	1	Et	H	S	Cl	CI	Ci	
	Me	Me	H	H	1	Pr-i		S	CI	CI	Ci	
	Me	Me	Ĥ	Н	1	Me	1	1	CI	Cl	CI	
	Me	Et	H	Н	1	Н	Н		Cl	CI	CI	12,1
55	Et	Et	Н	Н		Н		S	CI	Cl	CI	
	Me	Pr-i	Н	H		H		S.	CI	Cl	Cl	

	Me	Pr	Н	Н	1	Н	Н	S	Cl	Cl	Cl
	Me	Pr-c	Н	Н	1	Н	H	S	Cl	Cl	CI
5	Me	CH₂Pr-c	Н	Н	1	Н	Н	S	CI	Cl	CI
	-(0	$^{\circ}_{2}H_{2})_{2}$ -	Н	Н	1	Н	Н	s	Cl	Cl	CI
	-(0	$CH_2)_3$ -	Н	Н	1	Н	Н	S	Cl	Cl	CI
	-(0	$CH_2)_4$ -	Н	Н	1	Н	H	S	Cl	CI	CI
10	-(0	CH ₂) ₅ -	Н	Н	1	Н	Н	S	CI	Cl	CI
	Н	-(CH ₂))3-	Н	1	Н	Н	S	CI	Cl	CI
	Н	-(CH ₂)) ₄ -	Н	1	Н	Н	S	Cl	Cl	CI
15	Н	-(CH ₂))5-	Н	1	Н	Н	S	Cl	Cl	CI
	Н	-(CH ₂)		Н	1	Н	Н	S	CI	CI	CI
	Me	Me	Н	Н	0	Н	Н	S	Н	Н	Н
	Me	Me	Н	Н	0	Н	Н	S	H	OMe	H
00	Me	Me	Н	H	0	Н	Н	S	Cl	H	CI
20	Me	Me	Н	Н	0	H :	Н	S	Cl	CI	CI
	Me	Me	Н	H	0	H	Н	S	CI	Me	H
	Me	Me	Н	Н	0	Н	H	S	NHMe	Me	H
	Me	Me	Н	Н	0	Н	H	S	N(Me) ₂	Me	H
25	Me	Me	Н	Н	0	Н	H	S	NHC(=O)Me	Me	H
	Me	Me	H	Н	0	H	Н	S	NHC(=O)Ph	Me	H
	Me	Me	Н	Н	0	Н	Н	S	NHSO₂Me	Me	H
	Me	Me	Н	Н	0	Н	Н	S	NHSO₂Ph	Me	H
30	Me	Me	Н	Н	0	Н	Н	S	Me	Me	Me
	Me	Me	Н	Н	0	Н	Н	S	Me	C(=O)OMe	Me
	Me	Me	Н	Ή	0	Н	Н	S	Me	C(=O)OEt	Me
	Me	Me	Н	Н	0	Н	Н	S	Me	C(=O)OPh	Me
	Me	Me	H	Н	0	Н	Н	S	Me	CN	Me
35	Me	Me	Н	Н	0	H	Н	S	Me	C(=O)NHMe	Me
	Me	Me	Н	Н	0	H	Н	S	Me	C(=O)Me	Me
	Me	Me	Н	H	0	Н	Н	S	Me	C(=0)Et	Me
	Me	Me	H	Н	0	H	H	S	Me	C(=O)Pr-i	Me
40	Me	Me	H	Н	0	Н	Н	S	Me	C(=O)Pr	Me
	Me	Me	Н	Н	0	Н		S	Me	C(=O)CF ₃	Me
	Me	Me	Н	Н	0	Н	Н	S	Me	C(=NOMe)Me	Me
	Me Me	Me	H	H H	0	H H	H	S	Ph Ph	C(=O)Me	Me Me
45	Me	Me Me	H H	Н	0	Н	H H	S S	CF ₃	C(=NOMe)Me OMe	Н
45	Me	Me	Н	H	0	Н		S	CF ₃	OEt	Н
	Me	Me	Н	Н	0	Н		S	CF ₃	OPr-i	Н
	Me	Me	Н	Н	0	Н		S	CF ₃	OPr-i	H
50	Me	Me	Н	Н	0	Н	Н	S	CF ₃	OCHF ₂	Н
	Me	Me	Н	Н	0	Н	H	S	CI	Me	H
	Me	Me	Н	Н	0	Н	Н	S	CI	Me .	Me
	Me	Me	Н	Н	0	H	Н	S	CI	C(=O)OMe	Cl
EE	Me	Me	Н	H	0	H		S	Ci	CN CN	Ci
55	Me	Me	Н	Н	0	Н	Н		Cl	C(=O)NHMe	Ci

	Me	Me	Н	Н	0	Н	Н	S	Cı	$C(=O)N(Me)_2$	CI
5	Me	Me	Н	Н	0	Н		S	Cl	C(=O)Me	CI
	Me	Me	Н	H	0	Н		S	Cl	C(=O)Et	C1
	Me	Me	Н	H	0	Н		S	Ci	C(=O)Pr-i	CI
	Me	Me	Н	Н	0	Н	H	S	Cl	C(=O)Pr	C1
10	Me	Me	Н	Н	0	Н	H	S	Cl	$C(=O)CF_3$	Cl
10	Me	Me	Н	Н	0	Н		S	Cl	C(=NOMe)Me	CI
	Me	Me	Н	Н	0	H		O	H	H	H
	Me	Me	H	H	0	H		0	Me	H	CI
	H	H	H	Н	0	Н		S	Cl	Cl	C1
15	Me	H	H	H	0	'H		S	Cl ****	CI.,	CI
	Me	H	Me	Н	0	Н		S	Cl	Cl	CI
	Me	Me	H	Н	0	Me		S	Cl	Cl	CI
	Me	Me	H	Н	0	Et		S	Cl	Cl	Cl
20	Me	Me	Н	H	0	Pr-i	t .	S	Cl	Cl	CI
	Me	Me	Н	H	0			1	CI	Cl	CI
	Me	Et	H	H	0	H		S	CI	C1	CI
	Et	Et	Н	H	0	Н		S	Cl	Cl	Cl
	Me	Pr-i Pr	H H	H H	0	H H		S S	Cl Cl	Cl Cl	CI CI
25	Me Me	Pr-c	Н	Н	0	Н		S S	Cl	Cl	CI
						1 1	l .	i			1
	Me	CH₂Pr-c	Н	H	0	Н	į	S	Cl	Cl	Cl
	-(0	$CH_2)_2$ -	Н	H	0	Н	Н	S	Cl	Cl	CI
30	-(0	$CH_2)_3$ -	Н	Ħ	0	Н	Н	S	Cl	Cl	CI
	-(0	$CH_2)_4$ -	Н	Ħ	0	Н	Н	S	Cl	Cl	CI
	-(0	CH ₂) ₅ -	Н	Н	0	Н	Н	S	Cl	CI	Cl
35	Н	-(CH ₂)3-	Н	0	Н	Н	S	Cı	Cı	CI
	Н	-(CH ₂		н	0	н	Н	s	Cı	CI	Cl
	Н	-(CH ₂))5-	н	0	Н	Н	S	CI	CI	CI
	Н	-(CH ₂) ₆ -	Н	0	Н	Н	S	Cı	CI	Cl
40											II

Table 3

5				•			R1_	\mathbb{R}^2 \mathbb{R}^3 \mathbb{R}^4	R ²³	
10							-	O _N	$S(O) = \begin{pmatrix} R^6 \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\ \\$	
	R!	R ²	R ³	R ⁴	n	R ⁵	R ⁶	R ²⁹	R ²⁸	R ³⁰
15	Me	Me	Н	Н	2	Н	Н	Cl	Н	CI ·
15	Me	Me	Ĥ	Н	2	Н	Н	OCHF ₂	н 🦈 👚	Cl [*]
	Me	Me	н	Н	2	н	Н	OCHF ₂	Н	OCHF ₂
	Me	Me	Н	Н	2	Н	Н	Me	Н	CI

Me Me Me H H Z H H CHF2 H OCHF2 Me Me Me H H Z H H CHF2 H OCHF2 Me Me Me H H Z H H CF3 H CF4 Me Me Me H H Z H H CF5 H OMe Me Me H H Z H H CF5 H OMe Me Me H H Z H H CF5 H OME Me Me H H Z H H CF5 H OCHF2 Me Me H H Z H H CF5 H OCHF2 Me Me H H Z H H CF5 H OCHF2 Me Me H H Z H H Me Me CI Me Me H H Z H H Me Me Me Me Me Me H H Z H H Me Me Me Me Me Me H H Z H H Me Me CI Me Me H H Z H H Me Me Me CI Me Me H H Z H H Me Me OMe Me Me Me H H Z H H Me Me OMe OMe Me Me H H Z H H Me Me OMe OMe Me Me H H Z H H Me Me OCHF2 Me Me H H Z H H Me Me OCHF2 Me Me H H Z H H Me Me OCHF2 Me Me H H Z H H Me Me CI Me Me H H Z H H Me Me OCHF2 Me Me H H Z H H Me Me CI Me Me H H Z H H Me Me CI Me Me H H Z H H Me Me CI Me Me H H Z H H Me Me CI Me Me H H Z H H Me Me CI Me Me H H Z H H EI Me EI Me Me H H Z H H EI Me EI Me Me H H Z H H EI Me CI Me Me H H Z H H EI Me CI Me Me H H Z H H EI Me CI Me Me H H Z H H EI Me CI Me Me H H Z H H EI Me CI Me Me H H Z H H EI Me CI Me Me H H Z H H EI Me CI Me Me H H Z H H EI Me CI Me Me H H Z H H EI Me CI Me Me H H Z H H EI Me CI Me Me H H Z H H EI Me CI Me Me H H Z H H EI Me CI Me Me H H Z H H
Me Me Me H H 2 H H CF3 H F CF4
Me Me Me H H Z H H CF ₃ H CG
Me Me H H 2 H H CF ₅ H OMe OEi
Me Me H H 2 H H CF ₁ H OCE Me Me H H 2 H H CF ₃ H OCHF ₂ Me Me H H 2 H H CF ₃ H Me Me Me H H 2 H H Me Me Me Me Me H H 2 H H Me Me Me Me Me Me
Me Me H H Z Z H H CF3 H OCH5 Me Me Me H H Z Z H H CF3 H OCH5 Me Me Me H H Z Z H H CF3 H Me Me Me Me H H Z Z H H M CF3 H Me Me Me Me H H Z Z H H M Me Me Me Me H H Z Z H H M Me Me Me Me H H Z Z H H M Me Me Me Me H H Z Z H H M Me Me Me Me H H Z Z H H M Me Me Me Me H H Z Z H H M Me Me Me Me H H Z Z H H M Me Me Me Me H H Z Z H H M Me Me Me Me Me H H Z Z H H M Me Me Me Me M M Me Me Me Me M M Me Me Me Me M M Me Me Me Me M M Me Me Me Me M M Me Me Me Me M M Me Me Me Me M M Me Me Me Me M M Me Me Me Me M M Me Me Me Me M M Me Me Me Me M M Me Me Me Me M M Me Me Me Me M M Me Me Me Me M M Me Me Me Me M Me Me Me Me M M Me Me Me Me M Me Me Me Me M Me Me Me Me M Me Me Me Me M M Me Me Me Me M Me Me Me Me M Me Me Me Me M M Me Me Me Me M M Me Me Me Me M M Me Me Me Me M M Me Me Me Me M M Me Me Me Me M M Me Me Me Me M M Me Me Me Me M M Me Me Me Me M M Me Me Me Me M M Me Me Me Me M M Me Me Me Me M M Me Me Me Me M M Me Me Me Me M M Me Me Me Me M M Me Me Me Me M M ME Me Me Me M ME Me Me Me M M ME Me Me Me M M ME Me Me Me M M ME Me Me Me M M ME Me Me Me M M ME Me Me Me M M ME Me Me Me M M ME Me Me Me M M ME Me Me Me M M ME Me Me Me M ME Me Me Me M ME Me Me Me M ME Me Me Me M ME Me Me Me Me M ME Me Me Me Me M ME Me Me Me Me ME Me Me Me Me Me ME Me Me Me Me Me ME Me Me Me Me Me Me Me Me Me Me Me Me Me Me Me Me Me Me Me Me Me Me Me Me Me Me Me Me Me Me Me Me Me Me Me Me Me Me Me Me Me Me Me Me Me Me
Me Me H H Z H H CF3 H Me Me Me H H Z H H H Me Me Me Me H H Z H H Me Me Me Me Me H H Z H H Me Me Me Me Me Me
15
Me Me Me H H 2 H H Me Me Me Me Me Me H H 2 H H Me Me Me F Me Me Me H H 2 H H F Me Me Me Me Me Me H H 2 H H Me Me Me Cl Me Me Me H H 2 H H Cl Me Me Me Me Me Me H H 2 H H Me Me Me OME Me Me Me H H 2 H H Me Me Me OME Me Me Me H H 2 H H Me Me Me OME Me Me Me H H 2 H H Me Me Me OCHF2 Me Me Me H H 2 H H Me Me Me OCHF2 Me Me Me H H 2 H H Me Me Me CN Me Me Me H H 2 H H Me Me Me CN Me Me Me H H 2 H H Me Me Me CN Me Me Me H H 2 H H Me Me Me CN Me Me Me H H Z H H Me Me Me CN Me Me Me H H Z H H Me Me Me CN Me Me Me H H Z H H Et Me F Me Me Me H H Z H H Et Me Et Me Me Me H H Z H H Et Me Et Me Me Me H H Z H H Et Me Et Me Me Me H H Z H H Et Me Et Me Me Me H H Z H H Et Me CI Me Me Me H H Z H H Et Me CI Me Me Me H H Z H H Et Me CI Me Me Me H H Z H H Et Me CI Me Me Me H H Z H H Et Me CI Me Me Me H H Z H H Et Me CI Me Me Me H H Z H H Et Me CI Me Me Me H H Z H H Et Me CI Me Me Me H H Z H H Et Me CI Me Me Me H H Z H H Et Me CI Me Me Me H H Z H H Et Me CI Me Me Me H H Z H H Et Me CI Me Me Me H H Z H H Et Me CI Me Me Me H H Z H H Et Me CI Me Me Me H H Z Z H H Et Me CI Me Me Me H H Z Z H H Et Me CI Me Me Me H H Z Z H H Et Me CI Me Me Me H H Z Z H H Et Me CI Me Me Me Me H H Z Z H H Et Me CI Me Me Me Me H H Z Z H H Et Me CI Me Me Me Me H H Z Z H H Et Me CI Me Me Me Me H H Z Z H H Et Me CI Me Me Me Me H H Z Z H H H Et Me CI Me Me Me Me Me H H Z Z H H H Et Me CI Me Me Me Me Me M H H Z Z H H H Et Me CI Me Me Me Me Me Me Me Me Me Me Me Et OME
Me Me Me H H 2 H H Me Me Me F Me Me Me H H 2 H H F Me Me Me Me Me Me H H 2 H H Me Me Me Me Me Me H H 2 H H Me Me Me Me Me Me H H 2 H H Me Me Me Me Me Me H H 2 H H Me Me Me OMe Me Me Me H H 2 H H OME Me OCHF2 Me Me Me H H 2 H H Me Me Me OCHF2 Me Me Me H H 2 H H Me Me Me OCHF2 Me Me Me H H 2 H H Me Me Me CN Me Me Me H H 2 H H Me Me Me CN Me Me Me H H 2 H H Me Me Me CN Me Me Me H H 2 H H CN Me Me Me Me Me H H Et Me F Me Me Me H H Z H H Et Me Et Me Me Me H H Z H H Et Me Et Me Me Me H H Z H H Et Me Et Me Me Me H H Z H H Et Me Et Me Me Me H H Z H H Et Me Et Me Me Me H H Z H H Et Me Et Me Me Me H H Z H H Et Me Et Me Me Me H H Z H H Et Me Et Me Me Me H H Z H H Et Me Et Me Me Me H H Z H H Et Me Et Me Me Me H H Z H H Et Me Et Me Me Me H H Z H H H Et Me CCI Me Me Me H H Z H H Et Me Et Me Me Me H H Z H H Et Me Et Me Me Me H H Z H H Et Me CCI Me Me Me H H Z H H Et Me Et Me Me Me H H Z H H Et Me CCI Me Me Me H H Z H H Et Me CCI Me Me Me H H H Z H H Et Me CCI Me Me Me H H H Z H H Et Me CCI Me Me Me H H H Z H H Et Me CCI Me Me Me H H H Z H H Et Me CCI Me Me Me H H H Z H H Et Me CCI Me Me Me H H H Z H H Et Me CCI Me Me Me H H H Z H H Et Me CCI Me Me Me H H H Z H H Et Me CCI Me Me Me H H H Z H H Et Me CCI Me Me Me H H H Z H H Et Me CCI Me Me Me H H H Z H H Et Me CCI Me Me Me Me H H H Z H H Et Me CCI Me Me Me Me H H H Z H H Et Me CCI Me Me Me Me H H H Z H H Et Me CCI Me Me Me Me H H H Z H H Et Me CCI Me Me Me Me H H H Z H H H Et Me CCI Me Me Me Me H H H Z H H Et Me CCI Me Me Me Me Me H H Et Me CCI Me Me Me Me Me Me Me Me Me Me Me Me Me M
Me Me H H Z H H Me Me Me Me Me Me
Me Me H H 2 H H F Me Me Cl
Me Me Me H H 2 H H Cl Me Me Cl Me Me Me H H 2 H H Cl Me Me OMe Me Me Me H H 2 H H Me Me OMe Me Me Me H H 2 H H Me Me OCHF2 Me Me Me H H 2 H H Me Me OCHF2 Me Me Me H H 2 H H Me Me CN Me Me Me H H 2 H H Me Me CN Me Me Me H H 2 H H Me Me Me CN Me Me Me H H 2 H H Me Me Me CN Me Me Me H H 2 H H CN Me Me Me Me Me H H Z H H Me Me CN Me Me Me H H Z H H Et Me Et Me Me Me H H Z H H Et Me CI Me Me Me H H Z H H Et Me Et Me Me Me H H Z H H Et Me Et Me Me Me H H Z H H Et Me Et Me Me Me H H Z H H Et Me Et Me Me Me H H Z H H Et Me Et Me Me Me H H Z H H Et Me Et Me Me Me H H Z H H Et Me Et Me Me Me H H Z H H Et Me Et Me Me Me H H Z H H Et Me OMe Me Me Me H H Z H H Et Me OME
Me Me Me H H 2 H H Cl Me Me OMe Me Me Me H H 2 H H OMe Me OMe Me Me Me H H 2 H H OME Me OCHF2 Me Me Me H H 2 H H OCHF2 Me Me Me Me Me H H 2 H H Me Me CN Me Me Me H H 2 H H CN Me Me Me Me Me H H 2 H H Et Me Me Me Me H H 2 H H Et Me Me Me Me H H 2 H H Et Me Me Me Me H H 2 H H Et Me Me Me Me H H 2 H H Et Me Me Me Me H H 2 H H Et Me Me Me Me H H 2 H H Et Me Me Me Me H H 2 H H Et Me Me Me Me H H 2 H H Et Me Me Me Me H H 2 H H Et Me Me Me Me H H 2 H H Et Me Me Me Me H H 2 H H Et Me Me Me Me H H 2 H H Et Me Me Me Me H H 2 H H Et Me Me Me Me H H B C H H Et Me OME Me Me Me H H B C H H Et Me OME Me Me Me H H B C H H Et Me OME OME OME
Me Me H H 2 H H Me Me Me OMe Me Me Me M
Me Me H H 2 H H 0Me Me OCHF2 Me Me H H 2 H H 0CHF2 Me Me Me Me H H 2 H H Me Me CN Me Me H H 2 H H Me Me CN Me Me H H 2 H H CN Me Me Me Me H H 2 H H Et Me Et Me Me H H 2 H H Et Me CI Me Me H H 2 H H Et Me CI Me Me H H 2 H H Et Me Et Me Me H H 2 H H Et Me CI Me Me H H 2 H H Et Me CI Me Me H H 2 H H Et Me Et Me Me H H 2 H H Et Me OMe Me Me H H 2 H H Et Me OMe Me Me H H 2 H H Et Me OMe Me Me H H 2 H H Et Me OMe Me Me H H 2 H H Et Me OMe Me Me H H 2 H H OMe Me Et Me Me Me H H 2 H H OME ME Et Me Me Me H H 2 H H OME ME OME
Me Me Me H H 2 H H 0Me Me OCHF2 Me Me Me H H 2 H H 0CHF2 Me Me Me Me Me H H 2 H H 0CHF2 Me Me Me Me Me H H 2 H H CN Me Me Me Me Me H H 2 H H Et Me Et Me Me Me H H 2 H H Et Me Me Me Me H H 2 H H Et Me Me Me Me H H B CI Me Me Me Me H H B CI Me Me Me Me H H B CI Me Me Me Me H H B CI Me Me Me Me H H B CI Me Me Me Me H H B CI Me Me Me Me H H B CI Me Me Me Me H H B CI Me Me Me Me H H B CI Me Me Me Me H H B CI Me Me Me Me H H B CI Me Me Me Me H H B CI Me Me Me Me H H B CI Me Me Me Me H H B CI ME Me Me Me H H B CI ME Me Me Me Me H H B CI ME Me Me Me Me H H B CI ME Me Me Me Me H H B CI ME Me Me Me Me ME ME ME Me Me Me ME ME ME ME Me Me Me ME ME ME ME Me Me ME ME ME ME ME Me ME ME ME ME ME Me ME ME ME ME ME ME Me ME ME ME ME ME ME Me ME ME ME ME ME ME ME OCHF2
Me Me Me H H 2 H H 0CHF2 Me Me CN Me Me Me H H 2 H H Me Me CN Me Me Me H H 2 H H CN Me Me Me Me Me H H 2 H H Et Me Et Me Me Me H H 2 H H Et Me CI Me Me Me H H 2 H H CI Me Et Me Me Me H H 2 H H CI Me Et Me Me Me H H 2 H H Et Me OMe Me Me Me H H 2 H H Et Me OMe Me Me Me H H 2 H H Et Me OMe Me Me Me H H 2 H H Et Me OMe Me Me Me H H H 2 H H Et Me OCHF2
30
Me Me
Me Me H H 2 H H Et Me Et Me Me Me H H 2 H H Et Me Cl Me Me H H 2 H H Cl Me Et Me Me H H 2 H H Et Me OMe Me Me H H 2 H H Et Me OMe Me Me H H 2 H H Et Me OMe Me Me H H H 2 H H Et Me OCHF2
Me Me H H 2 H H Et Me CI Me Me H H 2 H H CI Me Et Me Me H H 2 H H Et Me OMe Me Me H H 2 H H OMe Me Et Me Me H H 2 H H OMe Me Et Me Me H H H 2 H H OMe Me OME Me Me H H H 2 H H OME Me OCHF2
Me Me H H 2 H H Et Me CI Me Me Me H H 2 H H Et Me OMe Me Me H H 2 H H OMe Me Et Me Me H H 2 H H OMe Me Et Me Me Me H H 2 H H Et Me OCHF2
Me Me H H 2 H H CI Me CI Me Me Me H H 2 H H Et Me OMe Me Me H H 2 H H OMe Me Et Me Me H H 2 H H OMe Me OCHF2
Me Me H H 2 H H Et Me OMe Me Me H H 2 H H OMe Me Et Me Me Me H H 2 H H Et Me OCHF2
40 Me Me H H 2 H H OMe Me Et OCHF2
Me Me H H 2 H H Et Me OCHF2
Me Me H H 2 H H OCHF, Me Et
Me Me H H 2 H H Et Me CN
Me Me H H 2 H H CN Me Et
Me Me H H 2 H H Pr-i Me F
Me Me H H 2 H H F Me Pr-i
Me Me H H 2 H H Pr-i Me Cl
Me Me H H 2 H H Cl Me Pr-i
Me Me H H 2 H H Pr-i Me OMe
Me Me H H 2 H H OMe Me Pr-i
55 Me Me H H 2 H H Pr-i Me OCHF ₂

						,		,	ı	,	
	Me	Me	Н	Н	2	Н	Н	OCHF₂	Ме	Pr-i	
	Me	Ме	Н	Н	2	н	H	Pr-i	Ме	CN	
5	Me	Ме	Н	Н	2	н	H	CN	Ме	Pr-i	
	Me	Ме	H	Н	2	н	H	Bu-t	Ме	F	
	Me	Ме	Н	Н	2	Н	Н	F	Ме	Bu-t	
10	Me	Ме	Н	Н	2	н	Н	Bu-t	Ме	Cı	
	Me	Ме	Н	н	2	н	Н	Cl	Ме	Bu-t	
	Me	Me	Ħ	Н	2	н	Н	Bu-t	Me .	ОМе	
	Me	Ме	Н	Н	2	H-,	Н	ОМе	Ме	Bu-t	-
15	Me	Ме	H	Н	2	н	H	Bu-t	Ме	OCHF ₂	
	Me	Me	Н	Н	2	Н	Н	OCHF ₂	Ме	Bu-t	
	Me	Ме	H	Н	2	Н	Н	Bu-t	Ме	CN	
20	Me	Ме	Н	Н	2	Н	H	CN	Ме	Bu-t	
20	Me	Me	Н	Н	2	Н	Н	CH₂OMe	Ме	F	
	Me	Me	Н	H	2	Н	Н	F	Me	CH ₂ OMe	
	Me	Me	Н	Н	2	Н	H	CH₂OMe	Me	Cl .	
25	Me		Н	H	2	H	H	[CI	Ме	CH ₂ OMe	
	Me	ł	Н	Н	2	н	H	CH ₂ OMe	Ме	ОМе	
	Me	ļ .	Н	Н	2	H	H		Me	CH ₂ OMe	
••	Me	Me	Н	Н	2	н	H	CH ₂ OMe	Me	OCHF ₂	
30	Me		H	H	2	H	H	OCHF ₂	Me	CH ₂ OMe	
	Me		Н	Н	2	н	H	CH ₂ OMe	Me	CN	
	Me		Н	Н	2	Н	Н]	Ме	CH ₂ OMe	
35	Me	ŀ	Н	Н	2	Н	Н	CI	Me	CI	
	Me		Н	Н	2	H		_	Me	CI	
	Me	l	Н	Н	2	H	H	i	Me	CHF ₂	
	Me	1	1	Н	2	Н			Me	Н	
40	Me	l l	H	Н	2	H			Me	F	
	Me		Н	Н	2	H			Me	OCHF ₂	
	Me		H	Н	2	H		-	Me	Cl	
45	Me		Н	Н	2	H		Cl	Me	OCHF ₂	
	Me		H	H	2	Н		OCHF ₂	Me	OMe	
	Me		н	H	2	H	-	l	Me	OCHF ₂	
	Me		н	H	2	H			Me	OCHF ₂	
50	Ме	i i	Н	Н	2	H		_	Me	CN	
	Me		H	H	.	H			Me	OCHF ₂	
	Me		H	H	2	H				H	
55	Me			H	2	H		CF ₃	Me	CI	
55	Me	IAIG	Н	Н	2	н	Н	Ci	Me	CF₃	

	Me	Ме	н	Н	2	Н	Н	CF ₃	Ме	Вг
	Me	Me	Н	н	2	н	Н	Br	Ме	CF ₃
5	Me	Ме	н	н	2	н	Н	CF ₃	Ме	I
	Me	Me	Н	Н	2	Н	Н	1	Ме	CF ₃
	Me	Ме	н	н	2	н	Н	CF₃	Ме	F
40	Me	Ме	н	н	2	H :	Н	F	Ме	CF ₃
10	Me	Me	н	н	2	н	Н	CF ₃	Ме	ОН
	Me	Me	Н	Н	2	н	Н	он	Ме	CF ₃
	Me	Ме	H.	H.	.2	н	H	CF _{3.}	Me	ОМе
15	Me	Me	Н	Н	2	Н	Н	OMe	Ме	CF ₃
	Me	Me	Н	Н	2	Н	Н	CF ₃	Ме	OEt
	Me	Ме	Н	Н	2	н	H	OEt	Ме	CF ₃
	Me	Ме	н	Н	2	н	H	CF ₃	Ме	OPr-i
20	Me	Me	Н	Н	2	Н	H	CF ₃	Ме	OPr
	Me	Ме	н	Н	2	н	Н	CF₃	Ме	OBu-t
	Me	Me	Н	Н	2	Н	Н	CF ₃	Ме	OBu-s
25	Me	Me	Н	Н	2	Н	Н	CF ₃	Ме	OBu-i
	Me	Me	Н	Н	2	Н	Н	CF ₃	Ме	OBu
	Me	Me	H·	Н	2	Н	H	CF ₃	Me ·	O(2-Pen)
	Me	Me	н	Н	2	Н	Н	CF ₃	Ме	O(3-Pen)
30	Me	Me	Н	н	2	н	Н	CF ₃	Ме	OPen-n
	Me	Me	н	Н	2	Н	Н	CF ₃	Ме	O(2-Hex)
	Me	Ме	Н	н	2	н	Н	CF ₃	Ме	O(3-Hex)
35	Me	Ме	Н	Н	2	Н	H	CF ₃	Ме	OHex-n
	Me	Me	Н	Н	2	Н	H	CF₃	Ме	OPen-c
	Me	1 1	H	Н	2	Н		CF ₃	Ме	OHex-c
	Me	Ме	H	Н	2	Н	H	CF ₃	Ме	OCH ₂ Pr-c
40	Me		Н	Н	2	Н	Н	CF ₃	Me	OCH ₂ Bu-c
	Me	1	Н	Н	2	Н	H	CF ₃	Ме	OCH ₂ Pen-c
	Me	j]	н	Н	2	Н	H	CF ₃	Me .	OCH ₂ Hex-c
45	Me	1 1	H	Н	2	Н	H	CF ₃	Ме	OCH ₂ CH=CH ₂
45	Me		Н	Н	2	Н	H	CF ₃	Me	OCH ₂ C≡CH
	1	Me	H	Н	2	Н	H	CF ₃	Ме	OCHF ₂
	Me		H	Н	2	H	H	OCHF ₂	Ме	CF ₃
50	Me	1 [Н	Н	2	Н		CF₃	Ме	OCH ₂ CHF ₂
	Me		Н	Н	2	H		OCH ₂ CHF ₂	Me	CF ₃
	Me	1		н		Н		CF ₃	Me	OCH ₂ CF ₃
	Me	∤	1	Н	- 1	Н		OCH ₂ CF ₃	Me	CF ₃
55	Me	Me	н	Н	2	Н	Н	CF ₃	Ме	OCH₂CN

	Ме	Ме	н	н	2	н	Н	CF ₃	Ме	OCH ₂ C(=O)OEt
	Me	Ме	Н	Н	2	н	Н	CF ₃	Me	OCH(Me)C(=O)OEt
5	Me	Me	Н	н	2	н	Н	CF₃	Ме	OCH ₂ C(=O)NH ₂
	Me	Me	Н	Н	2	н	Н	CF₃	Me	OCH ₂ C(=0)NHMe
	Me	Ме	Н	Н	2	н	Н	CF ₃	Me	OCH ₂ C(=O)N(Me) ₂
	Me	Ме	Н	Н	2	н	н.	CF₃	Me	OCH₂Ph
10	Me	Ме	н	Н	2	н	Н	CF ₃	Ме	OPh
	Me	Me	Н	Н	2	Н	Н	CF₃	Ме	O(2-CI)Ph
	Me	Ме	н	н	2	Н	·H	CF ₃	Ме	O(2-Br)Ph
15	Ме	Ме	н	Н	2	н	Н	CF₃	Ме	O(2-F)Ph
	Me	Ме	н	Н	2	Н	Н	CF₃	Ме	O(2-Me)Ph
	Me	Me	Н	Н	2	н	Н	CF ₃	Ме	O(2-OMe)Ph
	Me	Ме	н	н	2	н	н	CF ₃	Ме	O(2-NO ₂)Ph
20	Me	Ме	Н	Н	2	Н	H	CF₃	Ме	O(2-CN)Ph
	Me	Ме	н	н	2	Н	Н	CF₃	Ме	O(2-C(=O)OMe)Ph
	Me	Ме	Н	н	2	н	Н	CF ₃	Ме	O(3-CI)Ph
25	Me	Ме	н	н	2	н	Н	CF ₃	Me	O(3-Br)Ph
20	Me	Me	Н	Н	2	Н	Н	CF ₃	Me	O(3-F)Ph
	Ме	Me	н	н	2	Н	Н	CF ₃	Ме	O(3-Me)Ph
	Me	Ме	Н	Н	2	Н	H	CF ₃	Ме	O(3-OMe)Ph
30	Me	Ме	Н	н	2	н	H	CF ₃	Ме	O(3-NO ₂)Ph
	Me	Me	Н	Н	2	H	Н	CF ₃	Ме	O(3-CN)Ph
	Me	Me	Н	Н	2	Н	Н	CF ₃	Ме	O(3-C(=O)OMe)Ph
35	Me	Me	н	Н	2	H	H	CF ₃	Me	O(4-Cl)Ph
30	Me	Ме	Н	H	2	Н	H	CF ₃	Ме	O(4-Br)Ph
	Me	Me	Н	Н	2	Н	Н	CF ₃	Ме	O(4-F)Ph
	Me	Me	Н	н	2	Н	Н	CF ₃	Me	O(4-Me)Ph
40	Me		Н	Н	2	Н	H			O(4-OMe)Ph
	Me		Н	Н	2	Н	Н	i		O(4-NO ₂)Ph
	Me		Н	Н	2	Н		-	į į	O(4-CN)Ph
	Me		Н	Н	2	Н	H	_		O(4-C(=O)OMe)Ph
45	Me	1	Н	Н	2	Н	Н			OC(=O)Me
	Me	1	H	Н	2	H		1 -	1	OC(≈O)Et
	Me		Н	H	2	Н		, i	Me	OC(=O)CH ₂ Ph
50	Me		Н	Н	2	Н				OC(=O)CF ₃
	Me		H	H	2	Н		_		OC(=O)Ph
	Me	1	Н	Н	2	Н		•	.	OSO₂Me
	Me		н	Н	2	Н				OSO₂Et
55	Me	Me	Н	Н	2	н	H	CF ₃	Me	OSO ₂ CH ₂ Ph

	Ме Ме	Н	Н	2	Н	Н	CF₃	Ме	OSO ₂ CF ₃	i
	Ме Ме	н	Н	2	Н	Н	CF₃	Ме	OSO ₂ Ph	
5	Ме Ме	н	Н	2	Н	н	CF ₃	Ме	SMe	i
	Me Me	Н	Н	2	Н	Н	CF,	Ме	SOMe	ŀ
	Ме Ме	Н	Н	2	Н	Н	CF ₃	Ме	SO₂Me	
10	Ме Ме	Н	н	2	Н	Н	CF ₃	Ме	SEt	
10	Ме Ме	н	H	2	Н	н	CF ₃	Ме	SOEt	
	Ме Ме	Н	Н	2	н	Н	CF ₃	Ме	SO₂Et	
	Me Mess >	æ H .	εH.	. 2.	H	H	CF ₃	Ме	SPr	
15	Ме Ме	Н	н	2	Н	н	CF,	Ме	SOPr	
	Ме Ме	н	н	2	Н	H	CF3	Ме	SO₂Pr	ĺ
	Me Me	н	Н	2	Н	Н	CF ₃	Ме	SPr-i	
	Me Me	н	н	2	Н	Н	CF ₃	Ме	SOPr-i	
20	Me Me	Н	Н	2	Н	Н	CF ₃	Ме	SO ₂ Pr-i	
	Ме Ме	Н	н	2	Н	Н	CF ₃	Ме	SBu-t	
	Me Me	Н	н	2	н	Н	CF ₃	Ме	SOBu-t	
25	Ме Ме	н	н	2	н	н	CF ₃	Ме	SO ₂ Bu-t	
	Ме Ме	Н	н	2	н	Н	CF ₃	Ме	SCHF₂	
	Ме Ме	Н	Н	2	Н	Н	CF ₃	Ме	SOCHF ₂	
	Ме Ме	Н	н	2	н	Н	CF ₃	Ме	SO ₂ CHF ₂	
30	Ме Ме	н	Н	2	Н	Н	CF ₃	Ме	SCF₃	
	Ме Ме	Н	Н	2	н	н	CF ₃	Me	SOCF ₃	
	Ме Ме	н	н	2	Н	н	CF ₃	Ме	SO ₂ CF ₃	ĺ
35	Me Me	Н	Н	2	Н	Н	CF ₃	Ме	SPh	
	Me Me	н	Н	2	Н	Н	CF ₃	Ме	SOh	
· ·	Me Me	н	Н	2	Н	H	CF ₃	Ме	SO₂Ph	
	Ме Ме	H	Н	2	Η.	H	CF ₃	Ме	SCH₂Ph	
40	Ме Ме	н	Н	2	н	H	CF ₃	Ме	SOCH ₂ Ph	
	Ме Ме	Н	H	2	Н	Н	CF ₃	Ме	SO ₂ CH ₂ Ph	
	Me Me	н	Н	2	Н	Н	CF ₃	Ме	SCH ₂ C(=O)OEt	
45	Me Me	н	Н	2	Н	н	CF ₃	Ме	SOCH ₂ C(=O)OEt	
45	Me Me	. H	Н	2	н	Н	CF ₃	Ме	SO ₂ CH ₂ C(=O)OEt	
	Me Me	H	Н	2	Н	Н	CF ₃	Me	SCH(Me)C(=O)OEt	
	Me Me	Н	Н	2	Н	Н	CF ₃	Ме	SOCH(Me)C(=O)OEt	
50	Me Me	Н	Н	2	H	Н	CF ₃	Ме	SO ₂ CH(Me)C(=O)OEt	
	Me Me	Н	H	2	Н	Н	CF₃	Ме	SCH ₂ C(=O)NH ₂	
	Me Me	· H	H	2	^ H	, H	CF ₃	Ме	SOCH ₂ C(=O)NH ₂	
	Me Me	Н	Н	2	Н	Н	CF ₃	Ме	SO ₂ CH ₂ C(=O)NH ₂	
55	Me Me	Н	Н	2	Н	Н	CF ₃	Ме	SCH ₂ C(=0)NHMe	

							,	,	
	Me Me	Н	Н	2	H	Н	CF ₃	Me	SOCH ₂ C(=O)NHMe
E	Me Me	Н	Н	2	Н	Н	CF ₃	Me	SO ₂ CH ₂ C(=O)NHMe
5	Me Me	Н	Н	2	Н	H	CF ₃	Me	SCH ₂ C(=O)N(Me) ₂
	Me Me	Н	Н	2	Н	н	CF ₃	Me	SOCH ₂ C(=0)N(Me) ₂
	Me Me	H	Н	2	н	Н	CF ₃	Me	$SO_2CH_2C(=O)N(Me)_2$
10	Me Me	H	Н	2	Н	Н	CF ₃	Me	NH ₂
	Me Me	Н	Н	2	н	н	CF ₃	Me	NHMe
	Me Me	Н	Н	2	Н	H	CF ₃	Me .	N(Me) ₂
	Me Me	Н	Н	2	н	н	CF ₃	Me	NHC(=O)Me
15	Ме Ме	Н	Н	2	Н	Н	CF ₃	Me	N(Me)C(=O)Me
	Ме Ме	Н	Н	2	Н	Н	CF ₃	Me	NHSO₂Me
	Me Me	Н	Н	2	Н	Н	CF ₃	Me	N(Me)SO ₂ Me
20	Me Me	Н	Н	2	Н	Н	CF ₃	Me	NHSO ₂ CHF ₂
20	Me Me	Н	Н	2	H.	н	CF ₃	Me	N(Me)SO ₂ CHF ₂
	Ме Ме	Н	Н	2	Н	н	CF ₃	Ме	NHSO ₂ CF ₃
	Me Me	Н	Н	2	Н	Н	CF ₃	Me	N(Me)SO ₂ CF ₃
25	Me Me	н	Н	2	Н	Н	CF ₃	Ме	NHPh
	Me Me	Н	Н	2	н	н	CF ₃	Me	N(Me)Ph
	Me Me	Н	Н	2	Н	Н	CF ₃	Me	CN
	Me Me	Н	Н	2	Н	н	CN	Me	CF ₃
30	Me Me	Н	Н	2	Н	Н	CF ₃	Me	C(=O)OMe
	Me Me	Н	Н	2	Н	н	CF ₃	Me	C(=O)OPr-i
	Me Me	Н	Н	2	Н	Н	CF ₃	Me	C(=O)OCH ₂ Ph
35	Me Me	Н	Н	2	Н	Н	CF ₃	Me	C(=O)OPh
	Me Me	Н	Н	2	Н	н	CF ₃	Ме	C(=0)NH ₂
	Ме Ме	Н	Н	2	Н	Н	CF ₃	Me	C(=O)NHMe
	Me Me	Н	Н	2	н	н	CF ₃	Me	C(=O)N(Me) ₂
40	Me Me	Н	Н	2	Н	H	CF ₃	Me	C(=O)Me
	Me Me	Н	H	2	Н	н	CF ₃	Me	C(=O)CF ₃
	Me Me	Н	Н	2	Н	н	CF ₃	Me	C(=O)CH ₂ Ph
45	Me Me	Н	Н	2	н	н	CF ₃	Ме	C(=O)Ph
40	Me Me	Н	Н	2	Н	Н	CF ₃	Ме	Me
	Me Me	Н	Н	2	Н	Н	Ме	Me	CF ₃
	Me Me	Н	Н	2	Н	H	CF ₃	Me	Et
50	Me Me	Н	Н	2	Н	н	CF ₃	Ме	Pr-i
	Me Me	Н	Н	2	н	н	CF,	Me	Pr
	Me Me	Н	Н	2	н	н	CF ₃	Ме	CH ₂ OMe
	Ме Ме	Н	Н	2	Н	н	CF ₃	Me	CF ₃
55	Me Me	Н	Н	2	н	Н	CF ₃	Me	CHF ₂
	•	•	•		•				·

	Me	Me	Н	Н	2	Н	Н	CF ₃	Ме	Ph
	Me	Me	Н	Н	2	Н	Н	CF₂CF₃	Ме	CI
5	Me	Me	Н	н	2	н	Н	CN	Ме	F
	Me	Me	Н	Н	2	Ħ	Н	F	Ме	CN
	Me	Me	Н	н	2	Н	Н	CN	Ме	CI
10	Me	Me	Н	Н	2	Н	Н	CI	Ме	CN
	Me	Me	Н	Н	2	н	Н	CN	Ме	CN
	Me	Me	Н	н	2	Н	Н	СООМе	Ме	F
	Me	Ментана	: Н	н	2.	н	Н	F ·	Ме	СООМе
15	Me	Ме	Н	н	2	н	н	СООМе	Ме	cı
	Me	Me	Н	Н	2	Н	Н	CI	Ме	СООМе
	Me	Ме	Н	н	2	н	Н	SO₂Me	Ме	CI
20	Me	Me	Н	Н	2	н	Н	CI	Ме	SO ₂ Me
20	Me	Me	Н	н	2	н	Н	Ph	Ме	Ме
	Me	Ме	Н	Н	2	Н	Н	Ph	Ме	CI
	Me	Ме	H	Н	2	Н	Н	Ph	Ме	OEt .
25	Me	Ме	Н	Н	2	н	Н	Ph	Ме	CF ₃
	Me	Ме	Н	Н	2	Н	Н	Ph	Ме	Ph
	Me	Me	H	Н	2	Н	Н	Ме	Et	OCHF ₂
20	Me	Ме	Н	Н	2	Н	н	OCHF₂	Et	Me
30	Me	Ме	H	Н	2	н	Н	Ме	Et	CN
	Me	Ме	Н	н	2	н	Н	CN	Et	Ме
	Me	Ме	Н	Н	2	Н	Н	Pr-i	Et	OCHF ₂
35	Me	Ме	Н	н	2	Н	Н	OCHF ₂	Et	Pr-i
	Me	Ме	Н	H	2	Н	Н	Pr-i	Et	CN
	Me	Ме	Н	Н	2	Н	Н	CN	Et	Pr-i
	Me	Ме	H	Н	2	н	Н	CI	Et	CI
40	ľ	Ме	H	Н	2	Н	Н	OCHF₂	Et	CI
		Ме	Н	Н]	Н	Н	CI	Et	OCHF ₂
	j	Ме	Н	Н	2	Н	H	OCHF ₂	Et	OCHF ₂
45		Me	H	Н		Н	H	CF ₃	Et	F
	l	Ме	H	Н	2	Н	H	F	Et	CF ₃
	1	Ме	H	Н	2	Н		CF ₃	Et	CI
		Ме	H	Н		H	Н	CI	Et	CF ₃
50		Ме	H	H	2	H	H	CF ₃	Et	OMe ·
	l	Me	H	Н	2	H	H	OMe CF	Et	CF ₃
		Me	H	Н		H	Н	CF ₃	Et	OEt .
55	ĺ	Me Me	Н	Н	2	H	Н	OEt CE	Et Et	CF ₃
	IME	Ме	Н.	Н	2	Н	Н	CF ₃	Et	OCHF ₂

	МеМе	н	Н	2	Н	Н	OCHF ₂	Et	CF ₃
	Ме Ме	Н	н	2	Н	н	CF ₃	Et	CN
5	Ме Ме	н	н	2	Н	Н	CN	Et	CF ₃
	Me Me	Н	Н	2	Н	н	CF ₃	Et	Ме
	Ме Ме	Н	Н	2	Н	Н	Ме	Et	CF ₃
10	Me Me	н	Н	2	Н	Н	Me	Pr-i	OCHF ₂
	Ме Ме	н	н	2	Н	Н	OCHF ₂	Pr-i	Ме
	Me Me	н	Н	2	Н	Н	Ме	Pr-i	CN
	Ме Ме	н	н	2	Н	Н	CN,	Pr-i	Ме
15	Me Me	Н	Н	2	Н	Н	Pr-i	Pr-i	OCHF ₂
	Me Me	Н	н	2	н	н	OCHF2	Pr-i	Pr-i
	Me Me	Н	Н	.2	Н	Н	Pr-i	Pr-i	CN
20	Ме Ме	Н	Н	2	Н	н	CN	Pr-i	Pr-i
20	Ме Ме	н	Н	2	Н	Н	CI	Pr-i	CI
	Ме Ме	Н	Н	2	Н	Н	OCHF₂	Pr-i	CI
	Ме Ме	Н	Н	2	Н	Н	CI	Pr-i	OCHF ₂
25	Ме Ме	Н	Н	2	H	Н	OCHF ₂	Pr-i	OCHF ₂
	Ме Ме	Н	Н	2	Н	H	CF ₃	Pr-i	F
	Ме Ме	Н	н	2	Н	Н	F	Pr-i	CF ₃
	Me Me	Н	Н	2	Н	Н	CF ₃	Pr-i	CI
30	Ме Ме	Н	Н	2	Н	Н	CI	Pr-i	CF ₃
	Ме Ме	Н	н	2	Н	Н	CF₃	Pr-i	ОМе
	Ме Ме	н	Н	2	H	Н	OMe	Pr-i	CF ₃
35	Me Me	Н	н	2	Н	Н	CF ₃	Pr-i	OEt
	Ме Ме	Н	н	2	н	Н	OEt	Pr-i	CF ₃
	Me Me	Н	н	2	Н	H	CF ₃	Pr-i	OCHF ₂
	Ме Ме	Н	н	2	н	Н	OCHF₂	Pr-i	CF ₃
40	Me Me	Н	Н	2	Н	Н	CF ₃	Pr-i	CN
	Ме Ме	Н	н	2	н	H	CN	Pr-i	CF ₃
	Me Me	H	Н	2	Н	Н	CF ₃	Pr-i	Ме
	Ме Ме	Н	н	2	н	Н	Ме	Pr-i	CF ₃
45	Me Me	Н	н	2	Н	Н	Ме	Pr	OCHF ₂
	Ме Ме	Н	Н	2	н	Н	OCHF ₂	Pr	Me
	Мс Ме	н	н	2	н	Н	Ме	Pr	CN
50	Me Me	Н	H	2	н	Н	CN	Pr	Ме
	Me Me	Н	Н	2	н	Н	Pr-i	Pr	OCHF ₂
	Ме Ме	н	н	2	н	Н	OCHF ₂		Pr-i
	Ме Ме	Н	н	2	н	Н	Pr-i	Pr	CN
55	Me Me	Н	н	2	н	Н	CN	Pr	Pr-i
	' ' '	l		1	ı		1	·	ı

Me Me Me Me Me Me Me Me												
S		Me	Ме	Н	Н	2	н	Н	Cl	Pr	CI	
Me Me Me H 1 2 H H OCHF_2 Pr OCHF_3		Me	Me	H	Н	2	н	Н	OCHF₂	Pr	CI	
Mar Mar	5	Me	Me	Н	Н	2	н	Н	CI	Pr	OCHF ₂	
10		Me	Me	Н	Н	2	Н	Н	OCHF₂	Pr	OCHF ₂	
Me Me Me H H Z H H CF3 Pr CI Me Me H H Z H H CG3 Pr CF3 Me Me H H Z H H CM5 Pr CM5 Me Me H H Z H H CM5 Pr CM5 Me Me H H Z H H CM5 Pr CM5 Me Me H H Z H H CM5 Pr CM5 Me Me H H Z H H CM5 Pr CM5 Me Me H H Z H H CM5 Pr CM5 Me Me H H Z H H CM5 Pr CM5 Me Me H H Z H H CM5 Pr CM5 Me Me H H Z H H CM5 Pr CM5 Me Me H H Z H H ME Pr CM5 Me Me H H Z H H Me Bu-t GN Me Me H H Z H H Me Bu-t CN Me Me H H Z H H Me Bu-t CN Me Me H H Z H H Me Bu-t CN Me Me H H Z H H CM5 Bu-t CI Me Me H H Z H H CM5 Bu-t CI Me Me H H Z H H CM5 Bu-t CI Me Me H H Z H H CM5 Bu-t CI Me Me H H Z H H CM5 Bu-t CI Me Me H H Z H H CM5 Bu-t CI Me Me H H Z H H CM5 Bu-t CI Me Me H H Z H H CM5 Bu-t CI Me Me H H Z H H CM5 Bu-t CM5 Me Me H H Z H H CM5 Bu-t CM5 Me Me H H Z H H CM5 Bu-t CM5 Me Me H H Z H H CM5 Bu-t CM6 Me Me H H Z H H CM5 Bu-t CM5 Me Me H H Z H H CM5 Bu-t CM5 Me Me H H Z H H CM5 Bu-t CM5 Me Me H H Z H H CM5 Bu-t CM5 Me Me H H Z H H CM5 Bu-t CM5 Me Me H H Z H H CM5 Bu-t CM5 Me Me H H Z H H CM5 Bu-t CM5 Me Me H H Z H H CM5 Bu-t CM5 Me Me H H Z H H CM5 Bu-t CM5 Me Me H H Z H H CM5 Bu-t CM5 Me Me H H Z H H CM5 Bu-t CM5 Me Me H H Z H H CM5 Bu-t CM5 Me Me H H Z H H CM5 Bu-t		Me	Me	н	Н	2	Н	Н	CF₃	Pr	F	
Mc Mc	10	Me	Me	Н	Н	2	Н	Н	F	Pr	CF ₃	
Me Me Me Me Me Me Me Me	10	Me	Me	Н	Н	2	н	Н	CF ₃	Pr	CI	
15 Me Me Me H H Z H H CF3 Pr OEt Me Me Me H H Z H H CF3 Pr OEt Me Me Me H H Z H H CF3 Pr OCHF2 Me Me Me H H Z H H OCHF2 Pr CF3 Me Me H H Z H H OCHF2 Pr CF3 Me Me H H Z H H CF3 Pr CF3 Me Me H H Z H H CF3 Pr CF3 Me Me H H Z H H Me Pr CF3 Me Me H H Z H H Me Bu-t CF3 Me Me H H Z H H Me Bu-t CR Me Me H H Z H H Me Bu-t CR Me Me Me H H Z H H Me Bu-t CR Me Me Me H H Z H H Me Bu-t CR Me Me Me H H Z H H Me Bu-t CR Me Me Me H H Z H H CF3 Bu-t CR Me Me Me H H Z H H CF3 Bu-t CR Me Me Me H H Z H H CF3 Bu-t CR Me Me Me H H Z H H CF3 Bu-t CR Me Me Me H H Z H H CF3 Bu		Me	Me	Н	Н	2	н	Н	CI	Pr	CF ₃	
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Me Me H H 2 H H CF ₃ Bu-t Me Me Me H H 2 H H Me Bu-t CF ₃ Me Me H H CF ₃ Bu-s Cl Me Me H H CF ₃ Bu-s CF ₃	50	Me	Me	н	н	2	н	н	CF ₃	Bu-t	CN	
Me Me Me H H 2 H H CF ₃ Bu-s Cl Me Me Me H H 2 H H Cl Bu-s CF ₃	JU	Me	Me	Н	н	2	н	н	CF ₃	Bu-t	Me	
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		Me	Me	н	н	2	н	н	CF ₃	Bu-i	CI	

	Me	Ме	Н	Н	2	Н	H	CI	Bu-i	CF ₃	
F	Me	Ме	Н	н	2	н	Н	CF ₃	Bu	Cı	
5	Me	Me	Н	н	2	Н	Н	CI	Bu	CF ₃	
	Me	Ме	Н	Н	2	н	Н	CF ₃	1-Methylbutyl	CI	
	Me	Ме	Н	Н	2	н	Н.	CI	1-Methylbutyl	CF ₃	
10	Me	Ме	Н	H	2	н	H	CF ₃	1-Ethylpropyl	CI	
	Me	Ме	Н	Н	2	Н	H	CI	1-Ethylpropyl	CF ₃	
	Me	Me	H	Н	2	Н	Н	CF3	1-Pentyl	CI	
	Me	Me	Н	Н	2	Н	H	CI	1-Pentyl	CF ₃	
15	Me	Me	H	Н	2	н	H	CF ₃	1-Methylpentyl	CI	
	Me	Ме	Н	н	2	н	H	Cı	1-Methylpentyl	CF ₃	
	Me	Me	H	Н	2	н	Н	CF₃	2-Ethylbutyl	CI	
20	Me	Ме	H	Н	2	н	Н	Cı	2-Ethylbutyl	CF ₃	
	Ме	Ме	Н	Н	2	н	Н	CF ₃	3,3-Dimethylbutyl	CI	
	Me	Ме	Н	Н	2	Н	H	CI	3,3-Dimethylbutyl	CF ₃	
	Me	Me	Н	н	2	н	H	CF ₃	1-Hexyl	CI	
25	Me	Me	H	н	2	Н	H	Cı	1-Hexyl	CF ₃	
	Me	Me	H	Н	2	Н	Н	CF₃	1-Heptyl	CI .	
	Me	Ме	H	Н	2	Н	H	a	1-Heptyl	CF ₃	
30	Me	Ме	Н	Н	2	н	Н	CF ₃	1-Octyl	CI	
30	Me	Ме	H	н	2	н	H	CI	1-Octyl	CF ₃	
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35	Me	Ме	Н	н	2	H	Н	CF₃	Pr-c	F	
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	Me	Me	H	Н	2	H	H	CF ₃	Pr-c	OCHF₂	
40	Me	Ме	Н	Н	2	H	H	CF ₃	Pr-c	CN	
	Me	Ме	Н	Н	2	H	H	CF₃	Pen-c	CI	
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45	Me	Ме	Н	Н	2	Н	Н	CF ₃	Hex-c	CI	
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Ma		Me	Me	Н	Н	2	H	Н	F	CH₂Pr-c	CF ₃
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10		Me	Me	Н	Н	2	н	H	CF₃	CH₂Pr-c	ОН
Me Me Me H H Z H H CF, CH,Pr-c OBt Me Me Me H H Z H H OEt CH,Pr-c CF, Me Me Me H H Z H H CF, CH,Pr-c OPr-i Me Me Me H H Z H H CF, CH,Pr-c OPr Me Me Me H H Z H H CF, CH,Pr-c OBu-t Me Me H H Z H H CF, CH,Pr-c OCH_2Pr-c Me Me H H Z H H CF, CH,Pr-c OCH_2Pr-c Me Me H H Z H H CF, CH,Pr-c OCH_2Pr-c Me Me H H Z H H CF, CH,Pr-c OCH_2Pr-c Me Me H H Z H H CF, CH,Pr-c OCH_2Pr-c Me Me H H Z H H CF, CH,Pr-c OCH_2Pr-c Me Me H H Z H H CN CH,Pr-c CF, Me Me H H Z H H CN CH,Pr-c CF, Me Me H H Z H H CN CH,Pr-c CF, Me Me H H Z H H CF, CH,Pr-c CF, Me Me H H Z H H CF, CH,Pr-c CF, Me Me H H Z H H CH, CH,Pr-c CF, Me Me H H Z H H CH, CH,Pr-c CF, Me Me H H Z H H CF, CH,Pr-c CF, Me Me H H Z H H CH, CH,Pr-c CF, Me Me H H Z H H CH, CH,Pr-c CF, Me Me H H Z H H CH, CH,Pr-c CF, Me Me H H Z H H CH, CH,Pr-c CF, Me Me H H Z H H CH, CH,(2.2-E) Me Me H H Z H H CH, CH,(2.2-E) Me Me H H Z H H CH, CH,(2.2-E) Me Me H H Z H H CH, CH,(2.2-E) Me Me H H Z H H CH, CH,(2.2-E) Me Me H H Z H H CH, CH,(2.2-E) Me Me H H Z H H CH, CH,(2.2-E) Me Me H H Z H H CH, CH,(2.2-E) Me Me H H Z H H CH, CH,(2.2-E) Me Me H H Z H H CH, CH,(2.2-E) Me Me H H Z H H CH, CH,(2.2-E) Me Me H H Z H H CH, CH,(2.2-E) Me Me H H Z H H CH, CH,(2.2-E) Me Me H H Z H H CH, CH,(2.2-E) Me Me H H Z H H CH, CH,(2.2-E) Me		Me	Ме	Н	Н	2	н	Н	CF₃	CH₂Pr-c	OMe
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Me Me Me H H Z H H CF ₃ CH ₂ Pr-c CF ₃ Me Me Me H H Z H H CF ₃ CH ₂ Pr-c CF ₃ Me Me Me H H Z H H CR ₃ CH ₂ Pr-c CF ₃ Me Me Me H H Z H H CR ₃ CH ₂ Pr-c CF ₃ Me Me Me H H Z H H Me CH ₂ Pr-c CF ₃ Me Me Me H H Z H H CF ₃ 1-cyclopropylethyl Cl Me Me Me H H Z H H CF ₃ 1-cyclopropylethyl CF ₃ Me Me H H Z H H CF ₃ CH ₂ (2-Methylcyclopropyl) CR ₃ Me Me H H Z H H CI CH ₃ (2-Methylcyclopropyl) CF ₃ Me Me H H Z H H CF ₃ CH ₃ (2-Methylcyclopropyl) CF ₃ Me Me Me H H Z H H CR ₃ CH ₃ (2-Chlorocyclopropyl) CF ₃ Me Me H H Z H H CR ₃ CH ₃ (2-Chlorocyclopropyl) CF ₃ Me Me H H Z H H CR ₃ CH ₃ (2-Chlorocyclopropyl) CR ₃ Me Me H H Z H H CR ₃ CH ₃ (2-2-Dinethylcyclopropyl) CR ₃ Me Me H H Z H H CR ₃ CH ₃ (2-2-Dinethylcyclopropyl) CR ₃ Me Me H H Z H H CR ₃ CH ₃ (2-2-Dinethylcyclopropyl) CR ₃ Me Me H H Z H H CR ₃ CH ₃ (2-2-Dinethylcyclopropyl) CR ₃ Me Me H H Z H H CR ₃ CH ₃ (2-2-Dinethylcyclopropyl) CR ₃ Me Me H H Z H H CR ₃ CH ₃ (2-2-Dinethylcyclopropyl) CR ₃ Me Me H H Z H H CR ₃ CH ₃ (2-2-Dinethylcyclopropyl) CR ₃ Me Me H H Z H H CR ₃ CH ₃ (2-2-Dinethylcyclopropyl) CR ₃ Me Me H H Z H H CR ₃ CH ₃ (2-2-Dinethylcyclopropyl) CR ₃ Me Me H H Z H H CR ₃ CH ₃ (2-2-Dinethylcyclopropyl) CR ₃ Me Me H H Z H H CR ₃ CH ₃ (2-2-Dinethylcyclopropyl) CR ₃ Me Me H H Z H H CR ₃ CH ₃ (2-2-Dinethylcyclopropyl) CR ₃ Me Me H H Z H H CR ₃ CH ₃ (2-2-Dinetyclopropyl) CR ₃ Me Me H H Z H H CR ₃ CH ₃ (2-2-Dinetyclopropyl)		Me	Ме	Н	Н	- 1	Н	Н	CF₃		1
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Me Me H H 2 H H CI I-cyclopropylethyl CF3	30	1	ł .	Н	Н	2	Н	Н			
Me Me H H 2 H H CF ₃ CH ₂ (2-Methylcyclopropyl) CI		1		Н	Н		Н	H	· ·		
Me Me Me H H Z H H Cl CH ₂ (2-Methylcyclopropyl) CF ₃ Me Me Me H H Z H H CF ₃ CH ₂ (2-2-Dimethylcyclopropyl) CI Me Me Me H H Z H H CI CH ₂ (2-2-Dimethylcyclopropyl) CF ₃ Me Me Me H H Z H H CF ₃ CH ₂ (2-Chlorocyclopropyl) CI Me Me Me H H Z H H CI CH ₂ (2-Chlorocyclopropyl) CF ₃ Me Me H H Z H H CF ₃ CH ₂ (2-Dimethylcyclopropyl) CF ₃ Me Me H H Z H H CF ₃ CH ₂ (2-Dimethylcyclopropyl) CF ₃ Me Me H H Z H H CI CH ₂ (2-Dimethylcyclopropyl) CF ₃ Me Me H H Z H H CI CH ₂ (2-Fluorocyclopropyl) CF ₃ Me Me H H Z H H CI CH ₂ (2-Dimethylcyclopropyl) CF ₃ Me Me H H Z H H CI CH ₂ (2-Dimethylcyclopropyl) CF ₃ Me Me H H Z H H CI CH ₂ (2-Dimethylcyclopropyl) CF ₃ Me Me H H Z H H CI CH ₂ (2-Dimethylcyclopropyl) CF ₃ Me Me H H Z H H CI CH ₂ (2-Dimethylcyclopropyl) CF ₃ Me Me H H Z H H CI CH ₂ (2-Dimethylcyclopropyl) CF ₃ Me Me H H Z H H CI CH ₂ (2-Dimethylcyclopropyl) CF ₃ Me Me H H Z H H CI CH ₂ (2-Dimethylcyclopropyl) CF ₃ Me Me H H Z H H CI CH ₂ (2-Dimethylcyclopropyl) CF ₃ Me Me H H Z H H CI CH ₂ (2-Dimethylcyclopropyl) CF ₃ Me Me H H Z H H CI CH ₂ (2-Dimethylcyclopropyl) CF ₃ Me Me H H Z H H CI CH ₂ (2-Dimethylcyclopropyl) CF ₃ Me Me H H Z H H CI CH ₂ (2-Dimethylcyclopropyl) CF ₃ Me Me H H Z H H CI CH ₂ (2-Dimethylcyclopropyl) CF ₃ Me Me H H Z H H CI CH ₂ (2-Dimethylcyclopropyl) CF ₃ Me Me H H Z H H CI CH ₂ (2-Dimethylcyclopropyl) CF ₃ Me Me H H Z H H CI CH ₂ (2-Dimethylcyclopropyl) CF ₃ Me Me H H Z H H CI CH ₂ (1	H		1		Н		·	1
Me Me Me H H 2 H H CF3		l		Н							
Me Me H H Z H H Cl Dimethylcyclopropyl) Cl	35	Me	Me	Н	H	2	H	Н	CI		CF ₃
Me Me Me H H Z H H CF ₃ CH ₂ (2-Chlorocyclopropyl) CI		Me	Me	H	H	2	н	Н	CF₃	Dimethylcyclopropyl)	CI
Me Me H H 2 H H Cl CH ₂ (2-Chlorocyclopropyl) CF ₃ Me Me H H H 2 H H Cl CH ₂ (2,2-Dichlorocyclopropyl) CF ₃ Me Me H H Z H H Cl CH ₂ (2,2-Dichlorocyclopropyl) CF ₃ Me Me H H Z H H Cl CH ₂ (2-Fluorocyclopropyl) Cl Me Me H H Z H H CF ₃ CH ₂ (2-Fluorocyclopropyl) Cl Me Me H H Z H H CF ₃ CH ₂ (2-Difluorocyclopropyl) Cl Me Me H H Z H H CF ₃ CH ₂ (2,2-Difluorocyclopropyl) Cl Me Me H H Z H H Cl CH ₂ (2,2-Difluorocyclopropyl) CF ₃ Me Me H H Z H H Cl CH ₂ (2,2-Difluorocyclopropyl) CF ₃ Me Me H H Z H H CF ₃ CH ₂ Bu-c Cl Me Me H H Z H H CF ₃ CH ₂ Bu-c Cl Me Me H H Z H H Cl CH ₂ Bu-c CF ₃		Me	Ме	Н	Н	2	н	Н	CI		CF ₃
Me Me H H 2 H H CF ₃ CH ₂ (2,2-Dichlorocyclopropyl) CI Me Me H H 2 H H CF ₃ CH ₂ (2,2-Dichlorocyclopropyl) CI Me Me H H 2 H H CI CH ₂ (2-Fluorocyclopropyl) CI Me Me H H 2 H H CF ₃ CH ₂ (2-Difluorocyclopropyl) CI Me Me H H 2 H H CF ₃ CH ₂ (2,2-Difluorocyclopropyl) CI Me Me H H 2 H H CF ₃ CH ₂ (2,2-Difluorocyclopropyl) CF ₃ Me Me H H 2 H H CI CH ₂ (2,2-Difluorocyclopropyl) CF ₃ Me Me H H CF ₃ CH ₂ (2,2-Difluorocyclopropyl) CF ₃ Me Me H H CF ₃ CH ₂ Bu-c CI Me Me H H CF ₃ CH ₂ Bu-c CI Me Me H H CF ₃ CH ₂ Bu-c CF ₃ Me Me H H CF ₃ CH ₂ Pen-c CI	40	Me	Ме	Н	Н	2	н	H	CF ₃	CH ₂ (2-Chlorocyclopropyl)	CI
Me Me H H 2 H H CF ₃ Dichlorocyclopropyl) CF ₃ Me Me Me H H 2 H H CF ₃ CH ₂ (2,2-Dichlorocyclopropyl) CI Me Me H H 2 H H CI CH ₂ (2-Fluorocyclopropyl) CI Me Me H H 2 H H CI CH ₂ (2-Difluorocyclopropyl) CF ₃ Me Me H H 2 H H CI CH ₂ (2,2-Difluorocyclopropyl) CF ₃ Me Me H H 2 H H CF ₃ CH ₂ Bu-c CI Me Me H H 2 H H CI CH ₂ Bu-c CF ₃ Me Me H H 2 H H CF ₃ CH ₂ Pen-c CI S55		Me	Me	Н	Н	2	н	H	CI		CF ₃
Me Me H H 2 H H CI Dichlorocyclopropyl) CP ₃ Me Me H H 2 H H CF ₃ CH ₂ (2-Fluorocyclopropyl) CI Me Me H H 2 H H CI CH ₂ (2-Difluorocyclopropyl) CF ₃ Me Me H H 2 H H CI CH ₂ (2,2-Difluorocyclopropyl) CF ₃ Me Me H H 2 H H CF ₃ CH ₂ Bu-c CI Me Me H H 2 H H CI CH ₂ Bu-c CF ₃ Me Me H H 2 H H CF ₃ CH ₂ Pen-c CI The state of the		Me	Me	н	н	2	н	H	CF ₃	Dichlorocyclopropyl)	CI
Me Me H H 2 H H CI CH ₂ (2-Fluorocyclopropyl) CF ₃ Me Me H H 2 H H CI CH ₂ (2,2-Difluorocyclopropyl) CI Me Me H H 2 H H CI CH ₂ (2,2-Difluorocyclopropyl) CF ₃ Me Me H H 2 H H CF ₃ CH ₂ Bu-c CI Me Me H H 2 H H CI CH ₂ Epu-c CI Me Me H H CI CH ₂ Pen-c CI	45	Me	Me	Н	н	2	н	Н	CI		CF ₃
Me Me H H 2 H H CF ₃ CH ₂ (2,2-Difluorocyclopropyl) Cl Me Me H H 2 H H CF ₃ CH ₂ (2,2-Difluorocyclopropyl) CF ₃ Me Me H H 2 H H CF ₃ CH ₂ Bu-c Cl Me Me H H 2 H H Cl CH ₂ E ₂ C ₂ C ₂ Difluorocyclopropyl) CF ₃		Me	Me	Н	Н	2	н	H	CF ₃	CH ₂ (2-Fluorocyclopropyl)	CI
Me Me H H 2 H H Cl CH ₂ (2,2-Difluorocyclopropyl) CF ₃ Me Me H H 2 H H CF ₃ CH ₂ Bu-c Cl Me Me H H 2 H H CF ₃ CH ₂ Pen-c Cl		Me	Ме	Н	н	2	н	H	CI	CH ₂ (2-Fluorocyclopropyl)	CF ₃
Me Me H H 2 H H CF ₃ CH ₂ Bu-c Cl Me Me H H 2 H H Cl CH ₂ Bu-c CF ₃ Me Me H H 2 H H CF ₃ CH ₂ Pen-c Cl		Me	Me	н	н	2	н	Н	CF ₃	CH ₂ (2,2-Difluorocyclopropyl)	CI
Me Me H H 2 H H Cl CH ₂ Bu-c CF ₃ Me Me H H 2 H H CF ₃ CH ₂ Pen-c Cl	50	Me	Ме	Н	н	2	Н	Н	CI	CH ₂ (2,2-Difluorocyclopropyl)	CF ₃
Me Me H H 2 H H CF ₃ CH ₂ Pen-c Cl		Me	Me	н	Н	2	Н	Н	CF ₃	CH₂Bu-c	CI
55		Me	Me	н	н	2	н	Η "	CI.	CH₂Bu-c	CF ₃
Me Me H H 2 H H Cl CH ₂ Pen-c CF ₃	55	Me	Me	н	н	2	Н	Н	CF ₃	CH₁Pen-c	CI
		Me	Me	Н	н	2	н	Н	CI	CH₂Pen-c	CF ₃

	Me Me	Н	н	2	н	Н	CF₃	CH₂Hex-c	CI
5	Me Me	н	Н	2	н	Н	CI	CH₂Hex-c	CF ₃
5	Me Me	Н	Н	2	Н	Н	CF ₃	CH₂CH₂Pr-c	CI
	Me Me	н	Н	2	Н	Н	CI	CH ₂ CH ₂ Pr-c	CF ₃
	Ме Ме	Н	Н	2	н	Н	CF ₃	CH ₂ CH=CH ₂	CI
10	Me Me	н	Н	2	н	Н	cı	CH ₂ CH=CH ₂	CF ₃
	Ме Ме	н	н	2	н	Н	CF₃	CH₂CH=CHCl	CI
	Me Me	н	Н	2	н	Н	CI	CH₂CH=CHCl	CF ₃
4.5	Ме Ме	Н	н	2	н	H	Ме	CH₂C≡CH	OCHF ₂
15	Ме Ме	Н	Н	2	Н	Н	OCHF ₂	CH₂C≡CH	Ме
	Ме Ме	Н	Н	2	Н	Н	CI	СН₂ССН	a
	Me Me	Н	Н	2	Н	Н	OCHF ₂	CH ₂ C≡CH	CI
20	Me Me	Н	Н	2	Н	H	CI	CH ₂ C≡CH	OCHF ₂
	Ме Ме	Н	Н	2	Н	H	OCHF ₂	CH ₂ C≡CH	OCHF ₂
	Me Me	Н	Н	2	Н	Н	CF ₃	CH ₂ C≡CH	F
	Ме Ме	Н	Н	2	Н	Н	F	CH₂C≡CH	CF ₃
25	Ме Ме	Н	Н	2	Н	Н	CF ₃	CH ₂ C≡CH	CI
	Ме Ме	Н	Н	2	Н	Н	CI	CH₂C≡CH	CF ₃
	Ме Ме	Н	н	2	Н	Н	CF ₃	CH ₂ C≡CH	ОМе
30	Me Me	Н	Н	2	Н	Н	ОМе	CH ₂ C≡CH	CF ₃
00	Ме Ме	Н	Н	2	н	Н	CF ₃	CH ₂ C≡CH	OEt
	Ме Ме	н	Н	2	Н	Н	OEt	CH ₂ C≡CH	CF ₃
	Ме Ме	Н	Н	2	Н	Н	CF ₃	CH ₂ C≡CH	OCHF ₂
35	Ме Ме	Н	Н	2	Н	Н	OCHF ₂	CH₂C≡CH	CF ₃
	Ме Ме	н	Н	2	Н	Н	CF ₃	CH ₂ C≡CH	CN
	Ме Ме	н	Н	2	Н	Н	CN	CH₂C≡CH	CF ₃
40	Ме Ме	Н	н	2	Н	Н	CF ₃	CH ₂ C≡CH	Ме
40	Ме Ме	Н	Н	2	Н	Н	Me	CH₂C≡CH	CF ₃
	Ме Ме	Н	н	2	Н	н	CF ₃	CHMeC≅CH	CI
	Ме Ме	Н	Н	2	Н	Н	CI	CHMeC≡CH	CF ₃
45	Ме Ме	н	Н	2	Н	н	CF ₃	CH ₂ C≡CMe	a
	Ме Ме	Н	Н	2	Н	Н	Cl	CH ₂ C≡CMe	CF ₃
	Me Me	н	Н	2	Н	н	Ме	CHF ₂	F
	Me Me	Н	Н	2	Н	Н	F	CHF ₂	Me
50	Ме Ме	Н	Н	2	Н	Н	Ме	CHF ₂	Ci
	Me Me	н	Н	2	Н	Н	CI	CHF ₂	Ме
	Me Me	н	Н	2	н	н	Ме	CHF₂	ОМе
55	Ме Ме	н	Н	2	Н	Н	ОМе	CHF₂	Me
	Me Me	Н	Н	2	Н	Н	Ме	CHF₂	OCHF ₂
	•	•	'	. '			•	•	•

İ	Ме Ме	н	Н	2	н	н	OCHF ₂	CHF ₂	Me.
	Me Me	н	н	2	н	Н	Me	CHF ₂	CN
5	Ме Ме	Н	н	2	Н	Н	CN	CHF₂	Ме
	Ме Ме	н	Н	2	н	Н	Ме	CHF2	Ме
	Ме Ме	н	Н	2	н	Н	Et	CHF ₂	CI
10	Ме Ме	н	Н	2	н	Н	CI	CHF ₂	Et
	Ме Ме	н	Н	2	Н	Н	Et	CHF ₂	Et
	Ме Ме	н	н	2	н	H	Pr-i	CHF ₂	a
	Ме Ме	Н	Н	2	н	Н	CI	CHF2	Pr-i
15	Ме Ме	Н	Н	2	н	Н	CI	CHF ₂	Cl
	Ме Ме	Н	Н	2	Н	Н	OCHF₂	CHF ₂	CI
	Ме Ме	н	Н	2	Н	Н	CI	CHF ₂	OCHF ₂
	Ме Ме	Н	Н	2	н	Н	OCHF ₂	CHF ₂	OCHF ₂
20	Ме Ме	н	Н	2	н	H	CF ₃	CHF₂	CI
	Ме Ме	Н	Н	2	н	Н	CI	CHF ₂	CF ₃
	Ме Ме	н	н	2	Н	Н	CF ₃	CHF ₂	F
25	Ме Ме	Н	н	2	Н	Н	F	CHF ₂	CF ₃
	Ме Ме	Н	н	2	н	Н	CF ₃	CHF ₂	ОМе
	Ме Ме	Н	н	2	Н	Н	ОМе	CHF ₂	CF ₃
	Ме Ме	н	Н	2	Н	Н	CF ₃	CHF ₂	OEt
30	Ме Ме	н	н	2	Н	Н	OEt	CHF ₂	CF ₃
	Ме Ме	н	Н	2	Н	Н	CF,	CHF ₂	OCHF ₂
	Ме Ме	Н	Н	2	Н	Н	OCHF ₂	CHF ₂	CF ₃
	Ме Ме	Н	Н	2	Н	Н	CF ₃	CHF ₂	CN
35	Ме Ме	Н	Н	2	Н	H	CN	CHF₂	CF ₃
	Ме Ме	Н	Н	2	Н	Н	CF ₃	CHF₂	Ме
	Ме Ме	Н	Н	2	Н	Н	Ме	CHF ₂	CF ₃
40	Ме Ме	н	Н	2	Н	Н	CF ₃	CH ₂ CHF ₂	CI
	Ме Ме	Н	Н	2	Н	Н	CI	CH ₂ CHF ₂	CF ₃
	Me Me	Н	н	2	н	Н	CF ₃	CH ₂ CF ₃	CI
	Me Me	Н	Н	2	н	Н	Cl	CH ₂ CF ₃	CF ₃
45	Me Me	Н	Н	2	н	Н	CF ₃	СН₂ОН	CI
	Me Me	Н	Н	2	Н	Н	CI	СН₂ОН	CF ₃
	Ме Ме	н	Н	2	Н	Н	Ме	CH ₂ OMe	OCHF ₂
	Ме Ме	Н	Н	2	Н	Н	OCHF ₂	CH₂OMe	Ме
50	Me Me	Н	Н	2	Н	Н	CI	CH ₂ OMe	CI
	Ме Ме	Н	Н	2	Н	Н	OCHF ₂	CH₂OMe [∞]	CI
	Me Me	H	1		Н	Н	CI	CH₂OMe	OCHF ₂
55	Me Me	H	Н		Н	H	OCHF ₂	CH₂OMe	OCHF ₂
	Me Me	H	Н	2	Н	Н	CF ₃	CH ₂ OMe	F

	ı					1		Ì	ı	1	ı
	Me	Me	H	Н	2	Н	Н	F	CH₂OMe	CF ₃	
5	Me	Ме	Н	Н	2	н	Н	CF ₃	CH₂OMe	Cl	
	Me	Ме	Н	Н	2	Н	Н	CI	CH₂OMe	CF ₃	
	Me	Ме	Н	Н	2	н	Н	CF ₃	CH ₂ OMe	ОМе	
	Me	Ме	H	н	2	Н	Н	ОМе	CH ₂ OMe	CF ₃	
10	Me	Me	Н	Н	2	н	H	CF ₃	CH₂OMe	OEt	
	Me	Ме	H	Н	2	Н	H	OEt	CH₂OMe	CF ₃	
	Me	Ме	H	Н	2	Н	Н	CF₃	CH₂OMe	OCHF ₂	
45	Me	Ме	H	Н	2.	H	H	OCHF ₂	CH₂OMe	CF ₃	
15	Me	Ме	Н	Н	2	н	Н	CF₃	CH₂OMe	CN	
	Me	Ме	Н	Н	2	н	Н	CN	CH₂OMe	CF ₃	
	Me	Ме	Н	н	2	н	H	CF ₃	CH ₂ OMe	Ме	
20	Me	Ме	Н	н	2	Н	Н	Ме	CH₂OMe	CF ₃	
	Me	Ме	Н	н	2	н	Н	CF ₃	CH₂OEt	cı	
	Me	Ме	Н	н	2	н	Н	CI	CH ₂ OEt	CF ₃	
	Me	Ме	H	н	2	н	Н	CF ₃	CH₂CH₂OH	CI	
25	Me	Ме	H	н	2	н	Н	CI	CH₂CH₂OH	CF ₃	
	Me	Ме	Н	н	2	Н	Н	CF,	CH ₂ CH ₂ OMe	CI	
	Me	Ме	H	н	2	Н	Н	CI	CH₂CH₂OMe	CF ₃	
30	Me	Ме	Н	Н	2	н	Н	CF ₃	CH ₂ CH ₂ OEt	CI	
	Me	Ме	Н	н	2	н	Н	CI	CH ₂ CH ₂ OEt	CF ₃	
	Me	Ме	Н	Н	2	н	Н	CF ₃	CH ₂ NHMe	CI	
	Me	Ме	Н	н	2	н	H	CI	CH ₂ NHMe	CF ₃	
35	Me	Ме	Н	н	2	Н	H	CF ₃	CH ₂ N(Me) ₂	CI	
	Me	Ме	Н	н	2	н	H	CI	CH ₂ N(Me) ₂	CF ₃	
	Me	Ме	Н	H	2	н	Н	CF ₃	CH ₂ N(Me)C(=O)Me	CI	
40	Me	Ме	н	Н	2	н	Н	CI	CH ₂ N(Me)C(=O)Me	CF ₃	
40	Me	Ме	Н	Н	2	н	Н	CF ₃	CH ₂ N(Me)C(=O)CF ₃	CI	
	Me	Ме	Н	н	2	н	H	CI	CH2N(Me)C(=O)CF ₃	CF ₃	
	Me	Ме	H	н	2	н	Н	CF ₃	CH ₂ N(Me)SO ₂ Me	CI	
45	Me	Ме	Н	н	2	н	Н	Cl	CH₂N(Me)SO₂Me	CF ₃	
	Me	Me	Н	н	2	н	Н	CF ₃	CH ₂ N(Me)SO ₂ CHF ₂	CI	
	Me	Ме	Н	н	2	н	Н	Cl	CH2N(Me)SO2CHF2	CF ₃	
	Me	Ме	Н	н	2	н	Н	CF ₃	CH ₂ N(Me)SO ₂ CF ₃	CI	
50	Me	Ме	Н	н	2	н	Н	CI	CH ₂ N(Me)SO ₂ CF ₃	CF₃	
	Me	Me	Н	н	2	н	Н	CF ₃	CH₂SMe	CI	
	Me	Ме	Ή	Ĥ	2	Н	H	icr	CH₂SMé	CF ₃	
55	Me	Ме	H	Н	2	Н	H	CF ₃	CH₂SO₂Me	CI	
	Me	Ме	Н	Н	2	н	H	CI	CH₂SO₂Me	CF ₃	

	Me	Ме	Н	н	2	н	н	CF ₃	CH ₂ CH ₂ SMe	CI
5	Me	Ме	Н	н	2	н	Н	CI	CH ₂ CH ₂ SMe	CF ₃
	Me	Me	Н	н	2	н	Н	CF ₃	CH ₂ CH ₂ SO ₂ Me	CI
	Me	Me	Н	Н	2	Н	Н	cı	CH ₂ CH ₂ SO ₂ Me	CF ₃
	Me	Ме	Н	н	2	Н	Н	CF₃	CH₂CN	CI
10	Me	Me	Н	Н	2	Н	Н	Cl	CH₂CN	CF ₃
	Me	Ме	Н	Н	2	н	Н	CF ₃	CH₂C(=O)OMe	CI
	Me	Ме	Н	н	2	Н	Н	CI	CH ₂ C(=O)OMe	CF ₃
15	Me	Me	Н	Н	2	Н	Н	CF₃	CH ₂ C(=O)OEt	ici i
13	Me	Ме	Н	Н	2	н	Н	CI	CH₂C(=O)OEt	CF ₃
	Me	Ме	Н	Н	2	Н	Н	CF₃	CH(Me)C(=O)OMe	CI
	Me	Me	Н	Н	2	Н	Н	CI	CH(Me)C(=O)OMe	CF ₃
20	Me	Ме	Н	н	2	Н	H	CF₃	C(Me) ₂ C(=O)OMe	CI
	Me	Ме	Н	Н	2	Н	Н	CI	C(Me) ₂ C(=O)OMe	CF ₃
	Me	Ме	Н	н	2	Н	Н	CF₃	CH ₂ C(=O)NH ₂	CI
0.5	Me	Ме	Н	Н	2	Н	H	CI	CH ₂ C(=O)NH ₂	CF ₃
25	Me	Ме	Н	н	2	н	Н	CF₃	CH ₂ C(=O)NHMe	a
	Me	Ме	Н	н	2	н	H	CI	CH ₂ C(=O)NHMe	CF ₃
	Me	Ме	H	н	2	н	H	CF ₃	CH ₂ C(=O)N(Me) ₂	CI
30	Me	Ме	Н	Н	2	Н	H	CI	CH ₂ C(=O)N(Me) ₂	CF ₃
	Me	Ме	Н	н	2	н	H	CF ₃ -	CH₂C(=O)Me	CI
	Me	Ме	Н	Н	2	н	H	CI	CH ₂ C(=O)Me	CF ₃
	Me	Ме	Н	н	2	н	H	CF ₃	CH ₂ C(=NOMe)Me	CI
35	Me	Me	Н	Н	2	Н	H	CI	CH ₂ C(=NOMe)Me	CF ₃
	Me	Ме	H	Н	2	н	H	CF ₃	CH ₂ C(=O)CF ₃	CI
	Me	Ме	Н	н	2	н	Н	CI	CH ₂ C(=O)CF ₃	CF₃
40	Me	Me	н	Н	2	H	Н	CF ₃	CH ₂ CH ₂ C(=O)Me	CI
	Me	Me	Н	Н	2	н	Н	Cl	CH ₂ CH ₂ C(=O)Me	CF ₃
	Me	Ме	Н	н	2	н	Н	Me	Ph	Me
	Me	Ме	Н	Н	2	Н	H	Me	Ph	F
45	Me	Ме	Н	Н	2	Н	H	Me	Ph	CI
	Me	Me	Н	Н	2	н	Н	Me	Ph	OCHF₂
	Me	Ме	Н	Н	2	Н	Н	Me	Ph	CN
50	Me	Ме	н	Н	2	Н	H	Et	Ph	F
00	Me	1 1	Н	Н	2	Н	H	Et	Ph	Cl
	Me	l i	Н	н	2	Н	Н	i	Ph	OCHF ₂
	Me	1	н	Н	- 1	Н			Ph	CN
55	Me		н	Н	2	Н				F
	Me	Me	Н	н	2	H	Н	Pr	Ph	CI

	Ме Ме	н	н	2	н	Н	Pr	Ph	OCHF ₂	
5	Ме Ме	н	н	2	н	н	Pr	Ph	CN	
	Ме Ме	н	н	2	н	н	Pr-i	Ph	F	
	Ме Ме	н	н	2	н	н	Pr-i	Ph	CI	
	Ме Ме	н	Н	2	н	Н	Рг-і	Ph	OCHF ₂	
10	Ме Ме	H	н	2	Н	н	Pr-i	Ph	CN	
	Ме Ме	н	Н	2	н	Н	Bu-t	Ph	CI ·	
	Ме Ме	H	н	2	н	Н	CH₂OMe	Ph	Ċı	
	Me Me	* H	H	2	н	Н	CI	Ph ·	CI	
15	Ме Ме	Н	н	2	н	H	OCHF₂	Ph	CI	
	Ме Ме	Н	Н	2	Н	Н	OCHF₂	Ph	OCHF ₂	
	Ме Ме	Н	н	2	н	Н	CHF₂	Ph	CI	
20	Me Me	Н	Н	2	н	Н	CF ₃	Ph	н	:
	Ме Ме	н	н	2	н	Н	CF ₃	Ph	Ме	
	Ме Ме	н	Н	2	Н	Н	Ме	Ph	CF ₃	
	Me Me	Н	Н	2	Н	Н	CF ₃	Ph	Et	
25	Ме Ме	Н	н	2	н	Н	CF ₃	Ph	Pr-i	
	Me Me	н	Н	2	н	Н	CF,	Ph	CHF ₂	
	Me Me	Н	н	2	н	Н	CF ₃	Ph	CF ₃	
30	Me Me	Н	н	2	н	H	CF ₃	Ph	F	
	Me Me	Н	н	2	н	Н	CF ₃	Ph	CI	
	Me Me	Н	Н	2	н	Н	CI	Ph	CF ₃	
	Me Me	н	Н	2	Н	H	CF ₃	Ph	OH	
35	Me Me	H	н	2	Н	Н	ОН	Ph	CF ₃	
	Ме Ме	Н	Н	2	Н	Н	CF ₃	Ph	OMe	
	Me Me	Н	Н	2	н	H	OMe	Ph	CF ₃	
	Me Me	Н	Н	2	Н	Н	CF ₃	Ph	OEt	
40	Me Me	Н	Н	2	н	Н	OEt	Ph .	CF ₃	
	Me Me	Н	Н	2	н	Н	CF ₃	Ph	OPr-i	
45	Me Me	Н	Н	2	H	Н	CF ₃	Ph	OPr	
	Me Me	Н	Н	2	Н	н	CF ₃	Ph	OBu-t	
	Me Me	Н	Н	2	Н	Н	CF ₃	Ph	OCH₂Pr-c	
	Me Me	н	Н	2	н	Н	CF ₃	Ph	OCH ₂ CH=CH ₂	
	Me Me	Н	Н	2	Н	Н	CF ₃	Ph	OCH ₂ C≡CH	
	Me Me	Н	H	2	Н	Н	CF ₃	Ph	OCHF ₂	
	Me Me	Н	Н	2	Н	H	OCHF ₂	Ph	CF ₃	
	Me Me	H-	H	.2.	H	H	CF ₃	Ph	OCH2CHF2	
	Me Me	Н	Н	2	Н	Н	CF ₃	Ph	OCH ₂ CF ₃	
55	Me Me	Н	Н	2	Н	Н	CF ₃	Ph	OCH ₂ C(=O)OMe	

Me Me H H 2 H H CF3 Ph OCH(Me)C(=O)OMe OCMe3,C(=O)OMe OCMe3,C(=O)OM										·	
Me Me Me H H 2 H H CF;		Me	Me	Н	н	2	Н	Н	CF ₃	Ph	OCH(Me)C(=O)OMe
Mc Mc H H 2 H H CF, Ph OC(=O)Me	5	Me	Me	Н	н	2	Н	H	CF ₃	Ph	OC(Me) ₂ C(=O)OMe
Me Me Me Me H H Z H H CF;	J	Me	Me	Н	н	2	Н	Н	CF ₃	Ph	OC(=O)Me
Me Me Me Me Me Me Me Me		Me	Me	Н	н	2	Н	Н	CF ₃	Ph	OC(=O)Et
Me Me Me H H Z H H CF3 Ph OCC =O)Ph		Me	Me	Н	н	2	н	Н	CF ₃	Ph	OC(=O)CH ₂ Ph
Me Me Me H H 2 H H CF; Ph OSO ₂ Me	10	Me	Me	Н	н	2	н	Н	CF ₃	Ph	OC(=O)CF ₃
Me Me Me H H Z H H CF; Ph OSO,Et		Me	Ме	Н	н	2	Н	Н	CF ₃	Ph	OC(=O)Ph
Me Me Me Me H H Z H H CF3 Ph OSO ₂ CH3Ph OSO ₂ CF3 Me Me Me H H Z H H CF3 Ph OSO ₂ CF3 Me Me Me H H Z H H CF3 Ph OSO ₂ Ph Me Me Me H H Z H H CF3 Ph SMe Me Me Me H H Z H H CF3 Ph SOMe Me Me Me H H Z H H CF3 Ph SOEI Me Me H H Z H H CF3 Ph SOEI Me Me H H Z H H CF3 Ph SOEI Me Me H H Z H H CF3 Ph SOP1-i Me Me H H Z H H CF3 Ph SOP1-i Me Me H H Z H H CF3 Ph SOP1-i Me Me H H Z H H CF3 Ph SOP1-i Me Me H H Z H H CF3 Ph SOP1-i Me Me H H Z H H CF3 Ph SOP1-i Me Me H H Z H H CF3 Ph SOP1-i Me Me H H Z H H CF3 Ph SOP1-i Me Me H H Z H H CF3 Ph SOP1-i Me Me H H Z H H CF3 Ph SOP1-i Me Me H H Z H H CF3 Ph SOP1-i Me Me H H Z H H CF3 Ph SOP1-i Me Me H H Z H H CF3 Ph SOP1-i Me Me H H Z H H CF3 Ph SOP1-i Me Me H H Z H H CF3 Ph SOP1-i Me Me H H Z H H CF3 Ph SOP1-i Me Me H H Z H H CF3 Ph SOP1-i Me Me H H Z H H CF3 Ph SOP1-i Me Me H H Z H H CF3 Ph SOP1-i Me Me H H Z H H CF3 Ph NISO_2 (HE2-i H H CF3		Me	Me	Н	н	2	н	Н	CF ₃	Ph	OSO _z Me
Me Me H H 2 H H CF; Ph OSO ₂ CH,Ph		Me	Me	H	н	2	н	H	CF ₃	Ph	OSO ₂ Et
Me Me H H Z H H CF; Ph SMe SMe Me H H Z H H CF; Ph SMe SMe Me Me H H Z H H CF; Ph SOMe SMe Me Me H H Z H H CF; Ph SOMe Me Me H H Z H H CF; Ph SCE SCE Me Me H H Z H H CF; Ph SOE SO,2Et Me Me H H Z H H CF; Ph SO,2Et Me Me H H Z H H CF; Ph SO,2Et Me Me H H Z H H CF; Ph SO,2Et Me Me H H Z H H CF; Ph SO,2Et Me Me H H Z H H CF; Ph SO,2Ft Me Me H H Z H H CF; Ph SO,2Ft Me Me H H Z H H CF; Ph SO,2Ft Me Me H H Z H H CF; Ph SO,2Ft Me Me H H Z H H CF; Ph SO,2Ft Me Me H H Z H H CF; Ph SO,2Et Me Me H H Z H H CF; Ph SO,2Ft Me Me H H Z H H CF; Ph SO,2Et Me Me H H Z H H CF; Ph SO,2Et Me Me H H Z H H CF; Ph SO,2Et Me Me H H Z H H CF; Ph SO,2Et Me Me H H Z H H CF; Ph SO,2Et Me Me H H Z H H CF; Ph SO,2Et Me Me H H Z H H CF; Ph SO,2Et Me Me H H Z H H CF; Ph SO,2CHF; Me Me H H Z H H CF; Ph NHMe Me Me H H Z H H CF; Ph NHMe Me Me H H Z H H CF; Ph NHMe Me Me H H Z H H CF; Ph NHMe Me Me H H Z H H CF; Ph NHMe Me Me H H Z H H CF; Ph NHMe Me Me H H Z H H CF; Ph NHMe Me Me H H Z H H CF; Ph NHMe Me Me H H Z H H CF; Ph NHMe Me Me H H Z H H CF; Ph NHMe Me Me H H Z H H CF; Ph NHMe Me Me H H Z H H CF; Ph NHMe Me Me H H Z H H CF; Ph NHMe Me Me H H Z H H CF; Ph NHMe Me Me H H Z H H CF; Ph NHMe Me Me H H Z H H CF; Ph NHMe Me Me H	15	Me	Me	Н	Н	2	Н	Н	CF ₃	Ph	OSO ₂ CH ₂ Ph
20		Me	Me	Н	Н	2	н	Н	CF ₃	Ph	OSO ₂ CF ₃
Me Me Me H H H 2 H H CF; Ph SOMe Me Me Me H H H 2 H H CF; Ph SO ₂ Me 25 Me Me Me H H H 2 H H CF; Ph SOEt Me Me Me H H H 2 H H CF; Ph SOEt Me Me Me H H 2 H H CF; Ph SOFt-i Me Me Me H H 2 H H CF; Ph SOPt-i Me Me Me H H 2 H H CF; Ph SOPt-i Me Me Me H H 2 H H CF; Ph SOPt-i Me Me Me H H 2 H H CF; Ph SOPt-i Me Me Me H H 2 H H CF; Ph SOPt-i Me Me Me H H 2 H H CF; Ph SOPt-i Me Me Me H H 2 H H CF; Ph SOPt-i Me Me Me H H 2 H H CF; Ph SOPt-i Me Me Me H H CF; Ph SOPt-i Me Me Me H H CF; Ph SOPt-i Me Me Me H H CF; Ph SOPt-i Me Me Me H H CF; Ph SOPt-i Me Me Me H H CF; Ph SOPt-i Me Me Me H H CF; Ph SOPt-i Me Me Me H H CF; Ph SOPt-i Me Me Me H H CF; Ph SOPt-i Me Me Me H H CF; Ph SOPt-i Me Me H H CF; Ph SOPt-i Me Me Me H H CF; Ph SOPt-i Me Me Me H H CF; Ph SOPt-i Me Me Me H H CF; Ph SOPt-i Me Me Me H H CF; Ph SOPt-i Me Me H H CF; Ph SOPt-i Me Me H H CF; Ph SOPt-i Me Me H H CF; Ph SOPt-i Me Me H H CF; Ph SOPt-i Me Me H H CF; Ph SOPt-i Me Me H H CF; Ph SOPt-i Me Me H H CF; Ph SOPt-i Me Me H H CF; Ph SOPt-i Me Me H H CF; Ph SOPt-i Me Me H H CF; Ph SOPt-i Me Me H H CF; Ph SOPt-i Me Me H H CF; Ph SOPt-i Me Me H H CF; Ph SOPt-i Me Me H H CF; Ph SOPt-i Me Me H H CF; Ph SOPt-i Me Me H H CF; Ph NIMe Me Me H H CF; Ph NIME Me Me H H CF; Ph NIME Me Me H H CF; Ph NIME Me Me H H CF; Ph NIME Me Me H H CF; Ph NIME Me Me H H CF; Ph NIME Me Me H H CF; Ph NIME Me Me H H CF; Ph NIME Me Me H H CF; Ph NIME Me Me H H CF; Ph NIME Me Me H H CF; Ph NIME Me Me		Me	Me	Н	н	2	H	Н	CF,	Ph	OSO ₂ Ph
Me Me Me H H Z H H CF3 Ph SC2Me	20	Me	Me	Н	н	2	Н	Н	CF ₃	Ph	SMe
Me Me Me H H 2 H H CF3 Ph SOE1		Me	Me	Н	Н	2	н	Н	CF ₃	Ph	SOMe
Me Me Me H H Z H H CF3 Ph SOER Me Me Me H H Z H H CF3 Ph SOFT Me Me Me H H Z H H CF3 Ph SOPT Me Me H H Z H H CF3 Ph SOPT Me Me H H Z H H CF3 Ph SOPT Me Me H H Z H H CF3 Ph SOPT Me Me H H Z H H CF3 Ph SOPT 35 Me Me H H Z H H CF3 Ph SOPT 36 Me Me H H Z H H CF3 Ph SOBU-1 Me Me H H Z H H CF3 Ph SOBU-1 Me Me H H Z H H CF3 Ph SOCHE2 Me Me H H Z H H CF3 Ph SOCHF2 Me Me H H Z H H CF3 Ph SOCHF2 Me Me H H Z H H CF3 Ph NH2 Me Me H H Z H H CF3 Ph NHMe Me Me H H Z H H CF3 Ph NHMe Me Me H H Z H H CF3 Ph NHMe Me Me H H Z H H CF3 Ph NHMe Me Me H H Z H H CF3 Ph NHMe Me Me H H Z H H CF3 Ph NHMe Me Me H H Z H H CF3 Ph NHMe Me Me H H Z H H CF3 Ph NHMe Me Me H H Z H H CF3 Ph NHMe Me Me H H Z H H CF3 Ph NHMe Me Me H H Z H H CF3 Ph NHMe Me Me H H Z H H CF3 Ph NHMe Me Me H H Z H H CF3 Ph NHSO ₂ Me Me Me Me H H Z H H CF3 Ph NHSO ₂ Me Me Me Me H H Z H H CF3 Ph NHSO ₂ Me Me Me Me H H Z H H CF3 Ph NHSO ₂ Me Me Me Me H H Z H H CF3 Ph NHSO ₂ Me Me Me Me H H Z H H CF3 Ph NHSO ₂ Me Me Me Me H H Z H H CF3 Ph NHSO ₂ CF3		Ме	Me	Н	Н	2	Н	Н	CF ₃	Ph	SO₂Me
Me Me Me H H Z H H CF3 Ph SO2Et		Me	Me	Н	н	2	н	Н	CF ₃	Ph	SEt
Me Me H H 2 H H CF3 Ph SOPr-i Me Me H H 2 H H CF3 Ph SOPr-i Me Me H H 2 H H CF3 Ph SOPr-i Me Me H H 2 H H CF3 Ph SOPr Me Me H H 2 H H CF3 Ph SOPr Me Me H H 2 H H CF3 Ph SOPr 35 Me Me H H 2 H H CF3 Ph SOPr Me Me H H 2 H H CF3 Ph SOPr Me Me H H 2 H H CF3 Ph SOBu-t Me Me H H 2 H H CF3 Ph SOBu-t Me Me H H 2 H H CF3 Ph SOBu-t Me Me H H 2 H H CF3 Ph SOPr Me Me Me H H 2 H H CF3 Ph SOPr Me Me Me H H CF3 Ph SOPr Me Me Me H H CF3 Ph SOPr Me Me Me H H CF3 Ph SOPR Me Me H H CF3 Ph SOCHF2 Me Me Me H H CF3 Ph SOCHF2 Me Me Me H H CF3 Ph SOCHF2 Me Me Me H H CF3 Ph SOCHF2 Me Me Me H H CF3 Ph NH2 Me Me H H CF3 Ph NH2 Me Me H H CF3 Ph NH2 Me Me H H CF3 Ph NH2 Me Me H H CF3 Ph NHC(=O)Me Me Me H H CF3 Ph NHC(=O)Me Me Me H H CF3 Ph NHSO ₂ Me Me Me H H CF3 Ph NHSO ₂ Me Me Me H H CF3 Ph NHSO ₂ Me Me Me H H CF3 Ph NHSO ₂ Me NHSO ₂ Me NHSO ₂ CF3	25	Me	Me	Н	Н	2	Н	Н	CF ₃	Ph	SOEt
Me Me H H Z H H CF3 Ph SOPr-i		Me	Me	Н	н	2	Н	Н	CF ₃	Ph	SO₂Et
Me Me H H 2 H H CF3 Ph SO2Pr-i Me Me H H 2 H H CF3 Ph SPr Me Me H H 2 H H CF3 Ph SOPr 35 Me Me H H 2 H H CF3 Ph SO2Pr Me Me H H 2 H H CF3 Ph SO2Pr Me Me Me H H 2 H H CF3 Ph SOBu-t Me Me H H 2 H H CF3 Ph SO2Bu-t Me Me H H Z H H CF3 Ph SO2Bu-t Me Me H H Z H H CF3 Ph SO2H-t Me Me H H Z H H CF3 Ph SOCHF2 Me Me H H Z H H CF3 Ph SOCHF2 Me Me H H Z H H CF3 Ph SO2CHF2 Me Me H H Z H H CF3 Ph SO2CHF2 Me Me H H Z H H CF3 Ph NH2 Me Me H H Z H H CF3 Ph NH2 Me Me H H Z H H CF3 Ph NH2 Me Me H H Z H H CF3 Ph NH2 Me Me H H Z H H CF3 Ph NHMe Me Me H H Z H H CF3 Ph NHMe Me Me H H Z H H CF3 Ph NHMe Me Me H H Z H H CF3 Ph NHMe Me Me H H Z H H CF3 Ph NHMe Me Me H H Z H H CF3 Ph NHMe Me Me H H Z H H CF3 Ph NHMe Me Me H H Z H H CF3 Ph NHC(=O)Me Me Me H H Z H H CF3 Ph NHSO2Me Me Me H H Z H H CF3 Ph NHSO2Me Me Me H H Z H H CF3 Ph NHSO2Me Me Me H H Z H H CF3 Ph NHSO2Me		Me	Me	Н	Н	2	Н	H	CF ₃	Ph	SPr-i
Me Me H H Z H H CF3 Ph SO2PT-i Me Me H H Z H H CF3 Ph SOPT 35 Me Me H H Z H H CF3 Ph SOPT 36 Me Me H H Z H H CF3 Ph SOPT 37 Me Me H H Z H H CF3 Ph SOBU-t Me Me H H Z H H CF3 Ph SOBU-t Me Me H H Z H H CF3 Ph SOBU-t Me Me H H Z H H CF3 Ph SOCHF2 Me Me H H Z H H CF3 Ph SOCHF2 Me Me H H Z H H CF3 Ph SOCHF2 Me Me H H Z H H CF3 Ph SOCHF2 Me Me H H Z H H CF3 Ph SOCHF2 Me Me H H Z H H CF3 Ph SOCHF2 Me Me H H Z H H CF3 Ph SOCHF2 Me Me H H Z H H CF3 Ph NH2 Me Me H H Z H H CF3 Ph NH2 Me Me H H Z H H CF3 Ph NH2 Me Me H H Z H H CF3 Ph NHMe Me Me H H Z H H CF3 Ph NHMe Me Me H H Z H H CF3 Ph NHMe Me Me H H Z H H CF3 Ph NHMe Me Me H H Z H H CF3 Ph NHMe Me Me H H Z H H CF3 Ph NHMe Me Me H H Z H H CF3 Ph NHMe Me Me H H Z H H CF3 Ph NHC(=O)Me Me Me Me H H Z H H CF3 Ph NHSO ₂ Me Me Me Me H H Z H H CF3 Ph NHSO ₂ Me Me Me Me H H Z H H CF3 Ph NHSO ₂ Me	30	Me	Ме	Н	н	2	н	Н	CF ₃	Ph	SOPr-i
Me Me Me H H 2 H CF ₃ Ph SOPr Me Me Me H H 2 H CF ₃ Ph SO ₂ Pr Me Me Me H H 2 H CF ₃ Ph SOBu-t Me Me Me H H 2 H CF ₃ Ph SOBu-t SOBu-t SOBu-t SOBu-t SOBu-t SOBu-t SOBu-t SOBu-t SOBu-t SOBu-t SOBu-t SOBu-t SOBu-t SOBu-t SOBu-t SOBu-t SOBu-t SOBu-t SOBu-t SOCHF ₂ Me Me H H 2 H CF ₃ Ph SOCHF ₂ Me Me H H 2 H CF ₃ Ph SOCHF ₂ Me Me H H CF ₃ Ph SOCHF ₂ Me Me H H CF ₃ Ph NH ₂ Me Me H H CF ₃ Ph NH ₂ Me Me H H CF ₃ Ph NH ₂ Me Me H H CF ₃ Ph NHMe Me Me H H CF ₃ Ph NHMe Me Me H H CF ₃ Ph NHMe Ne Me Me H H CF ₃ Ph NHMe Ne Me Me H H CF ₃ Ph NHMe Ne Me Me H H CF ₃ Ph NHC(=0)Me Me Me Me H H 2 H CF ₃ Ph NHSO ₂ Me Me Me Me H H CF ₃ Ph NHSO ₂ Me Ne Me Me H H CF ₃ Ph NHSO ₂ Me Ne Me Me H H CF ₃ Ph NHSO ₂ Me Ne Me Me H H CF ₃ Ph NHSO ₂ Me NHSO ₂ Me NHSO ₂ CF ₃		Me	Ме	Н	н	2	Н	Н	CF ₃	Ph	SO ₂ Pr-i
Me Me H H Z H H CF3 Ph SO2PT		Me	Ме	Н	н	2	н	Н	CF ₃	Ph	SPr
Me Me H H H 2 H H CF3 Ph SOBu-t Me Me Me H H Z H H CF3 Ph SOBu-t Me Me Me H H Z H H CF3 Ph SOC_Bu-t Me Me Me H H Z H H CF3 Ph SCCHF2 Me Me Me H H Z H H CF3 Ph SOC_HF2 Me Me Me H H Z H H CF3 Ph SOC_CHF2 Me Me Me H H Z H H CF3 Ph NH2 Me Me H H Z H H CF3 Ph NHMe Me Me H H Z H H CF3 Ph NHMe Me Me H H Z H H CF3 Ph NHMe Me Me H H Z H H CF3 Ph NHMe Me Me H H Z H H CF3 Ph NHMe Me Me H H Z H H CF3 Ph NHMe Me Me H H Z H H CF3 Ph NHC(=O)Me Me Me H H Z H H CF3 Ph NHSO_2Me Me Me H H Z H H CF3 Ph NHSO_2Me Me Me H H Z H H CF3 Ph NHSO_2Me Me Me Me H H Z H H CF3 Ph NHSO_2Me Me Me Me H H Z H H CF3 Ph NHSO_2Me Me Me Me H H Z H H CF3 Ph NHSO_2Me		Me	Me	H	Н	2	Н	Н	CF ₃	Ph	SOPr
Me Me H H 2 H H CF3 Ph SOBu-t Me Me H H 2 H H CF3 Ph SC2Bu-t Me Me H H 2 H H CF3 Ph SCCHF2 Me Me H H Z H H CF3 Ph SCCHF2 Me Me H H Z H H CF3 Ph SC2CHF2 Me Me H H Z H H CF3 Ph NH2 Me Me H H Z H H CF3 Ph NHMe Me Me H H Z H H CF3 Ph NHMe Me Me H H Z H H CF3 Ph NHMe Me Me H H Z H H CF3 Ph NHMe Me Me H H Z H H CF3 Ph NHMe Me Me H H Z H H CF3 Ph NHC(=0)Me Me Me H H Z H H CF3 Ph NHC(=0)Me Me Me H H Z H H CF3 Ph NHSO2Me Me Me H H Z H H CF3 Ph NHSO2Me Me Me H H Z H H CF3 Ph NHSO2Me Me Me M H H Z H H CF3 Ph NHSO2Me Me Me M H H Z H H CF3 Ph NHSO2Me Me Me M H H Z H H CF3 Ph NHSO2Me Me Me M H H Z H H CF3 Ph NHSO2CF3	35	Me	Ме	Н	Н	2	Н	Н	CF ₃	Ph .	SO₂Pr
Me Me Me H H 2 H H CF ₃ Ph SO ₂ Bu-t Me Me Me H H 2 H H CF ₃ Ph SCHF ₂ Me Me H H 2 H H CF ₃ Ph SOCHF ₂ Me Me H H 2 H H CF ₃ Ph SO ₂ CHF ₂ Me Me H H 2 H H CF ₃ Ph NH ₂ Me Me H H 2 H H CF ₃ Ph NH ₂ Me Me H H 2 H H CF ₃ Ph NHMe Me Me H H Z H H CF ₃ Ph NHMe Me Me H H Z H H CF ₃ Ph NHMe Me Me H H CF ₃ Ph NHC(=O)Me Me Me H H Z H H CF ₃ Ph NHC(=O)Me Me Me H H Z H H CF ₃ Ph NHC(=O)Me Me Me H H Z H H CF ₃ Ph NHSO ₂ Me Me Me H H Z H H CF ₃ Ph NHSO ₂ Me Me Me H H Z H H CF ₃ Ph NHSO ₂ Me		Me	Me	H	н	2	н	Н	CF ₃	Ph	SBu-t
Me Me Me H H 2 H H CF ₃ Ph SCHF ₂ Me Me Me H H 2 H H CF ₃ Ph SOCHF ₂ Me Me Me H H 2 H H CF ₃ Ph SO ₂ CHF ₂ Me Me Me H H 2 H H CF ₃ Ph NH ₂ Me Me Me H H 2 H H CF ₃ Ph NHMe Me Me H H 2 H H CF ₃ Ph NHMe Me Me H H 2 H H CF ₃ Ph N(Me) ₂ Me Me H H 2 H H CF ₃ Ph N(Me) ₂ Me Me H H 2 H H CF ₃ Ph N(Me) ₂ Me Me H H 2 H H CF ₃ Ph N(Me) ₂ Me Me H H 2 H H CF ₃ Ph N(Me) ₂ Me Me H H 2 H H CF ₃ Ph N(Me) ₂ (=O)Me Me Me H H 2 H H CF ₃ Ph N(Me) ₂ (=O)Me Me Me H H 2 H H CF ₃ Ph N(Me) ₂ Me Me Me H H 2 H H CF ₃ Ph N(Me) ₂ CF ₃		Me	Ме	H	н	2	Н	H	CF ₃	1	SOBu-t
Me Me H H 2 H H CF ₃ Ph SCCHF ₂ Me Me H H 2 H H CF ₃ Ph SOCHF ₂ Me Me H H 2 H H CF ₃ Ph SO ₂ CHF ₂ Me Me H H 2 H H CF ₃ Ph NH ₂ Me Me H H CF ₃ Ph NHMe Me Me H H CF ₃ Ph NHMe Me Me H H CF ₃ Ph NHMe Me Me H H CF ₃ Ph NHC(=0)Me Me Me H H CF ₃ Ph NHC(=0)Me Me Me H H CF ₃ Ph NHSO ₂ Me Me Me H H CF ₃ Ph NHSO ₂ Me Me Me H H CF ₃ Ph NHSO ₂ CF ₃		Me	Me	H	Н	2	Н	Н	CF ₃	Ph	SO ₂ Bu-t
Me Me H H Z H H CF ₃ Ph NH ₂ Me Me H H Z H H CF ₃ Ph NH ₂ Me Me H H Z H H CF ₃ Ph NHMe Me Me H H Z H H CF ₃ Ph NHMe Me Me H H Z H H CF ₃ Ph NHMe Me Me H H Z H H CF ₃ Ph NHC(=O)Me Me Me H H Z H H CF ₃ Ph NHC(=O)Me Me Me H H Z H H CF ₃ Ph NHSO ₂ Me Me Me H H Z H H CF ₃ Ph NHSO ₂ Me Me Me H H Z H H CF ₃ Ph NHSO ₂ Me Me Me H H Z H H CF ₃ Ph NHSO ₂ Me	40	Me	Me	H	Н	2	Н	Н	CF ₃	Ph	SCHF ₂
Me Me H H 2 H H CF ₃ Ph NH ₂ Me Me H H 2 H H CF ₃ Ph NHMe Me Me H H 2 H H CF ₃ Ph NHMe Me Me H H 2 H H CF ₃ Ph NHC(=O)Me Me Me H H 2 H H CF ₃ Ph N(Me) ₂ Me Me H H 2 H H CF ₃ Ph N(Me)C(=O)Me Me Me H H 2 H H CF ₃ Ph NHSO ₂ Me Me Me H H 2 H H CF ₃ Ph NHSO ₂ Me Me Me H H 2 H H CF ₃ Ph NHSO ₂ CF ₃		Me	Ме	H	H	2	Н	H	CF ₃	Ph	SOCHF ₂
Me Me H H 2 H H CF ₃ Ph NHMe Me Me H H 2 H H CF ₃ Ph N(Me) ₂ Me Me H H 2 H H CF ₃ Ph NHC(=O)Me Me Me H H 2 H H CF ₃ Ph N(Me)C(=O)Me Me Me H H 2 H H CF ₃ Ph NHSO ₂ Me Me Me H H 2 H H CF ₃ Ph NHSO ₂ Me Me Me H H 2 H H CF ₃ Ph NHSO ₂ Me Me Me H H 2 H H CF ₃ Ph NHSO ₂ CF ₃		Me	Ме	H	Н	2	Н	Н	CF,	Ph	SO ₂ CHF ₂
Me Me H H 2 H H CF ₃ Ph N(Me) ₂ Me Me H H 2 H H CF ₃ Ph NHC(=O)Me Me Me H H 2 H H CF ₃ Ph N(Me)C(=O)Me Me Me H H 2 H H CF ₃ Ph NHSO ₂ Me Me Me H H 2 H H CF ₃ Ph NHSO ₂ Me Me Me H H 2 H H CF ₃ Ph NHSO ₂ Me Me Me H H 2 H H CF ₃ Ph NHSO ₂ CF ₃	45	Me	Me	H	Н	2	Н	Н	CF ₃	Ph	NH ₂
Me Me H H 2 H H CF ₃ Ph NHC(=0)Me Me Me H H 2 H H CF ₃ Ph N(Me)C(=0)Me Me Me H H 2 H H CF ₃ Ph NHSO ₂ Me Me Me H H 2 H H CF ₃ Ph N(Me)SO ₂ Me Me Me H H 2 H H CF ₃ Ph NHSO ₂ CF ₃		Me	Me	H	Н	2	Н	Н	CF ₃	Ph	NHMe
Me Me H H 2 H H CF3 Ph N(Me)C(=O)Me Me Me H H 2 H H CF3 Ph NHSO ₂ Me Me Me H H 2 H H CF3 Ph N(Me)SO ₂ Me Me Me H H 2 H H CF3 Ph NHSO ₂ CF3		Me	Me	H	H	2	Н	Н	CF ₃	Ph	N(Me) ₂
Me Me H H 2 H H CF ₃ Ph NHSO ₂ Me Me Me H H 2 H H CF ₃ Ph NHSO ₂ CF ₃		Me	Me	H	н	2	н	Н	CF ₃	Ph	NHC(=0)Me
Me Me H H 2 H H CF ₃ Ph N(Me)SO ₂ Me NHSO ₂ CF ₃	50	Me	Ме	Н	н	2	Н	Н	CF ₃	Ph	N(Me)C(=O)Me
Me Me H H 2 H H CF ₃ Ph NHSO ₂ CF ₃		Me	Ме	Н	Н	2	Н	Н	CF ₃	Ph	NHSO₂Me
55		Me	Ме	Н	н	2	Н	Н	CF ₃	Ph	N(Me)SO₂Me
Me Me H H 2 H H CF ₃ Ph N(Me)SO ₂ CF ₃	55	Me	Ме	Н	н	2	Н	Н			1
		Me	Ме	Н	Н	2	Н	H	CF ₃	Ph	N(Me)SO ₂ CF ₃

	Ме Ме	Н	н	2	н	Н	CF₃	Ph	NHPh
5	Me Me	Н	Н	2.	н	Н	CF ₃	Ph	N(Me)Ph
5	Ме Ме	н	Н	2	н	Н	CF ₃	Ph	CN
	Ме Ме	н	Н	2	Н	Н	CF ₃	Ph	C(=O)Me
	Me Me	н	Н	2	н	Н	CF ₃	Ph	C(=O)OMe
10	Ме Ме	н	Н	2	н	Н	CF ₃	Ph	C(=O)NH ₂
	Ме Ме	н	н	2	н	Н	CF ₃	Ph	C(=O)NHMe
	Ме Ме	н	Н	2	н	Н	CF ₃	Ph	C(=O)N(Me) ₂
	Ме Ме	Н	н	2	н	Н	CF ₃	Ph '	Imidazol-1-ył
15	Ме Ме	Н	н	2	н	Н	CF ₃	Ph	Pyrazol-1-yl
	Ме Ме	Н	Н	2	н	Н	CF ₃	Ph	1,2,4-Triazol-1-yl
	Ме Ме	н	Н	2	н	Н	CF ₃	Ph	1,2,4-Triazol-4-yl
20	Ме Ме	Н	Н	2	н	Н	CF ₃	Ph	Tetrazol-1-yl
	Ме Ме	н	Н	2	Н	Н	CF ₃	Ph	Tetrazol-5-yl
	Me Me	н	Н	2	н	Н	CF ₃	Ph	(4,6-Dimethoxypyrimidin-2- yl)oxy
	Ме Ме	Н	н	2	н	Н	CF ₃	 Ph	(4,6-Dimethoxypyrimidin-2-
25	Me Me	н	Н	2	н	н	CF ₂ CF ₃	Ph	yl)sulfonyl Ci
	Me Me	·H	H	2	н	н	CF ₃	(2-CI)Ph	CI
	Me Me	Н	Н	2	н	Н	CF ₃	(2-F)Ph	CI
30	Me Me	н	н	2	н	н	CF ₃	(2-OMe)Ph	CI
	Me Me	н	н	2	н	H	CF ₃	(2-Me)Ph	CI
	Me Me	Н	Н	2	н		CF ₃	(2-NO ₂)Ph	ci
	Me Me	Н	н	2	н	Н	CF ₃	(2-CN)Ph	CI
35	Me Me	Н	Н	2	Н	Н	CF ₁	(2-C(=0)Me)Ph	Cl
	Ме Ме	Н	н	2	н	Н	CF ₃	(2-C(=0)OMe)Ph	CI
	Me Me	Н	н	2	H	Н	CF ₃	(2-C(=0)OEt)Ph	CI
40	Ме Ме	Н	Н	2	н		CF ₃	(2-C(=O)OPr-i)Ph	CI
	Me Me	Н	н	2	н		CF ₃	(2-C(=O)NH ₂)Ph	CI
	Ме Ме	Н	н	2	н	Н	CF ₃	(2-C(=0)NHMe)Ph	cı ·
	Ме Ме	Н	н	2	н	Н	CF₃	(2-C(=0)NMe ₂)Ph	CI
45	Ме Ме	Н	н	2	н	Н	CF₃	(3-Cl)Ph	cı
	Ме Ме	Н	н	2	н	Н	CF₃	(3-F)Ph	CI
	Me Me	H	н	2	н	Н	CF ₃	(3-OMe)Ph	cı
50	Me Me	Н	н	2	н	H	CF₃	(3-Me)Ph	CI
	Me Me	Н	н	2	н	H	CF3	(3-NO ₂)Ph	CI
	Me Me	н	н	.2	н	H	CF ₃	(3-CN)Ph	CI
	Ме Ме	Н	Н	2	н	H	CF ₃	(3-C(=O)Me)Ph	CI
55	Me Me	Н	н	2	н	H	CF₃	(3-C(=0)OMe)Ph	CI
	Me Me	Н	н	2	Н	H	CF ₃	(3-C(=O)OEt)Ph	lcı l

Me Me Me H H Z H H CF ₃ (3-C(=O))P41)Ph CI		١.,	ا ما	!	1	اما	1		lor	(1. C(=0) OP - 1) Ph	la.
Max Max Max H H Z H H CF3 (3-C(-G))NHMe)Ph C1			[]	Н	Н	2	Н	Н	CF ₃	(3-C(=O)OPr-i)Ph	CI
Max Max	5]]				1		1	1	
Me Me H H Z H H CF3 (4-Cf)Ph CI			1					Н			
10) j			2	1		1		
Mac Mac		Me	Me	Н	Н	2	Н	H	CF ₃	(4-CI)Ph	[CI
Me Me Me H H Z H H CF (4-Me)Ph CI	10	Me	Ме	Н	Н	2	Н	Н	CF ₃	(4-F)Ph	CI
Me Me Me Me H H Z H H CF3		Me	Ме	Н	Н	2	Н	Н	CF₃	(4-OMe)Ph	CI
Me Me Me Me Me Me Me Me		Me	Ме	Н	Н	2	Н	Н	CF₃	(4-Me)Ph	CI
Me Me H H 2 H H CF3 (4-C(=O)Me)Ph CI Me Me H H H 2 H H CF3 (4-C(=O)Me) CI Me Me H H H 2 H H CF3 (4-C(=O)Me) CI Me Me H H H 2 H H CF3 (4-C(=O)Me) CI Me Me H H H 2 H H CF3 (4-C(=O)Me) CI Me Me H H H 2 H H CF3 (4-C(=O)Me) CI Me Me H H H 2 H H CF3 (4-C(=O)Me) CI Me Me H H H 2 H H CF3 (4-C(=O)Me) CI Me Me H H H 2 H H CF3 (4-C(=O)Me) CI Me Me H H H 2 H H CF3 (4-C(=O)Me) CI Me Me H H H 2 H H CF3 (4-C(=O)Me) CI Me Me H H H 2 H H CF3 (4-C(=O)Me) CI Me Me H H H 2 H H CF3 (4-C(=O)Me) CI Me Me H H H 2 H H CF3 (4-C(=O)Me) CI Me Me H H H 2 H H CF3 (4-C(=O)Me) CI Me Me H H H 2 H H CF3 (4-C(=O)Me) CI Me Me H H H 2 H H CF3 (4-C(=O)Me) CI Me Me H H H 2 H H CF3 (4-C(=O)Me) CI Me Me H H H 2 H H CF3 (4-C(=O)Me) CI Me Me H H H 2 H H CF3 (4-C(=O)Me) CI Me Me H H H 2 H H CF3 (4-C(=O)Me) CI Me Me Me H H H 2 H H CF3 (4-C(=O)Me) CI Me Me Me H H H 2 H H CF3 (4-C(=O)Me) CI Me Me Me H H H 2 H H CF3 (4-C(=O)Me) CI Me Me Me H H H 2 H H CF3 (4-C(=O)Me) CI Me Me Me H H H 2 H H CF3 (4-C(=O)Me) CI Me Me Me H H H 2 H H CF3 (4-C(=O)Me) CI Me Me Me H H H 2 H H CF3 (4-C(=O)Me) CI M	15	Me	Ме	Н	Н	2	Н	H	CF ₃	(4-NO ₂)Ph	CI
Me Me H H 2 H H CF3 (4-C(-O)OMe)Ph C1	70	Me	Me	H	H	2	Н	Н	CF₃	(4-CN)Ph	CI
20		Me	Me	Н	Н	2	H	H	CF₃	(4-C(=O)Me)Ph	CI
Me Me Me H H H 2 H H CF3 (4-C(=O)OPr-i)Ph CI Me Me Me H H H 2 H H CF3 (4-C(=O)NH3)Ph CI Me Me Me H H H 2 H H CF3 (4-C(=O)NH3)Ph CI Me Me Me H H H 2 H H CF3 (4-C(=O)NH6)Ph CI Me Me Me H H H 2 H H CF3 (4-C(=O)NH6)Ph CI Me Me Me H H 2 H H CF3 (4-C(=O)NH6)Ph CI Me Me Me H H 2 H H CF3 (4-C(=O)NH6)Ph CI Me Me Me H H 2 H H CF3 (4-C(=O)NH6)Ph CI Me Me Me H H 2 H H CF3 (4-C(=O)NH6)Ph CI CI Me Me Me H H 2 H H CF3 (4-C(=O)NH6)Ph CI CI Me Me Me H H 2 H H CF3 (4-C(=O)NH6)Ph CI CI Me Me Me H H 2 H H CF3 (4-C(=O)NH6)Ph CI CI Me Me Me H H 2 H H CF3 (4-C(=O)NH6)Ph CI CI Me Me Me H H 2 H H CF3 (4-C(=O)NH6)Ph CI Me Me Me H H 2 H H CF3 (5-C(=O)NH6)Ph CI Me Me Me H H 2 H H CF3 (5-C(=O)NH6)Ph CI Me Me Me H H 2 H H CF3 (C(=O)NH6)Ph CI Me Me Me H H 2 H H CF3 (C(=O)NH6)Ph CI Me Me Me H H 2 H H CF3 (C(=O)NH6)Ph CI Me Me Me H H 2 H H CF3 (C(=O)NH6)Ph CI Me Me Me H H 2 H H CF3 (C(=O)NH6)Ph CI Me Me Me H H 2 H H CF3 (C(=O)NH6)Ph CI Me Me Me H H B 2 H H CF3 (C(=O)NH6)Ph CI Me Me Me H H B 2 H H CF3 (C(=O)NH6)Ph CI Me Me Me H H B 2 H H CF3 (C(=O)NH6)Ph CI Me Me Me H H B 2 H H CF3 (C(=O)NH6)Ph CI Me Me Me H H B 2 H H CF3 (C(=O)NH6)Ph CI Me Me Me H H B 2 H H CF3 (C(=O)NH6)Ph CI Me Me Me H H B 2 H H CF3 (C(=O)NH6)Ph CI Me Me Me H H B 2 H H CF3 (C(=O)NH6)Ph CI Me Me Me H H B 2 H H CF3 (C(=O)NH6)Ph CI Me Me Me H H B 2 H H CF3 (C(=O)NH6)Ph CI Me Me Me H H B 2 H H CF3 (C(=O)NH6)Ph CI Me Me Me H H B 2 H H CF3 (C(=O)CH2Ph CI Me Me Me H H B 2 H H CF3 (C(=O)CH2Ph CI Me Me Me H H B 2 H H CF3 (C(=O)CH2Ph CI Me Me Me H H B 2 H H CF3 (C(=O)CHCPh CI Me Me Me H H B 2 H H CF3 (C(=O)CHCPh CI Me Me Me H H B 2 H H CF3 (C(=O)CHCPh CI Me Me Me H H B 2 H H CF5 (C(=O)CHCPh CI Me Me Me H H H C 2 H H CF5 (C(=O)CHCPh CI Me Me Me H H H C 2 H H CF5 (C(=O)CHCPh CI Me Me Me H H H C 2 H H CF5 (C(=O)CHCPh CI Me Me Me H H H C 2 H H CF5 (C(=O)CHCPh CI Me Me Me H H H C 2 H H CF5 (C(=O)CHCPh CI Me Me Me H H H C 2 H H CF5 (C(=O)CHCPh CI Me Me Me H H H C E H CF5 (C(=O)CHCPh CI Me Me Me H H H C E H CF5 (C(=O)CHCPh CI Me Me Me H H		Me	Me	H	н	2	Н	Н	CF ₃	(4-C(=O)OMe)Ph	CI
Me Me Me H H Z H H CF3 (4-C(=O)NH3)Ph CI	20	Me	Me	Н	н	2	Н	Н	CF₃	(4-C(=O)OEt)Ph	CI
Me Me Me H R 2 H H CF3 (4-C(=O))NHMe)Ph CI		Me	Me	Н	н	2	Н	H	CF₃	(4-C(=O)OPr-i)Ph	CI
25		Me	Ме	Н	Н	2	Н	Н	CF ₃	(4-C(=0)NH ₂)Ph	a
Me Me Me Me Me H H Z H H CF3 Pyrmidin-2-yl Cl		Me	Ме	H	H	2	Н	H	CF ₃	(4-C(=O)NHMe)Ph	CI
Me Me H H Z H H CF3 4,6-Dimethoxypyrmidin-2-yl Cl Me Me H H Z H H CF3 Thiophen-2-yl Cl Me Me H H Z H H CF3 Thiophen-2-yl Cl Me Me H H Z H H CF3 SO ₂ Me Cl Me Me H H Z H H CF3 SO ₂ Me Cl 35	25	Me	Ме	Н	Н	2	Н	Н	CF ₃	(4-C(=0)NMe ₂)Ph	CI
Me Me Me H H Z H H CF3 Thiophen-2-yl Cl Me Me H H Z H H CF3 Furan-2-yl Cl Me Me Me H H Z H H CF3 SO ₂ Me Cl Me Me Me H H Z H H CF3 SO ₂ Et Cl 35		Me	Ме	Н	н	2	Н	Н	CF₃	Pyrmidin-2-yl	a .
Me Me H H 2 H H CF ₃ Furan-2-yl Cl Me Me H H 2 H H CF ₃ SO ₂ Me Cl Me Me H H 2 H H CF ₃ SO ₂ Et Cl 35 Me Me H H 2 H H CF ₃ SO ₂ Et Cl Me Me H H 2 H H CF ₃ SO ₂ CH ₂ Ph Cl Me Me H H 2 H H CF ₃ SO ₂ CH ₂ Ph Cl Me Me H H 2 H H CF ₃ SO ₂ CH ₂ Cl Me Me H H 2 H H CF ₃ SO ₂ CF ₃ Cl Me Me H H 2 H H CF ₃ SO ₂ Ph Cl Me Me H H 2 H H CF ₃ C(=O)Me Cl Me Me H H 2 H H CF ₃ C(=O)Pr-i Cl Me Me H H 2 H H CF ₃ C(=O)Pr-i Cl Me Me H H 2 H H CF ₃ C(=O)Ph Cl Me Me H H 2 H H CF ₃ C(=O)CH ₂ Ph Cl Me Me H H 2 H H CF ₃ C(=O)CH ₂ Ph Cl Me Me H H 2 H H CF ₃ C(=O)CH ₂ Cl Cl Me Me H H 2 H H CF ₃ C(=O)CH ₂ Cl Cl Me Me H H 2 H H CF ₃ C(=O)CH ₂ Cl Cl Me Me H H 2 H H CF ₃ C(=O)CH ₂ Cl Cl Me Me H H 2 H H CF ₃ C(=O)CH ₂ Cl Cl Me Me H H 2 H H CF ₃ C(=O)CH ₂ Cl Cl Me Me H H 2 H H CF ₃ C(=O)CH ₂ Cl Cl Me Me H H 2 H H CF ₃ C(=O)CH ₂ Cl Cl Me Me H H 2 H H CF ₃ C(=O)CH ₂ Cl Cl Me Me H H 2 H H CF ₃ C(=O)CH ₂ Cl Cl Me Me H H 2 H H CF ₃ C(=O)CH ₂ Cl Cl Me Me H H 2 H H CF ₃ C(=O)CH ₂ Cl Cl Me Me H H 2 H H CF ₃ C(=O)CH ₂ Cl Cl Me Me H H E H H CF ₃ C(=O)CH ₂ Cl Cl Me Me H H E E H H CF ₃ C(=O)CH ₂ Cl Cl Me Me H H E E H H CF ₃ C(=O)CH ₂ Cl Cl Me Me H H E E H H CF ₃ C(=O)CH ₂ Cl Cl Me Me H H E H H CF ₃ C(=O)CH ₂ Cl Cl Me Me H H E H H CF ₃ C(=O)CH ₂ Cl Cl Me Me H H E H H		Me	Ме	Н	H	2	Н	H	CF₃	4,6-Dimethoxypyrmidin-2-yl	C1
Me Me Me H H 2 H H CF3 Furan-2-yl Cl Me Me Me H H 2 H CF3 SO ₂ Me Cl Me Me Me H H H 2 H CF3 SO ₂ Et Cl Me Me Me H H H 2 H CF3 SO ₂ CH2 Cl Me Me Me H H 2 H CF3 SO ₂ CH2Ph Cl Me Me Me H H 2 H CF3 SO ₂ CH2Ph Cl Me Me Me H H CF3 SO ₂ CH5 Cl Me Me Me H H CF3 SO ₂ CH5 Cl Me Me Me H H CF3 SO ₂ CH5 Cl Me Me Me H H CF3 SO ₂ CH5 Cl Me Me Me H H CF3 SO ₂ CH5 Cl Me Me Me H H CF3 C(=O)Me Cl Me Me Me H H CF3 C(=O)Ph Cl Me Me Me H H CF3 C(=O)Ph Cl Me Me Me H H CF3 C(=O)Ph Cl Me Me Me H H CF3 C(=O)Ph Cl Me Me Me H H CF3 C(=O)Ph Cl Me Me Me H H CF3 C(=O)Ph Cl Me Me Me H H CF3 C(=O)Ph Cl Me Me Me H H CF3 C(=O)Ph Cl Me Me Me H H CF3 C(=O)Ph Cl Me Me Me H H CF3 C(=O)Ph Cl Me Me Me H H CF3 C(=O)Ph Cl Me Me Me H H CF3 C(=O)Ph Cl Me Me Me H H CF3 C(=O)Ph Cl Me Me Me H H CF3 C(=O)CH2Ph Cl Me Me Me H H CF3 C(=O)CH2Cl Me Me Me H H CF3 C(=O)CH2Cl Cl Me Me Me H H CF3 C(=O)CHCl2 Cl Me Me Me H H CF3 C(=O)CHCl2 Cl Me Me Me H H CF3 C(=O)CHCl2 Cl Me Me Me H H CF3 C(=O)CHCl2 Cl Me Me Me H H CF3 C(=O)CHCl2 Cl Me Me Me H H CF3 C(=O)CHCl2 Cl Me Me Me H H CF3 C(=O)CHCl2 Cl Me Me Me H H CF3 C(=O)CHCl2 Cl Me Me Me H H CF3 C(=O)CHCl2 Cl Me Me Me H H CF3 C(=O)CHCl2 Cl Me Me Me H H CF3 C(=O)CHCl2 Cl	30	Me	Ме	Н	H	2	Н	H	CF ₃	Thiophen-2-yl	Cl .
Me Me H H 2 H H CF3 SO2Et Cl Me Me H H 2 H H CF3 SO2FT-i Cl Me Me H H 2 H H CF3 SO2CH2Ph Cl Me Me H H 2 H H CF3 SO2CH52 Cl Me Me H H 2 H H CF3 SO2CH52 Cl Me Me H H 2 H H CF3 SO2Ph Cl Me Me H H 2 H H CF3 C(=O)Me Cl Me Me H H 2 H H CF3 C(=O)Et Cl Me Me H H 2 H H CF3 C(=O)Pt-i Cl Me Me H H 2 H H CF3 C(=O)Bu-t Cl Me Me H H 2 H H CF3 C(=O)CH2Ph Cl Me Me H H 2 H H CF3 C(=O)CH2Ph Cl Me Me H H 2 H H CF3 C(=O)CH2Cl Cl Me Me H H 2 H H CF3 C(=O)CH2Cl Cl Me Me H H 2 H H CF3 C(=O)CH2Cl Cl Me Me H H 2 H H CF3 C(=O)CH2Cl Cl Me Me H H 2 H H CF3 C(=O)CH2Cl Cl Me Me H H 2 H H CF3 C(=O)CH2Cl Cl Me Me H H 2 H H CF3 C(=O)CH2Cl Cl Me Me H H 2 H H CF3 C(=O)CH2Cl Cl Me Me H H 2 H H CF3 C(=O)CH2Cl Cl Me Me H H 2 H H CF3 C(=O)CH3 Cl Me Me H H 2 H H CF3 C(=O)CH3 Cl Me Me H H 2 H H CF3 C(=O)CH3 Cl Me Me Me H H 2 H H CF3 C(=O)CH3 Cl Me Me Me H H 2 H H CF3 C(=O)CH3 Cl Me Me Me H H 2 H H CF3 C(=O)CH3 Cl Me Me Me H H 2 H H CF3 C(=O)CH3 Cl Me Me Me H H E H H CF3 C(=O)CH3 Cl Me Me Me H H E H H CF3 C(=O)CH3 Cl Me Me Me H H E H H CF3 C(=O)CH3 Cl Me Me Me H H E H H CF3 C(=O)CH3 Cl Me Me Me H H E H H CF3 C(=O)CH3 Cl Me Me H H E H H CF3 C(=O)CH3 Cl Me Me H H E H H CF3 C(=O)CH3 Cl Me Me H H E H H CF3 C(=O)CH3 Cl Me Me H H E H H CF3 C(=O)C		Me	Ме	Н	H	2	Н	H	CF ₃	Furan-2-yl	Cl -
Me Me H H Z H H CF3 SO2Pr-i CI		Me	Ме	Н	Н	2	Н	H	CF ₃	SO₂Me	CI
Me Me H H H 2 H H CF3 SO2CH2Ph CI Me Me H H H 2 H H CF3 SO2CH5 Me Me H H H 2 H H CF3 SO2CH5 Me Me H H H 2 H H CF3 SO2CH5 Me Me H H H 2 H H CF3 SO2Ph CI Me Me H H H 2 H H CF3 C(=O)Me CI Me Me H H H 2 H H CF3 C(=O)Et Me Me H H H 2 H H CF3 C(=O)Pr-i Me Me H H H 2 H H CF3 C(=O)Ph CI Me Me H H H 2 H H CF3 C(=O)Ph CI Me Me H H H 2 H H CF3 C(=O)Ph CI Me Me H H H 2 H H CF3 C(=O)CH2Ph CI Me Me H H H 2 H H CF3 C(=O)CH2Ph CI Me Me H H H 2 H H CF3 C(=O)CH2Cl Me Me H H H 2 H H CF3 C(=O)CHCl Me Me H H H 2 H H CF3 C(=O)CHCl Me Me H H H 2 H H CF3 C(=O)CHCl Me Me Me H H H 2 H H CF3 C(=O)CHCl Me Me Me H H H 2 H H CF3 C(=O)CHCl CI CI CI CI CI CI CI CI CI		Me	Ме	Н	Н	2	Н	Н	CF₃	SO₂Et	CI
Me Me H H 2 H H CF3 SO2CHF2 Me Me H H 2 H H CF3 SO2CHF2 Me Me H H Z H H CF3 SO2Ph CI Me Me H H Z H H CF3 C(=O)Me CI Me Me H H Z H H CF3 C(=O)Pr-i Me Me H H Z H H CF3 C(=O)Ph CI Me Me H H Z H H CF3 C(=O)Ph CI Me Me H H Z H H CF3 C(=O)Ph CI Me Me H H Z H H CF3 C(=O)Ph CI Me Me H H Z H H CF3 C(=O)CH2Ph CI Me Me H H Z H H CF3 C(=O)CH2CI Me Me H H Z H H CF3 C(=O)CH2CI Me Me H H Z H H CF3 C(=O)CH3 CI Me Me H H Z H H CF3 C(=O)CH3 CI Me Me H H Z H H CF3 C(=O)CH3 CI Me Me H H Z H H CF3 C(=O)CH3 CI Me Me H H Z H H CF3 C(=O)CH3 CI Me Me H H Z H H CF3 C(=O)CH3 CI Me Me H H Z H H CF3 C(=O)CH3 CI Me Me H H Z H H CF3 C(=O)CH3 CI Me Me H H Z H H CF3 C(=O)CH3 CI Me Me H H Z H H CF3 C(=O)CH3 CI Me Me C H H Z H H CF3 C(=O)CH3 CI Me Me C H H Z H H CF3 C(=O)CH3 CI Me Me C H H CF3 C(=O)CH3 CI Me Me C H H CF3 C(=O)CH3 CI Me Me C H H CF3 C(=O)CH3 CI Me Me C H H CF3 C(=O)CH3 CI Me Me C H H CF3 C(=O)CH3 CI Me Me C H H CF3 C(=O)CH3 CI Me Me C H H CF3 C(=O)CH3 CI Me Me C H H CF3 C(=O)CH3 CI Me Me C H H CF3 C(=O)CH3 CI Me Me C H H CF3 C(=O)CH3 CI Me Me C H H CF3 C(=O)CH3 CI Me Me Me C H H CF3 C(=O)CH3 CI Me Me Me C H H CF3 C(=O)CH3 CI Me Me Me C H H CF3 C(=O)CH3 CI Me Me Me C H H CF3 C(=O)CH3 CI Me Me Me C H H CF3 C(=O)CH3 CI	35	Ме	Ме	Н	н	2	Н	Н	CF ₃	SO₂Pr-i	CI
Me Me H H 2 H CF3 SO2CF3 CI Me Me Me H H CF3 SO2Ph CI Me Me H H CF3 C(=O)Me CI Me Me H H 2 H CF3 C(=O)Dt Me Me H H 2 H CF3 C(=O)Dt CI Me Me H H 2 H CF3 C(=O)Dt CI Me Me H H 2 H CF3 C(=O)Dt CI Me Me H H CF3 C(=O)Dt CI Me Me H H CF3 C(=O)Dt CI Me Me H H CF3 C(=O)Dt CI Me Me H H CF3 C(=O)Dt CI Me Me H H CF3 C(=O)CH2Dt CI Me Me H H CF3 C(=O)CH2Dt CI Me Me H H Z H H CF3 C(=O)CH2Dt CI Me Me H H Z H H CF3 C(=O)CHCD Me Me H H Z H H CF3 C(=O)CHCD CI Me Me H H Z H H CF3 C(=O)CHCD CI Me Me H H Z H H CF3 C(=O)CHCD CI CI CI CI CI CI CI CI CI		Me	Ме	Н	H	2	Н	Н	CF₃	SO ₂ CH ₂ Ph	Cl
40 Me Me Me H H Z H H CF3 SO2Ph Cl Me Me Me H H Z H H CF3 C(=O)Me Cl Me Me Me H H Z H H CF3 C(=O)Et Cl Me Me Me H H Z H H CF3 C(=O)Pr-i Me Me Me H H Z H H CF3 C(=O)Ph Cl Me Me Me H H Z H H CF3 C(=O)Ph Cl Me Me Me H H Z H H CF3 C(=O)Ph Cl Me Me Me H H Z H H CF3 C(=O)CH2Ph Cl Me Me Me H H Z H H CF3 C(=O)CH2Cl Me Me Me H H Z H H CF3 C(=O)CHCl2 Me Me Me H H Z H H CF3 C(=O)CHCl2 Me Me Me H H Z H H CF3 C(=O)CHCl2 Me Me Me H H Z H H CF3 C(=O)CHCl2 Cl Me Me Me H H Z H H CF3 C(=O)CHCl2 Cl Me Me Me H H Z H H CF3 C(=O)CHCl2 Cl Me Me Me H H Z H H CF3 C(=O)CHCl2 Cl Cl Cl Cl Cl Cl Cl Cl Cl		Me	Me	Н	Н	2	Н				CI
Me Me Me H H 2 H H CF3 C(=O)Me Cl Me Me Me H H 2 H H CF3 C(=O)Et Cl Me Me Me H H 2 H H CF3 C(=O)Et Cl Me Me Me H H 2 H H CF3 C(=O)Bu-t Cl Me Me H H 2 H H CF3 C(=O)Ph Cl Me Me H H 2 H H CF3 C(=O)CH2Ph Cl Me Me H H 2 H H CF3 C(=O)CH2Cl Me Me Me H H 2 H H CF3 C(=O)CHCl2 Cl Me Me Me H H CF3 C(=O)CHCl2 Cl Me Me Me H H CF3 C(=O)CHCl2 Cl Me Me Me H H CF3 C(=O)CHCl2 Cl Me Me Me H H CF3 C(=O)CHCl2 Cl Me Me Me H H CF3 C(=O)CHCl2 Cl Me Me Me H H CF3 C(=O)CHCl2 Cl Me Me Me H H CF3 C(=O)CHCl2 Cl Me Me Me H H CF3 C(=O)CHCl2 Cl Me Me Me H H CF3 C(=O)CHCl2 Cl Me Me Me H H CF3 C(=O)CHCl2 Cl Me Me Me H H CF3 C(=O)CHCl2 Cl	40	ì	1 1	Н	Н	2	H	Н	CF ₃	[l l
Me Me H H 2 H H CF ₃ C(=0)Et Cl Me Me Me H H 2 H CF ₃ C(=0)Pr-i Cl Me Me Me H H 2 H CF ₃ C(=0)Ph Cl Me Me Me H H 2 H CF ₃ C(=0)Ph Cl Me Me Me H H CF ₃ C(=0)CH ₂ Ph Cl Me Me Me H H CF ₃ C(=0)CH ₂ Cl Me Me Me H H CF ₃ C(=0)CH ₂ Cl Me Me Me H H CF ₃ C(=0)CH ₂ Cl Me Me Me H H CF ₃ C(=0)CHCl ₂ Cl Me Me Me H H CF ₃ C(=0)CHCl ₂ Cl Me Me Me H H CF ₃ C(=0)CHCl ₂ Cl Me Me Me H H CF ₃ C(=0)CHCl ₂ Cl Me Me Me H H CF ₃ C(=0)CHCl ₂ Cl	40			Н	Н	2	Н	Н	CF₃		CI
Me Me Me H H 2 H H CF ₃ C(=O)Pr-i Cl Me Me Me H H 2 H CF ₃ C(=O)Bu-t Cl Me Me H H 2 H CF ₃ C(=O)CH ₂ Ph Me Me H H 2 H CF ₃ C(=O)CH ₂ Cl Me Me H H 2 H CF ₃ C(=O)CHCl ₂ Me Me H H Z H H CF ₃ C(=O)CHCl ₂ Me Me H H Z H H CF ₃ C(=O)CHCl ₂ Me Me H H Z H H CF ₃ C(=O)CHCl ₂ Me Me H H Z H H CF ₃ C(=O)CHCl ₂ Cl Me Me H H Z H H CF ₃ C(=O)CHCl ₂ Cl		Me	Me	Н	H	2	Н	Н	CF₃	C(=O)Me	CI
Me Me H H 2 H H CF ₃ C(=O)Bu-t Cl Me Me H H 2 H H CF ₃ C(=O)Ph Me Me Me H H 2 H H CF ₃ C(=O)CH ₂ Ph Cl Me Me H H 2 H H CF ₃ C(=O)CH ₂ Cl Me Me H H 2 H H CF ₃ C(=O)CHCl ₂ Cl Me Me H H 2 H H CF ₃ C(=O)CHCl ₂ Cl Me Me H H 2 H H CF ₃ C(=O)CHCl ₂ Cl Me Me H H CF ₃ C(=O)CHCl ₂ Cl Me Me H H CF ₃ C(=O)CHCl ₂ Cl Cl Cl Cl Cl Cl Cl Cl Cl Cl Cl Cl Cl		Me	Ме	H	Н	2	Н	H	CF₃	C(=O)Et	CI
Me Me H H 2 H H CF ₃ C(=O)Ph Cl Me Me H H 2 H H CF ₃ C(=O)CH ₂ Ph Cl Me Me H H 2 H H CF ₃ C(=O)CH ₂ Cl Me Me H H 2 H H CF ₃ C(=O)CHCl ₂ Me Me H H 2 H H CF ₃ C(=O)CHCl ₂ Me Me H H Z H H CF ₃ C(=O)CF ₃ Me Me H H Z H H CF ₃ C(=O)CF ₃ Cl	45	Me	Ме	Н	Н	2	H	H	CF₃	C(=O)Pr-i	Cl
Me Me H H 2 H H CF ₃ C(=O)CH ₂ Ph Cl Me Me H H 2 H CF ₃ C(=O)CH ₂ Cl Cl Me Me H H 2 H H CF ₃ C(=O)CHCl ₂ Cl Me Me H H 2 H CF ₃ C(=O)CHCl ₂ Cl Me Me H H 2 H H CF ₃ C(=O)CF ₃ Cl Me Me H H 2 H CF ₃ C(=O)CF ₃ Cl		Me	Ме	H	Н	2	H	Н	CF₃	C(=O)Bu-t	Cl
Me Me H H 2 H H CF ₃ C(=O)CH ₂ Cl Cl Me Me H H 2 H H CF ₃ C(=O)CHCl ₂ Cl Me Me H H 2 H H CF ₃ C(=O)CHCl ₂ Cl Me Me H H 2 H H CF ₃ C(=O)CF ₃ Cl Me Me H H 2 H H CF ₃ C(=O)OMe Cl		Me	Ме	Н	Н	2	Н	Н	CF₃	C(=O)Ph	Cl
Me Me H H 2 H H CF ₃ C(=O)CHCl ₂ Cl Me Me H H 2 H H CF ₃ C(=O)CF ₃ Cl Me Me H H 2 H H CF ₃ C(=O)OMe Cl		Me	Ме	Н	н	2	Н	Н	CF ₃	C(=O)CH ₂ Ph	CI
Me Me H H 2 H H CF ₃ C(=O)CF ₃ Cl Me Me H H 2 H H CF ₃ C(=O)OMe Cl	50	Me	Ме	Н	Н	2	н	Н	CF ₃	C(=O)CH ₂ Cl	CI
Me Me H H 2 H H CF ₃ C(=0)OMe Cl		Me	Ме	Н	Н	2	Н	H	CF ₃	C(=O)CHCl ₂	CI
55		Me	Ме	Н	Н	2	н	Н	CF ₃	C(=O)CF ₃	CI
Me Me H H 2 H H CF ₃ C(=O)OPh Cl	55	Ме	Ме	Н	н	2	н	Н	CF ₃	C(=O)OMe	CI
	JJ	Me	Ме	, H	Н	2	н	Н	CF ₃	C(=O)OPh	CI

	Ме Ме	Н	н	2	Н	н	CF ₃	C(=O)OCH₂Ph CI
5	Ме Ме	Н	н	2	Н	Н	CF ₃	C(=O)NHMe Cl
	Ме Ме	Н	Н	2	н	Н	CF ₃	C(=O)N(Me) ₂ Cl
	Ме Ме	Н	н	2	Н	н	CF₃	C(=O)NHPh Cl
	Ме Ме	Н	Н	2	Н	н	CF ₃	NH ₂ CI
10	Ме Ме	Н	Н	2	н	Н	a	-(CH ₂) ₂ O-
	Ме Ме	Н	Н	2	Н	н	CI	-(CH ₂) ₃ O-
	Me Me	Н	н	2	Н	н	CI	-(CH₂)₃S-
15	Ме Ме	Н	H	2	Н	Н	cı	-(CH ₂) ₃ SO ₂ -
,	Ме Ме	Н	н	2	Н	Н	CF ₃	-(CH ₂) ₂ O-
	Ме Ме	Н	Н	2	Н	H	CF ₃	-(CH ₂) ₃ O-
	Ме Ме	Н	Н	2	Н	Н	CF ₃	-(CH ₂)₃S-
20	Ме Ме	Н	н	2	Н	Н	CF ₃	-(CH₂)₃SO₂-
	Ме Ме	н	Н	2	Н	н	ОМе	-(CH ₂) ₄ -
	Ме Ме	Н	Н	2	Н	Н	OCHF ₂	-(CH ₂) ₄ -
0.5	нн	Н	н	2	Н	Н	CF ₃	Me Cl
25	Me H	Н	Н	2	Н	H	CF ₃	Me Cl
	Ме Н	Me	Н	2	Н	Н	CF ₃	Me Cl .
	Ме Ме	Me	H	2	Н	Н	CF ₃	Me CI
30	Ме Ме	Н	Н	2	Me	Н	CF ₃	Me CI
	Ме Ме	Н	Н	2	Et	H	CF₃	Me Cl
	Ме Ме	Н	Н	2	Pr-i	Н	CF ₃	Me Cl
	Ме Ме	н	Н	2	Me	Me	CF₃	Me CI
35	Me Et	H	Н	2	Н	Н	CF ₃	Me Cl
	Et Et	Н	Н	2	Н	Н	CF ₃	Me CI
	Me Pr-i	Н	Н	2	Н	Н	CF ₃	Me Cl
40	Me Pr	Н	Н	2	H	Н	CF ₃	Me CI
	Ме Рг-с	Н	Н		Н	H	CF ₃	Me CI
	Me CH₂Pr-c	Н	Н	2	H	H	CF ₃	Me CI
	-(CH ₂) ₂ -	Н	H		Н	Н	CF ₃	Me CI
45	-(CH ₂) ₃ -	Н	H		Н	H	CF ₃	Me CI
	-(CH ₂) ₄ -	Н	Н		H	H	CF ₃	Me CI
	-(CH ₂) ₅ -	H	H		Н	Н	CF ₃	Me Cl
50	H -(CH		Н	2	Н	H	CF ₃	Me CI
00	H -(CH		Н	2	Н	H	CF ₃	Me CI
	H -(CH		Н	2	Н	Н	CF ₃	Me CI
	H -(CH		Н		Н		CF ₃	Me CI
55	Me Me	Н	Н		Н		CI	H CI
	Me Me	Н	Н	1	Н	Н	OCHF ₂	H CI

	١	l., I		l l	. 1	1	**	logue	l	logyr	
	Me		Н	Н	1	Н	Н	OCHF ₂	H	OCHF ₂	
5	Me	1 1	Н	Н	1	н	H	CHF₂	H 	CI	
	Me		Н	l I	1	Н	H	CF ₃	H	F	
	l	Ме	Н	Н	1	Н	Н	CF ₃	Н	CI	
40	ĺ	Ме	H	Н	1	H	Н	CF₃	H	OMe	
10	Me	1 1	Н	Н	1	Н	H	CF ₃	H	OEt	
		Me	Н	Н	1	Н	Н	CF ₃	H	OCHF ₂	
	ì	Me	Н	Н	1	Н	H	CF ₃	Н	CN	
15	Me		H	H	1	Н	Н	CF ₃	Н	Me	
	Me	Me	Н	Н	1	Н	Н	H	Ме	Cı	
	Me))	Н	Н	1	Н	Н	Me	Ме	Me ·	
	l	Ме	Н	Н	1	Н	H	Me	Me	CI	
20	Me	1 1	Н	Н	1	Н	H	Cl	Ме	Me	
	Me	Me	Н	Н	1	Н	H	Et	Ме	CI	
	ļ	Me	Н	H	1	H	Н	CI	Ме	Et	
25	Me	Me	Н	Н	1	Н	H	Pr-i	Ме	CI	
20	Me	Me	Н	H	1	Н	H	Cl	Ме	Pr-i	
	Me	Me	Н	Н	1	Н	H	Bu-t	Ме	CI .	
	Me	Me	Н	Н	1	Н	H	Cl	Ме	Bu-t	
30	Me	Me	Н	H	1	Н	H	Cl	Me	CI	
	Me	Ме	Н	Н	1	н	H	CHF₂	Ме	CI	
	Me	Ме	Н	Н	1	Н	H	CI	Ме	CHF ₂	
	Me	Me	Н	н	1	Н	H	OCHF ₂	Ме	Н	
35	Me	Ме	Н	Н	1	Н	Н	OCHF ₂	Ме	CI	
	Me	Ме	H	Н	1	н	H	CI	Ме	OCHF ₂	
	Me	Me	Н	H	1	Н	Н	OCHF ₂	Ме	OCHF ₂	
40	Me	Me	Н	Н	1	Н	H	CF,	Ме	Н	
	Me	Ме	Н	Н	1	н	Н	CF ₃	Ме	CI	
	Me	Me	Н	Н	1	Н	Н	CI	Ме	CF ₃	
	Me	Ме	Н	H	1	н	H	CF ₃	Me	F	
45	Me	Ме	Н	н	1	н	Н	F	Me	CF ₃	
	Me	Ме	Н	H	1	Н	Н	CF ₃	Ме	ОН	
	Me	Ме	Н	Н	1	Н	Н	ОН	Ме	CF ₃	
	Me	Ме	Н	Н	1	н	Н	CF ₃	Ме	OMe	
50	Me	Ме	Н	н	1	н	Н	OMe	Ме	CF ₃	
	Me	Me	Н	Н	1	н		CF ₃	Me	OEt	
	Me	Ме	н	н	1	. н	H	OEt	Me	CF ₃	
55	Me	Me	н	н	1	н	Н	CF ₃	Ме	OPr-i	
	Me	Me	н	н	1	Н	Н	CF ₃	Ме	OPr	

	Ме Ме	Н	Н	1	н	Н	CF ₃	Ме	OBu-t
5	Ме Ме	н	Н	1	Н	Н	CF ₃	Ме	OBu-s
-	Ме Ме	н	Н	1	н	Н	CF ₃	Me	OBu-i
	Ме Ме	Н	Н	1	Н	Н	CF ₃	Ме	OBu
	Ме Ме	Н	Н	1	Н	Н	CF ₃	Ме	O(2-Pen)
10	Ме Ме	н	Н	1	Н	Н	CF ₃	Ме	O(3-Pen)
	Ме Ме	Н	Н	1	н	Н	CF₃	Ме	OPen-n
	Ме Ме	.H	Н	1	н	Н	CF ₃	Ме	O(2-Hex)
15	Ме Ме	н	Н	1	Н	Н	CF ₃	Ме	O(3-Hex)
15	Me Me	н	Н	1	н	Н	CF ₃	Me	OHex-n
	Me Me	н	H	1	н	н	CF ₃	Me	OPen-c
	Ме Ме	Н	н	1	н	Н	CF ₃	Ме	OHex-c
20	Ме Ме	Н	Н	1	Н	Н	CF ₃	Ме	OCH₂Pr-c
	Ме Ме	н	н	1	Н	Н	CF ₃	Ме	OCH₂Bu-c
	Ме Ме	Н	Н	1	н	н	CF ₃	Me	OCH₂Pen-c
	Ме Ме	н	Н	1	н	Н	CF ₃	Ме	OCH ₂ Hex-c
25	Ме Ме	Н	Н	1	Н	Н	CF ₃	Ме	OCH ₂ CH=CH ₂
	Ме Ме	н	Н	1	Н	Н	CF ₃	Ме	OCH ₂ C≡CH
	Ме Ме	н	Н	1	H	Н	CF ₃	Ме	OCHF ₂
30	Ме Ме	Н	Н	1	Н	Н	OCHF ₂	Ме	CF ₃
	Ме Ме	Н	Н	1	H	Н	CF ₃	Ме	OCH ₂ CHF ₂
	Me Me	Н	Н	1	н	Н	OCH ₂ CHF ₂	Ме	CF ₃
	Me Me	Н	Н	1	н	Н	CF ₃	Ме	OCH ₂ CF ₃
35	Ме Ме	Н	Н	1	Н	H	OCH ₂ CF ₃	Ме	CF ₃
	Me Me	Н	Н	1	H	Н	CF ₃	Ме	OCH ₂ CN
	Me Me	Н	Н	1	Н	Н	CF ₃	Me .	OCH ₂ C(=O)OEt
40	Me Me	Н	Н	1	Н	Н	CF ₃	Ме	OCH(Me)C(=O)OEt
	Me Me	Н	Н	1	Н	Н	CF ₃	Ме	OCH ₂ C(=O)NH ₂
	Me Me	Н	Н	1	Н	Н	CF₃	Ме	OCH ₂ C(=0)NHMe
	Ме Ме	Н	H		H	H	CF ₃	Ме	$OCH_2C(=O)N(Me)_2$
45	Me Me	H	Н	1	Н	H	CF ₃	Ме	OCH ₂ Ph
	Me Me	Н	H	1	H	H	CF ₃	Ме	OPh
	Me Me	H	Н	1	Н	Н	CF ₃	Ме	O(2-Cl)Ph
50	Me Me	Н	Н	1	н	Н	CF ₃	Ме	O(2-Br)Ph
50	Me Me	H	H	1	Н	Н	CF ₃	Ме	O(2-F)Ph
	Me Me	Н	Н		Н	Н	CF ₃	Me	O(2-Me)Ph
	Me Me	H	Н		H	H	CF ₃	Me	O(2-OMe)Ph
55	Me Me	Н	Н	1	H	H	CF ₃	Me	O(2-NO ₂)Ph
	Ме Ме	Н	Н	1	н	н	CF ₃	Ме	O(2-CN)Ph

	Me Me	Н	Н	1	Н	Н	CF ₃	Me	O(2-C(=O)OMe)Ph
	Me Me	Н	Н	1	Н	Н	CF ₃	Me	O(3-Cl)Ph
5	Me Me	н	Н	1	Н	Н	CF ₃	Ме	O(3-Br)Ph
	Me Me	Н	Н	1	Н	Н	CF ₃	Me	O(3-F)Ph
	Me Me	Н	Н	1	Н	Н	CF₃	Ме	O(3-Me)Ph
10	Ме Ме	Н	Н	1	Н	Н	CF ₃	Ме	O(3-OMe)Ph
	Ме Ме	Н	Н	1	н	Н	CF ₃	Ме	O(3-NO ₂)Ph
	Me Me	Н	Н	1	Н	H	CF ₃	Ме	O(3-CN)Ph
	Me Me	Н	H-	. In	:. H	ੂ H	CF ₃	Me «	O(3-C(=O)OMe)Ph
15	Me Me	н	Н	ì	н	Н	CF ₃	Ме	O(4-Cl)Ph
	Me Me	Н	Н	1	Н	Н	CF ₃	Ме	O(4-Br)Ph
	Me Me	н	Н	1	Н	Н	CF ₃	Ме	O(4-F)Ph
20	Me Me	н	н	1	н	Н	CF ₃	Ме	O(4-Me)Ph
20	Me Me	н	Н	1	Н	Н	CF ₃	Ме	O(4-OMe)Ph
	Me Me	Н	Н	1	Н	Н	CF ₃	Ме	O(4-NO ₂)Ph
	Me Me	H	Н	1	Н	Н	CF ₃	Ме	O(4-CN)Ph
25	Me Me	н	н	1	Н	Н	CF ₃	Ме	O(4-C(=O)OMe)Ph
	Me Me	н	Н	1	Н	Н	CF₃	Ме	OC(=O)Me
	Ме Ме	н	Н	1	Н	Н	CF ₃	Ме	OC(≈O)Et
	Me Me	н	Н	1	Н	Н	CF ₃	Ме	OC(=O)CH ₂ Ph
30	Me Me	Н	Н	1	Н	Н	CF ₃	Ме	OC(=0)CF ₃
	Me Me	н	Н	1	Н	Н	CF₃	Ме	OC(=O)Ph
	Me Me	н	Н	1	Н	Н	CF ₃	Ме	OSO₂Me
35	Me Me	н	Н	1	н	H	CF ₃	Ме	OSO ₂ Et
	Me Me	н	Н	1	Н	Н	CF ₃	Ме	OSO ₂ CH ₂ Ph
	Me Me	н	Н	1	Н	Н	CF ₃	Ме	OSO ₂ CF ₃
	Me Me	Н	н	1	н	Н	CF ₃	Ме	OSO ₂ Ph
40	Me Me	н	Н	1	н	н	CF ₃	Me	SMe
	Me Me	н	Н	1	н	н	CF ₃	Ме	SO₂Me
	Me Me	н	Н	1	Н	Н	CF ₃	Ме	SEt
45	Me Me	н	Н	1	н	Н	CF ₃	Ме	SO₂Et
70	Me Me	Н	Н	1	Н	Н	CF ₃	Ме	SPr
	Me Me	Н	Н	1	н	Н	CF ₃	Ме	SO₂Pr
	Me Me	Н	Н	1	Н	Н	CF ₃	Ме	SPr-i
50	Me Me	Н	Н	1	н	Н	CF₃	Ме	SO ₂ Pr-i
	Me Me	Н	Н	1	Н	Н	CF ₃	Ме	SBu-t
	Me Me	Н	Н	1	н	Н	CF ₃	Ме	SO₂Bu-t
	Me Me	Н	Н	1	н	Н	CF ₃	Ме	SCHF ₂
55	Me Me	Н	Н	1	Н	Н	CF ₃	Ме	SO₂CHF₂

Me Me H H 1 H CF ₃ Me SO ₂ CF ₃ Me Me Me H H 1 H CF ₃ Me SPh Me Me Me H H 1 H CF ₃ Me SO ₂ Ph	
Me Me H H I H CF ₃ Me SPh	
Me Me H H I H H CF ₃ Me SO ₂ Ph	
Me Me H H 1 H CF ₃ Me SCH ₂ Ph	
10 Me Me H H 1 H CF ₃ Me SO ₂ CH ₂ Ph	
Me Me H H I H H CF ₃ Me SCH ₂ C(=O)OEt	
Me Me H H I H CF ₃ Me SO ₂ CH ₂ C(=O)OEt	Ì
Me Me H H I H H CF3 Me SCH(Me)C(=O)QEt	-
15 Me Me H H H CF ₃ Me SO ₂ CH(Me)C(=O)OE	t
Me Me H H 1 H CF ₃ Me SCH ₂ C(=O)NH ₂	
Me Me H H 1 H CF_3 Me $SO_2CH_2C(=O)NH_2$	
20 Me Me H H I H CF ₃ Me SCH ₂ C(=O)NHMe	1
Me Me H H 1 H CF ₃ Me SO ₂ CH ₂ C(=O)NHMe	
Me Me H H 1 H CF ₃ Me SCH ₂ C(=O)N(Me) ₂	
Me Me H H I H CF ₃ Me SO ₂ CH ₂ C(=O)N(Me) ₂	
25 Me Me H H 1 H CF ₃ Me NH ₂	İ
Me Me H H 1 H CF ₃ Me NHMe	ļ
Me Me H H I H CF ₃ Me N(Me) ₂	
Me Me H H 1 H CF ₃ Me NHC(=0)Me	
Me Me H H I H CF ₃ Me N(Me)C(=O)Me	}
Me Me H H 1 H CF ₃ Me NHSO ₂ Me	Ì
Me Me H H 1 H CF ₃ Me N(Me)SO ₂ Me	1
Me Me H H 1 H CF ₃ Me NHSO ₂ CHF ₂	
Me Me H H I H CF ₃ Me N(Me)SO ₂ CHF ₂	
Me Me H H I H CF ₃ Me NHSO ₂ CF ₃	
Me Me H H I H CF ₃ Me N(Me)SO ₂ CF ₃	1
Me Me H H I H CF ₃ Me NHPh	
Me Me H H 1 H CF3 Me N(Me)Ph	
Me Me H H I H CF ₃ Me CN	
Me Me H H 1 H CN Me CF ₃	
Me Me H H H CF ₃ Me C(=O)OMe	
Me Me H H H CF ₃ Me C(=O)OCH ₂ Ph	
Me Me $\mid H \mid 1 \mid H \mid CF_3 \mid Me$ $\mid C(=O)OPh$	
50 Me Me H H H CF ₃ Me C(=O)NH ₂	
Me Me H H H CF ₃ Me C(=O)NHMe	1
Me Me H H 1 H CF ₃ Me C=O)N(Me) ₂	
Me Mc H H I H CF ₃ Me C(=O)Me	1
Me Me H H I H CF ₃ Me C(=O)CF ₃	

	Me	Me	Н	Н	1	Н	H	CF ₃	Me	C(=O)CH₂Ph
5	Me	Me	Н	Н	ì	Н	Н	CF ₃	Ме	C(=O)Ph
v	Me	Me	Н	Н	1	Н	Н	CF₃	Me	Me
	Me	Me	Н	Н	1	Н	Н	Ме	Ме	CF ₃
	Me	Ме	Н	Н	1	н	Н	CF ₃	Ме	Et
10	Me	Me	Н	Н	1	Н	Н	CF ₃	Ме	Pr-i
	Me	Me	Н	н	1	н	Н	CF ₃	Ме	Pr
	Me	Ме	Н	н	1	н	Н	CF ₃	Ме	CH₂OMe
	Me	Ме	H	Н	1	H	Н	CF ₃	Ме	CF ₃
15	Me	Ме	Н	Н	1	н	Н	CF₃	Ме	CHF₂
	Me	Ме	Н	н	1	Н	Н	CF,	Ме	Ph
	Me	Ме	Н	н	1	Н	н	CF ₂ CF ₃	Ме	cı
20	Me	Me	Н	н	1	Н	Н	Ph	Ме	Me
	Me	Ме	Н	н	1	н	Н	Ph	Ме	cı
	Me	Ме	H	н	1	Н	Н	Ph	Ме	OEt
	Me	Ме	Н	н	1	Н	Н	Ph	Ме	CF ₃
25	Me	Ме	Н	н	1	н	Н	Ph	Ме	Ph
	Me	Ме	Н	н	1	Н	H	CI	Et	CI
	Me	Ме	Н	н	1	н	H	OCHF ₂	Et	CI
30	Me	Me	Н	Н	1	Н	Н	CI	Et	OCHF2
00	Me	Ме	Н	Н	1	Н	Н	OCHF ₂	Et	OCHF ₂
	Me	- 1	Н	Н	1	Н	Н	CF ₃	Et	F
	Me	Ме	Н	Н	1	Н	Н	F	Et	CF ₃
35	Me	Ме	Н	Н	1	Н	H	CF₃	Et	Cı
	Me	Ме	Н	Н	1	H	H	CI	Et	CF ₃
	Me	Me	Н	Н	1	Н	Н	CF ₃	Et	ОМе
	Me	Me	Н	Н	1	Н	Н	ОМе	Et	CF,
40	Me	Me	Н	Н	1	Н	H	CF₃	Et	OEt
	Me	Ме	Н	H	1	Н	Н	OEt	Et	CF ₃
	Me	Me	Н	Н	1	H	Н	CF₃	Et	OCHF₂
45	Me	Me	Н	Н	1	H	Н	OCHF ₂	Et	CF ₃
	Me	Me	Н	Н	1	Н	H	CF₃	Et	CN
	Me	Me	Н	Н	1	Н	Н	CN	Et	CF,
	Me	Me	н	н	1	Н	Н	CF ₃	Et	Me
50	Me	Ме	н	н	1	H	H	Ме	Et	CF ₃
	Ме		Н	н	1	н	H	Cl	Pr-i	CI
		Meserr	H	Н	1	Н		-	Pr-i	CI
	Me	i	- 1	Н	1	H			Pr-i	OCHF ₂
55	Me		Н	H	1	H		-	Pr-i	OCHF ₂
	Me	Me	Η	H	1	H	H	CF ₂	Pr-i	F

Me Me H H I H H H FP-1 CF3 Me Me H H I H H CF3 CP4 Me Me H H I H H CF3 OMe Me Me H H I H H OMe CF3 Me Me H H I H H OMe CF3 Me Me H H I H H OMe CF3 Me Me H H I H H CF3 OCHT2 Me Me H H I H H CCF3 CCP3 Me Me H H I H H CCF3 Pr-1 CCN Me Me H H I H H CCF3 Pr-1 CCN			. 1				۱		1	1	1
Me Me Me Me H H I I H H C CF; Pri OMe Me Me Me H H I I H H OCH; Pri OMe Me Me H H I I H H OCH; Pri OCH; Me Me H H I I H H OCH; Pri OCH; Me Me H H I I H H OCH; Pri OCH; Me Me H H I I H H OCH; Pri OCH; Me Me H H I I H H OCH; Pri OCH; Me Me H H I I H H C CF; Pri OCH; Me Me H H I I H H CF; Pri OCH; Me Me H H I I H H CF; Pri OCH; Me Me H H I I H H CF; Pri OCH; Me Me H H I I H H C CF; Pri OCH; Me Me H H I I H H C CF; Pri OCH; Me Me H H I I H H C CF; Pri OCH; Me Me H H I I H H C CF; Pri OCH; Me Me H H I I H H C CF; Pri OCH; Me Me H H I I H H C CF; Pri OCH; Me Me H H I I H H C CF; Pri OCH; Me Me H H I I H H C CF; Pri OCH; Me Me H H I I H H C CF; Pri OCH; Me Me H H I I H H C CF; Pri OCH; Me Me H H I I H H C CF; Pri OCH; Me Me H H I I H H C CF; Pri OCH; Me Me H H I I H H C CF; Me Me M H H I I H H C CF; Me Me M H H I I H H C CF; Me Me M H H I I H H C CF; Me Me M H H I I H H C CF; Me Me M H H I		Me	Me	Н	Н	1	Н	Н	F	Pr-i	CF ₃
Me Me Me H H I H H CF Pr Pr OMe	5	Me	Me	Н	Н	1	Н	Н	CF ₃	Pr-i	CI
Me Me Me H H I H H OMe Pri OE4		Me	Me	Н	Н	. 1	Н	Н	CI	Pr-i	CF ₃
Me Me Me H H 1 H H CF, Pr-i OEI Me Me Me H H 1 H H OEI Pr-i CF, Me Me H H 1 H H OCH Pr-i CF, Me Me H H 1 H H OCH Pr-i CF, Me Me H H 1 H H OCH Pr-i CF, Me Me H H 1 H H CR, Pr-i CR		Me	Ме	Н	Н	1	Н	Н	CF₃	Pr-i	ОМе
Me Me H H 1 H H CF, Pr-i CF, Me Me H H 1 H H CF, Pr-i CF, Me Me H H 1 H H CF, Pr-i CF, Me Me Me H H 1 H H CF, Pr-i CF, Me Me Me H H 1 H H CF, Pr-i CF, Me Me Me H H 1 H H CF, Pr-i CF, Me Me Me H H 1 H H CF, Pr-i CF, Me Me Me H H 1 H H CF, Pr-i CF, Me Me Me H H 1 H H CF, Pr-i CF, Me Me Me H H 1 H H CH, Pr CF, Me Me Me H H 1 H H CG, Pr CI CI CF, Me Me Me H H H H CF, Pr CF, Me Me H H H H CF, Pr CF, Me Me H H H H F Pr CF, Me Me H H H H F Pr CF, Me Me Me H H H H CI Pr CF, Me Me Me H H H H CF, Pr CF, Me Me Me H H H H CF, Pr CF, Me Me Me H H H H CF, Pr CF, Me Me Me H H H H CF, Pr CF, Me Me Me H H H H CF, Pr CF, Me Me Me H H H H CF, Pr CF, Me Me Me H H H H CF, Pr CF, Me Me Me H H H H CF, Pr CF, Me Me Me H H H H CF, Pr CF, Me Me Me H H H H CF, Pr CF, Me Me Me H H H H CF, Pr CF, Me Me Me H H H H CF, Pr CF, Me Me Me H H H H CF, Pr CF, Me Me Me H H H H CF, Pr CF, Me Me Me H H H H CF, Pr CF, Me Me Me H H H H CF, Pr CF, Me Me Me H H H H CF, Pr CF, Me Me Me H H H H CF, Pr CF, Me Me H H H H CF, Pr CF, Me Me Me H H H H CF, Pr CF, Me Me H H H H CF, Pr CF, Me Me H H H H CF, Pr CF, Me Me H H H H CF, Pr CF, Me Me H H H H CF, Pr CF, Me Me H H H H CF, Pr CF, Me Me H H H H CF, Pr CF, Me Me H H H H	40	Me	Ме	Н	Н	1	Н	Н	ОМе	Pr-i	CF ₃
Me Me H H I H H CF5 Pr-i OCHF2	10	Me	Ме	Н	Н	1	н	Н	CF3	Pr-i	OEt
Me Me H H I H H OCHF2 Pr-i CF3 Me Me H H I H H CF5 Pr-i CN Me Me H H I H H CF5 Pr-i CF5 Me Me H H I H H CF5 Pr-i CF5 Me Me H H I H H CF5 Pr-i CF5 Me Me H H I H H CF5 Pr CI Me Me H H I H H CF5 Pr CF5 Me Me H H I H H CF5 Bu-t CI Me Me H H I H H CF5 Bu-t CI Me Me H H I H H CF5 Bu-t CI Me Me H H I H H CF5 Bu-t CI Me Me H H I H H CF5 Bu-t CI Me Me H H I H H CF5 Bu-t CI Me Me H H I H H CF5 Bu-t CI Me Me H H I H H CF5 Bu-t CI Me Me H H I H H CF5 Bu-t CI Me Me H H I H H CF5 Bu-t CI Me Me H H I H H CF5 Bu-t CI Me Me H H I H H CF5 Bu-t CI Me Me H H I H H CF5 Bu-t CI Me Me H		Me	Me	Н	Н	1	Н	Н	OEt	Pr-i	CF ₃
Me Me H H H I H H CF3 Pr-i CN Me Me Me H H I I H H CF3 Pr-i CF3 Me Me Me H H I I H H CP5 Pr-i CF3 Me Me Me H H I I H H CP7 Pr CI Me Me Me H H I I H H CI Pr CCHP2 20 Me Me H H I I H H CI Pr CI Me Me Me H H I I H H CI Pr CCHP2 25 Me Me H H I I H H CCP3 Pr CI Me Me Me H H I I H H CCP5 Pr CCH Me Me Me H H I I H H CCP5 Pr CCH Me Me Me H H I I H H CCP5 Pr CCH Me Me Me H H I I H H CCP5 Pr CCH Me Me Me H H I I H H CCP5 Pr CCH Me Me Me H H I I H H CCP5 Pr CCH Me Me Me H H I I H H CCP5 Pr CCH Me Me Me H H I I H H CCP5 Pr CCH Me Me Me H H I I H H CCP5 Pr CCH Me Me Me H H I I H H CCP5 Pr CCH Me Me Me H H I I H H CCP5 Pr CCH Me Me Me H H I I H H CCP5 Pr CCH Me Me Me H H I I H H CCP5 Pr CCP5 Me Me Me H H I I H H CCP5 Bu-t CCI Me Me Me H H I I H H CCP5 Bu-t CCI Me Me Me H H I I H H CCP5 Bu-t CCI Me Me Me H H I I H H CCP5 Bu-t CCI Me Me Me H H I I H H CCP5 Bu-t CCI Me Me Me H H I I H H CCP5 Bu-t CCI Me Me Me H H I I H H CCP5 Bu-t CCI Me Me Me H H I I H H CCP5 Bu-t CCI Me Me Me H H I I H H CCP5 Bu-t CCI Me Me Me H H I I H H CCP5 Bu-t CCP5 Me Me Me H H I I H H CCP5 Bu-t CCI Me Me Me H H I I H H CCP5 Bu-t CCF5 Me Me Me H H I I H H CCP5 Bu-t CCF5 Me Me Me H H I I H H CCP5 Bu-t CCF5 Me Me Me H H I I H H CCP5 Bu-t CCF5 Me Me Me H H I I H H CC		Me	Me .	Н	н	1	Н	Н	CF,	Pr-i	OCHF ₂
Me Me Me H H I I H H CN Pr-i CF3 Me Me Me H H I I H H CN Pr-i CF5 Me Me Me H H I I H H CCF3 Me Me Me H H I I H H CCF3 Me Me Me H H I I H H CCF3 Me Me Me H H I I H H CCHF2 Pr CI CI COHF2 25 Me Me Me H H I I H H CCHF2 Me Me Me H H I I H H CCF3 Me Me Me H H I I H H CCF3 Me Me Me H H I I H H CCF3 Me Me Me H H I I H H CF3 Me Me Me H H I I H H CF3 Me Me Me H H I I H H CF3 Me Me Me H H I I H H CF3 Me Me Me H H I I H H CCF3 Me Me Me H H I I H H CCF3 Me Me Me H H I I H H CCF3 Me Me Me H H I I H H CCF3 Me Me Me H H I I H H CCF3 Me Me Me H H I I H H CCF3 Me Me Me H H I I H H CCF3 Me Me Me H H I I H H CCF3 Me Me Me H H I I H H CCF3 Me Me Me H H I I H H CCF3 Me Me Me H H I I H H CCF3 Me Me Me H H I I H H CCF3 Me Me Me H H I I H H CCF3 Me Me Me H H I I H H CCF3 Me Me Me H H I I H H CCF3 Me Me Me H H H I I H H CCF3 Me Me Me H H H I I H H CCF3 Me Me Me H H H I I H H CCF3 Me Me Me H H H I I H H CCF3 Me Me Me H H H I I H H CCF3 Me Me Me H H H I I H H CCF3 Me Me Me H H H I I H H CCF3 Me Me Me H H H I I H H CCF3 Me Me Me H H H I I H H CCF3 Me Me Me H H H I I H H CCF3 Me Me Me H H H I I H H CCF3 Me Me Me H H H I I H H CCF3 Me Me Me H H H I I H H CCF3 Me Me Me H H H I I H H CCF3 Me Me Me H H H I I H H CCF3 Me Me Me H H H I I H H CCF3 Me Me Me H	15	Me	Ме	Н	н	1	Н	Н	OCHF ₂	Pr-i	CF ₃
Mc Mc Mc H H I H H CF3 Pr-i Me		Me	Me	Н	Н	1	н	H	CF ₃	Pr-i	CN ·
20		Me	Ме	Н	н	1	н	Н	CN	Pr-i	CF ₃
Me Me Me H H H I H H CI Pr CI Me Me Me H H I I H H CI Pr CI Me Me Me H H I I H H CI Pr CCH Me Me Me H H I I H H CCH Me Me Me H H I I H H CCF ₃ Pr CCH Me Me Me H H I I H H CF ₃ Pr CCF ₃ Me Me Me H H I I H H CF ₃ Pr CCF ₃ Me Me Me H H I I H H CF ₃ Pr CCF ₃ Me Me Me H H I I H H CCF ₃ Bu-t CI Me Me Me H H I I H H CCF ₄ Bu-t CI		Me	Me	Н	Н	1	н	Н	CF ₃	Pr-i	Me
Me Me Me H H I H H CCHF2 Pr CCHF2 Me Me Me H H I H H CCHF2 Pr OCHF2 Me Me Me H H I H H CCHF2 Pr OCHF2 Me Me Me H H I H H CF3 Pr CF3 Me Me Me H H I H H CF3 Pr CF3 Me Me Me H H I H H CF3 Pr CF3 Me Me Me H H I H H CF3 Pr OMe Me Me Me H H I H H CF3 Pr OEt Me Me H H I H H CF3 Pr OCHF2 Me Me H H I H H CF3 Pr OCHF2 Me Me H H I H H CF3 Pr OCHF2 Me Me H H I H H CF3 Pr CF3 Me Me H H I H H CF3 Pr CF3 Me Me H H I H H CF3 Pr CF3 Me Me H H I H H CF3 Pr CF3 Me Me H H I H H CF3 Pr CF3 Me Me H H I H H CF3 Pr CF3 Me Me H H I H H CF3 Pr CF3 Me Me H H I H H CF3 Pr CF3 Me Me H H I H H CF3 Pr CF3 Me Me H H I H H CF3 Pr CF3 Me Me H H I H H CF3 Bu-t CI Me Me H H I H H CF3 Bu-t CI Me Me M H H I H H CF3 Bu-t CI Me Me Me H H I H H CF3 Bu-t CI Me Me Me H H I H H CF3 Bu-t CI Me Me Me H H I H H CF3 Bu-t CI Me Me Me H H I H H CF3 Bu-t CI Me Me Me H H I H H CF3 Bu-t CI Me Me Me H H I H H CF3 Bu-t CI Me Me Me H H I H H CI Bu-t CI Me Me Me H H I H H CI Bu-t CI Me Me Me H H I H H CI Bu-t CI Me Me Me H H I H H CI Bu-t CI Me Me Me H H I H H CI Bu-t CI Me Me Me H H I H H CI Bu-t CI Me Me Me H H I H H CI Bu-t CI Me Me Me H H I H H CI Bu-t CI Me Me Me H H I H H CI B	20	Me	Ме	Н	н	1	н	Н	Ме	Pr-i	CF ₃
Me Me Me H H I H H CI Pr OCHF2 Me Me Me H H I H H OCHF2 Pr OCHF2 Me Me Me H H I H H F Pr CF3 Me Me H H I H H CF3 Pr CF3 Me Me H H I H H CF3 Pr CF3 Me Me H H I H H CF3 Pr OMe Me Me H H I H H OMe Pr CF3 Me Me H H I H H ORE Pr OEE Me Me H H I H H ORE Pr OCHF2 Me Me H H I H H OCHF2 Pr CF3 Me Me H H I H H CF3 Pr OCHF2 Me Me H H I H H CF3 Pr CF3 Me Me H H I H H CF3 Pr CF3 Me Me H H I H H CF3 Pr CF3 Me Me H H I H H CF3 Pr CF3 Me Me H H I H H CF3 Pr CF3 Me Me H H I H H CF3 Pr CF3 Me Me H H I H H CF3 Pr CF3 Me Me H H I H H CF3 Pr CF3 Me Me H H I H H CF3 Bu-t CI Me Me H H I H H CI Bu-t CI Me Me H H I H H CF3 Bu-t		Me	Ме	Н	Н	1	н	Н	CI	Pr	cı
25 Me Me H H I H H OCHF ₂ Pr OCHF ₂ Me Me H H I H H CF ₃ Pr F Me Me H H I H H F Pr CF ₃ Me Me H H I H H CF ₃ Pr CI Me Me H H I H H CF ₃ Pr OMe Me Me H H I H H CF ₃ Pr OMe Me Me H H I H H CF ₃ Pr OEt Me Me H H I H H OEt Pr CF ₃ Me Me H H I H H OEt Pr CF ₃ Me Me H H I H H OEt Pr CF ₃ Me Me H H I H H OCHF ₂ Pr CF ₃ Me Me H H I H H CF ₃ Pr CF ₃ Me Me H H I H H CF ₃ Pr CF ₃ Me Me H H I H H CF ₃ Pr CF ₃ Me Me H H I H H CF ₃ Pr CF ₃ Me Me H H I H H CF ₃ Pr CF ₃ Me Me H H I H H CF ₃ Pr CF ₃ Me Me H H I H H CF ₃ Pr CF ₃ Me Me H H I H H CF ₃ Pr CF ₃ Me Me H H I H H CF ₃ Pr CF ₃ Me Me H H I H H CF ₃ Pr CF ₃ Me Me H H I H H CF ₃ Bu-t CI Me Me H H I H H CF ₃ Bu-t CI Me Me H H I H H CF ₃ Bu-t CI Me Me H H I H H CF ₃ Bu-t CI Me Me H H I H H CF ₃ Bu-t CI Me Me H H I H H CF ₃ Bu-t CF ₃ Me Me H H I H H CF ₃ Bu-t CI Me Me H H I H H CF ₃ Bu-t CI Me Me H H I H H CF ₃ Bu-t CI Me Me H H I H H CF ₃ Bu-t CI Me Me H H I H H CF ₃ Bu-t CI Me Me H H I H H CF ₃ Bu-t CI Me Me H H I H H CF ₃ Bu-t CI Me Me H H I H H CF ₃ Bu-t CI Me Me H H I H H CF ₃ Bu-t CI Me Me H H I H H CF ₃ Bu-t CI Me Me H H I H H CF ₃ Bu-t CI Me Me H H I H H CF ₃ Bu-t CI Me		Me	Ме	Н	н	1	Н	Н	OCHF₂	Pr	CI
Me Me Me H H I I H CF3 Pr CF3 Me Me Me H H I I H F Pr CF3 Me Me Me H H I I H H CCF3 Me Me Me Me H H I I H H CCF3 Me Me Me Me H H I I H H CCF3 Me Me Me Me H H I I H H CCF3 Me Me Me Me Me H I I I H H CCF3 Me Me Me Me Me Me Me Me M I I I H H CCF3 Me Me Me Me Me Me Me Me M I I I H H CCF3 Me Me Me Me Me Me Me M I I I H H CCF3 Me Me Me Me Me Me Me Me Me Me Me Me Me M		Me	Ме	Н	Н	1	Н	H	CI	Pr	OCHF ₂
Me Me Me H H I I H F Pr CF3 Me Me Me H H I I H H CG3 Pr CCI Me Me Me H H I I H H CG5 Pr CCF3 Me Me Me H H I I H H CG5 Pr CF3 Me Me Me H H I I H H OME Pr CF3 Me Me Me H H I I H H OEI Pr CF3 Me Me Me H H I I H H OEI Pr CF3 Me Me Me H H I I H H OEI Pr CF3 Me Me H H I I H H OCHF2 Pr CF3 Me Me H H I I H H CF3 Pr CN Me Me H H I I H H CN Pr CF3 Me Me H H I I H H CF3 Pr CN Me Me H H I I H H CF3 Pr CCF3 Me Me Me H H I I H H CF3 Pr CCF3 Me Me Me H H I I H H CF3 Pr CCF3 Me Me Me H H I I H H CF3 Pr CCF3 Me Me Me H H I I H H CF3 Pr CCF3 Me Me Me H H I I H H CCF3 Pr CCF3 Me Me Me H H I I H H CCF3 Pr CCF3 Me Me Me H H I I H H CCF3 Pr CCF3 Me Me Me H H I I H H CCF3 Pr CCF3 Me Me Me H H I I H H CCF3 Pr CCF3 Me Me Me H H I I H H CCF3 Pr CCF3 Me Me Me H H I I H H CCF3 Pr CCF3 Me Me Me H H I I H H CCF3 Pr CCF3 Me Me H H I I H H CCF3 Pr CCF3 Me Me Me H H I I H H CCF3 Pr CCF3 Me Me H H I I H H CCF3 Pr CCF3 Me Me Me H H I I H H CCF3 Me Me Me H H I I H H CCF3 Pr CCF3 Me Me Me H H I I H H CCF3 Me Me Me H H I I H H CCF3 Me Me Me H H I I H H CCF3 Me Me Me H H I I H H CCF3 Me Me Me Me H H I I H H CCF3 Me Me Me Me Me H H I I H H CCF3 Me Me Me Me Me Me M H I I H H CCF3 Me Me Me Me	25	Me	Ме	Н	Н	1	н	H	OCHF ₂	Pr	OCHF ₂
Me Me H H I H H CF3 Pr CF3 Pr CF3 Me Me H H I H H CI Pr CF3 Pr OMe CF3 OMe Me Me H H I H H CF3 Pr OMe CF3 OEt CF3 OEt CF3 OEt CF3 OEt CF3 OET CF4 OET CF5 OET CF4 OET CF5 OET		Me	Me	Н	Н	1	Н	Н	CF₃	Pr	F
Me Me H H I H H CI Pr CF3 Pr OMe		Me	Ме	Н	н	1	Н	Н	F	Pr	CF ₃
Me Me Me H H I H H CF3 Pr OMe Me Me Me H H I H H OMe Pr CF3 Me Me Me H H I H H OEt Pr OEt Me Me Me H H I H H OET Pr OET3 Me Me Me H H I H H OET Pr OET3 Me Me Me H H I H H OETS Pr OET3 Me Me Me H H I H H OETS Pr OETS Me Me Me H H I H H CF3 Pr CF3 Me Me Me H H I H H CF3 Pr CF3 Me Me Me H H I H H CF3 Pr CF3 Me Me Me H H I H H CF3 Pr CF3 Me Me Me H H I H H CF3 Pr CF3 Me Me Me H H I H H CF3 Pr CF3 Me Me Me H H I H H CF3 Pr CF3 Me Me Me H H I H H CF3 Pr CF3 Me Me Me H H I H H CCH Bu-t CCI Me Me Me H H I H H OCHF2 Bu-t CCI Me Me Me H H I H H CF3 Bu-t CCI Me Me Me H H I H H CF3 Bu-t CCI Me Me Me H H I H H CF3 Bu-t CCI Me Me Me H H I H H CF3 Bu-t CCI Me Me Me H H I H H CF3 Bu-t CCI Me Me Me H H I H H CF3 Bu-t CCI Me Me Me H H I H H CF3 Bu-t CCI Me Me Me H H I I H H CF3 Bu-t CCI Me Me Me H H I I H H CF3 Bu-t CCI Me Me Me H H I I H H CF3 Bu-t CCI Me Me Me H H I I H H CF3 Bu-t CCI Me Me Me H H I I H H CF3 Bu-t CCI Me Me Me H H I I H H CF3 Bu-t CCI Me Me Me H H I I H H CF3 Bu-t CCI Me Me Me H H I I H H CF3 Bu-t CCI Me Me Me H H I I H H CF3 Bu-t CCI Me Me Me H H I I H H CF3 Bu-t CCI Me Me Me H H I I H H CF3 Bu-t CCI Me Me Me H H I I H H CCI Bu-t CCF3 Me Me Me H H I I H H CCI Bu-t CCF3 Me Me Me H H I I H H CCI Bu-t CCF3 Me Me Me H H I I H H CCI Bu-t CCF3 Me Me Me H H I I H H CCI Bu-t CCF3 Me Me Me H H I I H H CCI Bu-t CCF3 Me Me Me H H I I H H CCI Bu-t CCF3		Me	Ме	Н	H	1	н	Н	CF ₃	Pr	CI
Me Me Me H H I H OME Pr CF3 Me Me Me H H I H OME Pr OEt Me Me Me H H I H OET Pr CF3 Me Me Me H H I H OCT Pr CF3 Me Me Me H H I H H OCT Pr CF3 Me Me Me H H I H H CF3 Pr CF3 Me Me Me H H I H H CF3 Pr CF3 Me Me Me H H I H H CF3 Pr CF3 Me Me Me H H I H H CF3 Pr CF3 Me Me Me H H I H H CF3 Pr CF3 Me Me Me H H I H H CF3 Pr CF3 Me Me Me H H I H H CF3 Pr CF3 Me Me Me H H I H H CF3 Pr CF3 Me Me Me H H I H H CCH Bu-t CI Me Me Me H H I H H CCH Bu-t CI Me Me Me H H I H H CF3 Bu-t CI Me Me Me H H I H H CF3 Bu-t CI Me Me Me H H I H H CF3 Bu-t CI Me Me Me H H I H H CF3 Bu-t CI Me Me Me H H I H H CF3 Bu-t CI Me Me Me H H I H H CF3 Bu-t CI Me Me Me H H I H H CF3 Bu-t CI Me Me Me H H I H H CF3 Bu-t CI Me Me Me H H I H H CF3 Bu-t CI Me Me Me H H I H H CF3 Bu-t CI Me Me Me H H I H H CF3 Bu-t CI Me Me Me H H I H H CF3 Bu-t CI Me Me Me H H I I H H CF3 Bu-t CI Me Me Me Me H H I I H H CF3 Bu-t CI Me Me Me Me H H I I H H CF3 Bu-t CI Me Me Me Me H H I I H H CF3 Bu-t CI Me Me Me Me M H I I H H CF3 Bu-t CI Me Me Me Me M H I I H H CF3 Bu-t CI Me Me Me Me Me M H I I H H CF3 Bu-t CI Me Me Me Me Me M H I I H H CF3 Bu-t CI Me Me Me Me Me M H I I H H CF3 Bu-t CI Me Me Me Me Me M M M CI Me Me Me Me M M M M M M	30	Me	Ме	Н	Н	1	Н	Н	CI	Pr-	CF ₃
Me Me Me H H I H OEt Pr CF3 Me Me Me H H I H OEt Pr CF3 Me Me Me H H I H CF3 Pr OCHF2 Me Me Me H H I H CF3 Pr CF3 Me Me Me H H I H CF3 Pr CF3 Me Me Me H H I H CF3 Pr CN Me Me Me H H I H CN Pr CF3 Me Me Me H H I H CF3 Pr Me Me Me H H I H H CF3 Pr CF3 Me Me Me H H I H H CF3 Pr CF3 Me Me Me H H I H H CF3 Pr CF3 Me Me Me H H I H H CH CH CI Bu-t CI Me Me Me H H I H H OCHF2 Bu-t CI Me Me Me H H I H H CF3 Bu-t CI Me Me Me H H I H CF3 Bu-t CI Me Me Me H H I H CF3 Bu-t CI Me Me Me H H I H CF3 Bu-t CI Me Me Me H H I H CF3 Bu-t CI Me Me Me H H I H CF3 Bu-t CI Me Me Me H H I H CF3 Bu-t CI Me Me Me H H I H CF3 Bu-t CI Me Me Me H H I H CF3 Bu-t CI Me Me Me H H I H CF3 Bu-t CI Me Me Me H H I H CF3 Bu-t CI Me Me Me H H I H CF3 Bu-t CI Me Me Me H H I H H CF3 Bu-t CI Me Me Me H H I I I H H CF3 Bu-t CI Me Me Me H I I I H H CF3 Bu-t CI Me Me Me H I I I H H CF3 Bu-t CI		Me	Ме	Н	Н	1	Н	H	CF ₃	Pr	OMe
Me Me Me H H I H H CF ₃ Pr CF ₃ Me Me Me H H I H H CCF ₃ Pr CCF ₃ Me Me H H I H H CCF ₃ Pr CCF ₃ Me Me H H I H H CCF ₃ Pr CCN Me Me H H I H H CCF ₃ Pr CCN Me Me H H I H H CCF ₃ Pr CCF ₃ Me Me H H I H H CCF ₃ Pr CCF ₃ Me Me H H I H H CCF ₃ Pr CCF ₃ Me Me H H I H H CCF ₃ Pr CCF ₃ Me Me H H I H H CCF ₃ Pr CCF ₃ Me Me H H I H H CCF ₃ Pr CCF ₃ Me Me H H I H H CCF ₃ Pr CCF ₃ Me Me H H I H H CCF ₃ Bu-t CI Me Me H H I H H CCF ₃ Bu-t CCI Me Me H H H I H H CCF ₃ Bu-t Fr Me Me H H H I H H CCF ₃ Bu-t CCI Me Me H H H I H H CCF ₃ Bu-t CCI Me Me H H H I H H CCF ₃ Bu-t CCI Me Me H H H I H H CCF ₃ Bu-t CCI Me Me H H H I H H CCF ₃ Bu-t CCI Me Me H H H I H H CCF ₃ Bu-t CCI Me Me H H H I H H CCF ₃ Bu-t CCI Me Me Me H H H I H H CCI Me Me Me H H H I H H CCI Me Me Me H H H I H H CCI Me Me Me H H H I H H CCI Me Me Me H H H I H H CCI Me Me Me H H H I H H CCI Me Me Me H H H I H H CCI Me Me Me H H H I H H CCI Me Me Me H H H I H H CCI Me Me Me H H H I H H CCI Me Me Me H H H I H H CCI Me Me Me H H H I H H CCI Me Me Me H H H I H H CCI Me Me Me H H H I H H CCI Me Me Me H H H I H H CCI Me Me Me H H H I H H CCI Me Me Me Me H H H I H H CCI Me Me Me Me M H H I I H H CCI Me Me Me M H H I I H H		Me	Ме	Н	н	1	н	Н	ОМе		1
Me Me H H I H H CF3 Pr CCF3 Me Me Me H H I H H CF3 Pr CCF3 Me Me H H I H H CF3 Pr CF3 Me Me H H I H H CF3 Pr CF3 Me Me H H I H H CF3 Pr CF3 Me Me H H I H H CF3 Pr CF3 Me Me H H I H H CF3 Pr CF3 Me Me H H I H H CF3 Pr CF3 Me Me H H I H H CF3 Pr CF3 Me Me Me H H I H H CF3 Pr CF3 Me Me Me H H I H H CF3 Pr CF3 Me Me Me H H I H H CCH Bu-t CI Me Me H H I H H CF3 Bu-t CI Me Me H H I H H CF3 Bu-t Fr Me Me H H I H H CF3 Bu-t CI Me Me H H I H H CF3 Bu-t CI Me Me H H I H H CF3 Bu-t CI Me Me H H I H H CF3 Bu-t CI Me Me H H I H H CF3 Bu-t CI Me Me H H I H H CF3 Bu-t CI Me Me H H I H H CF3 Bu-t CI Me Me H H I H H CF3 Bu-t CI Me Me H H I H H CF3 Bu-t CI Me Me H H I H H CF3 Bu-t CI Me Me H H I H H CF3 Bu-t CI Me Me H H H I H H CF3 Bu-t CI Me Me H H I H H CF3 Bu-t CI Me Me H H H I H H CF3 Bu-t CI Me Me H H H I H H CF3 Bu-t CI Me Me H H H I H H CF3 Bu-t CI Me Me H H H I H H CF3 Bu-t CI Me Me H H H I H H CF3 Bu-t CI Me Me H H H I H H CF3 Bu-t CI Me Me Me H H H I H H CF3 Bu-t CI Me Me Me H H H I H H CF3 Bu-t CI Me Me Me H H H I H H CF3 Bu-t CI Me Me Me H H I I H H CF3 Bu-t CI Me Me Me Me H H I I H H CF3 Bu-t CI Me Me Me Me H H I I H H CF3 Bu-t CI Me Me Me Me H H I I H CF3 Bu-t CI Me Me Me Me	25	-	1 1	Н	Н	1	Н				
Me Me Me H H I H CF3 Pr CF3 Me Me Me H H I H CF3 Pr CN Me Me Me H H I H CF3 Pr Me Me Me Me H H I H M CF3 Pr Me Me Me Me H H I H M Me Pr CF3 Me Me Me H H I H H OCHF2 Bu-t CI Me Me Me H H I H H OCHF2 Bu-t CI Me Me Me H H I H H CF3 Bu-t F Me Me Me H H I H H CF3 Bu-t CI Me Me Me H H I H H CF3 Bu-t CI Me Me Me H H I H H CF3 Bu-t CI Me Me Me H H I H H CF3 Bu-t CI Me Me Me H H I H H CF3 Bu-t CI Me Me Me H H I H H CF3 Bu-t CI Me Me Me H H I H H CF3 Bu-t CI Me Me Me H H I H H CF3 Bu-t CI Me Me Me H H I H H CF3 Bu-t CI Me Me Me H H I H H CF3 Bu-t CI Me Me Me H H I H H CF3 Bu-t CI Me Me Me H H I H H CF3 Bu-t CI Me Me Me H H I H H CF3 Bu-t CI Me Me Me H H I H H CF3 Bu-t CI Me Me Me H H I H H CF3 Bu-t CI Me Me Me H H I H H CF3 Bu-t COMe	33	1		Н		1	Н	Н	OEt		
40 Me Me H H I H CF3 Pr CN Me Me H H I H CF3 Pr Me Me Me H H I H H CF3 Pr Me Me Me H H I H H CB Bu-t CI Me Me H H I H H OCHF2 Bu-t OCHF2 Me Me H H I H H CF3 Bu-t F Me Me H H I H H CF3 Bu-t CI Me Me H H I H H CF3 Bu-t F Me Me H H I H H CF3 Bu-t CI Me Me H H H I H H CI Bu-t CF3 Bu-t CI Me Me H H H I H H CI Bu-t CF3 Bu-t CI Me Me H H H I H H CI Bu-t CF3 Bu-t CI Me Me H H H I H H CI Bu-t CF3 Bu-t CI Me Me H H H I H H CI Bu-t CI Bu-t CI Me Me H H H I H H CI Bu-t CI Bu-t CI Me Me H H H I H H CI Bu-t CI Bu-t CI Me Me H H H I H H CI Bu-t CI Bu-t CI Me Me H H H I H H CI Bu-t CI Bu-t CI Me Me H H H I H H CI Bu-t CI Bu-t CI Me Me H H H I H H CI Bu-t CI Bu-t CI Me Me H H H I H H CI Bu-t CI Bu-t CI Me Me H H H I H H CI Bu-t CI Bu-t CI Me Me H H H I H H CI Bu-t CI Bu-t CI Me Me H H H I I H H CI Bu-t CI Bu-t CI Me Me H H H I I H H CI Bu-t CI Bu-t CI Me Me H H H I I H H CI Bu-t CI Bu-t CI Me Me H H H I I H H CI Bu-t CI Bu-t CI Me Me H H H I I H H CI Bu-t CI Bu-t CI Me Me Me H H H I I H H CI Bu-t CI Bu-t CI Me Me Me H H H I I H H CI Bu-t CI Bu-t CI Me Me Me H H H I I H H CI Bu-t CI Bu-t CI Me Me Me H H H I I H H CI		Me	Ме	Н	Н	1	Н	Н	CF ₃	Pr	OCHF ₂
Me Me		Me	Ме	Н	Н	1	H	Н	OCHF ₂	Pr	CF ₃
Me Me H H I H CF ₃ Pr Me Me Me H H I H H Me Pr CF ₃ Me Me Me H H I H H CI Bu-t CI Me Me H H I H H OCHF ₂ Bu-t OCHF ₂ Me Me H H I H H CF ₃ Bu-t F Me Me H H I H H CF ₃ Bu-t CI Me Me Me H H I H H CF ₃ Bu-t CCI Me Me Me H H I H H CF ₃ Bu-t CCI Me Me Me H H I H H CF ₃ Bu-t CCI Me Me Me H H I H H CF ₃ Bu-t CCI Me Me Me H H I H H CF ₃ Bu-t CCI Me Me Me H H I H H CF ₃ Bu-t CCI Me Me Me H H I H H CF ₃ Bu-t CCI Me Me Me H H I H H CF ₃ Bu-t CCI Me Me Me H H I H H CF ₃ Bu-t CCF ₃ Me Me Me H H I H H CCI Bu-t CCF ₃ Me Me Me H H I H H CCF ₃ Bu-t CCF ₃ Me Me Me H H I H H CCF ₃ Bu-t CCF ₃ Me Me Me H H H I H H CCF ₃ Bu-t CCF ₃	40	1		H	Н	1	Н	H	CF₃	İ	CN
Me Me Me H H I H H Cl Bu-t Cl Me Me H H I H H OCHF2 Bu-t Cl Me Me H H I H H OCHF2 Bu-t OCHF2 Me Me H H I H H CF3 Bu-t F Me Me H H I H H CF3 Bu-t Cl Me Me H H I H H CF3 Bu-t Cl Me Me H H H I H H CF3 Bu-t Cl Me Me Me H H I H H CF3 Bu-t Cl Me Me Me H H H I H H CF3 Bu-t Cl Me Me Me H H H I H H CF3 Bu-t Cl Me Me Me H H H I H H CF3 Bu-t CF3 Me Me Me H H H I H H CI Bu-t CF3 Me Me Me H H H I H H CF3 Bu-t OMe		Me	Ме	Н	Н	1	Н	Н	CN	Pr	CF ₃
Me Me Me H H I H CI Bu-t CI Me Me Me H H I H OCHF ₂ Bu-t CI Me Me Me H H I H OCHF ₂ Bu-t OCHF ₂ Me Me H H I H CF ₃ Bu-t F Me Me H H I H CF ₃ Bu-t CI Me Me H H I H CF ₃ Bu-t CI Me Me H H I H CF ₃ Bu-t CI Me Me H H I H CF ₃ Bu-t CI Me Me H H I H CF ₃ Bu-t CI Me Me H H H I H CF ₃ Bu-t CI Me Me H H H I H CF ₃ Bu-t CF ₃ Me Me H H H I H CF ₃ Bu-t OMe		Me	Ме	Н	Н	1	Н	Н	CF ₃	{	
Me Me		Me	Ме	Н	H	1	H	H	Ме	Pr	CF ₃
Me Me H H I H CF ₃ Bu-t OCHF ₂ Me Me H H I H CF ₃ Bu-t H Me Me H H I H CF ₃ Bu-t F Me Me H H I H CF ₃ Bu-t Cl Me Me H H I H CF ₃ Bu-t Cl Me Me H H I H CF ₃ Bu-t Cl Me Me H H I H CF ₃ Bu-t CF ₃ Me Me H H I H CF ₃ Bu-t OMe	45	Me	Ме	Н		- 1	н		i	Bu-t	
Me Me H H I H CF ₃ Bu-t H Me Me H H I H CF ₃ Bu-t F Me Me H H I H CF ₃ Bu-t Cl Me Me H H I H CF ₃ Bu-t Cl Me Me H H I H CF ₃ Bu-t CF ₃ Me Me H H I H CI Bu-t CF ₃ Me Me H H I H CF ₃ Bu-t OMe		1	i l	Н	Н	1	н	Н	OCHF ₂	Bu-t	
Me Me H H I H CF ₃ Bu-t F Me Me H H I H CF ₃ Bu-t Cl Me Me H H I H CF ₃ Bu-t CF ₃ Me Me H H I H CF ₃ Bu-t CF ₃		Me	Ме	Н	н	1	Н	Н	OCHF ₂	Bu-t	OCHF ₂
Me Me H H I H CF ₃ Bu-t Cl Me Me H H I H CF ₃ Bu-t CF ₃ Me Me H H I H CF ₃ Bu-t OMe		1	l .	H		1	Н	Н	CF ₃	Bu-t	
Me Me H H I H Cl Bu-t CF ₃ Me Me H H I H CF ₃ Bu-t OMe	50	Me	Ме	Н	Н	1	Н	Н	CF₃	Bu-t	F
Me Me H H I H CF ₃ Bu-t OMe			·	н	н	1	н	Н	CF ₃	Bu-t	CI
		1		Н	н	1	н	Н	CI	Bu-t	CF ₃
ON Me Me H H I H OMe Bu-t CF ₃				Н	н	1	н	H	CF ₃		
	55	Me	Ме	Н	H	1	Н	H	ОМе	Bu-t	CF₃

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	Me	Me	Н	Н	1	Н	Н	CF₃	Bu-t	OEt
5	Me	Me	Н	Н	1	Н	Н	OEt	Bu-t	CF ₃
	Me	Me	Н	н	1	н	Н	CF₃	Bu-t	OCHF ₂
	Me	Me	Н	Н	1	н	Н	CF ₃	Bu-t	CN
	Me	Me	Н	н	1	н	Н	CF₃	Bu-t	Me
10	Me	Me	Н	н	1	H	Н	Ме	Bu-t	CF ₃
	Ме	Me	Н	н	1	Н	Н	CF ₃	Bu-s	CI
	Me	Me	H	Н	1	Н	Н	CI	Bu-s	CF ₃
15	Me	Me _x	Н.	Н	.1.	Н	Н	CF₃	Bu-i	Cl.,
15	Me	Me	H	н	1	Н	H ·	CI	Bu-i	CF ₃
	Me	Me	Н	Н	1	Н	Н	CF ₃	Bu	Cl
	Me	Me	Н	н	1	Н	H	CI	Bu	CF ₃
20	Me	Me	Н	н	1	Н	Н	CF ₃	1-Methylbutyl	CI
	Me	Me	Н	н	1	Н	Н	Cl	1-Methylbutyl	CF ₃
	Me	Me	Н	н	1	Н	Н	CF ₃	1-Ethylpropyl	CI
	Me	Me	Н	Н	1	Н	Н	Cl	1-Ethylpropyl	CF ₃
25	Me	Ме	Н	Н	1	H	Н	CF ₃	1-Pentyl	Cl
	Me	Ме	Н	н	1	Н	Н	CI	1-Pentyl	CF ₃
	Me	Ме	H	Н	1	Н	Н	CF ₃	1-Methylpentyl	CI
30	Me	Me	Н	Н	1	Н	Н	CI	1-Methylpentyl	CF ₃
00	Me	Me	Н	н	1 -	Н	Н	CF ₃	2-Ethylbutyl	CI
	Me	Me	Н	Н	1	Н	н	CI	2-Ethylbutyl	CF ₃
	Me	Ме	Н	Н	1	Н	Н	CF ₃	3,3-Dimethylbutyl	CI
35	Me	Me	Н	Н	1	Н	Н	CI	3,3-Dimethylbutyl	CF ₃
	Me	Me	Н	Н	1	Н	Н	CF ₃	1-Hexyl	Cl
	Me	Me	H	Н	1	Н	H	CI	1-Hexyl	CF ₃
	Me	Ме	Н	H	1	Н	Н	CF ₃	1-Heptyl	Cl
40	Me	Ме	Н	Н	1	Н	Н	Cl	1-Heptyl	CF ₃
	Me	Me	Н	Н	1	Н	Н	CF ₃	1-Octyl	CI
	Me	Me	Н	Н	1	Н	Н	CI	1-Octyl	CF ₃
45	Me	Me	н	Н	1	Н	Н	CF ₃	CH₂Ph	Cl
	Me	Me	Н	Н	1	Н	Н	CI	CH ₂ Ph	CF ₃
	Ме	Me	Н	Н	1	Н	Н	CF ₃	Pr-c	CI
	Me	Me	Н	н	1	Н	Н	CF ₃	Pen-c	Cl
50	Me	Me	Н	Н	1	Н	Н	Cl	Pen-c	CF ₃
	Me	Me	Н	Н	1	Н	Н	CF ₃	Нех-с	CI
	Me	Me =	н	н	1	Н	н	CI	Hex-c	CF ₃
	Me	Me	Н	н	1	Н	Н	Cl	CH ₂ Pr-c	CI
55	Me	Me	Н	Н	1	Н	Н	OCHF ₂	CH ₂ Pr-c	CI

		l	1	 	. 1	!		la	CV D	locus
_	Me	1	Н	Н	1	Н	Н	CI	CH ₂ Pr-c	OCHF ₂
5	Me	1 1	Н	Н	1	Н	H	OCHF ₂	CH₂Pr-c	OCHF ₂
	Me	i i	н	Н	1	H	H	CF ₃	CH ₂ Pr-c	F
	Me	1 1	Н	Н	1	H		F	CH₂Pr-c	CF ₃
10	Me	l I	Н	H	1	Н	Н	CF ₃	CH ₂ Pr-c	CI
	Me	1 1	Н	Н	1	н	н	Cl	CH₂Pr-c	CF ₃
	Me	}	Н	Н	1	Н		CF ₃	CH₂Pr-c	CN
	Me		Н	Н	1	Н	H	CF ₃	CH ₂ Pr-c	OH
15	Me		Н	Н	1	H	H	CF ₃	CH₂Pr-c	OMe
	Me]]	Н	Н	1	H	Н	ОМе	CH₂Pr-c	CF ₃
	Me	1	Н	Н	1	H	Н	CF ₃	CH₂Pr-c	OEt
	Me	j j	Н	Н	1	H	H	OEt	CH₂Pr-c	CF ₃
20	Me	1	H !	H	1	Н	Н	CF ₃	CH ₂ Pr-c	OPr-i
	Me	1 1	H	H	1	H	Н	CF ₃	CH ₂ Pr-c	OPr
	Me		Н	H	1	H	H	CF ₃	CH₂Pr-c	OBu-t
25	Me	{	Н	Н	1	H	H	CF ₃	CH₂Pr-c	OCH ₂ Pr-c
	Me	1	Н	Н	1	H	Н	CF ₃	CH₂Pr-c	OCH ₂ Bu-c
	Me]	H	Н	1	Н	Н	CF ₃	CH₂Pr-c	OPen-c
	Me		Н	Н	1	Н	Н	CF ₃	CH₂Pr-c	OCHF ₂
30	Me		Н	Н	1	H	Н	OCHF ₂	CH ₂ Pr-c	CF ₃
	Me		Н	Н	1	H	Н	CF ₃	CH₂Pr-c	CN
	Me	Ме	Н	Н	1	Н	H	CN	CH₂Pr-c	CF ₃
	Me	Ме	Н	Н	1	Н	H	CF ₃	CH₂Pr-c	Me
35	1	Ме	Н	Н	1	H	Н	Ме	CH ₂ Pr-c	CF ₃
	Me	Me	Н	Н	1	Н	Н	CF ₃	1-cyclopropylethyl	CI
	Me	Ме	H	Н	1	Н	Н	C1	1-cyclopropylethyl	CF ₃
40	1	Me	Н	H	1	H	H	CF ₃	CH ₂ (2-Methyl-cyclopropyl)	CI .
	Me	Ме	Н	Н	1	Н	Н	CI	CH ₂ (2-Methyl-cyclopropyl)	CF ₃
	Me	Ме	Н	н	1	Н	H	CF ₃	CH ₂ (2,2-Dimethyl- cyclopropyl)	CI
	Me	Ме	н	н	1	Н	Н	Ci	CH ₂ (2,2-Dimethyl- cyclopropyl)	CF ₃
45	Me	Me	н	н	1	Н	Н	CF ₃	CH ₂ (2-Chloro-cyclopropyl)	CI
	Me	Me	н	н	1	H ·	Н	Ci	CH ₂ (2-Chloro-cyclopropyl)	CF ₃
	Me	Ме	н	н	1	н	н	CF ₃	CH ₂ (2,2-Dichloro-	CI
									cyclopropyl) CH ₂ (2,2-Dichloro-	
50		Me	Н	H	1	Н	Н	Cl	cyclopropyl)	CF ₃
		Me	Н	Н	1	H	H	CF ₃	CH ₂ (2-Fluoro-cyclopropyl)	CI
	1	Me	Н	Н	1	H	Н	Ci	CH ₂ (2-Fluoro-cyclopropyl) CH ₂ (2,2-Difluoro-	CF ₃
55	Me	Me	Η	H	1	Н	Н	CF ₃	cyclopropyl)	CI
	Me	Ме	Н	н	1	н	Н	Cı	CH ₂ (2,2-Difluoro- cyclopropyl)	CF ₃
	•	, ,		'	ſ	r		1		1

	Me	Ме	Н	н	1	н	Н	CF ₃	CH ₂ Bu-c	cı
	Me	Me	Н	н	1	н	Н	CI	CH₂Bu-c	CF ₃
5	Мe	Me	Н	Н	}	н	Н	CF ₃	CH ₂ Pen-c	CI
	Me	Me	н	Н	1	н	Н	CI	CH₂Pen-c	CF ₃
	Me	Me	Н	Н	1	н	Н	CF₃	CH ₂ Hex-c	cı
10	Me	Me	Н	Н	1	Н	Н	CI	CH ₂ Hex-c	CF ₃
	Me	Me	Н	Н	1	н	Н	CF₃	CH₂CH₂Pr-c	CI
	Me	Ме	Н	н	1	н	Н	CI	CH₂CH₂Pr-c	CF ₃
	Me	Me	7 H -6	Н	1	н	Н	CF₃	CH2CH=CH2	cı
15	Me	Me	Н	н	1	н	Н	CI	CH2CH=CH2	CF ₃
	Me	Me	Н	н	1	Н	Н	CF ₃	CH₂CH=CHCl	CI
	Me	Me	Н	н	1	н	Н	CI	CH₂CH=CHCI	CF ₃
20	Me	Me	Н	н	1	H	Н	CI	CH ₂ C≡CH	CI
20	Me	Me	н	н	1	н	Н	OCHF ₂	CH ₂ C≅CH	CI
	Me	Me	н	Н	1	Н	Н	CI	CH ₂ C≡CH	OCHF ₂
	Me	Ме	H	н	1	Н	Н	OCHF ₂	CH ₂ C≡CH	OCHF ₂
25	Me	Me	H	н	1	Н	Н	CF ₃	CH ₂ C≅CH	F
	Me	Me	Н	н	1	н	H	F	CH ₂ C≡CH	CF ₃
	Me	Me	H	Н	1	н	Н	CF ₃	CH ₂ C≡CH	CI
	Me	Me	н	н	1	н	н	CI	CH ₂ C≡CH	CF ₃
30	Мe	Me	Н	Н	1	Н	Н	CF ₃	CH ₂ C≡CH	OMe
	Me	Me	Н	н	1	H	Н	ОМе	CH ₂ C≡CH	CF ₃
	Me	Me	H	Н	1	Н	Н	CF ₃	CH₂C≡CH	OEt
35	Me	Me	Н	Н	1	Н	Н	OEt	CH ₂ C≡CH	CF ₃
	Me	Ме	Н	Н	1	Н	Н	CF ₃	CH ₂ C≡CH	OCHF ₂
	Me	Me	Н	Н	1	Н	Н	OCHF ₂	CH ₂ C≡CH	CF ₃
	Me	Ме	H	Н	1	H	Н	CF ₃	CH ₂ C≡CH	CN
40	Me	Me	Н	Н	1	Н	H	CN	CH ₂ C≡CH	CF ₃
	Me	Me	Н	Н	1	Н	H	CF ₃	CH ₂ C≡CH	Me
	Me	Ме	Н	Н	1	Н	Н	Ме	CH ₂ C≡CH	CF ₃
45	Me	Ме	H	Н	1	Н	Н	CF ₃	CHMeC≡CH	Cl
40	Me	Ме	Н	Н	1	Н	Н	CI	CHMeC ≡ CH	CF ₃
	Me	Me	Н	Н	1	Н	Н	CF ₃	$CH_2C \equiv CMe$	Cl
	Me	Ме	Н	Н	1	н	H	CI	CH ₂ C≡CMe	CF ₃
50		Ме	H	Н		Н	H	CI	CHF₂	CI
		Me	H	Н		Н	H	OCHF ₂	CHF ₂	Cl
	1	Ме. п.	".H	Н		H		CI	CHF ₂	OCHF ₂
	}	Ме	H	Н	1	Н	H	OCHF ₂	CHF ₂	OCHF ₂
55	1	Me	H	Н		H		CF ₃	CHF ₂	CI
	Me	Me	H	Н	1	Н	H	CI	CHF ₂	CF₃

	Me	Me	н	Н	1	н	Н	CF ₃	CHF₂	F
5	Ме	Ме	Н	н	1	н	Н	F	CHF₂	CF ₃
	Me	Me	Н	Н	1	Н	H	CF₃	CHF₂	ОМе
	Me	Ме	н	н	1	н	H	ОМе	CHF ₂	CF ₃
	Ме	Me	Н	Н	1	Н	Н	CF₃	CHF₂	OEt
10	Ме	Ме	Н	H	1	Н	Н	OEt	CHF₂	CF ₃
	Me	Ме	нİ	Н	1	н	Н	CF₃	CHF₂	OCHF ₂
	Me	Me	н	н	1	Н	Н	OCHF₂	CHF₂	CF ₃
15	Me	Ме	н	н	1	н	Н	CF ₃	CHF ₂	CN
15	Me	Ме	н	н	1	н	Н	CN	CHF ₂	CF ₃
	Me	Me	н	н	1	н	Н	CF₃	CHF ₂	Me
	Ме	Me	н	н	1	н	H	Ме	CHF ₂	CF ₃
20	Me	Ме	Н	Н	1	н	Н	Ме	CHF₂	CI
	Me	Me	Н	н	1	Н	Н	CI	CHF ₂	Me
	Me	Me	н	Н	1	н	Н	Et	CHF ₂	CI
	Ме	Ме	н	н	1	н	Н	CI	CHF ₂	Et
25	Ме	Me	н	н	1	н	Н	CF₃	CH₂CHF₂	CI
	Me	Ме	н	н	1	н	Н	CI	CH₂CHF₂	CF ₃
	Me	Ме	н	Н	1	н	Н	CF₃	CH₂CF₃	CI
30	Me	Ме	н	н	1	н	Н	CI	CH₂CF₃	CF ₃
00	Ме	Me	Н	Н	1	н	Н	CF ₃	СН₂ОН	CI
	Me	Me	н	н	1	н	Н	Cı	СН₂ОН	CF ₃
	Ме	Ме	Н	н	1	н	H	CI	CH₂OMe	CI
35	Me	Ме	н	н	1	н	Н	OCHF ₂	CH₂OMe	CI
	Me	Ме	Н	н	1	Н	Н	Cl	CH₂OMe	OCHF ₂
	Ме	Me	Н	Н	1	н	H	OCHF ₂	CH₂OMe	OCHF ₂
	Me	Me	H	Н	1	н	H	CF ₃	CH₂OMe	F
40	Me	Me	Н	Н	1	н	Н	F	CH₂OMe	CF ₃
	Me	Me	Н	н	1	н	Н	CF ₃	CH ₂ OMe	a
	Me	Ме	Н	Н	1	н	H	CI	CH ₂ OMe	CF ₃
45	Me	Me	Н	Н	1	н	H	CF₃	CH ₂ OMe	ОМе
	Me	Me	Н	Н	1	Н	Н	ОМе	CH₂OMe	CF ₃
	Ме	Me	H	Н	1	Н	Н	CF₃	CH ₂ OMe	OEt
	Me	Ме	Н	н	1	н	Н	OEt	CH₂OMe	CF ₃
50	Me	Me	Н	Ħ	I	Н	H	CF ₃	CH ₂ OMe	OCHF ₂
	Me	Me	н	н	1	н	H	OCHF₂	CH₂OMe	CF ₃
	Me	Ме	H	н	1	н	H.	CF ₃	CH ₂ OMe	CN .
	Me	Me	н	Н	1	н	H	CN	CH₂OMe	CF ₃
55	Me	Me	Н	н	1	н	H	CF ₃	CH₂OMe	Ме

			,					1	I	1
	Me	Me	Н	Н	1	Н	Н	Ме	CH ₂ OMe	CF ₃
5	Me	Ме	Н	Н	1	н	Н	CF₃	CH₂OEt	CI
	Me	Me	Н	Н	1	Н	H	CI	CH ₂ OEt	CF ₃
	Me	Me	н	н	1	Н	Н	CF ₃	CH₂CH₂OH	CI
	Me	Me	н	н	1	Н	H	CI	CH₂CH₂OH	CF ₃
10	Me	Ме	н	Н	1	Н	Н	CF ₃	CH₂CH₂OMe	CI
	Me	Ме	н	н	1	Н	H	CI	CH₂CH₂OMe	CF ₃
	Me	Ме	н	н	1	Н	Н	CF ₃	CH₂CH₂OEt	Cl ·
	Me	Ме	н	н	1	Н -	Н	CI	CH₂CH₂OEt	CF3ex
15	Me	Me	н	н	1	н	Н	CF ₃	CH ₂ NHMe	CI
	Me	Ме	H	Н	1	Н	Н	CI	CH ₂ NHMe	CF ₃
	Ме	Ме	Н	Н	1	Н	H	CF ₃	CH ₂ N(Me) ₂	CI
20	Me	Ме	Н	Н	1	Н	H	CI	CH ₂ N(Me) ₂	CF ₃
	Me	Ме	Н	Н	1	Н	H	CF ₃	CH ₂ N(Me)C(=O)Me	CI CI
	Me	Me	Н	Н	1	н	H	Cl	CH ₂ N(Me)C(=O)Me	CF ₃
	Me	Ме	Н	н	1	Н	Н	CF ₃	CH ₂ N(Me)C(=O)CF ₃	Cl
25	Me	Me	Н	н	1	н	H	CI	CH2N(Me)C(=O)CF ₃	CF ₃
	Me	Ме	Н	н	1	Н	Н	CF ₃	CH ₂ N(Me)SO ₂ Me	CI
	Me	Me	Н	Н	1	Н	H	CI	CH ₂ N(Me)SO ₂ Me	CF ₃
30	Me	Ме	Н	н	1	Н	Н	CF ₃	CH ₂ N(Me)SO ₂ CHF ₂	Cı
00	Me	Me	Н	н	1	Н	Н	CI	CH ₂ N(Me)SO ₂ CHF ₂	CF ₃
	Me	Me	Н	н	1	н	Н	CF ₃	CH ₂ N(Me)SO ₂ CF ₃	Cı
	Me	Me	H	н	1	Н	Н	CI	CH ₂ N(Me)SO ₂ CF ₃	CF ₃
35	Me	Ме	Н	н	1	Н	Н	CF ₃	CH₂SMe	CI
	Me	Me	Н	Н	1	Н	Н	Cl	CH ₂ SMe	CF ₃
	Me	Me	Н	Н	1	Н	Н	CF ₃	CH ₂ SO ₂ Me	Cl
	Me	Ме	H	н	1	Н	H	CI	CH ₂ SO ₂ Me	CF ₃
40	Me	Ме	Н	Н	1	Н	Н	CF ₃	CH₂CH₂SMe	CI
	Me	Me	Н	Н	1	Н	Н	CI	CH ₂ CH ₂ SMe	CF ₃
	Me	Me	Н	Н	1	Н	H	CF ₃	CH ₂ CH ₂ SO ₂ Me	CI
45	Me	Me	Н	н	i	Н	Н	Cl	CH ₂ CH ₂ SO ₂ Me	CF ₃
	Me	Ме	Н	Н	1	H	Н	CF ₃	CH₂CN	CI
	Me	Me	Н	Н	1	Н	Н	Cl	CH₂CN	CF ₃
	Me	Me	Н	Н	1	Н	H	CF ₃	CH ₂ C(=O)OMe	CI
50	Ме	Me	H	Н	1	Н	н	Cl	CH ₂ C(=0)OMe	CF ₃
	Ме	Me	Н	Н	1	н	Н	CF ₃	CH ₂ C(=0)OEt	CI
	Me	Mé ^m	Н	Н	1	Н	н	Cl	CH ₂ C(=0)OEt	CF3
	Me	Me	н	Н	1	н	н	CF ₃	CH(Me)C(=O)OMe	CI
55	Me	Me	н	Н	1	н	н	CI	CH(Me)C(=O)OMe	CF ₃
		•				-		-		

	Ме Ме	н	н	1	н	Н	CF ₃	C(Me) ₂ C(=O)OMe	CI
5	Ме Ме	н	н	1	н	н	Cı	C(Me)₂C(≈O)OMe	CF ₃
	Ме Ме	Н	н	1	Н	Н	CF ₃	CH ₂ C(=0)NH ₂	CI
	Ме Ме	Н	Н	1	н	Н	Cı	CH ₂ C(=O)NH ₂	CF ₃
	Me Me	н	Н	1	н	Н	CF ₃	CH ₂ C(=O)NHMe	CI
10	Ме Ме	Н	Н	1	Н	Н	CI	CH ₂ C(=O)NHMe	CF ₃
	Ме Ме	Н	н	1	н	н	CF₃	CH ₂ C(=O)N(Me) ₂	CI
	Ме Ме	Н	Н	1	н	H	CI	CH ₂ C(=O)N(Me) ₂	CF ₃
15	Ме Ме	Н	н	1	Н	Н	CF ₃	CH ₂ C(=O)Me	CI
70	Ме Ме	Н	Н	1	Н	Н	Cı	CH ₂ C(=O)Me	CF ₃
	Ме Ме	н	Н	1	· H	H	CF ₃	CH ₂ C(=NOMe)Me	CI
	Ме Ме	Н	Н	1	Н	Н	CI	CH₂C(=NOMe)Me	CF ₃
20	Ме Ме	Н	Н	1	Н	Н	CF ₃	CH ₂ C(=O)CF ₃	CI
	Ме Ме	н	Н	1	н	Н	Cl	CH ₂ C(=O)CF ₃	CF ₃
	Ме Ме	Н	Н	1	Н	Н	CF ₃	CH ₂ CH ₂ C(=O)Me	CI
	Ме Ме	н	Н	1	Н	H	CI	CH ₂ CH ₂ C(=O)Me	CF ₃
25	Ме Ме	Н	Н	1	Н	н	Me	Ph	Ме
	Ме Ме	Н	Н	1	Н	Н	Ме	Ph	CI
	Ме Ме	Н	Н	1	Н	н	Et	Ph	CI
30	Ме Ме	н	Н	1	Н	Н	Pr	Ph	CI
	Me Me	Н	Н	1	Н	н	Pr-i	Ph	CI
	Ме Ме	Н	Н	1	н	Н	Bu-t	Ph	CI .
	Ме Ме	н	H.	1	Н	Н	CH ₂ OMe	Ph	CI
35	Ме Ме	Н	Н	1	Н	Н	CI	Ph	CI
	Me Me	н	н	1	Н	н	OCHF ₂	Ph	cı .
	Ме Ме	н	Н	1	Н	Н	OCHF ₂	Ph	OCHF ₂
40	Me Me	H.	н	1	Н	Н	CHF ₂	Ph	CI
40	Ме Ме	н	Н	1	Н	Н	CF ₃	Ph	н
	Ме Ме	Н	H	1	Н	Н	CF ₃	Ph	Ме
	Ме Ме	Н	Н	1	Н	Н	Ме	Ph	CF ₃
45	Ме Ме	н	Н	1	Н	н	CF ₃	Ph	Et
	Me Me	Н	Н	1	Н	Н	CF ₃	Ph	Pr-i
	Me Me	Н	Н	1	Н	Н	CF ₃	Ph	CHF ₂
	Ме Ме	н	Н	1	Н	Н	CF ₃	Ph	CF ₃
50	Me Me	Н	Н	1	Н	Н	CF ₃	Ph	F
	Ме Ме	Н	Н	1	Н	н	CF ₃	Ph	CI
	Me Me	н	Н	1	H	Н	Cı	Ph	CF ₃
<i>EE</i>	Ме Ме	H	Н	1	Н	Н	CF ₃	Ph	ОН
55	Me Me	н	н	1	н	н	он	Ph	CF ₃
	•	•	•	•	•		•		

5 Me Me H H 1 H	- 1
Me Me H H I H	
Me Me Me H H I H CF ₃ Ph OPr-i Me Me H H I H CF ₃ Ph OPr Me Me H H I H CF ₃ Ph OBu-t Me Me H H I H CF ₃ Ph OCH ₂ Pr-c Me Me H H I H CF ₃ Ph OCH ₂ C≡CH	
10 Me Me H H I H CF3 Ph OPr Me Me H H I H CF3 Ph OBu-t Me Me H H I H CF3 Ph OCH₂Pr-c Me Me H H I H CF3 Ph OCH₂C≡CH	
Me Me H H 1 H H CF3 Ph OBu-t Me Me H H H H H H CF3 Ph OCH2Pr-c Me Me H H H H CF3 Ph OCH2CH=CH2 Me Me H H H H H CF3 Ph OCH2C=CH	
$ \begin{array}{c ccccccccccccccccccccccccccccccccccc$	
Me Me Me H H I H CF ₃ Ph GCH ₂ CH=CH ₂ Me Me Me H H I H CF ₃ Ph OCH ₂ C=CH	
Me Me H H 1 H CF ₃ Ph OCH ₂ C \equiv CH	
$\begin{array}{c ccccccccccccccccccccccccccccccccccc$	
Me Me H H H H CE Ph	
Me Me H H I H CF ₃ Ph OCHF ₂	
Me Me H H 1 H OCHF ₂ Ph CF ₃	
Me Me H H I H CF ₃ Ph OCH ₂ CHF ₂	
Me Me H H 1 H CF ₃ Ph OCH ₂ CF ₃	
Me Me $\begin{array}{ c c c c c c c c c c c c c c c c c c c$	
Me Me H H I H CF ₃ Ph OCH(Me)C(=O)OMe	
25 Me Me H H 1 H CF ₃ Ph OC(Me) ₂ C(=O)OMe	
Me Me H H I H CF ₃ Ph OC(=O)Me	
Me Me H H 1 H CF ₃ Ph OC(=O)Et	
Me Me H H 1 H CF ₃ Ph OC(=O)CH ₂ Ph	
30 Me Me H H 1 H CF ₃ Ph OC(=O)CF ₃	
Me Me H H 1 H CF ₃ Ph OC(=O)Ph	
Me Me H H I H CF ₃ Ph OSO ₂ Me	
35 Me Me H H 1 H CF ₃ Ph OSO ₂ Et	
Me Me H H I H CF ₃ Ph OSO ₂ CH ₂ Ph	
Me Me H H 1 H CF ₃ Ph OSO ₂ CF ₃	
Me Me H H I H CF ₃ Ph OSO ₂ Ph	
40 Me Me H H I H CF, Ph SMe	
Me Me H H I H CF3 Ph SO ₂ Me	1
Me Me H H I H CF ₃ Ph SEt	
Me Me H H I H CF ₃ Ph SO ₂ Et	
Me Me H H I H CF ₃ Ph SPr-i	
Me Me H H I H CF, Ph SO ₂ Pr-i	
Me Me H H 1 H CF ₃ Ph SPr	
Me Me H H I H CF ₃ Ph SO ₂ Pr	
Me Me H H I H CF ₃ Ph SBu-t	
Me Me H H 1 H CF ₃ Pb. SO ₂ Bu-t	1
Me Me H H I H CF ₃ Ph SCHF ₂	
55 Me Me H H H CF ₃ Ph SO ₂ CHF ₂	

	Me Me	Н	н	1	н	Н	CF ₃	Ph	NH ₂
5	Me Me	Н	Н	1	н	Н	CF ₃	Ph	NHMe
Ü	Me Me	Н	Н	1	Н	Н	CF ₃	Ph	N(Me) ₂
	Me Me	Н	н	1	н	Н	CF ₃	Ph	NHC(=O)Me
	Ме Ме	Н	н	1	Н	Н	CF₃	Ph	N(Me)C(=O)Me
10	Ме Ме	Н	Н	1	Н	Н	CF ₃	Ph	NHSO₂Me
	Ме Ме	Н	н	1	н	Н	CF₃	Ph	N(Me)SO ₂ Me
	Ме Ме	Н	н	1	Н	Н	CF ₃	Ph	NHSO ₂ CF ₃
	Ме Ме	H	н	ì	н	Ĥ	CF₃	Ph	N(Me)SO ₂ CF ₃
15	Me Me	Н	Н	1	Н	Н	CF ₃	Ph	NHPh
	Ме Ме	н	н	1	н	Н	CF ₃	Ph	N(Me)Ph
	Ме Ме	Н	н	1	Н	Н	CF ₃	Ph	CN
20	Ме Ме	Н	н	1	Н	Н	CF ₃	Ph	C(=O)Me
20	Me Me	Н	н	1	Н	Н	CF ₃	Ph	C(=O)OMe
	Ме Ме	Н	н	1	Н	н	CF ₃	Ph	C(=O)NH ₂
	Me Me	Н	н	1	Н	Н	CF ₃	Ph	C(=O)NHMe
25	Ме Ме	Н	н	1	Н	Н	CF ₃	Ph	C(=O)N(Me) ₂
	Ме Ме	Н	н	1	H	Н	CF ₃	Ph	lmidazol-1-yl
	Ме Ме	н	н	1	н	н	CF ₃	Ph	Pyrazol-1-yl
	Ме Ме	Н	Н	1	Н	Н	CF ₃	Ph	1,2,4-Triazol-1-yl
30	Ме Ме	Н	н	1	Н	н	CF ₃	Ph	1,2,4-Triazol-4-yl
	Me Me	Н	н	1	Н	н	CF₃	Ph	Tetrazol-1-yl
	Me Me	H	Н	1	H	н	CF ₃	Ph	Tetrazol-5-yi
0.5	Me Me	Н	н	1	Н	н	CF ₃	Ph	(4,6-Dimethoxypyrimidin-2- yl)oxy
35	Me Me	Н	н	1	Н	н	CF ₃	Ph	(4,6-Dimethoxypyrimidin-2- yl)sulfonyl
	Ме Ме	Н	н	1	Н	Н	CF ₂ CF ₃	Ph	CI
	Me Me	Н	н	1	Н	Н	CF ₃	(2-Cl)Ph	CI
40	Ме Ме	Н	н	1	Н	н	CF ₃	(2-F)Ph	CI
	Me Me	Н	н	1	Н	Н	CF ₃	(2-OMe)Ph	CI
	Ме Ме	Н	н	1	Н	н	CF ₃	(2-Me)Ph	CI
45	Me Me	Н	Н	1	H	Н	CF ₃	(2-NO ₂)Ph	CI
70	Me Me	Н	Н	1	Н	н	CF ₃	(2-CN)Ph	Cı
	Me Me	Н	Н	1	Н	н	CF ₃	(2-C(=O)Me)Ph	CI
	Ме Ме	Н	Н	1	Н	Н	CF ₃	(2-C(=O)OMe)Ph	CI
50	Ме Ме	Н	н	1	H	Н	CF ₃	(2-C(=O)OEt)Ph	CI
	Me Me	×.H.	·H·	· Þ=	Н	Н	CF ₃	(2-C(=O)OPr-i)Ph	cı 🛵
	Me Me	н	н	1	н	н	CF ₃	(2-C(=0)NH ₂)Ph	CI
	Me Me	Н	Н	1	Н	н	CF ₃	(2-C(=0)NHMe)Ph	CI
55	Me Me	Н	Н	1	Н	Н	CF ₃	(2-C(=O)NMe ₂)Ph	CI

Me Me H H I H CF ₃ (3-Cl)Ph Cl Me Me H H I H CF ₃ (3-F)Ph Cl Me Me H H I H CF ₃ (3-OMe)Ph Cl Me Me H H I H CF ₃ (3-OMe)Ph Cl Me Me H H I H CF ₃ (3-NO ₂)Ph Cl	
Me Me H H I H CF ₃ (3-OMe)Ph Cl Me Me H H I H CF ₃ (3-Me)Ph Cl	
$ \begin{array}{c ccccccccccccccccccccccccccccccccccc$	
Me Me H H I H CF, (3-NO ₂)Ph CI	
[
10 Me Me H H I H CF ₃ (3-CN)Ph CI	i
Me Me H H 1 H CF ₃ (3-C(=O)Me)Ph Cl	
Me Me H H 1 H CF ₃ (3-C(=O)OMe)Ph Cl	
Me Me H H 1 H CF3 (3°C(=O)OEt)Ph Cl	<u>ه</u>
15 Me Me H H l H CF ₃ (3-C(=O)OPr-i)Ph Cl	
Me Me H H 1 H CF ₃ (3-C(=O)NH ₂)Ph CI	
Me Me H H 1 H CF ₃ (3-C(=O)NHMe)Ph CI	
20 Me Me H H 1 H CF ₃ (3-C(=O)NMe ₂)Ph Cl	
Me Me H H 1 H CF ₃ (4-Cl)Ph Cl	-
Me Me H H 1 H CF ₃ (4-F)Ph Cl	
Me Me H H l H CF ₃ (4-OMe)Ph Cl	
25 Me Me H H 1 H CF ₃ (4-Me)Ph Cl	
Me Me $\begin{array}{ c c c c c c c c c c c c c c c c c c c$	
Me Me H H 1 H CF ₃ (4-CN)Ph Cl	
Me Me $\mid H \mid 1 \mid H \mid CF_3 \qquad (4-C(=O)Me)Ph \qquad CI$	
30 Me Me H H 1 H CF ₃ (4-C(=O)OMe)Ph Cl	
Me Me H H I H CF ₃ (4-C(=O)OEt)Ph CI	
Me Me H H I H CF ₃ (4-C(=O)OPr-i)Ph Cl	
Me Me H H I H CF ₃ (4-C(=O)NH ₂)Ph CI	
Me Me H H I H CF ₃ (4-C(=O)NHMe)Ph CI	
$\begin{array}{ c c c c c c c c c c c c c c c c c c c$	
Me Me H H I H CF ₃ Pyrmidin-2-yl Cl	-
Me Me H H I H CF ₃ 4,6-Dimethoxypyrmidin-2-yl Cl	
Me Me H H I H CF ₃ Thiophen-2-yl Cl	
Me Me H H I H CF ₃ Furan-2-yl Cl	
Me Me H H I H CF ₃ SO ₂ Me CI	
Me Me H H I H CF ₃ SO ₂ Et CI	
Me Me H H I H CF ₃ SO ₂ Pr-i Cl	
Me Me H H I H CF ₃ SO ₂ CH ₂ Ph CI	
Me Me H H I H CF ₃ SO ₂ CHF ₂ CI	
Me Me H H I H H CF ₃ SO ₂ Ph CI	
Me Me H H I H CF ₃ C(=O)Me CI	
Me Me H H I H CF ₃ C(=0)Et C1	

		1			i i			1	
	Me Me	Н	Н	1	Н	Н	CF ₃	C(=O)Pr-i	CI
5	Me Me	Н	Н	1	Н	Н	CF ₃	C(=O)Bu-t	CI
	Me Me	Н	Н	1	Н	Н	CF ₃	C(=O)Ph	CI
	Me Me	н	Н	1	Н	H	CF₃	C(=O)CH ₂ Ph	Cl
	Me Me	н	Н	1	Н	Н	CF ₃	C(=0)CH ₂ Cl	CI
10	Ме Ме	H	Н	1	Н	Н	CF ₃	C(=O)CHCl ₂	CI
	Me Me	Н	Н	1	Н	Н	CF ₃	C(=0)CF ₃	CI
	Me Me	Н	Н	1	Н	н	CF ₃	C(=O)OMe	CI .
	Me Me	Н	Н	1	H	Н	CF ₃	C(=O)OPh	CI
15	Me Me	н	Н	1	Н	Н	CF ₃	C(=O)OCH ₂ Ph	CI
	Me Me	н	Н	1	Н	н	CF₃	C(=0)NHMe	cı
	Ме Ме	н	н	1	Н	Н	CF₃	C(=0)N(Me) ₂	CI
20	Me Me	н	Н	1	Н	Н	CF₃	C(=0)NHPh	CI
	Me Me	н	н	1	Н	H	CF ₃	NH ₂	Cl
	Ме Ме	н	н	1	Н	н	CI		-(CH ₂) ₂ O-
	Me Me	н	н	1	Н	Н	CI		-(CH ₂) ₃ O-
25	Ме Ме	н	Н	1	Н	н	CI		-(CH ₂)₃S-
	Ме Ме	н	Н	1	Н	н	CI	-((CH ₂) ₃ SO ₂ -
	Ме Ме	н	Н	1	Н	н	CF₃		-(CH ₂) ₂ O-
	Me Me	Н	Н	1	н	н	CF ₃		-(CH ₂) ₃ O-
30	Me Me	Н	Н	1	H	Н	CF ₃		-(CH ₂) ₃ S-
	Ме Ме	Н	н	1	Н	н	CF ₃		(CH ₂) ₃ SO ₂ -
	Me Me	н	Н	1	Н	н	ОМе		-(CH ₂) ₄ -
35	Ме Ме	Н	Н	1	Н	Н	OCHF ₂		-(CH ₂) ₄ -
	нн	н	н	1	Н	Н	CF ₃	Ме	CI
	Me H	н	Н	1	Н	Н	CF₃	Ме	CI
	Me H	Me	Н	1	н	н	CF₃	Me	CI
40	Me Me	Me	Н	1	Н	н	CF₃	Ме	CI
	Ме Ме	н	н	1	Me	н	CF₃	Ме	CI
	Ме Ме	Н	Н	1	Et	Н	CF₃	Ме	CI
	Ме Ме	н	Н	1	Pr-i	н	CF ₃	Ме	CI
45	Me Me	н	Н	1	Me	Me	CF ₃	Ме	CI
	Me Et	н	Н	1	Н	н	CF₃	Ме	CI
	Et Et	н	н	1	н	н	CF₃	Ме	CI
50	Me Pr-i	Н	н	1	н	н	CF ₃	Ме	CI
υv	Me Pr	н	H	1	Н	H	CF₃	Ме	CI
	Me Pr-c	н	Н	1	н	н	CF ₃	Ме	CI
	1		ш	1	Н	Н	CF ₃	Ме	CI
	Me CH₂Pr-c	H	111	١ ٠			1 -	į –	1

	-(CF	H ₂) ₃ - H	Н	1	н	Н	CF ₃	Ме	lcı
	1						CF ₃	Me	Cl
5	-(CF		1	1	H H		CF ₃	Me	CI
	-(Cl-		H	1	Н		CF ₃	Me	CI
	H	-(CH ₂) ₃ -	- 1	1	- 1		CF ₃	Me	CI
40	H	-(CH ₂) ₄ -	H	1	H		CF ₃	Me	a
10	H	-(CH ₂) ₅ -	H	1	Н	Н	CF ₃	Me	CI
	H	-(CH ₂) ₆ -	H	1	Н			Н	CI
	Me M	i	H	0	Н	Н	CI	H .	CI .
15	Me M		H	0	H	Н	OCHF2	H .	OCHF ₂
	Me M		H	0	Н	H	OCHF ₂	H	Ci
	Me M	- 1	H	0	H	Н	CHF ₂	H	F
	Me M	l l	H	0	H	Н	CF ₃	H	CI
20	Me M	- 1	Н	0	Н	Н	CF ₃	Н	OMe
	Me M		H	0	Н		CF ₃	H	OEt
	Me M	1	H	0	H H	H H	CF ₃	Н	OCHF ₂
05	Me M	- 1	H	0	Н	н	CF ₃	H	CN CN
25	Me M	i	Н	0	Н	Н	CF ₃	Н	Me
	Me M		Н	0	Н	Н	H	Me	CI
	Me M		H	0	H	Н	Me	Me	Me
30	Me M	1		0	Н Н	н	Me	Me	Cl
	Me M		1	0	H	Н	Cl	Me	Me
	Me M	i	Н	0	Н	Н	Et	Me	CI
	Me M		1	0	н	н	CI	Me	Et
35	Me M		1	0	н	Н	Pr-i	Me	CI
	Me M	- 1		0	Н	н	CI	Ме	Pr-i
	Me M	- 1		0	Н	Н	Bu-t	Me	CI
40	Me M	le H	Н	0	Н	Н	CI	Me	Bu-t
70	Me M		1	0	l	н	CI	Ме	CI
	Me M	le H	Н	0	Н	Н	CHF ₂	Ме	CI
	Me M	į	Н	0	н	н	CI	Me	CHF ₂
45	Me M	ie H	Н	0	Н	н	OCHF ₂	Me	н
	Me M	1e H	Н	0	Н	·H	OCHF ₂	Ме	CI
	Me	1e H	Н	0	Н	Н	CI	Ме	OCHF ₂
	Me M	1e H	Н	0	н	н	OCHF ₂	Me	OCHF ₂
50	Me	1e H	н	0	н	н	CF ₃	Ме	Н
	Me	1e H	Н	0	н	н	CF ₃	Me	CI
	Me M	1e H	Н	0	н	н	CI	Ме	CF ₃
55	Me	1e H	Н	0	н	н	CF ₃	Ме	F
50		•	•	•			•		

Me Me H H O H H CF ₃ Me OH Me Me H H O H OH Me CF ₃ Me Me Me H H O H OH Me CF ₃ Me Me Me H H O H OH Me CF ₃	
Me Me H H O H H OH Me CF3 Me Me H H OH H CF3 Me OMe	
Me Me H H O H H OMe Me CF ₃	
10 Me Me H H O H H CF ₃ Me OEt	
Me Me H H O H H OEt Me CF3	
Me Me H H O H H CF ₃ Me OPr-i	ļ
Me Me H H O H H CF ₃ Me OPr	
Me Me H H O H CF ₃ Me OBu-t	j
Me Me H H 0 H CF ₃ Me OBu-s	
Me Me H H 0 H CF ₃ Me OBu-i	
Me Me H H O H CF ₃ Me OBu	
Me Me H H 0 H CF ₃ Me O(2-Pen)	, l
Me Me H H O H CF ₃ Me O(3-Pen)	
Me Me H H O H H CF ₃ Me OPen-n	
25 Me Me H H O H H CF ₃ Me O(2-Hex)	
Me Me $\mid H \mid H \mid 0 \mid H \mid H \mid CF_3 \mid Me$ O(3-Hex)	
Me Me H H O H H CF ₃ Me OHex-n	
Me Me H H O H CF ₃ Me OPen-c	
30 Me Mc H H O H H CF ₃ Me OHex-c	
Me Me H H O H H CF ₃ Me OCH ₂ Pr-c	
Me Me H H O H H CF_3 Me OCH_2Bu-c	
Me Me H H O H H CF ₃ Me OCH ₂ Pen-c	
Me Me H H 0 H CF ₃ Me OCH ₂ Hex-c	
Me Me H H 0 H CF ₃ Me OCH ₂ CH=CH ₂	
Me Me H H 0 H CF ₃ Me OCH ₂ C*CH	
Me Me H H O H H CF ₃ Me OCHF ₂	
Me Me H H O H H OCHF ₂ Me CF ₃	
Me Me H H O H H CF_3 Me OCH_2CHF_2	
$\begin{array}{c ccccccccccccccccccccccccccccccccccc$	1
Me Me H H 0 H CF_3 Me OCH_2CF_3	
Me Me H H O H H $OCH2CF3 Me CF3$	ĺ
Me Me H H 0 H H CF_3 Me OCH_2CN	
Me Me H H O H H $CF3$ Me $OCH2C(=O)OEt$	
50 Me Me H H 0 H CF ₃ Me OCH(Me)C(=0)OEt	
Me Me	ľ
$egin{array}{ c c c c c c c c c c c c c c c c c c c$	
$ Me Me H H 0 H H CF_3 Me OCH_2C(=O)N(Me)_2 $	

	Ме Ме	Н	н	0	н	Н	CF ₃	Me	OCH ₂ Ph
5	Ме Ме	н	Н	0	н	Н	CF ₃	Ме	OPh
·	Ме Ме	н	Н	0	Н	Н	CF₃	Ме	O(2-Cl)Ph
	Ме Ме	н	Н	0	Н	Н	CF ₃	Ме	O(2-Br)Ph
	Ме Ме	Н	Н	0	н	Н	CF ₃	Ме	O(2-F)Ph
10	Me Me	н	Н	0	Н	Н	CF₃	Ме	O(2-Me)Ph
	Me Me	н	Н	0	н	Н	CF ₃	Ме	O(2-OMe)Ph
	Me Me	н	Н	0	н	Н	CF₃	Ме	O(2-NO ₂)Ph
	Me Me	Н	Н	0	Н	H.	CF ₃	Ме	O(2-CN)Ph
15	Ме Ме	Н	Н	0	н	Н	CF ₃	Ме	O(2-C(=O)OMe)Ph
	Me Me	H	Н	0	н	н	CF ₃	Me	O(3-Cl)Ph
	Me Me	Н	Н	0	н	Н	CF ₃	Ме	O(3-Br)Ph
20	Ме Ме	н	Н	0	н	Н	CF ₃	Ме	O(3-F)Ph
	Me Me	Н	Н	0	Н	Н	CF ₃	Ме	O(3-Me)Ph
	Ме Ме	Н	н	0	н	Н	CF ₃	Ме	O(3-OMe)Ph
	Ме Ме	Н	н	0	н	Н	CF,	Ме	O(3-NO ₂)Ph
25	Me Me	Н	н	0	Н	Н	CF ₃	Ме	O(3-CN)Ph
	Me Me	Н	Н	0	Н	Н	CF ₃	Ме	O(3-C(=O)OMe)Ph
	Ме Ме	Н	Н	0	Н	Н	CF ₃	Ме	O(4-Cl)Ph
	Ме Ме	Н	Н	0	Н	Н	CF ₃	Ме	O(4-Br)Ph
30	Ме Ме	Н	н	0	Н	н	CF ₃	Ме	O(4-F)Ph
	Ме Ме	Н	Н	0	Н	Н	CF ₃	Ме	O(4-Me)Ph
	Ме Ме	Н	Н	0	Н	Н	CF ₃	Ме	O(4-OMe)Ph
35	Ме Ме	Н	Н	0	н	н	CF ₃	Ме	O(4-NO ₂)Ph
	Me Me	Н	Н	0	Н	Н	CF ₃	Ме	O(4-CN)Ph
	Me Me	Н	H	0	Н	Н	CF ₃	Me	O(4-C(=O)OMe)Ph
	Me Me	H	Н	0	Н	Н	CF ₃	Me	OC(=O)Me
40	Ме Ме	Н	Н	0	Н	Н	CF ₃	Ме	OC(=O)Et
	Ме Ме	Н	н	0	Н	Н	CF ₃	Ме	OC(=O)CH ₂ Ph
	Ме Ме	Н	Н	0	Н	Н	CF₃	Ме	OC(=O)CF ₃
	Me Me	Н	Н	0	Н	H	CF ₃	Ме	OC(=O)Ph
45	Ме Ме	H	Н	0	Н	H	CF ₃	Me	OSO ₂ Me
	Me Me	Н	Н	0	Н	Н	CF ₃	Me	OSO ₂ Et
	Me Me	Н	Н	0	Н	Н	CF ₃	Me	OSO ₂ CH ₂ Ph
50	Me Me	Н	Н	0	Н	Н	CF ₃	Me	OSO ₂ CF ₃
	Me Me	Н	H	0	Н	Н	CF ₃	Me	OSO ₂ Ph
	Me Me	Н	Н	0	Н	Н	CF ₃	Me	SMe
	Me Me	Н	Н	0	Н	Н	CF ₃	Me	SO₂Me
55	Me Me	H	Н	0	Н	Н	CF ₃	Ме	SEt

	Me	Ме	н	Н	0	Н	Н	CF ₃	Ме	SO₂Et
5	Me	Me	Н	Н	0	Н	Н	CF ₃	Ме	SPr
	Me	Me	Н	Н	0	Н	Н	CF ₃	Ме	SO₂Pr
	Me	Ме	н	Н	0	Н	Н	CF ₃	Ме	SPr-i
	Me	Ме	Н	Н	0	н	Н	CF ₃	Ме	SO ₂ Pr-i
10	Me	Me	Н	Н	0	Н	Н	CF ₃	Ме	SBu-t
	Me	Ме	н	Н	0	Н	Н	CF ₃	Ме	SO ₂ Bu-t
	Me	Ме	н	Н	0	Н	H	CF ₃	Ме	SCHF ₂
	Mé	Ме	H	H.	0	"·H	Н	CF ₃	Ме	SO ₂ CHF ₂
15	Me	Me	Н	Н	0	Н	· H	CF ₃	Ме	SCF ₃
	Me	Ме	Н	н	0	н	Н	CF ₃	Ме	SO ₂ CF ₃
	Me	Ме	Н	н	0	Н	Ħ	CF ₃	Ме	SPh
00	Me	Ме	н	Н	0	Н	Н	CF ₃	Me	SO ₂ Ph
20	Me	Ме	н	н	0	н	Н	CF ₃	Ме	SCH₂Ph
	Me	Ме	н	н	0	н	Н	CF ₃	Ме	SO ₂ CH ₂ Ph
	Me	Ме	н	н	0	н	H	CF ₃	Ме	SCH ₂ C(=O)OEt
25	Me	Ме	Н	н	0	Н	Н	CF₃	Me ·	SO ₂ CH ₂ C(=O)OEt
	Me	Ме	н	н	0	н	H	CF ₃	Ме	SCH(Me)C(=O)OEt
	Me	Ме	н	н	0	н	Н	CF ₃	Ме	SO ₂ CH(Me)C(=O)OEt
	Me	Me	н	н	0	н	H	CF ₃	Ме	SCH ₂ C(=O)NH ₂
30	Me	Ме	Н	н	0	н	H	CF ₃	Ме	SO ₂ CH ₂ C(=O)NH ₂
	Me	Ме	н	н	0	н	H	CF ₃	Ме	SCH ₂ C(=O)NHMe
	Me	Ме	Н	н	0	н	H	CF ₃	Ме	SO ₂ CH ₂ C(=O)NHMe
	Me	Me	н	н	0	Н	H	CF ₃	Ме	SCH ₂ C(=O)N(Me) ₂
35	Me	Ме	Н	н	0	Н	H	CF ₃	Ме	SO ₂ CH ₂ C(=O)N(Me) ₂
	Me	Ме	Н	н	0	н	H	CF ₃	Ме	NH ₂
	Me	Me	Н	н	0	н	H	CF ₃	Ме	NHMe
40	Me	Me	Н	н	0	н	H	CF ₃	Ме	N(Me) ₂
	Me	Me	Н	н	0	н	Н	CF ₃	Ме	NHC(=O)Me
	Me	Me	Н	н	0	н	Н	CF ₃	Ме	N(Me)C(=O)Me
	Me	Me	Н	н	0	н	H	CF ₃	Ме	NHSO ₂ Me
45	Me	Me	Н	н	0	н	H	CF ₃	Me	N(Me)SO ₂ Me
	Me	Ме	н	н	0	Н	Н	CF ₃	Ме	NHSO ₂ CHF ₂
	Me	Me	Н	н	0	Н	Н	CF,	Ме	N(Me)SO ₂ CHF ₂
	Me	Me	Н	н	0	н	Н	CF ₃	Me	NHSO ₂ CF ₃
50	Me	Me	Н	н	0	н	H	CF ₃	Me	N(Me)SO ₂ CF ₃
	Me	Ме	H.c	н	0	н	Н	CF ₃	Me	NHPh "
	Me	Me	H	н	0	н	Н	CF₃	Me	N(Me)Ph
55	Me	Me	Н	н	0	н	Н	CF ₃	Ме	CN
55										·

	Me	Me	Н	Н	0	Н	Н	CN	Me	CF ₃
-	Me	Me	Н	Н	0	н	н	CF ₃	Ме	C(=O)OMe
5	Me	Me	Н	н	0	Н	Н	CF ₃	Me	C(=O)OCH ₂ Ph
	Me	Me	Н	н	0	Н	Н	CF ₃	Ме	C(=O)OPh
	Me	Me	н	н	0	Н	н	CF ₃	Ме	C(=O)NH ₂
10	Me	Me	Н	н	0	H	Н	CF ₃	Ме	C(=O)NHMe
•	Me	Ме	Н	н	0	Н	н	CF ₃	Ме	C(=O)N(Me) ₂
	Me	Me	Н	Н	0	Н	н	CF ₃	Me	C(=O)Me
	Me	Ме	Н	н	0	н	··H	CF ₃	Ме≟	C(=O)CF ₃
15	Me	Me	Н	н	0	Н	Н	CF ₃	Ме	C(=O)CH ₂ Ph
	Me	Me	н	н	0	н	Н	CF ₃	Ме	C(=O)Ph
	Me	Me	Н	Ħ	0	н	Н	CF ₃	Ме	Ме
	Me	Ме	Н	Н	0	н	Н	Ме	Ме	CF ₃
20	Me	Me	H	Н	0	н	Н	CF ₃	Ме	Et
	Me	Me	н	H	0	н	H	CF ₃	Ме	Pr-i
	Me	Ме	Н	H	0	H	H	CF ₃	Ме	Pr
25	Me	Ме	H	H	0	н	Н	CF₃	Ме	CH ₂ OMe
	Me	Me	н	H	0	н	Н	CF ₃	Ме	CF ₃
	Me	Me	Н	н	0	Н	H	CF ₃	Ме	CHF ₂
	Me	Ме	н	н	0	н	H	CF ₃	Ме	Ph
30	Me	Me	Н	н	0	н	H	CF ₂ CF ₃	Ме	CI
	Me	Me	Н	Н	0	Н	Н	Ph	Ме	Ме
	Me	Ме	Н	н	0	н	H	Ph	Ме	CI
	Me	Me	Н	H	0	H	H	Ph	Ме	OEt
35	Me	Me	н	H	0	H	Н	Ph	Ме	CF ₃
	Me	Me	Н	H	0	H	Н	Ph	Ме	Ph
	Me	Me	н	н	0	H	H	Cl	Et	CI
40	Me		Н	н	0	н			Et	CI
	Me		H	н	0	H				OCHF ₂
	Me	l i	Н	н	0	H				OCHF ₂
	Me		H	н	0	н	1			F ·
45	Me		Н	Н	0	H				CF ₃
	Me	Į.	Н	Н	0	H	1			Cl
	Me	i	Н	H	0	Н	- 1			CF ₃
	Me		Н	H	0	H	- 1	_		OMe
50	Me		Н	н	0	н			ì	CF ₃
	Me	1	Н	Н	0	Н		-		OEt
·	Me	1	H	Н	0	Н			ľ	CF ₃
	Me	Me	Н	H	0	н	н	CF₃	Et	OCHF ₂
55										•

	Me	Ме	Н	н	0	н	Н	OCHF ₂	Et ·	CF ₃
5	Me	Ме	Н	н	0	н	Н	CF ₃	Et	CN
	Me	Ме	н	н	0	н	Н	CN	Et	CF ₃
	Me	Me	Н	н	0	н	Н	CF ₃	Et	Ме
	Me	Me	н	H	0	н	Н	Me	Et	CF ₃
10	Me	Ме	Н	н	0	н	Н	CI	Pr-i	cı .
	Me	Ме	Н	н	0	н	Н	OCHF₂	Pr-i	CI
	Me	Ме	Н	н	0	н	Н	CI	Pr-i	OCHF ₂
45	Me	Me	Н	н	0	Н	H	OCHF ₂	Pr-i	OCHF ₂
15	Me	Ме	Н	Н	0	н	H	CF₃	Pr-i	F
	Me	Ме	н	Н	0	H	H	F	Pr-i	CF ₃
	Me	Ме	Н	Н	0	н	Н	CF ₃	Рт-і	CI
20	Me	Ме	Н	н	0	н	Н	CI	Pr-i	CF ₃
	Me	Me	Н	н	0	н	Н	CF ₃	Pr-i	ОМе
	Me	Ме	н	н	0	н	Н	ОМе	Pr-i	CF ₃
	Me	Ме	н	н	0	н	Н	CF₃	Pr-i	OEt
25	Me	Ме	н	н	0	н	Н	OEt	Рт-і	CF ₃
	Me	Ме	н	н	0	н	Н	CF ₃	Pr-i	OCHF ₂
	Me	Ме	Н	н	0	н	H	OCHF ₂	Pr-i	CF ₃
	Me	Me	н	н	0	н	Н	CF ₃	Pr-i	CN
30	Me	Ме	Н	н	0	н	H	CN	Pr-i	CF ₃
	Me	Me	Н	н	0	н	H	CF ₃	Рг-і	Me
	Me	Ме	Н	н	0	н	Н	Ме	Pr-i	CF ₃
35	Me	Ме	Н	н	0	Н	H	CI	Pr	CI
00	Me	Ме	Н	н	0	Н	H	OCHF ₂	Pr	CI
	Me	Ме	Н	н	0	Н	Н	CI	Pr	OCHF ₂
	Me	Me	Н	н	0	н	H	OCHF₂	Pr	OCHF ₂
40	Me	Me	Н	Н	0	Н	Н	CF ₃	Pr	F
	Me	Ме	H	н	0	Н	Н	F	Pr	CF ₃
	Me		Н	Н	0	Н		•	Pr	Cl
	Me	l i	Н	н	0	Н			Pr	CF₃
45	Me		H	H	0	Н		·		OMe
	Me		H	H	0	H			Pr	CF ₃
	Me		H	H	0	H			Pr	OEt
	i	Me	Н	Н	0	Н			Pr	CF ₃
50	Me		Н	H	0	Н		-		OCHF ₂
	Me		H	Н	0	Н		-		CF ₃
	Me		Н	Н	0	Н			Pr	CN
55	Me		Н	Н	0	Н			Pr	CF ₃
	Me	Me	Н	Н	0	Н	Н	CF ₃	Pr	Me

	Me	Ме	н	Н	0	н	Н	Ме	Pr	CF ₃	
5	Me	Me	Н	Н	0	Н	Н	CI	Bu-t	cı	
	Me	Ме	н	Н	0	Н	Н	OCHF ₂	Bu-t	CI	
	Me	Ме	н	Н	0	н	H	OCHF₂	Bu-t	OCHF₂	
	Me	Me	н	Н	0	н	Н	CF ₃	Bu-t	н	
10	Me	Me	Н	Н	0	Н	Н	CF ₃	Bu-t	F	
	Me	Me	н	Н	0	н	Н	CF₃	Bu-t	CI	
	Me	Me	н	H	0	н	H	CI	Bu-t	CF ₃	
	Me	Ме	H	Η·	0.4	H.	H*	CF ₃	Bu-t	ОМе	V.Y
15	Me	Ме	Н	H	0	н	H	OMe	Bu-t	CF ₃	
	Me	Me	Н	Н	0	н	Н	CF ₃	Bu-t	OEt	
	Me	Me	н	н	0	н	Н	OEt	Bu-t	CF ₃	
20	Me	Ме	Н	Н	0	н	Н	CF ₃	Bu-t	OCHF ₂	
20	Me	Me	н	Н	0	н	H	CF₃	Bu-t	CN	
	Me	Ме	Н	Н	0	Н	Н	CF ₃	Bu-t	Ме	
	Me	Ме	Н	Н	0	Н	Н	Ме	Bu-t	CF ₃	
25	Me	Me	н	Н	0	н	Н	CF ₃	Bu-s	a	
	Me	Ме	н	Н	0	н	Н	CI	Bu-s	CF ₃	
	Me	Ме	н	н	0.	н	Н	CF ₃	Bu-i	CI	
	Me	Me	н	Н	0	Н	H	CI	Bu-i	CF ₃	
30	Me	Ме	Н	н	0	н	H.	CF ₃	Bu -	CI	
	Me	Me	н	н	0	Н	Н	CI	Bu	CF ₃	
	Me	Ме	Н	Н	0	н	H	CF ₃	1-Methylbutyl	Cı	
35	Me	Me	Н	Н	0	н	Н	CI	1-Methylbutyl	CF ₃	
30	Me	Ме	Н	Н	0	н	Н	CF₃	1-Ethylpropyl	CI	
	Me	Ме	Н	н	0	н	Н	CI	1-Ethylpropyl	CF ₃	
	Me	Me	Н	Н	0	н	H	CF ₃	1-Pentyl	CI	
40	Me	Me	Н	н	0	Н	Н	CI	1-Pentyl	CF ₃	
	Me	Ме	H	н	0	Н	H	CF₃	1-Methylpentyl	CI.	
	Me	Ме	Н	Н	0	Н	H	CI	1-Methylpentyl	CF ₃	
	Me	Me	Н	н	0	Н	Н	CF ₃	2-Ethylbutyl	CI	
45	Me	Me	Н	Н	0	н	H	CI	2-Ethylbutyl	CF ₃	
	Me	Ме	Н	Н	0	Н	Н	CF₃	3,3-Dimethylbutyl	CI	
	Me	Me	Н	Н	0	н	H	CI	3,3-Dimethylbutyl	CF ₃	
50	Me	Me	Н	Н	0	Н	H	CF₃	1-Hexyl	CI	
50	Me	Ме	Н	н	0	Н	Н	CI	1-Hexyl	CF ₃	
	Me	Me	Н	Н	0	Н	н	CF ₃	1-Heptyl	CI	
	Me	Ме	н	Н	0	Н	Н	CI	1-Heptyl	CF ₃	
55	Me	Ме	н	Н	0	Н	Н	CF ₃	1-Octyl	CI	

	Me	Ме	Н	Н	0	н	H	Cı	l-Octyl	CF ₃
5	Me	Ме	Н	H	0	н	Н	CF ₃	CH₂Ph	CI
·	Me	Me	н	н	0	н	Н	Cı	CH₂Ph	CF ₃
	Me	Ме	н	н	0	н	Н	CF ₃	Pr-c	CI
	Me	Me	Н	Н	0	н	Н	CF ₃	Pen-c	CI
10	Me	Ме	н	н	0	н	Н	CI	Pen-c	CF ₃
	Me	Me	Н	Н	0	н	Н	CF ₃	Нех-с	CI
	Ме	Me	н	Н	0	Н	Н	CI	Нех-с	CF ₃
	Me	Me	н	Н	0	н	Н	CI	CM2Pr-cc	a
15	Me	Ме	Н	н	0	н	H	OCHF ₂	CH₂Pr-c	CI
	Me	Me	Н	н	0	н	H	C1	CH₂Pr-c	OCHF ₂
	Me	Me	н	Н	0	н	H	OCHF ₂	CH₂Pr-c	OCHF ₂
20	Me	Me	Н	Н	0	Н	H	CF ₃	CH₂Pr-c	F
20	Me	Me	Н	Н	0	н	H	F	CH₂Pr-c	CF ₃
	Me	Ме	Н	н	0	H	H	CF ₃	CH ₂ Pr-c	CI
	Me	Me	H	н	0	Н	H	CI	CH₂Pr-c	CF ₃
25	Me	Me	н	н	0	Н	H	CF ₃	CH ₂ Pr-c	CN
	Me	Ме	H	н	0	н	H	CF ₃	CH₂Pr-c	ОН
	Me	Me	Н	н	0	н	Н	CF ₃	CH₂Pr-c	ОМе
	Me	Ме	Н	Н	0	н	Н	ОМе	CH₂Pr-c	CF ₃
30	Me	Ме	н	н	0.	н	H	CF₃	CH₂Pr-c	OEt
	Me	Ме	Н	н	0	Н	Н	OEt	CH₂Pr-c	CF ₃
	Me	Ме	H	н	0	н	H	CF ₃	CH₂Pr-c	OPr-i
	Me	Ме	н	н	0	Н	H	CF ₃	CH ₂ Pr-c	OPr
35	Me	Ме	Н	н	0	н	Н	CF ₃	CH₂Pr-c	OBu-t
	Me	Ме	Н	н	0	н	Н	CF ₃	CH ₂ Pr-c	OCH₂Pr-c
	Me	Me	Н	Н	0	н	H	CF ₃	CH ₂ Pr-c	OCH ₂ Bu-c
40	Me	Ме	Н	н	0	Н	H	CF ₃	CH ₂ Pr-c	OPen-c
40	Me	Ме	Н	Н	0	Н	Н	CF ₃	CH₂Pr-c	OCHF ₂
	Me	Ме	H	н	0	Н	H	OCHF ₂	CH₂Pr-c	CF ₃
	Me	Ме	Н	н	0	н	Н	CF ₃	CH₂Pr-c	CN
45	Me	Ме	Н	н	0	Н	H	CN	CH₂Pr-c	CF ₃
	Me	Ме	Н	Н	0	Н	Н	CF ₃	CH ₂ Pr-c	Ме
	Me	Ме	Н	н	0	Н	Н	Ме	CH ₂ Pr-c	CF ₃
	Me	Ме	Н	н	0	н	Н	CF ₃	1-cyclopropylethyl	CI
50	Me	Ме	Н	н	0	н	H	Cı	1-cyclopropylethyl	CF ₃
	Me	īvie	н	н	0	н	Н	CF ₃	Cffy(2-Methyl-cyclopropyl)	CI
	Me	Ме	Н	н	0	н	Н	CI	CH ₂ (2-Methyl-cyclopropyl)	CF ₃
	Me	Me	Н	н	0	Н	Н	CF ₃	CH₂(2,2-Dimethyl- cyclopropyl)	CI
55	•	1	i I	•	•	1		1	14 15 TET TEATA	

	Me	Me	н	н	0	н	Н	CI	CH ₂ (2,2-Dimethyl- cyclopropyl)	CF ₃
5	Me	Me	Н	н	0	Н	Н	CF ₃	CH ₂ (2-Chloro-cyclopropyl)	CI
3	Me	Me	Н	н	0	Н	Н	CI	CH ₂ (2-Chloro-cyclopropyl)	CF ₃
	l	Me	н	н	0	н	Н	CF ₃	CH₂(2,2-Dichloro- cyclopropyl)	CI
	Me	Me	н	Н	0	н	Н	CI	CH ₂ (2,2-Dichloro- cyclopropyl)	CF ₃
10	Me	Me	н	Н	0	Н	Н	CF ₃	CH₂(2-Fluoro-cyclopropyl)	CI
	Me	Me	Н	Н	0	Н	Н	CI	CH ₂ (2-Fluoro-cyclopropyl)	CF ₃
	Me	Me	н	Н.	0	H.,,	, H	CF ₃	CH ₂ (2,2-Difluoro- cyclopropyl)	cı ,
15	Me	Me	н	н	0	н		Cl	CH ₂ (2,2-Difluoro- cyclopropyl)	CF ₃
	Me	Me	н	н	0	н	Н	CF ₃	CH₂Bu-c	CI
	Me	Me	н	Н	0	н	Н	CI	CH₂Bu-c	CF ₃
20	Me	Me	н	н	0	н	Н	CF ₃	CH₂Pen-c	CI
20	Me	Me	Н	Н	0	Н	H	CI	CH₂Pen-c	CF ₃
	Me	Me	н	Н	0	н	Н	CF ₃	CH₂Hex-c	Cı
	Me	Me	Н	н	0	н	Н	Cl	CH ₂ Hex-c	CF ₃
25	Me	Me	н	н	0	Н	H	CF ₃	CH ₂ CH ₂ Pr-c	CI
	Me	Ме	Н	Н	0	Н	H	CI	CH ₂ CH ₂ Pr-c	CF ₃
	Me	Ме	н	Н	Ó	Н	H	CF ₃	CH ₂ CH=CH ₂	Cı
	Me	Ме	Н	н	0	н	Н	CI	CH₂CH=CH₂	CF ₃
30	Me	Me	Н	н	0	н	H	CF ₃	CH₂CH=CHCl	CI
	Me	Me	н	Н	0	Н	H	CI	CH₂CH=CHCl	CF ₃
	Me	Me	Н	Н	0	Н	Н	CI	CH ₂ C≡CH	Cı
0.5	Me	Ме	Н	Н	0	Н	H	OCHF₂	CH ₂ C≡CH	CI
35	Me	Ме	Н	н	0	Н	H	CI	CH ₂ C≡CH	OCHF ₂
	Me	Me	Н	Н	0	Н	H	OCHF ₂	CH ₂ C≡CH	OCHF ₂
	Me	Me	н	н	0	Н	Н	CF ₃	CH ₂ C≡CH	F
40	ł	Ме	Н	Н	0	Н	Н	F	CH ₂ C≡CH	CF ₃
	1	Me	H	Н	0	Н		CF ₃	CH ₂ C≡CH	CI
	1	Me	Н	Н	0	Н	H	CI	CH ₂ C≡CH	CF ₃
		Me	Н	н	0	Н	H	CF ₃	CH ₂ C≡CH	OMe
45		Me	Н	Н	0	Н		ОМе	CH ₂ C≡CH	CF ₃
		Ме	Н	H	0	Ή	H	CF₃	CH ₂ C≡CH	OEt
	Me	Me	Н	Н	0	Н	H	OEt	CH ₂ C≡CH	CF ₃
	1	Ме	Н	Н	0	Н		CF ₃	CH ₂ C≡CH	OCHF ₂
50	1	Ме	Н	н	0	H		OCHF₂	CH ₂ C≡CH	CF ₃
	Me	Ме	Н	н	0	Ha	H	CF ₃	CH ₂ C≡CH	CN -
	1	Ме	Н	Н	0	Н		CN	CH ₂ C≡CH	CF ₃
55	Me	Me	Н	H	0	Н	H	CF₃	CH ₂ C≡CH	Ме

$ \begin{array}{c ccccccccccccccccccccccccccccccccccc$	
5	
Me Me H H O H CF_3 $CH_2C \equiv CMe$ CI	İ
Me Me H H O H H CI $CH_2C \equiv CMe$ CF_3	
10 Me Me H H O H H Cl CHF ₂ Cl	
Me Me H H O H H OCHF ₂ CHF ₂ CI	
Me Me H H O H H Cl CHF ₂ OCHF ₂	
Me Me H H O H H OCHE2 CHF2	-
15 Me Me H H O H H CF ₃ CHF ₂ CI	
Me Me H H O H H Cl CHF ₂ CF ₃	}
Me Me H H O H H CF ₃ CHF ₂ F	
Me Me H H O H H F CHF ₂ CF ₃	Ì
Me Me H H O H H CF ₃ CHF ₂ OMe	
Me Me H H O H H OMe CHF ₂ CF ₃	
Me Me H H O H H CF ₃ CHF ₂ OEt	ļ
Me Me H H O H H OEt CHF ₂ CF ₃	ļ
Me Me H H O H H CF ₃ CHF ₂ OCHF ₂	
Me Me H H O H H OCHF ₂ CHF ₂ CF ₃	
Me Me H H O H H CF ₃ CHF ₂ CN	
30 Me Me H H O H H CN CHF ₂ CF ₃	
Me Me H H O H H CF ₃ CHF ₂ Me	ļ
Me Me H H O H H Me CHF ₂ CF ₃	İ
Me Me H H O H H Me CHF ₂ CI	ĺ
Me Me H H O H H Cl CHF ₂ Me	
Me Me H H O H H Et CHF2 CI	
Me Me H H O H H Cl CHF2 Et	
Me Me H H O H H CF ₃ CH ₂ CHF ₂ Cl	
Me Me H H O H H CI CH_2CHF_2 CF_3	
Me Me H H O H H CF ₃ CH ₂ CF ₃ CI	
Me Me H H O H H Cl CH ₂ CF ₃ CF ₃	ļ
Me Me H H O H H CF ₃ CH ₂ OH CI	1
Me Me H H O H H Cl CH2OH CF3	
Me Me H H O H H Cl CH2OMe Ci	
Me Me H H O H H OCHF ₂ CH ₂ OMe CI	
Me Me H H O H H CI CH ₂ OMe OCHF ₂	ŀ
Me Me H H O H H OCHF ₂ CH ₂ OMe OCHF ₂	
Me Me H H O H H CF ₃ CH ₂ OMe F	İ
Me Me H H O H H F CH ₂ OMe CF ₃	
55 Me Me H H O H H CF ₃ CH ₂ OMe CI	

	Me	ا مدا	н	н	0	н	Н	cı	CH₂OMe	CF ₃
	Me		1	- 1			H ·	CF ₃	CH ₂ OMe	OMe
5	li		H	Н	0	H				CF ₃
	Me		Н	H	0	Н	Н	OMe	CH ₂ OMe	OEt
	Me		Н	H	0	Н	Н	CF ₃	CH ₂ OMe	
	Me		Н	Н	0	Н	Н	OEt	CH ₂ OMe	CF ₃
10	Ме		Н	н	0	H	Н	CF ₃	CH ₂ OMe	OCHF₂
	Me		H	H	0	H	H	OCHF ₂	CH ₂ OMe	CF ₃
	Me	1	Н	H	0	H	H	CF ₃	CH₂OMe	CN
15			'H	' H	0:	Н	H	CN	CH₂OMe	CF ₃
	Me		H	н	0	H	H	CF ₃	CH ₂ OMe	Me
•	Me		H	Н	0	Н	Н	Me	CH₂OMe	CF ₃
	Me		н	H	0	Н	H	CF ₃	CH₂OEt	CI
20	Me	i	Н	H	0	Н	H	ICI	CH₂OEt	CF ₃
	Me		H	Н	0	Н	H	CF ₃	CH₂CH₂OH	CI
	Ме		H	H	0	Н	H	CI	CH₂CH₂OH	CF₃
	Me		Н	Н	0	H	H	CF ₃	CH ₂ CH ₂ OMe	CI
25	Me		н	Н	0	H	Н	CI	CH ₂ CH ₂ OMe	CF₃
	Me		Н	Н	0	H	H	CF ₃	CH ₂ CH ₂ OEt	CI
		Ме	Н	H	0	Н	H	CI	CH₂CH₂OEt	CF ₃
30	Me		Н	Н	0	Н	Н	CF ₃	CH₂NHMe	CI
30	Me	l i	Н	Н	0	H	H	CI	CH₂NHMe	CF ₃
	Me		Н	H	0	Н	H	CF ₃	CH ₂ N(Me) ₂	CI
	Me		Н	H	0	H	H	CI	CH ₂ N(Me) ₂	CF ₃
35	Me]	Н	H	0	H	H	CF ₃	CH ₂ N(Me)C(=O)Me	CI
	Me	l I	H	Н	0	Н	H	Cl	CH ₂ N(Me)C(=O)Me	CF ₃
	Me	(Н	Н	0	Н	H.	CF ₃	CH ₂ N(Me)C(=O)CF ₃	CI
	Me		H	H	0	Н	Н	CI	CH2N(Me)C(=O)CF ₃	CF ₃
40	Me		Н	H	0	Н		CF ₃	CH ₂ N(Me)SO ₂ Me	CI
	Me		H	Н	0	Н	Н	CI	CH ₂ N(Me)SO ₂ Me	CF ₃
	Ме		H	Н	0	H	H	CF₃	CH ₂ N(Me)SO ₂ CHF ₂	CI
45	Me		Н	H	0	Н	H	CI	CH ₂ N(Me)SO ₂ CHF ₂	CF ₃
45	Me		Н	H	0	H	H	CF ₃	CH ₂ N(Me)SO ₂ CF ₃	Cl
	Me		н	H	0	Н	Н	CI	CH ₂ N(Me)SO ₂ CF ₃	CF ₃
	Me		H	H	0	H	Н	CF₃	CH ₂ SMe	CI
50	Me	i	Н	H	0	Н	Н	CI	CH₂SMe	CF ₃
	Me	<u> </u>	Н	H	0	H	Н	CF₃	CH ₂ SO ₂ Me	CI
	Me]	Н	Н	0	Н	Н	CI	CH ₂ SO ₂ Me	CF ₃
	Me	1	Н	H	0	H	H	CF₃	CH ₂ CH ₂ SMe	CI
55	Me	ivie	Н	Н	0	Н	Н	CI	CH ₂ CH ₂ SMe	CF ₃

	Ме Ме		н	н	0	Н	Н	CF ₃	CH ₂ CH ₂ SO ₂ Me	la l
	Ме Ме		н	Н	0	Н	Н	Cl	CH ₂ CH ₂ SO ₂ Me	CF ₃
5	Me Me		Н	н	0	Н	н	CF ₃	CH ₂ CN	CI
	Me Me		Н	Н	0	Н	н	Cl	CH ₂ CN	CF ₃
	Me Me		Н	Н	0	Н	Н	CF ₃	CH ₂ C(=O)OMe	CI
	Me Me		Н	н	0	H	Н	CI	CH ₂ C(=O)OMe	CF ₃
10	Me Me		н	Н	0	н	Н	CF ₃	CH ₂ C(=O)OEt	CI
	Ме Ме		Н	Н	0	Н	н	Cl	CH ₂ C(=O)OEt	CF ₃
	Ме Ме		н	Н	0	Н	Н	CF ₃	CH(Me)C(=O)OMe	CI
15	Me Me		н	Н	0	Н	н	Cl	CH(Me)C(=O)OMe	CF ₃
	Me Me		Н	Н	0	Н	Н	CF ₃	C(Me) ₂ C(=O)OMe	CI
	Me Me		Н	Н	0	н	н	CI	$C(Me)_2C(=0)OMe$	CF ₃
	Ме Ме		Н	Н	0	Н	Н	CF ₃	CH ₂ C(=O)NH ₂	CI
20	Me Me		Н	н	0	Н	Н	CI	CH ₂ C(=O)NH ₂	CF ₃
	Me Me		Н	Н	0	Н	Н	CF ₃	CH ₂ C(=O)NHMe	CI
	Me Me		н	Н	0	н	н	Cl	CH ₂ C(=O)NHMe	CF ₃
	Ме Ме		Н	Н	0	Н	н	CF ₃	CH ₂ C(=O)N(Me) ₂	CI
25	Me Me		н	Н	0	Н	н	CI	$CH_2C(=O)N(Me)_2$	CF ₃
	Me Me		Н	Н	0	Н	Н	CF ₃	CH ₂ C(=O)Me	Cl
	Me Me		н	Н	0	Н	Н	CI	CH ₂ C(=O)Me	CF ₃
20	Me Me		Н	Н	0	Н	н	CF ₃	CH ₂ C(=NOMe)Me	Cl -
30	Ме Ме		Н	Н	0	Н	Н	CI	CH ₂ C(=NOMe)Me	CF ₃
	Me Me		Н	Н	0	н	Н	CF ₃	CH ₂ C(=O)CF ₃	CI
	Me Me	•	Н	Н	0	Н	Н	CI	CH ₂ C(=O)CF ₃	CF ₃
35	Me Me		Н	Н	0	Н	Н	CF ₃	CH ₂ CH ₂ C(=O)Me	Cl
	Me Me		н	Н	0	Н	Н	CI	CH ₂ CH ₂ C(=O)Me	CF ₃
	Me Me		Н	Н	0	Н	н	Me	Ph	Me
	Me Me		н	Н	0	Н	н	Ме	Ph	CI
40	Me Me		н	н	0	Н	Н	Et	Ph	CI
	Me Me		Н	н	0	н	Н	Pr	Ph	Cl
	Me Me		н	Н	0	Н		Pr-i	Ph	CI
	Me Me		н	Н	0	Н	Н	Bu-t	Ph	CI
45	Me Me		Н	н	0	Н	н	CH ₂ OMe	Ph	CI
	Me Me		Н	Н	0	Н	н	Cl	Ph	CI
	Me Me		Н	Н	0	Н	Н	OCHF ₂	Ph	CI
50	Me Me		н	Н	0	Н	Н	OCHF ₂	Ph	OCHF ₂
•	Me Me		н	н	0	Н	Н	CHF ₂	Ph	CI
	Me Me		Н	Н	0	Н	н	CF ₃	Ph	н
	Me Me		·H	Н	0	Н	Н	CF ₃	Ph	Ме
55	1 1		1 1	ı	1	l	ı	1	ı	1
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	Me Me	Н	Н	0	Н	н	Ме	Ph	CF ₃	
	Me Me	Н	Н	0	Н	Н	CF ₃	Ph	Et	
5	Me Me	Н	Н	0	Н	Н	CF ₃	Ph .	Pr-i	
	Me Me	Н	н	0	Н	Н	CF ₃	Ph	CHF ₂	
	Me Me	Н	н	0	Н	Н	CF ₃	Ph	CF ₃	
10	Me Me	Н	Н	0	Н	Н	CF ₃	Ph	F	
	Ме Ме	Н	Н	0	Н	Н	CF ₃	Ph	CI	
	Ме Ме	Н	Н	0	Н	н	CI	Ph	CF ₃	
	Me Me	Н	Ha	0	· H	Н	CF ₃	Ph	OH.	
15	Ме Ме	Н	н	0	н	Н	он	Ph	CF ₃	
	Me Me	Н	H	0	Н	Н	CF ₃	Ph	OMe	
	Ме Ме	н	Н	0	н	Н	ОМе	Ph	CF ₃	
	Me Me	н	Н	0	Н	н	CF ₃	Ph	OEt	
20	Ме Ме	н	H	0	Н	н	OEt	Ph	CF ₃	
	Me Me	H	H	0	Н	Н	CF ₃	Ph	OPr-i	
	Me Me	Н	H	0	Н	H	CF ₃	Ph	OPr	
25	Ме Ме	Н	Н	0	н	H	CF ₃	Ph	OBu-t	
	Ме Ме	Н	н	0	H	Н	CF ₃	Ph	OCH ₂ Pr-c	
	Ме Ме	Н	н	0	н	н	CF ₃	Ph	OCH ₂ CH=CH ₂	
	Ме Ме	Н	H	0	H	Н	CF ₃	Ph	OCH ₂ C≡CH	
30	Me Me	н	H	0	Н	H	CF ₃	Ph -	OCHF ₂	
	Ме Ме	Н	Н	0	Н	Н	OCHF ₂	Ph	CF ₃	
	Me Me	Н	Н	0	Н	Н	CF ₃	Ph	OCH ₂ CHF ₂	
	Ме Ме	Н	Н	0	H	Н	CF ₃	Ph	OCH ₂ CF ₃	
35	Ме Ме	Н	Н	0	H	Н	CF ₃	Ph	OCH ₂ C(=O)OMe	
	Ме Ме	Н	н	0	H	Н	CF ₃	Ph	OCH(Me)C(=O)OMe	
	Ме Ме	Н	H	0	Н	H	CF ₃	Ph	OC(Me) ₂ C(=O)OMe	
40	Ме Ме	Н	H	0	H	Н	CF ₃	Ph ·	OC(=O)Me	
40	Ме Ме	Н	H	0	H	н	CF ₃	Ph	OC(=O)Et	
	Me Me	Н	Н	0	H	Н	CF ₃	Ph	OC(=O)CH ₂ Ph	
	Ме Ме	Н	н	0	H	Н	CF ₃	Ph	OC(=O)CF ₃	
45	Ме Ме	Н	H	0	H	Н	CF ₃	Ph	OC(=O)Ph	
	Ме Ме	Н	Н	0	Н	Н	CF ₃	Ph	OSO₂Me	
	Me Me	Н	Н	0	Н	Н	CF ₃	Ph	OSO ₂ Et	
	Ме Ме	Н	Н	0	Н	Н	CF ₃	Ph	OSO ₂ CH ₂ Ph	
50	Me Me	Н	H	0	Н	Н	CF₃	Ph	OSO ₂ CF ₃	
	Ме Ме	н	Н.	0	Н	Н	CF₃	Ph	OSO ₂ Ph:	
	Me Me	Н	Н	0	H	Н	CF ₃	Ph	SMe	
	Me Me	Н	Н	0	Н	Н	CF ₃	Ph	SO₂Me	
55				,					•	

67

	Me Me	Н	Н	0	Н	н	CF ₃	Ph	SEt
5	Me Me	н	н	0	Н	н	CF ₃	Ph	SO₂Et
	Ме Ме	н	Н	0	Н	н	CF ₃	Ph	SPr-i
	Ме Ме	Н	Н	0	Н	Н	CF ₃	Ph	SO ₂ Pr-i
	Ме Ме	н	Н	0	Н	Н	CF ₃	Ph .	SPr
10	Ме Ме	Н	Н	0	Н	н	CF ₃	Ph	SO₂Pr
	Ме Ме	Н	н	0	Н	Н	CF ₃	Ph	SBu-t
	Ме Ме	Н	Н	0	Н	Н	CF ₃	Ph	SO ₂ Bu-t
	Ме Ме	Н	Н	0	Н	н	CF₃	Ph	SCHF ₂
15	Ме Ме	н	н	0	Н	н	CF ₃	Ph	SO ₂ CHF ₂
	Ме Ме	н	Н	0	н	н	CF ₃	Ph	NH ₂
	Me Me	Н	Н	0	Н	Н	CF ₃	Ph	NHMe
20	Ме Ме	Н	н	0	Н	н	CF ₃	Ph	N(Me) ₂
	Ме Ме	Н	Н	0	Н	Н	CF ₃	Ph	NHC(=O)Me
	Ме Ме	Н	н	0	Н	н	CF ₃	Ph	N(Me)C(=O)Me
	Ме Ме	Н	н	0	н	н	CF ₃	Ph	NHSO ₂ Me
25	Ме Ме	Н	н	0	Н	Н	CF ₃	Ph	N(Me)SO₂Me
	Me Me	Н	н	0	Н	H	CF ₃	Ph	NHSO ₂ CF ₃
	Ме Ме	Н	Н	0	Н	Н	CF ₃	Ph	N(Me)SO ₂ CF ₃
	Me Me	Н	Н	0	Н	Н	CF ₃	Ph	NHPh
30	Ме Ме	Н	H.	0	Н	Н	CF ₃	Ph	N(Me)Ph
	Me Me	Н	Н	0	Н	Н	CF ₃	Ph	CN
	Me Me	Н	·H	0	Н	Н	CF ₃	Ph	C(=O)Me
35	Ме Ме	Н	Н	0	Н	Н	CF ₃	Ph	C(=O)OMe
	Me Me	Н	н	0	Н	Н	CF ₃	Ph	C(=O)NH ₂
	Me Me	Н	Н	0	Н	H	CF ₃	Ph	C(=O)NHMe
	Me Me	Н	н	0	Н	H	CF ₃	Ph	C(=O)N(Me) ₂
40	Me Me	H	Н	0	Н	Н	CF ₃	Ph	Imidazol-1-yl
	Me Me	Н	Н	0	Н	Н	CF ₃	Ph	Pyrazol-1-yl
	Me Me	Н	Н	0	Н	Н	CF ₃	Ph	1,2,4-Triazol-1-yl
	Me Me	H	Н	0	Н	Η	CF ₃	Ph	1,2,4-Triazol-4-yl
45	Me Me	H	Н	0	Н	Н	CF ₃	Ph	Tetrazol-1-yl
	Me Me	H	Н	0	Н	H	CF₃	Ph	Tetrazol-5-yl
	Me Me	Н	Н	0	Н	Н	CF ₃	Ph	(4,6-Dimethoxypyrimidin-2- yl)oxy
50	Me Me	Н	н	0	н	Н	CF ₃	Ph	(4,6-Dimethoxypyrimidin-2- yl)sulfonyl
	Me Me	Н	Н	0	H	H	CF ₂ CF ₃	Ph	CI
	Me Me	H	Н	0	н	H	CF₃	(2-Cl)Ph	CI
55	Me Me	H	Н	0	Н	Н	CF₃	(2-F)Ph	CI
	Me Me	Н	Н	0	Н	Н	CF₃	(2-OMe)Ph	CI .

Me Me H H O H H CF3 (2-CN)Ph CI			, ,			,	,	1	<u>ı</u>	l	ı I
Me Me H H O H H CF ₃ (2-CX)Ph CI		Me	Me	Н	н	0	н	Н	_		
Max Max Max H H O H H CF3 (2-C(=O)Me)Ph CI	5	Me	Ме	н	н	0	н	Н	CF ₃		CI
Mo Mo Mo Mo H H O H H CF ₃ (2-C(=O)OMe)Ph Cl	:	Me	Ме	Н	н	0	н	H	CF ₃	(2-CN)Ph	CI
10		Me	Ме	н	н	0	н	H	CF ₃	(2-C(=O)Me)Ph	[CI
Me Me H H 0 H H CF ₃ (2.C(=0))Pf-i)Ph Cl		Me	Ме	н	н	0	н	Н	CF ₃	(2-C(=O)OMe)Ph	CI
Me Me H H 0 H H CF; (2-C(=O)NH ₂)Ph Cl	10	Me	Ме	Н	н	0	н	H	CF ₃	(2-C(=0)OEt)Ph	CI
Me Me Me Me H H O H H CF3 (2-C(=O)NHe)Ph CI		Me	Ме	Н	н	0	н	H	CF₃	(2-C(=O)OPr-i)Ph	CI
Me Me Me Me H H O H H CF3 (2-C(=O)NMe ₂)Ph CI		Me	Ме	Н	н	0	н	H	CF ₃	(2-C(=O)NH ₂)Ph	CI
Mai Mac	15	Me	Me	Н	н	0	н	Н	CF ₃	(2-C(=O)NHMe)Ph	ČI .
Me Me H H H 0 H H CF3 (3-F)Ph CI Me Me H H H 0 H CF3 (3-Me)Ph CI Me Me H H H 0 H CF3 (3-Me)Ph CI Me Me H H H 0 H CF3 (3-Me)Ph CI Me Me H H H 0 H CF3 (3-Me)Ph CI Me Me H H H 0 H CF3 (3-NC)Ph CI Me Me H H H 0 H CF3 (3-C(-0)Me)Ph CI Me Me H H H 0 H CF3 (3-C(-0)Me)Ph CI Me Me H H H 0 H CF3 (3-C(-0)Me)Ph CI Me Me H H H 0 H CF3 (3-C(-0)Me)Ph CI Me Me H H O H H CF3 (3-C(-0)Me)Ph CI Me Me H H O H H CF3 (3-C(-0)Me)Ph CI Me Me H H O H H CF3 (3-C(-0)Me)Ph CI Me Me H H O H H CF3 (3-C(-0)Me)Ph CI Me Me H H O H H CF3 (3-C(-0)Me)Ph CI Me Me H H O H H CF3 (3-C(-0)Me)Ph CI Me Me H H O H H CF3 (3-C(-0)Me)Ph CI Me Me H H O H H CF3 (3-C(-0)Me)Ph CI Me Me H H O H H CF3 (3-C(-0)Me)Ph CI Me Me H H O H H CF3 (3-C(-0)Me)Ph CI Me Me H H O H H CF3 (4-C)Ph CI Me Me H H O H H CF3 (4-Me)Ph CI Me Me H H O H H CF3 (4-Me)Ph CI Me Me H H O H H CF3 (4-Me)Ph CI Me Me H H O H H CF3 (4-Me)Ph CI Me Me H H O H H CF3 (4-C(-0)Me)Ph CI Me Me H H O H H CF3 (4-C(-0)Me)Ph CI Me Me H H O H H CF3 (4-C(-0)Me)Ph CI Me Me H H O H H CF3 (4-C(-0)Me)Ph CI Me Me H H O H H CF3 (4-C(-0)Me)Ph CI Me Me H H O H H CF3 (4-C(-0)Me)Ph CI Me Me H H O H H CF3 (4-C(-0)Me)Ph CI Me Me H H O H H CF3 (4-C(-0)Me)Ph CI Me Me H H O H H CF3 (4-C(-0)Me)Ph CI Me Me H H O H H CF3 (4-C(-0)Me)Ph CI Me Me H H O H H CF3 (4-C(-0)Me)Ph CI Me Me H H O H H CF3 (4-C(-0)Me)Ph CI Me Me H H O H H CF3 (4-C(-0)Me)Ph CI Me Me H H O H H CF5 (4-C(-0)Me)Ph CI Me Me H H O H H CF5 (4-C(-0)Me)Ph CI Me Me H H H O H H CF5 (4-C(-0)Me)Ph CI Me Me H H H O H H CF5 (4-C(-0)Me)Ph CI Me Me H H H O H H CF5 (4-C(-0)Me)Ph CI Me Me H H H O H H CF5 (4-C(-0)Me)Ph CI Me Me H H H O H H CF5 (4-C(-0)Me)Ph CI Me Me H H H O H H CF5 (4-C(-0)Me)Ph CI Me Me H H H O H H CF5 (4-C(-0)Me)Ph CI Me Me H H H O H H CF5 (4-C(-0)Me)Ph CI Me Me H H H O H H CF5 (4-C(-0)Me)Ph CI Me Me H H H O H H CF5 (4-C(-0)Me)Ph CI Me Me H H H O H H CF5 (4-C(-0)Me)Ph CI Me Me H H H O H H CF5 (4-C(-0)Me)Ph CI Me Me H H H O H H CF5 (4-C(-0)Me)Ph CI Me Me H H H O H H CF5 (4-C(-0)Me)Ph CI Me Me H H H O H H CF5	10	Me	Ме	Н	Н	0	н	Н	CF ₃	(2-C(=O)NMe ₂)Ph	a
Me Me H H 0 H H CF3 (3-OMe)Ph CI		Me	Ме	Н	Н	0	н	Н	CF ₃	(3-Cl)Ph	CI
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Me Me H H H 0 H H CF3 (3-C(=O)NH2)Ph CI Me Me H H H 0 H H CF3 (3-C(=O)NHM2)Ph CI Me Me H H H 0 H CF3 (3-C(=O)NHM2)Ph CI Me Me H H H 0 H CF3 (4-C(=O)NM2)Ph CI Me Me H H O H H CF3 (4-C(=O)NM2)Ph CI Me Me H H O H H CF3 (4-M2)Ph CI Me Me H H O H H CF3 (4-M2)Ph CI Me Me H H O H H CF3 (4-M2)Ph CI Me Me H H O H H CF3 (4-C(=O)M2)Ph CI Me Me H H O H H CF3 (4-C(=O)M2)Ph CI Me Me H H O H H CF3 (4-C(=O)M2)Ph CI Me Me H H O H H CF3 (4-C(=O)M2)Ph CI Me Me H H O H H CF3 (4-C(=O)M2)Ph CI Me Me H H O H H CF3 (4-C(=O)M2)Ph CI Me Me H H O H H CF3 (4-C(=O)M2)Ph CI Me Me H H O H H CF3 (4-C(=O)M2)Ph CI Me Me H H O H H CF3 (4-C(=O)M2)Ph CI Me Me H H O H H CF3 (4-C(=O)M2)Ph CI Me Me H H O H H CF3 (4-C(=O)M2)Ph CI Me Me H H O H H CF3 (4-C(=O)M2)Ph CI Me Me H H O H H CF3 (4-C(=O)M2)Ph CI Me Me H H O H H CF3 (4-C(=O)M2)Ph CI Me Me H H H O H H CF3 (4-C(=O)M2)Ph CI Me Me H H H O H H CF3 (4-C(=O)M2)Ph CI Me Me H H H O H H CF3 (4-C(=O)M2)Ph CI Me Me H H H O H H CF3 (4-C(=O)M3)Ph CI Me Me H H H O H H H CF3 (4-C(=O)M3)Ph CI Me Me H H H O H H H CF3 (4-C(=O)M3)Ph CI Me Me H H		Me	Ме	н	Н	0	н	Н	CF ₃	(3-C(=O)OPr-i)Ph	CI
Me Me H H O H H CF3 (3-C(=O)NMe2)Ph Cl Me Me H H O H H CF3 (4-C)Ph Cl Me Me H H O H H CF3 (4-C)Ph Cl Me Me H H O H H CF3 (4-Me)Ph Cl Me Me H H O H H CF3 (4-C)Ph Cl Me Me H H H O H H CF3 (4-C)Ph Cl Me Me H H H O H H H CF3 (4-C)Ph Cl Me Me H H H O H H CF3 (4-C)Ph Cl Me Me Me H H H O H H CF3 (4-C)Ph Cl Me Me Me H H H O H H CF3 (4-C)Ph Cl Me Me Me H H H O H H H CF3 (4-C)Ph Cl Me Me Me H H H O H H CF3 (4-C)Ph Cl Me Me Me H H H O H H CF3 (4-C)Ph Cl Me Me Me H H H O H H CF3 (4-C)Ph	30	Me	Me	Н	Н	0	н	Н	CF ₃	(3-C(=O)NH ₂)Ph	CI
Me Me Me H H O H H CF3 (4-Cl)Ph Cl Me Me H H O H H CF3 (4-F)Ph Cl Me Me H H O H H CF3 (4-F)Ph Cl Me Me H H O H H CF3 (4-Me)Ph Cl Me Me H H O H H CF3 (4-NO2)Ph Cl Me Me H H O H H CF3 (4-CN)Ph Cl Me Me H H O H H CF3 (4-C(-0)Me)Ph Cl Me Me H H O H H CF3 (4-C(-0)OMe)Ph Cl Me Me H H H O H H CF3 (4-C(-0)OMe)Ph Cl Me Me H H H O H H CF3 (4-C(-0)OMe)Ph Cl Me Me H H H O H H CF3 (4-C(-0)OMe)Ph Cl Me Me H H H O H H CF3 (4-C(-0)OMe)Ph Cl Me Me H H H O H H CF3 (4-C(-0)OMe)Ph Cl Me Me H H H O H H CF3 (4-C(-0)OMe)Ph Cl Me Me H H H O H H CF3 (4-C(-0)OMe)Ph Cl Me Me H H H O H H CF3 (4-C(-0)OMe)Ph Cl Me Me H H H O H H CF3 (4-C(-0)OMe)Ph Cl Me Me H H H O H H CF3 (4-C(-0)OMe)Ph Cl Me Me H H H O H H CF3 (4-C(-0)OMe)Ph Cl Me Me H H H O H H CF3 (4-C(-0)OMe)Ph Cl Me Me H H H O H H CF3 (4-C(-0)OMe)Ph Cl Me Me H H H O H H CF3 (4-C(-0)OMe)Ph Cl Me Me H H H O H H CF3 (4-C(-0)OMe)Ph Cl Me Me H H H O H H CF3 (4-C(-0)OMe)Ph Cl Me Me H H H O H H CF3 (4-C(-0)OMe)Ph Cl Me Me H H H O H H C		Me	Me	Н	Н	0	н	H	CF ₃	(3-C(=O)NHMe)Ph	Cı
Me Me Me H H O H H CF3 (4-F)Ph Cl Me Me Me H H O H H CF3 (4-F)Ph Cl Me Me Me H H O H H CF3 (4-Me)Ph Cl Me Me Me H H O H H CF3 (4-NO2)Ph Cl Me Me Me H H O H H CF3 (4-C(=O)Me)Ph Cl Me Me Me H H O H H CF3 (4-C(=O)OPr-i)Ph Cl Me Me Me H H O H H CF3 (4-C(=O)NH2)Ph Cl Me Me Me H H O H H CF3 (4-C(=O)OPr-i)Ph Cl Me Me Me H H O H H CF3 (4-C(=O)NH2)Ph Cl Me Me Me H H O H H CF3 (4-C(=O)OPr-i)Ph Cl Me Me Me H H O H H CF3 (4-C(=O)NH2)Ph Cl Me Me Me H H O H H CF3 (4-C(=O)NH2)Ph Cl Me Me H H O H H CF3 (4-C(=O)NH2)Ph Cl Me Me H H O H H CF3 (4-C(=O)NH2)Ph Cl Me Me H H O H H CF3 (4-C(=O)NH2)Ph Cl Me Me H H O H H CF3 (4-C(=O)NH2)Ph Cl Me Me H H O H H CF3 (4-C(=O)NH2)Ph Cl Me Me H H O H H CF3 (4-C(=O)NH2)Ph Cl Me Me H H O H H CF3 (4-C(=O)NH2)Ph Cl Me Me H H O H H CF3 (4-C(=O)NH2)Ph Cl Me Me H H O H H CF3 (4-C(=O)NH2)Ph Cl Me Me H H H O H H CF3 (4-C(=O)NH2)Ph Cl Me Me Me H H H O H H CF3 (4-C(=O)NH2)Ph Cl Me Me Me H H H O H H CF3 (4-C(=O)NH2)Ph Cl Me Me Me H H H O H H CF3 (4-C(=O)NH2)Ph Cl Me Me Me H H H O H H CF3 (4-C(=O)NH2)Ph Cl Me Me Me H H H O H H CF3 (4-C(=O)NH2)Ph Cl Me Me Me H H H O H H CF3 (4-C(=O)NH2)Ph Cl Me Me Me H H H O H H CR H CR H CR H CR H CR H		Me	Ме	н	Н	0	Н	Н	CF ₃	(3-C(=0)NMe2)Ph	CI
Me Me H H O H H CF3 (4-F)Ph CI Me Me H H O H H CF3 (4-OMe)Ph CI Me Me H H O H H CF3 (4-OMe)Ph CI Me Me H H O H H CF3 (4-OMe)Ph CI Me Me H H O H H CF3 (4-C)Ph CI Me Me H H H O H H CF3 (4-C)Ph CI Me Me H H H O H	35	Me	Me	Н	Н	0	Н	H	CF ₃	(4-Cl)Ph	Cı
Me Me H H O H H CF3 (4-Me)Ph CI Me Me H H O H H CF3 (4-NO ₂)Ph CI Me Me H H O H H CF3 (4-C(=O)Me)Ph CI Me Me H H O H H CF3 (4-C(=O)OE)Ph CI Me Me H H O H H CF3 (4-C(=O)OE)Ph CI Me Me H H O H H CF3 (4-C(=O)OE)Ph CI Me Me H H O H H CF3 (4-C(=O)OE)Ph CI Me Me H H O H H CF3 (4-C(=O)NH ₂)Ph CI Me Me H H O H H CF3 (4-C(=O)NHMe)Ph CI Me Me H H O H H CF3 (4-C(=O)NHMe)Ph CI Me Me H H O H H CF3 (4-C(=O)NHMe)Ph CI Me Me H H O H H CF3 (4-C(=O)NHMe)Ph CI Me Me H H O H H CF3 (4-C(=O)NMe ₂)Ph CI Me Me H H O H H CF3 (4-C(=O)NMe ₂)Ph CI Me Me H H O H H CF3 (4-C(=O)NMe ₂)Ph CI Me Me H H O H H CF3 (4-C(=O)NMe ₂)Ph CI Me Me H H O H H CF3 (4-C(=O)NMe ₂)Ph CI Me Me H H O H H CF3 (4-C(=O)NMe ₂)Ph CI Me Me H H O H H CF3 (4-C(=O)NMe ₂)Ph CI Me Me H H O H H CF3 (4-C(=O)NMe ₂)Ph CI Me Me H H O H H CF3 (4-C(=O)NMe ₂)Ph CI Me Me H H H O H H CF3 (4-C(=O)NMe ₂)Ph CI Me Me H H H O H H CF3 (4-C(=O)NMe ₂)Ph CI Me Me H H H O H H CF3 (4-C(=O)NMe ₂)Ph CI Me Me H H H O H H CF3 (4-C(=O)NMe ₂)Ph CI Me Me H H H O H H CF3 (4-C(=O)NMe ₂)Ph CI Me Me H H H O H H CF3 (4-C(=O)NMe ₂)Ph CI Me Me H H H O H H CF3 (4-C(=O)NMe ₂)Ph CI Me Me H H H O H H CF3 (4-C(=O)NMe ₂)Ph CI Me Me H H H O H H CF3 (4-C(=O)NMe ₂)Ph CI Me Me H H H O H H CF3 (4-C(=O)NMe ₂)Ph CI Me Me H H H O H H CF3 (4-C(=O)NMe ₂)Ph CI Me Me H H H O H H CF3 (4-C(=O)NMe ₂)Ph CI Me Me H H H O H H CF3 (4-C(=O)NMe ₂)Ph CI Me Me H H H O H H CF3 (4-C(=O)NMe ₂)Ph CI Me Me H H H O H H CF3 (4-C(=O)NMe ₂)Ph CI		Me	Ме	Н	Н	0	Н	Н	CF ₃	(4-F)Ph	CI
40 Me Me H H O H H CF3 (4-NO2)Ph Cl Me Me Me H H O H H CF3 (4-C(=O)Me)Ph Cl Me Me H H O H H CF3 (4-C(=O)OMe)Ph Cl Me Me H H O H H CF3 (4-C(=O)OPr-i)Ph Cl Me Me H H O H H CF3 (4-C(=O)OPr-i)Ph Cl Me Me H H O H H CF3 (4-C(=O)OPr-i)Ph Cl Me Me H H O H H CF3 (4-C(=O)NH2)Ph Cl Me Me H H O H H CF3 (4-C(=O)NH2)Ph Cl Me Me H H O H H CF3 (4-C(=O)NHMe)Ph Cl Me Me H H O H H CF3 (4-C(=O)NHMe)Ph Cl Me Me H H O H H CF3 (4-C(=O)NMe2)Ph Cl Me Me H H O H H CF3 (4-C(=O)NMe2)Ph Cl Me Me H H O H H CF3 (4-C(=O)NMe2)Ph Cl Me Me H H O H H CF3 (4-C(=O)NMe2)Ph Cl Me Me H H O H H CF3 (4-C(=O)NMe2)Ph Cl Me Me H H O H H CF3 (4-C(=O)NMe2)Ph Cl Me Me H H H O H H CF3 (4-C(=O)NMe2)Ph Cl Me Me H H H O H H CF3 (4-C(=O)NMe2)Ph Cl Me Me H H H O H H CF3 (4-C(=O)NMe2)Ph Cl Me Me H H H O H H CF3 (4-C(=O)NMe2)Ph Cl Me Me H H H O H H CF3 (4-C(=O)NMe2)Ph Cl Me Me H H H O H H CF3 (4-C(=O)NMe2)Ph Cl Me Me H H H O H H CF3 (4-C(=O)NMe2)Ph Cl Me Me H H H O H H CF3 (4-C(=O)NMe2)Ph Cl Me Me H H H O H H CF3 (4-C(=O)NMe2)Ph Cl		Me	Ме	н	Н	0	Н	H	CF ₃	(4-OMe)Ph	CI
Me Me H H O H H CF3 (4-CN)Ph CI Me Me H H O H H CF3 (4-C(=O)Me)Ph CI Me Me H H O H H CF3 (4-C(=O)OMe)Ph CI Me Me H H O H H CF3 (4-C(=O)OPr-i)Ph CI Me Me H H O H H CF3 (4-C(=O)OPr-i)Ph CI Me Me H H O H H CF3 (4-C(=O)NH ₂)Ph CI Me Me H H O H H CF3 (4-C(=O)NHMe)Ph CI Me Me H H O H H CF3 (4-C(=O)NHMe)Ph CI Me Me H H O H H CF3 (4-C(=O)NHMe)Ph CI Me Me H H O H H CF3 (4-C(=O)NHMe)Ph CI Me Me H H O H H CF3 (4-C(=O)NMe ₂)Ph CI Me Me H H O H H CF3 (4-C(=O)NMe ₂)Ph CI Me Me H H O H H CF3 (4-C(=O)NMe ₂)Ph CI Me Me H H O H H CF3 (4-C(=O)NMe ₂)Ph CI Me Me H H O H H CF3 (4-C(=O)NMe ₂)Ph CI Me Me H H O H H CF3 (4-C(=O)NMe ₂)Ph CI Me Me H H O H H CF3 (4-C(=O)NMe ₂)Ph CI Me Me H H H O H H CF3 (4-C(=O)NMe ₂)Ph CI Me Me H H H O H H CF3 (4-C(=O)NMe ₂)Ph CI Me Me H H H O H H CF3 (4-C(=O)NMe ₂)Ph CI Me Me H H H O H H CF3 (4-C(=O)NMe ₂)Ph CI Me Me H H H O H H CF3 (4-C(=O)NMe ₂)Ph CI Me Me H H H O H H CF3 (4-C(=O)NMe ₂)Ph CI Me Me H H H O H H CF3 (4-C(=O)NMe ₂)Ph CI Me Me H H H O H H CF3 (4-C(=O)NMe ₂)Ph CI Me Me Me H H H O H H CF3 (4-C(=O)NMe ₂)Ph CI Me Me Me H H H O H H CF3 (4-C(=O)NMe ₂)Ph CI Me Me Me H H H O H H CF3 (4-C(=O)NMe ₂)Ph CI		Me	Me	Н	Ή	0	н	Н	CF,	(4-Me)Ph	CI
Me Me H H O H H CF ₃ (4-C(=O)Me)Ph Cl Me Me H H O H H CF ₃ (4-C(=O)OMe)Ph Cl Me Me H H O H H CF ₃ (4-C(=O)OEt)Ph Cl Me Me H H O H H CF ₃ (4-C(=O)OPr-i)Ph Cl Me Me H H O H H CF ₃ (4-C(=O)NH ₂)Ph Cl Me Me H H O H H CF ₃ (4-C(=O)NHMe)Ph Cl Me Me H H O H H CF ₃ (4-C(=O)NHMe)Ph Cl Me Me H H O H H CF ₃ (4-C(=O)NMe ₂)Ph Cl Me Me H H O H H CF ₃ (4-C(=O)NMe ₂)Ph Cl Me Me H H O H H CF ₃ 4.6-Dimethoxypyrmidin-2-yl Cl Me Me H H O H H CF ₃ Thiophen-2-yl Cl	40	Me	Me	H	Н	0	Н	Н	CF ₃	(4-NO ₂)Ph	CI
Me Me H H O H H CF ₃ (4-C(=O)OMe)Ph Cl Me Me H H O H H CF ₃ (4-C(=O)OEt)Ph Cl Me Me H H O H H CF ₃ (4-C(=O)OPt-i)Ph Cl Me Me H H O H H CF ₃ (4-C(=O)NH ₂)Ph Cl Me Me H H O H H CF ₃ (4-C(=O)NHMe)Ph Cl Me Me H H O H H CF ₃ (4-C(=O)NMe ₂)Ph Cl Me Me H H O H H CF ₃ (4-C(=O)NMe ₂)Ph Cl Me Me H H O H H CF ₃ (4-C(=O)NMe ₂)Ph Cl Me Me H H O H H CF ₃ 4.6-Dimethoxypyrmidin-2-yl Cl Me Me Me H H O H H CF ₃ Thiophen-2-yl Cl		Me	Me	Н	Н	0	H	Н	CF ₃	(4-CN)Ph	CI
Me Me H H O H H CF ₃ (4-C(=O)OEt)Ph Cl Me Me H H O H H CF ₃ (4-C(=O)OPr-i)Ph Cl Me Me H H O H H CF ₃ (4-C(=O)NH ₂)Ph Cl Me Me H H O H H CF ₃ (4-C(=O)NHMe)Ph Cl Me Me H H O H H CF ₃ (4-C(=O)NHMe)Ph Cl Me Me H H O H H CF ₃ (4-C(=O)NMe ₂)Ph Cl Me Me H H O H H CF ₃ 4.6-Dimethoxypyrmidin-2-yl Cl Me Me Me H H O H H CF ₃ Thiophen-2-yl Cl		Me	Me	н	Н	0	Н	H	CF ₃	(4-C(=O)Me)Ph	CI
Me Me H H O H H CF ₃ (4-C(=O)OFr-i)Ph Cl Me Me H H O H H CF ₃ (4-C(=O)NH ₂)Ph Cl Me Me H H O H H CF ₃ (4-C(=O)NHMe)Ph Cl Me Me H H O H H CF ₃ (4-C(=O)NMe ₂)Ph Cl Me Me H H O H H CF ₃ (4-C(=O)NMe ₂)Ph Cl Me Me H H O H H CF ₃ (4-C(=O)NMe ₂)Ph Cl Me Me H H O H H CF ₃ 4.6-Dimethoxypyrmidin-2-yl Cl Me Me H H O H H CF ₃ Thiophen-2-yl Cl		Me	Me	H	Н	0	Н	Н	CF ₃	(4-C(=O)OMe)Ph	CI
Me Me H H O H H CF ₃ (4-C(=O)NH ₂)Ph Cl Me Me H H O H H CF ₃ (4-C(=O)NHMe)Ph Cl Me Me H H O H H CF ₃ (4-C(=O)NMe ₂)Ph Cl Me Me H H O H H CF ₃ Pyrmidin-2-yl Cl Me Me H H O H H CF ₃ 4.6-Dimethoxypyrmidin-2-yl Cl Me Me H H O H H CF ₂ Thiophen-2-yl Cl	45	Me	Me	н	н	0	н	Н	CF₃	(4-C(=O)OEt)Ph	CI
Me Me H H O H H CF ₃ (4-C(=O)NHMe)Ph Cl Me Me H H O H H CF ₃ (4-C(=O)NMe ₂)Ph Cl Me Me H H O H H CF ₃ Pyrmidin-2-yl Cl Me Me H H O H H CF ₃ 4,6-Dimethoxypyrmidin-2-yl Cl Me Me H H O H H CF ₂ Thiophen-2-yl Cl		Me	Me	н	Н	0	н	Н	CF ₃	(4-C(=0)OPr-i)Ph	CI
Me Me H H O H H CF ₃ (4-C(=O)NMe ₂)Ph Cl Me Me H H O H H CF ₃ Pyrmidin-2-yl Cl Me Me H H O H H CF ₃ 4.6-Dimethoxypyrmidin-2-yl Cl Me Me H H O H H CF ₂ Thiophen-2-yl Cl		Me	Me	Н	Н	0	н	Н	CF ₃	(4-C(=O)NH ₂)Ph	Cı
Me Me H H O H H CG3 (4-C(=O)NMe2)Ph CI Me Me H H O H H CG3 Pyrmidin-2-yl CI Me Me H H O H H CG3 Thiophen-2-yl CI	50	Me	Me	Н	н	0	Н	Н	CF ₃	(4-C(=O)NHMe)Ph	CI
Me Me H H O H H CF ₃ Pyrmidin-2-yl Cl Me Me H H O H H CF ₃ 4.6-Dimethoxypyrmidin-2-yl Cl Me Me H H O H H CF ₂ Thiophen-2-yl Cl		Me	Me	Н	н	0	Н	н	CF ₃	(4-C(=O)NMe ₂)Ph	CI
Me Me H H O H H CF ₂ Thiophen-2-yl Cl		Me	Me	Н	Н	0	Н	н	CF ₃	Pyrmidin-2-yl	
Me Me H H O H H CF ₃ Thiophen-2-yl Cl		i i	į.	ŀ	Н	0		н	1		1
	55	Me	Ме	Н	Н	0	Н	Н	CF ₃	Thiophen-2-yl	CI

	Me M	e	н	Н	0	н	Н	CF ₃	Furan-2-yl	CI	
5	Me M	le	н	н	0	н	Н	CF ₃	SO₂Me	CI	
	Me M	ie	н	Н	0	Н	Н	CF ₃	SO₂Et	CI	
	Me M	le	н	H	0	H	Н	CF ₃	SO ₂ Pr-i	CI	
	Me M	[e	Н	Н	0	Н	Н	CF ₃	SO ₂ CH ₂ Ph	CI	
10	Me M	le	н	Н	0	Н	Н	CF ₃	SO ₂ CHF ₂	CI	
	Me M	le	н	Н	0	Н	Н	CF₃	SO ₂ CF ₃	CI .	
	Me M	le	н	Н	0	н	H	CF ₃	SO ₂ Ph	CI	
45	Me M	le	Н	н	0	Н	Н	CF ₃	C(=O)Me	a	. اسم.
15	Me M	le	Н	H	0	н	Н	CF ₃	C(=O)Et	CI	
	Me M	le	Н	Н	0	н	H	CF ₃	C(=O)Pr-i	CI	
	Me M	le	н	Н	0	н	Н	CF ₃	C(=O)Bu-t	CI	
20	Me M	le	Н	H	0	Н	Н	CF ₃	C(=O)Ph	CI	
	Me M	le	н	Н	0	н	· H	CF ₃	C(=O)CH ₂ Ph	CI	
	Me M	le	н	H	0	Н	H	CF ₃	C(=O)CH ₂ Cl	CI	
	Me M	ie	Н	H	0	Н	Н	CF ₃	C(=O)CHCl ₂	CI	
25	Me M	le	Н	Н	0	Н	H	CF ₃	C(=O)CF ₃	CI	
	Me M	le	н	H	0	Н	H	CF ₃	C(=O)OMe	CI	
	Me M	ie	Н	H	0	Н	Н	CF ₃	C(=O)OPh	CI	
20	Me M	ie '	н	H	0	Н	H	CF ₃	C(=O)OCH ₂ Ph	CI	
30	Me M	ie –	Н	H	0	Н	Ħ	CF ₃	C(=O)NHMe	CI	
	Me M	le	н	H	0	Н	H	CF ₃	C(=O)N(Me) ₂	CI	
	Me M	le	Н	H	0	Н	H	CF ₃	C(=O)NHPh	CI	
35	Me M	le	Н	H	0	Н	H	CF ₃	NH ₂	CI .	
	Me M	le	Н	Н	0	Н	H	CI	-(0	CH ₂) ₂ O-	
	Me M	[e	Н	H	0	Н	H	CI	-(0	CH ₂) ₃ O-	
	Me M	le	Н	H	0	Н	H	CI	-(0	CH ₂) ₃ S-	
40	Me M	le	н	H	0	н	Н	CI	1	H ₂) ₃ SO ₂ -	
	Me M	le	H	H	0	Н	H	CF ₃		CH ₂) ₂ O-	
	Me M	le	Н	H	0	Н	Н	CF ₃	-(0	CH ₂)₃O-	
45	Me M	ie	Н	H	0	Н	H	CF ₃	-(6	CH ₂)₃S-	
45	Me M	1	H	H	0	H	H	CF ₃		H ₂) ₃ SO ₂ -	
	Me M	le	Н	Н	0	Н	H	ОМе		(CH ₂) ₄ -	
	Me M	[e	Н	Η.	0	Н	H	OCHF ₂	-((CH ₂) ₄ -	
50	нн		H	H	0	Н	H	CF ₃	Me	CI	
	Me H		Н	Н	0	Н	Н	CF ₃	Me	CI	.,
	Me H		Me	Н	0	Н	H	CF ₃	Me	CI	
	Me M	- 1	Me	Н	0	Н	Н	CF ₃	Me	CI	
55	Me M	ie	Н	H	0	Me	Н	CF ₃	Me	[a	

	Me	Ме	н	Н	0	Et	Н	CF ₃	Ме	CI	
5	Me	Me	Н	Н	0	Pr-i	Н	CF ₃	Ме	CI	
	Me	Ме	Н	Н	0	Me	Me	CF ₃	Ме	CI	
	Me	Et	н	Н	0	Н	Н	CF ₃	Ме	Cı	
	Et	Et	Н	Н	0	Н	Н	CF ₃	Ме	CI	
10	Me	Pr-i	Н	Н	0	Н	H	CF₃	Ме	CI	
	Me	Pr	Н	Н	0	Н	H	CF ₃	Me	CI	
	Me	Pr-c	Н	Н	0	Н	Н	CF ₃	Me .	CI	
15	Me	CH ₂ Pt-c	Н	H	0	Н	Н	CF₃	Ме	CI	
15	-((CH ₂) ₂ -	Н	Н	0	Н	Н	CF ₃	Ме	CI	ĺ
	-((CH ₂) ₃ -	Н	н	0	Н	H	CF,	Ме	Cı	
	-((CH ₂) ₄ -	Н	н	0	Н	H	CF ₃	Ме	CI	ĺ
20	-((CH ₂) ₅ -	Н	Н	0	H	H	CF ₃	Ме	CI	
	Н	-(CH ₂))3-	Н	0	н	H	CF ₃	Ме	CI	
	Н	-(CH ₂))4-	Н	0	н	н	CF₃	Ме	Cı	
	Н	-(CH ₂))5-	Н	0	Н	Н	CF ₃	Ме	CI	
25	Н	-(CH ₂))6-	Н	0	н	H	CF ₃	Ме	CI .	
	Me	Et	Н	Н	2	H	Н	Н	Н	Н	

Table 4

5	$ \begin{array}{c ccccccccccccccccccccccccccccccccccc$														
	R¹	R ²	R ³	R ⁴	n	R ⁵	R ⁶	\mathbb{Z}^3	R ³¹	R ³²					
	Me	Me	Н	H	2	H	Н	0	Me	F					
45	Me	Me	H	Н	2	H	H	0	Me	Cl					
15	Me	Me	Н	Н	2	Н	H.	О	Me	OMe					
	Me	Me	H	Н	2	H	Н	О	Me	OEt					
	Me	Me	Н	Н	2	H	Н	0	Me	OPr-i					
00	Me	Me	Н	Н	2	H	H	0	Me	OPh					
20	Me	Me	H	н	2	H	H	О	Me	OCHF ₂					
	Me	Me	Н	Н	2	H	H	0	Me	Me					
	Me	Me	н	Н	2	H	Н	О	Me	CF ₃					
25	Me	Me	Н	Н	2	H	H H	0	Me	CN					
25	Me	Me	н	Н	2	H	Н	O 0	OCHF ₂	F					
	Me	Me	Н	Н	2	H	Н	О	OCHF ₂	CI					
	Me	Me	Н	H	2	H	Н	0	OCHF ₂	Me					

:	Me	Me	Н	Н	2	Н	н	О	OCHF ₂	CF ₃
_	Me	Me	Н	Н	2	н	н	О	OCHF₂	CN
5	Me	Me	Н	Н	2	Н	Н	О	CF ₃	F
	Me	Me	н	Н	2	н	н	О	CF ₃	Cl
	Me	Me	Н	Н	2	Н	н	О	CF ₃	OMe
	Me	Me	н	Н	2	Н	н	О	CF ₃	OEt .
10	Me	Me	н	Н	2	Н	н	О	CF ₃	OPr-i
	Me	Me	н	Н	2	Н	Н	О	CF ₃	OPh
	Me	Me	Н	Н	2	Н	Н	О	CF ₃	OCHF,
	Me	Me	Н	Н	2	H [.]	. Н.	О	CF ₃	SMe
15	Me	Me	н	Н	2	Н	н	О	CF ₃	SOMe
	Me	Me	Н	Н	2	Н	н	О	CF ₃	SO₂Me
	Me	Me	н	Н	2	Н	н	О	CF ₃	SEt
	Me	Me	н	Н	2	Н	н	0	CF ₃	SOEt
20	Me	Me	Н	Н	2	Н	н	О	CF ₃	SO ₂ Et
	Me	Me	Н	Н	2	H	H	О	CF ₃	SPr-i
	Me	Me	Н	Н	2	Н	Ħ	0	CF ₃	SOPr-i
	Me	Me	Н	H	2	Н	н	О	CF ₃	SO₂Pr-i
25	Me	Me	Н	Н	2	Н	H	О	CF ₃	SPh
	Me	Me	н	н	2	Н	H	О	CF ₃	SOPh
	Me	Me	Н	H	2	Н	H	0	CF ₃	SO ₂ Ph
	Me	Me	н	Н	2	Н	H	О	CF₃	SCHF ₂
30	Me	Me	Н	Н	2	н	H	О	CF ₃	SOCHF ₂
	Me	Me	Н	Н	2	H	Н	o	CF ₃	SO₂CHF₂
	Me	Me	Н	Н	2	H	Н	О	CF₃	SCF ₃
	Me	Me	Н	Н	2	Н	Н	О	CF ₃	SOCF ₃
35	Me	Me	H	Н	2	H	Н	O	CF₃	SO ₂ CF ₃
	Me	Me	Н	·H	2	H	Н	O	CF ₃	NH ₂
	Me	Me	Н	Н	2	H	H	0	CF₃	NHC(=O)Me
	Me	Me	Н	H	2	Н	Н	О	CF ₃	NHC(=O)Ph
40	Me	Me	Н	Н	2	H	H	0	′ CF₃	NHC(=O)CH ₂ Ph
	Me	Me	Н	H	2	Н	H	0	CF₃	NHC(=O)CF ₃
	Me	Me	H	H	2	Н	H	О	CF ₃	NHSO₂Me
	Me	Me	Н	Н	2	H	H	O	CF₃	NHSO₂Ph
45	Me	Me	Н	Н	2	Н	H	0	CF ₃	NHSO ₂ CHF ₂
	Me	Me	H	Н	2	Н	H	0	CF ₃	NHSO ₂ CF ₃
	Me	Me	Н	Н	2	Н	Н	О	CF ₃	NHMe
	Me	Me	H	Н	2	H	H	О	CF ₃	NHPh
50	Me	Me	Н	H	2	H	Н	0	CF₃	N(Me)C(≃O)Me
	Me	Me	Н	Н	2	H	H	0	CF ₃	N(Me)C(=O)Ph
,	Me	Me	Н	Н	2	H	H	0	CF₃	N(Me)C(=O)CH ₂ Ph
	Me	Me	Н	Н	2	H	H	О	CF ₃	$N(Me)C(=O)CF_3$
55	Me	Me	H	H	2	H	Н	0	CF₃	N(Me)SO ₂ Me
00	Me	Me	Н	Н	2	Н	Н	О	CF ₃	N(Me)SO₂Ph

	l Mo	l Mo	н	Н	2	н	Н	О	CF ₃	N(Me)SO ₂ CHF ₂	
	Me	Me			1		į .	- I	1	1	
5	Me	Me	Н	Н	2	H	H	0	CF ₃	N(Me)SO ₂ CF ₃	
	Me	Me	Н	H	2	H	Н	0	CF ₃	N(Me) ₂	
	Me	Me	H	H	2	H	Н	0	CF ₃	N(Me)Ph	
	Me Me	Me Me	Н	H	2	H H	H H	0	CF ₃	Me CF ₃	
10	Me	Me	Н	H	2	H	Н	0	CF ₃	CN CN	
	Me	Me	Н	H	2	H	Н	0	Ph	Me	
	H	Me H	Н	Н	2	H	Н	0	CF ₃	Me	
	Me	H	H	Н	2	H	H	0	CF ₃	Me	
15	Me	H	Me	Н	2	H	Н	0	CF ₃	Me	
	Me	Me	Me	H	2	H	н	0	CF ₃	Me	
	Me	Me	Н	H	2	Me	н	o	CF ₃	Me	
	Me	Me	H	Н	2	Et .	н	0	CF ₃	Me	
20	Me	Me	H	Н	2	Pr-i	н	0	CF ₃	Me	
	Me	Me	Н	Н	2	Me	Me	o	CF ₃	Me	
	Me	Et	Н	Н	2	Н	Н	o	CF ₃	Me	
	Et	Et	Н	Н	2	H	н	0	CF ₃	Me	
25	Me	Pr-i	Н	Н	2	H	н	0	CF ₃	Me	
	Me	Pr	Н	Н	2	H	н	o	CF ₃	Me	
	Me	Pr-c	н	Н	2	Н	н	О	CF ₃	Me	
	Me	CH₂Pr-c	н	Н	2	Н	н	О	CF ₃	Me	
30	-(CH ₂) ₂ -	Н	Н	2	Н	н	o	CF ₃	Me	
	l	CH ₂) ₃ -	Н	Н	2	H	н	o	CF ₃	Me	
		CH ₂) ₄ -	H	Н	2	Н	н	О	CF ₃	Ме	
	ì	CH ₂) ₅ -	Н	·H	2	H	Н	o	CF ₃	Me	
35	Н	-(CH ₂)	3-	Н	2	H	н	o	CF ₃	Me	
	Н	-(CH ₂)		Н	2	H	н	О	CF ₃	Ме	
	н	-(CH ₂)		Н	2	Н	н	o	CF ₃	Ме	
	н	-(CH ₂)		Н	2	Н	н	О	CF ₃	Me	
40	Me		Н	Н	2	Н	н	s	Me	F	
	Me	Me	Н	н	2	Н	Н	S	Me	Ci	
	Me	Me	Н	Н	2	Н	Н	S	Me	OMe	
	Me	Me	н	Н	2	Н	н	s	Me	OEt	
45	Me	Me	н	H	2	H	н	s	Me	OPr-i	
	Me	Me	н	Н	2	H	H	S	Me	OPh	
	Me	Me	н	Н	2	Н	н	s	Me	OCHF ₂	
	Me	Me	н	Н	2	Н	H	s	OCHF₂	F	
50	Me	Me	н	н	2	Н	Н	S	OCHF ₂	Ci	
00	Me	Me	н	Н	2	Н	н	s	OCHF ₂	Me	
	Me	Me	Н	Н	2	Н	Н	S	OCHF₂	CF ₃	
	Me	Me	Н	Н	2	Η .	н	S	OCHF ₂	CN	
	Me	Me	н	Н	2	Н	·H	s	CF ₃	F	
55	Me	Me	H	Н	2	Н	Н	S	CF ₃	CI	

	Me	Me	н	Н	2	н	Н	s	CF ₃	OMe
-	Me	Me	Н	Н	2	Н	Н	s	CF ₃	OEt
5	Me	Me	н	Н	2	Н	Н	s	CF ₃	OPh
	Me	Me	Н	Н	2	Н	Н	s	CF ₃	OCHF ₂
	Me	Me	Н	Н	2	Н	Н	S	CF ₃	SMe
	Me	Ме	н	Н	2	Н	Н	s	CF ₃	SOMe
10	Me	Me	н	H	2	H	Н	S	CF ₃	SO₂Me
	Me	Me	Н	Н	2	Н	Н	S	CF ₃	SEt
	Me	Me	н	Н	2	Н	Н	S	CF ₃	SOEt
	Mé	Me	н	Н	2	H.	H .	J	CF ₃	SO ₂ Et
15	Me	Me	Н	Н	2	Н	H	s	CF ₃	SPr-i
	Me	Me	Н	Н	2	Н	H	s	CF ₃	SOPr-i
	Me	Me	Н	Н	2	Н	Н	S	CF ₃	SO ₂ Pr-i
	Me	Me	н	Н	2	Н	Н	s	CF ₃	SPh
20	Me	Me	н	Н	2	Н	Н	S	CF ₃	SOPh
	Me	Me	Н	Н	2	Н	Н	S	CF ₃	SO ₂ Ph
	Me	Me	Н	Н	2	Н	Н	s	CF ₃	SCHF ₂
	Me	Me	Н	Н	2	Н	Н	S	CF ₃	SOCHF ₂
25	Me	Me	Н	Н	2	Н	Н	S	CF ₃	SO ₂ CHF ₂
20	Me	Me	Н	Н	2	Н	Н	s	CF ₃	SCF ₃
ļ	Me	Me	Н	Н	2	Н	Н	S	CF ₃	SOCF ₃
	Me	Me	Н	Н	2	Н	Н	s	CF ₃	SO ₂ CF ₃
00	Me	Me	н	Н	2	Н	Н	S	CF ₃	NH ₂
30	Me	Me	Н	Н	2	H	H	S	CF ₃	NHC(=O)Me
	Me	Me	H	Н	2	Н	H	s	CF ₃	NHC(=O)Ph
	Me	Me	Н	Н	2	Н	Н	s	CF ₃	NHC(=O)CH ₂ Ph
	Me	Me	Н	Н	2	Н	Н	s	CF ₃	NHC(=0)CF ₃
35	Me	Me	Н	Н	2	H	H	S	CF ₃	NHSO ₂ Me
	Me	Me	H	Н	2	Н	Н	s	CF ₃	NHSO ₂ Ph
	Me	Me	H	Н	2	Н	Н	S	CF ₃	NHSO ₂ CHF ₂
	Me	Me	Н	Н	2	Н	H	s	CF ₃	NHSO ₂ CF ₃
40	Me	Me	Н	Н	2	Н	Н	s	CF ₃	NHMe
	Me	Me	H	Н	2	Н	Н	S	CF ₃	NHPh
	Me	Me	Н	Н	2	H	Н	s	CF ₃	N(Me)C(=O)Me
	Me	Me	Н	Н	2	Н	H	s	CF ₃	N(Me)C(=O)Ph
45	Me	Me	Н	Н	2	Н	H	S	CF ₃	N(Me)C(=O)CH ₂ Ph
	Me	Me	Н	Н	2	Н	H	s	CF ₃	$N(Me)C(=O)CF_3$
	Me	Me	Н	Н	2	Н	Н	s	CF ₃	N(Me)SO ₂ Me
	Me	Me	Н	Н	2	Н	Н	s	CF ₃	N(Me)SO ₂ Ph
50	Me	Me	Н	Н	2	Н	·H	s	CF ₃	N(Me)SO ₂ CHF ₂
	Me	Me .	H	. Н.	2	Н	Н	c	CE	N(Me)SO ₂ CF ₃
	Me	Me	Н	H	2	H	H	S	CF ₃	N(Me) ₂
	Me	Me	H	Н	2	H	H	s	CF ₃	N(Me)Ph
55	Me	Me	H	Н	2	H	Н	S	CF ₃	Me
55	1710	1410	**	**	12	**	**	1-	JC1 3	1

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	Me	H H	H	Н	2	Н	Н	S	CF ₃	Ci
	Me	Ме	Me Me	Н	2 2	H	Н	S	CF ₃	Ci
	Me	Me	Н	Н	2	Me	H	S	CF ₃	Ci
10	Me	Me	Н	Н	2	Et	н	S	CF ₃	Cı
	Me	Me	H	Н	2	Pr-i	Н	S	CF ₃	Cı
	Me	Me	Н	Н	2	Me	Me	s	CF ₃	Cı
	Me	Et	Н	Н	2	H	H	s	CF₃	CI
15	Et	Et	Н	Н	2	H	H	s	CF ₃	CI
	Me	Pr-i	Н	Н	2	H	н	s	CF ₃	Ci
	Me	Pr	Н	Н	2	H	Н	S	CF ₃	CI
	Me	Pr-c	Н	Н	2	Н	Н	S	CF ₃	CI
20	Me	CH₂Pr-c	Н	Н	2	H	н	S	CF₃	CI
!		CH ₂) ₂ -	H	Н	2	Н	Н	s	CF ₃	CI
		CH ₂) ₃ -	Н	Н	2	H	Н	s	CF ₃	CI
		CH ₂) ₄ -	Н	Н	2	H	Н	S	CF ₃	Cı
25		CH ₂) ₅ -	Н	Н	2	H	H	S	CF ₃	CI
	H	-(CH ₂)		Н	2	Н	H	s	CF ₃	CI
			- 1					1	i	
	H	-(CH ₂).		Н	2	H	H	S	CF ₃	CI
30	H	-(CH ₂)		Н	2	H	H	S	CF ₃	CI
j	Н	-(CH ₂)		H	2	H	H	S	CF ₃	CI
	Me	Me	H	Н	1	H	H	0	Me	F
	Me	Me	H	H	1	Н	H	0	Me	CI
35	Me	Me	H	H	1	H	H	0	Me	OMe
	Me	Me	H	H	1	Н	Н	0	Me	OEt
	Me Me	Me	Н	Н	1	Н	H	0	Me	OPr-i
	Me Me	Me Me	H H	H H	1	H H	H H	0	Me	OPh OCHF ₂
40	Me	Me	Н	Н	1	H	Н	0	Me Me	Me
	Me	Me	H	H	1	H	Н	0	Me	CF ₃
	Me	Me	Н	H	1	H	H	0	Me	CN CN
i	Me	Me	н	Н	1	H	H	0	OCHF ₂	F
45	Me	Me	н	Н	1	Н	Н	o	OCHF ₂	Cı
	Me	Me	Н	Н	1	Н	Н	o	OCHF ₂	Me
	Me	Me	Н	Н	1	Н	Н	0	OCHF ₂	CF ₃
j	Me	Me	н	Н	1	Н	·H	0	OCHF ₂	CN
50	Me	Me	Н	Н	1	Н	Н	О	CF ₃	F
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1	Me	Me	н	Н	1	Н	Н	O	CF ₃	ОМе
	Me	Me	н	Н	1	Н	Н.	О	CF ₃	OEt
55	Me	Me	Н	Н	1	н	Н	o	CF ₃	OPr-i
	Me	Me	Н	Н	1	Н	Н	O	CF ₃	OPh
'	,	'	•			'		'	ı	. 1

Me Me Me H H I H H O CF3 SMe Me Me Me H H I H H O CF3 SMe Me Me Me H H I H H O CF3 SO2ME Me Me Me H H I H H O CF3 SO2Et Me Me Me H H I H H O CF3 SO2Et Me Me Me H H I H H O CF3 SO2PT-I Me Me Me H H I H H O CF3 SO2PT-I Me Me Me H H I H H O CF3 SO2PT-I Me Me Me H H I H H O CF3 SO2Ph Me Me Me H H I H H O CF3 SO2Ph Me Me Me H H I H H O CF3 SO2Ph Me Me Me H H I H H O CF3 SO2CHF2 Me Me Me H H I H H O CF3 SO2CHF2 Me Me Me H H I H H O CF3 SO2CF3 Me Me Me H H I H H O CF3 SO2CF3 Me Me Me H H I H H O CF3 SO2CF3 Me Me Me H H I H H O CF3 SO2CF3 Me Me Me H H I H H O CF3 SO2CF3	
Me Me H H I H H O CF ₃ SO ₂ Me Me Me H H I H H O CF ₃ SEt Me Me H H I H H O CF ₃ SO ₂ Et Me Me H H I H H O CF ₃ SO ₂ Et Me Me H H I H H O CF ₃ SO ₂ Fr-i Me Me H H I H H O CF ₃ SO ₂ Pr-i Me Me H H I H H O CF ₃ SO ₂ Pr-i Me Me H H I H H O CF ₃ SO ₂ Pr-i Me Me H H I H H O CF ₃ SO ₂ Ph Me Me H H I H H O CF ₃ SCHF ₂ Me Me H H I H H O CF ₃ SO ₂ CHF ₂ Me Me H H I H H O CF ₃ SO ₂ CHF ₂ Me Me H H I H H O CF ₃ SO ₂ CHF ₂ Me Me H H I H H O CF ₃ SO ₂ CHF ₂	
Me Me H H I H H O CF ₃ SEt Me Me Me H H I H H O CF ₃ SO ₂ Et Me Me Me H H I H H O CF ₃ SPr-i Me Me H H I H H O CF ₃ SO ₂ Pr-i Me Me H H I H H O CF ₃ SO ₂ Pr-i Me Me H H I H H O CF ₃ SO ₂ Pr-i Me Me H H I H H O CF ₃ SO ₂ Ph Me Me H H I H H O CF ₃ SO ₂ Ph Me Me H H I H H O CF ₃ SCHF ₂ Me Me H H I H H O CF ₃ SO ₂ CHF ₂ Me Me H H I H H O CF ₃ SO ₂ CHF ₂ Me Me H H I H H O CF ₃ SCF ₃ Me Me H H I H H O CF ₃ SCF ₃ Me Me H H I H H O CF ₃ SCF ₃ Me Me H H I H H O CF ₃ SCF ₃ Me Me Me H H I H H O CF ₃ SCF ₃	
Me Me H H I H O CF ₃ SO ₂ Et Me Me H H I H O CF ₃ SP ₇ -i Me Me H H I H O CF ₃ SO ₂ P ₇ -i Me Me H H I H H O CF ₃ SO ₂ P ₇ -i Me Me H H I H H O CF ₃ SPh Me Me H H I H H O CF ₃ SC ₂ P ₁ -i Me Me H H I H H O CF ₃ SCHF ₂ Me Me H H I H H O CF ₃ SCHF ₂ Me Me H H I H H O CF ₃ SCF ₂ Me Me H H I H H O CF ₃ SCF ₂ Me Me H H I H H O CF ₃ SCF ₂ Me Me H H I H H O CF ₃ SCF ₂	
Me Me H H I H H O CF ₃ SPr-i Me Me H H I H H O CF ₃ SO ₂ Pr-i Me Me H H I H H O CF ₃ SPh Me Me H H I H H O CF ₃ SO ₂ Ph Me Me H H I H H O CF ₃ SCHF ₂ Me Me H H I H H O CF ₃ SCHF ₂ Me Me H H I H H O CF ₃ SO ₂ CHF ₂ Me Me H H I H H O CF ₃ SO ₂ CHF ₂ Me Me H H I H H O CF ₃ SCF ₃ Me Me H H I H H O CF ₃ SCF ₃	
Me Me H H I H O CF ₃ SPh Me Me H H I H H O CF ₃ SO ₂ Ph Me Me H H I H H O CF ₃ SCHF ₂ . Me Me H H I H H O CF ₃ SCHF ₂ . Me Me H H I H H O CF ₃ SO ₂ CHF ₂ Me Me H H I H H O CF ₃ SC ₂ CHF ₂ Me Me H H I H H O CF ₃ SCF ₃ Me Me H H I H H O CF ₃ SCF ₃	
Me Me H H I H O CF ₃ SPh Me Me H H I H H O CF ₃ SO ₂ Ph Me Me H H I H H O CF ₃ SCHF ₂ . Me Me H H I H H O CF ₃ SCHF ₂ . Me Me H H I H H O CF ₃ SO ₂ CHF ₂ Me Me H H I H H O CF ₃ SC ₂ CHF ₂ Me Me H H I H H O CF ₃ SCF ₃ Me Me H H I H H O CF ₃ SCF ₃	
Me Me H H 1 H O CF ₃ SO ₂ Ph Me Me H H 1 H O CF ₃ SCHF ₂ Me Me H H 1 H O CF ₃ SO ₂ CHF ₂ Me Me H H 1 H O CF ₃ SO ₂ CHF ₂ Me Me H H 1 H O CF ₃ SCF ₃ Me Me H H H H H O CF ₃ SCF ₃	
Me Me H H I H O CF ₃ SCHF ₂ . Me Me H H I H O CF ₃ SO ₂ CHF ₂ Me Me H H I H O CF ₃ SO ₂ CHF ₂ Me Me H H I H O CF ₃ SCF ₃ Me Me H H I H O CF ₃ SCF ₃	
Me Me H H 1 H H O CF3 SCF3 Me Me H H I H H O CF3 SO2CF3	
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Me Me H H 1 H O CF ₃ SO ₂ CF ₃	
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Me Me H H I H O CF ₃ NHC(=O)CF ₃	1
Me Me H H I H O CF ₃ NHSO ₂ Me	
Me Me H H I H O CF ₃ NHSO ₂ Ph	1
Me Me H H 1 H O CF ₃ NHSO ₂ CHF ₂	
Me Me H H I H O CF ₃ NHSO ₂ CF ₃	
Me Me H H I H O CF ₃ NHMe	
Me Me H H I H O CF ₃ NHPh	
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$oxed{Me} oxed{Me} oxed{H} oxed{H} oxed{H} oxed{H} oxed{H} oxed{H} oxed{H} oxed{H} oxed{H} oxed{H} oxed{H} oxed{O}_2Ph$	
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40 Me Me H H I H H O CF_3 N(Me)SO ₂ CF ₃	
$egin{array}{ c c c c c c c c c c c c c c c c c c c$	1
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5	Me	Et Et	Н	Н		H	H	0	CF ₃	Me	
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	Me	Pr-i	Н	Н	1	H	н	0	CF ₃	Me	
	Me	Pr	H	Н	1	H	н	0	CF ₃	Me	
10	Me	ł	Н	H	1	H	H	0	CF ₃	Me	
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			H		1	H	1		CF ₃	Me	
15	l	CH ₂) ₃ -	H	H	1	H.	i	0	CF ₃	Me 1347	
10	Į.	CH ₂) ₄ -	H	Н	1	H	H	О	CF ₃	Me	
	-(CH ₂) ₅ -	H	Н	1	H	Н	О	CF ₃	Me	
	H	-(CH ₂)	3-	H	1	H	н	0	CF ₃	Me	
20	H	-(CH ₂)	4-	Н	1	H	Н	0	CF ₃	Me	
20	H	-(CH ₂)	5-	Н	1	H	н	О	CF ₃	Me	
	Н	-(CH ₂)	6-	Н	1	H	н	О	CF ₃	Me	
	Me	Me	Н	Н	1	H	Н	S	Me	F	
	Me	Me	H	Н	1	H	H	s	Me	Cl	
25	Me	Me	Н	Н	1	Н	н	s	Me	ОМе	
	Me	Me	Н	Н	1	H	Н	s	Me	OEL	
	Me	Me	Н	Н	1	H	Н	s	Me	OPr-i	
	Me	Me	Н	H	1	H	н	S	Me	OPh	
30	Me	Me	Н	Н	1	H	н	S	Me	OCHF ₂	
	Me	Me	Н	Н	1	H	Н	S	OCHF ₂	F	
	Me	Me	Н	Н	1	H	H	S	OCHF ₂	Cl	
	Me	Me	Н	Н	1	H	H	S	OCHF ₂	Me	
35	Me	Me	H	H	1	H	H	S	OCHF ₂	CF ₃	
	Me	Me	H	H	1	H	H	S	OCHF ₂	CN	
	Me	Me	Н	Н	1	Н	Н	S	CF ₃	F	
	Me	Me	Н	Н	1	H	H	S	CF ₃	CI	
40	Me	Me	H	Н	1	Н	H	S	CF ₃	OMe	
	Me	Me	Н	Н	1	H	H	S	CF ₃	OEt	
	Me	Me	Н	Н	1	· H	H	S	CF ₃	OPh	
	Me	Me	Н	Н	1	H	H	S	CF ₃	OCHF ₂	
45	Me	Me	Н	Н	1	H	H	S	CF ₃	SMe	
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50	Me	Me	H	H	$\begin{vmatrix} 1 \\ 1 \end{vmatrix}$	H	H	S	CF ₃	SPr-i	
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	Me	Me	Н		1	H	H.	S	CF ₃	SPh ***	
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55	Me		i i	H	$\begin{vmatrix} 1 \\ 1 \end{vmatrix}$	H	H	S	CF ₃	SCHF ₂ SO ₂ CHF ₂	
	1415	Me	н	п	1	H	п	13	CF ₃	SO2CHI 2	

	Me	Me	Н	Н	1	Н	Н	s	CF ₃	SCF ₃
5	Me	Me	н	Н	1	H	н	s	CF ₃	SO ₂ CF ₃
	Me	Me	н	Н	1	Н	Н	s	CF₃	NH ₂
	Me	Me	Н	Н	1	Н	H	s	CF ₃	NHC(=O)Me
	Me	Me	Н	Н	1	H	Н	S	CF ₃	NHC(=O)Ph
10	Me	Me	Н	Н	1	Н	Н	s	CF₃	NHC(=O)CH ₂ Ph
10	Me	Me	H	H,	1	Н	Н	s	CF₃	NHC(=O)CF ₃
	Me	Me	Н	Н	1	Н	H	s	CF ₃	NHSO₂Me
	Me	Me	Н	Н	1	Н	H	s	CF ₃	NHSO₂Ph
	Me	Me	Н	Н	1	Н	H	. s	CF ₃	NHSO ₂ CHF ₂
15	Me	Me	H	Н	1	H	H	s	CF ₃	NHSO₂CF₃
	Me	Me	н	Н	1	Н	Н	s	CF ₃	NHMe
	Me	Me	н	Н	1	Н	Н	s	CF ₃	NHPh
	Me	Me	н	Н	1	Н	Н	s	CF ₃	N(Me)C(=O)Me
20	Me	Me	н	Н	ı	H	Н	s	CF ₃	N(Me)C(=O)Ph
	Me	Me	н	Н	1	Н	Н	S	CF ₃	N(Me)C(=O)CH ₂ Ph
	Me	Me	н	Н	1	Н	Н	s	CF ₃	$N(Me)C(=O)CF_3$
	Me	Me	н	Н	1	Н	Н	s	CF ₃	N(Me)SO₂Me
25	Me	Me	н	Н	1	Н	Н	s	CF ₃	N(Me)SO₂Ph
	Me	Me	н	Н	1	Н	Н	s	CF ₃	N(Me)SO ₂ CHF ₂
	Me	Me	н	Н	1	Н	Н	s	CF ₃	N(Me)SO ₂ CF ₃
	Me	Me	н	Н	1	н	Н	S	CF ₃	N(Me) ₂
30	Me	Me	Н	H	1	Н	H	S	CF ₃	N(Me)Ph
	Me	Me	н	Н	1	Н	Н	s	CF ₃	Ме
	Me	Me	н	Н	1	Н	Н	S	CF ₃	CN
	н	Н	Н	Н	1	Н	Н	s	CF ₃	Cl
35	Me	H	H	Н	1	H	H	s	CF ₃	Cl
00	Me	Н	Me	Н	1	Н	H	s	CF ₃	Cl
	Me	Me	Me	H	1	Н	н	s	CF ₃	CI
	Me	Me	н	Н	1	Me	Н	S	CF ₃	Cı
40	Me	Me	н	H	1	Et	Н.	S	CF ₃	Cl
40	Me	Me	н	Н	1	Pr-i	H	S	CF ₃	Cl
	Me	Me	н	Н	1	Me	Me	s	CF ₃	CI
	Me	Et	н	Н	1	Н	H	s	· CF ₃	CI
	Et	Et	Н	Н	1	H	H	s	CF₃	Cl
45	Me	Pr-i	н	Н	1	Н	H	¹ S	CF ₃	CI
	Me	Pr	н	Н	1	н	H	s	CF ₃	Cl
	Me	Pr-c	н	Н	1	н	H	s	CF ₃	Cl
	Me	CH ₂ Pr-c	Н	Н	1	H	H	s	CF ₃	Cl
50	-(1	CH ₂) ₂ -	Н	Н	1	Н	Н	S	CF ₃	Cl
	v: -(1	CH ₂) ₃	н	Н	1	н	H	S	CF ₃	Cl
-(CH ₂) ₄ - H			H.	Н	1	Н	H	s	CF₃	CI
	i	CH ₂) ₅ -	Н	Н	1	Н	Н	s	CF ₃	CI
55	Н	-(CH ₂)		н	1	Н	Н	s	CF ₃	Cì
	I	1 (2)	,		-			1-	1-23	· .

5 H -(CH ₂) ₅ - H 1 H S CF ₃ Cl	
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15 Me Me H H O Me Me	
Me Me H H O H O Me CF ₃	
Me Me H H O H O Me CN	
Me Me H H 0 H O OCHF ₂ F	
20 Me Me H H O OCHF ₂ Cl	
Me Me H H 0 H O OCHF ₂ Me	
$egin{array}{ c c c c c c c c c c c c c c c c c c c$	
Me Me H H O H O OCHF ₂ CN	
25 Me Me H H O CF ₃ F	
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50 Me Me H H O H H O CF ₃ NHC(=	l l
	O)CH₂Ph
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55 Me Me H H O CF ₃ NHSO ₂	rn ,

	Me	Me	Н	Н	0	Н	Н	Ю	CF ₃	NHSO ₂ CHF ₂
	Me	Me	н	Н	0	Н	н	О	CF ₃	NHSO₂CF₃
5	Me	Me	н	Н	0	н	н	0	CF₃	NHMe
	Me	Me	н	Н	0	Н	Н	0	CF ₃	NHPh
	Me	Me	н	Н	0	Н	Н	О	CF ₃	N(Me)C(=O)Me
	Me	Me	н	Н	0	Н	Н	О	CF ₃	N(Me)C(=O)Ph
10	Me	Me	н	Н	0	H	Н	О	CF ₃	N(Me)C(=O)CH ₂ Ph
	Me	Me	H.	Н	0	Н	Н	О	CF ₃	N(Me)C(=O)CF ₃
	Me	Me	H	Н	0	Н	Н	O	CF₃	N(Me)SO ₂ Me
	, Me	Me	. H	Н	0	H	H	0	CF ₃	N(Me)SO₂Ph
15	Me	Me	Н	Н	0	Н	н	0	CF ₃	N(Me)SO ₂ CHF ₂
	Me	Me	н	Н	0	Н	н	0	CF ₃	N(Me)SO ₂ CF ₃
	Me	Me	н	Н	0	H	Н	o	CF ₃	N(Me) ₂
	Me	Me	Н	Н	0	Н	Н	O	CF₃	N(Me)Ph
20	Me	Me	Н	Н	0	Н	Н	O	CF₃	Me
	Me	Me	Н	Н	0	Н	Н	О	CF₃	CF ₃
	Me	Me	Н	Н	0	н	н	o	CF ₃	CN
	Me	Me	Н	H	0	Н	H	O	Ph	Ме
25	н	H	н	Н	0	Н	Н	0	CF₃	Me
	Me	Н	Н	Н	0	H	H	О	CF ₃	Me
	Me	Н	Me	Н	0	Н	Н	O	CF ₃	Ме
	Me	Me	Me	Н	0	Н	Н	0	CF ₃	Me
30	Me	Me	H	Н	0	Me	H	0	CF₃	Me
30	М́е	Me	H	H	0	Et	Н	О	CF ₃	Me
	Me	Me	H	Н	0	Pr-i	Н	О	CF ₃	Me
	Me	Me	Н	Н	0	Me	Me	O	CF ₃	Me
	Me	Et	H	Н	0	Н	Н	O	CF ₃	Me
35	Et	E t	H	Н	0	н	Н	О	CF ₃	Me
	Me	Pr-i	H	Н	0	Н	Н	0	CF₃	Me
	Me	Pr	H	Н	0	Н	Н	0	CF ₃	Me
	Me	Pr-c	H	Н	0	Н	Н.	О	CF ₃	Me
40	Me	CH₂Pr-c	Н		0	Н	H	О	CF₃	Me
		CH ₂) ₂ -	H	Н	0	Н	H	О	CF₃	Ме
		CH ₂) ₃ -	Н	Н	0	Н	H	О	CF ₃	Me
	-(1	CH ₂) ₄ -	Н	H	0	H	Н	О	CF ₃	Me
45	-(1	CH ₂) ₅ -	Н	Н	0	H	Н	0	CF₃	Me
	H	-(CH ₂)	3-	Н	0	Н	н	0	CF ₃	Me
	H	-(CH ₂)	4-	H	0	Н	Н	0	CF ₃	Me
	H	-(CH ₂)	5-	Н	0	Н	H	О	CF₃	Ме
50	н	-(CH ₂)	6-	Н	0	Н	н	o	CF ₃	Ме
	∘ Me∾	· · Me	Н	Н	0	н	Н	s	Me	F
	Me	Me	Н	Н	0	Н	Н	s	Me	CI
	Me	Me	н	Н	0	Н	H	s	Me	OMe
55	Me	Me	н	Н	0	н	н	s	Me	OEt
		•	. '		, ,	'	•	,	5	•

	Me	Me	Н	Н	0	Н	Н	s	Me	OPr-i
	Me	Me	Н	Н	0	Н	Н	s	Me	OPh
5	Me	Me	Н	Н	0	Н	Н	s	Me	OCHF ₂
	Me	Me	Н	H	0	Н	H	s	OCHF ₂	F
	Me	Me	H	Н	0	н	Н	s	OCHF₂	Cı
	Me	Me	Н	Н	0	Н	Н	s	OCHF₂	Me
10	Me	Me	Н	Н	0	н	Н	S	OCHF₂	CF ₃
	Me	Me	Н	Н	0	Н	н	s	OCHF₂	CN
	Me	Me	Н	Н	0	Н	н	S	CF ₃	F
	Me	Me	H	Н	0	H	. н	S	CF ₃	Cl
15	Me	Me	Н	Н	0	Н	Н	s	CF ₃	OMe
	Me	Me	, H	Н	0	н	Н	s	CF ₃	OEt
	Me	Me	Н	H	0	Н	Н	s	CF ₃	OPh
	Me	Me	Н	Н	0	H	Н	s	CF ₃	OCHF ₂
20	Me	Me	Н	Н	0	Н	Н	s	CF ₃	SMe
	Me	Me	Н	Н	0	Н	н	s	CF ₃	SO ₂ Me
	Me	Me	Н	Н	0	Н	н	s	CF ₃	SEt
	Me	Me	Н	Н	0	H	н	s	CF ₃	SO ₂ Et
25	Me	Me	Н	Н	0	Н	н	s	CF ₃	SPr-i
20	Me	Me	Н	Н	0	H	н	s	CF ₃	SO₂Pr-i
	Me	Me	Н	Н	0	H	Н	s	CF ₃	SPh
	Me	Me	Н	Н	0	Н	Н	S	CF ₃	SO₂Ph
00	Me	Me	Н	Н	0	Н	н	S	CF ₃	SCHF ₂
30	Me	Me	Н	Н	0	H	н	s	CF ₃	SO ₂ CHF ₂
	Me	Me	Н	Н	0	H	Н	S	CF ₃	SCF ₃
	Me	Me	Н	Н	0	Н	н	s	CF ₃	SO ₂ CF ₃
	Me	Me	Н	Н	0	H	н	s	CF ₃	NH ₂
35	Me	Me	Н	Н	0	H	Н	S	CF ₃	NHC(=O)Me
	Me	Me	Н	Н	0	Н	Н	S	CF₃	NHC(=O)Ph
	Me	Me	Н	H	0	Н	н	s	CF₃	NHC(=O)CH ₂ Ph
	Me	Me	Н	Н	0	Н	н	S	CF₃	NHC(=O)CF ₃
40	Me	Me	Н	Н	0	Н	н	s	CF ₃	NHSO₂Me
	Me	Me	Н	Н	0	H	н	S	CF ₃	NHSO₂Ph
	Me	Me	н	Н	0	H	Н	s	CF ₃	NHSO ₂ CHF ₂
	Me	Me	н	H	0	Н	Н	S	CF ₃	NHSO ₂ CF ₃
45	Me	Me	н	Н	0	H	H	S	CF₃	NHMe
	Me	Me	H	H	0	H	Н	s	CF₃	NHPh
	Me	Me	Н	H	0	H	Н	S	CF₃	N(Me)C(=O)Me
	Me	Me	Н	H	0	Н	н	s	CF ₃	N(Me)C(=O)Ph
50	Me	Me	н	H	0	Н	Н	s	CF ₃	N(Me)C(=O)CH ₂ Ph
	Me	Me	Н	Н	0	Н	н	S	CF ₃	$N(Me)C(=O)CF_3$
	Me	Me	Н	Н	0	H	Н	S	CF ₃	N(Me)SO ₂ Me
	Me	Me	Н	H	0	H	. Н	S	CF ₃	N(Me)SO ₂ Ph
55	Me	Me	н	H	0	Н	Н	s	CF ₃	N(Me)SO ₂ CHF ₂
00	,		•		•				•	·

	Me	Me	Н	H	0	H	Н	S	CF ₃	N(Me)SO ₂ CF ₃
5	Me	Me	н	Н	0	H	Н	S	CF ₃	N(Me) ₂
	Me	Me	H	Н	0	Н	Н	S	CF ₃	N(Me)Ph
	Me	Me	Н	Н	0	Н	н	S	CF ₃	Ме
,	Me	Me	H	Н	0	Н	Н	S	CF ₃	CN
10	Н	н	H	Н	0	Н	H	S	CF ₃	CI
	Me	H	Н	Н	0	H	H	S	CF ₃	Cl
	Me	н	Me	Н	0	Н	Н	S	CF ₃	Cl
	Me	Me	Me	Н	0	Н	Н	S	CF ₃	CI
15	Me	Me	н	H	0	Me	,	Sur	CF ₃	Cl
	Me	Me	Н	Н	0	Et	н	S	CF ₃	CI
	Me	Me	Н	Н	0	Pr-i	н	S	CF ₃	Cl
	Me	Me	н	Н	0	Me	Me	S	CF ₃	Cl
20	Me	Et	н	Н	0	H	Н	S	CF ₃	Cl
20	Et	E t	Н	H	0	H	Н	S	CF ₃	Cl
	Me	Pr-i	н	Н	0	Н	Н	S	CF ₃	Cı
	Me	Pr	н	H	0	H	н	S	CF ₃	CI
25	Me	Pr-c	н	Н	0	H	н	S	CF ₃	Cl
20	Me	CH ₂ Pr-c	Н	Н	0	Н	н	S	CF ₃	CI
	-((CH ₂) ₂ -	H	Н	0	Н	н	S	CF ₃	Cl
	-((CH ₂) ₃ -	Н	Н	0	Н	н	S	CF ₃	Ċı
30	-((CH ₂) ₄ -	н	Н	0	Н	н	s	CF ₃	Ci
30	-((CH ₂) ₅ -	Н	Н	0	Н	Н	s	CF ₃	Cl
	Н	-(CH ₂)	3-	Н	0	Н	Н	s	CF ₃	Cl
	H	-(CH ₂)	4-	Н	0	Н	Н	S	CF ₃	CI
35	Н	-(CH₂)	5-	Н	0	H	Н	S	CF ₃	CI
	H	-(CH ₂)	6-	Н	0	Н	Н	S	CF ₃	Cı

Table 5

5	
10	

							R^5 Z^4		
R¹	R ²	R ³	R ⁴	n	R ⁵	R ⁶	Z ⁴	R ³³	R ³⁴
Me	Me	Н	Н	2	H	Н	NMe	Cì	Н
Me	Me	H	H	2	Н	H	NMe	Cì	Me
Me	Me	H	Н	2	H	Н	NMe	Cl	Et
Me	Me	Н	H	2	Н	Н	NMe ··	Class	CF ₃
Me	Me	Н	H	2	Н	Н	NMe	CF ₃	н
Me	Me	Н	H	2	Н	Н	NMe	CF ₃	Me

	Me	Me	Н	Н	2	Н	Н	NMe	OCHF ₂	н
	Me	Me	Н	Н	2	Н	Н	NMe	OCHF ₂	Me
5	Me	Me	Н	Н	2	Н	Н	NMe	C(=O)Me	H .
	Me	Me	Н	H	2	Н	H	NMe	C(=O)Me	Me
	Me	Me	Н	H	2	Н	Н	NMe	-	(CH ₂) ₃ -
	Me	Me	Н	Н	2	Н	Н	NMe		(CH ₂) ₄ -
10	Me	Me	Н	H	2	Н	H	NEt	Cl	Me
	Me	Me	H	H	2	Н	H	NEt	CF ₃	H
	Me	Me	H ^	Н	2	Η.	H	ŅĒt	CF ₃	Me
15	Me	Me	H.	Н	2	Н	Н	NEt	OCHF ₂	Н
70	Me	Me	Н	H	2	н	Н	NEt	OCHF ₂	Ме
	Me	Me	Н	H	2	Н	Н	NEt	-	(CH ₂) ₃ -
	Me	Me	Н	Н	2	Н	Н	NEt	-	(CH ₂) ₄ -
20	Me	Me	Н	H	2	Н	Н	NPr-i	Cl	Ме
	Me	Me	Н	H	2	Н	Н	NPr-i	CF ₃	Н
	Me	Me	Н	Н	2	Н	Н	NPr-i	CF ₃	Ме
	Me	Me	Н	H	2	н	Н	NPr-i	OCHF ₂	H
25	Me	Me	Н	Н	2	Н	Н	NPr-i	OCHF ₂	Me
	Me	Me	н	Н	2	н	Н	NPr-i		(CH ₂) ₃ -
	Me	Me	Н	Н	2	Н	Н	NPr-i		(CH ₂) ₄ -
30	Me	Me	Н	Н	2	Н	Н	NPr	C1	Me
30	Me	Me	Н	Н	2	Н	Н	NPr	CF ₃	н
	Me	Me	Н	H	2 ·	Н	H	NPr	CF₃	Ме
	Me	Me	Н	H	2	Н	Н	NPr	OCHF ₂	Н
35	Me	Me	Н	H	2	Н	H	NPr	OCHF ₂	Ме
	Me	Me	Н	H	2	Н	H	NPr		(CH ₂) ₃ -
	Me	Me	Н	Н	2	Н	Н	NPr	-1	(CH ₂) ₄ -
	Me	Me	Н	Н	2	Н	H	NBu-t	Cl	Ме
40	Me	Me	Н	Н	2	Н	Н	NBu-t	CF₃	Н
	Me	Me	Н	H	2	Н	H	NBu-t	CF ₃	Me
	Me	Me	Н	Н	2	Н	Н	NBu-t	OCHF ₂	H
45	Me	Me	Н	Н	2	н	Н	NBu-t	OCHF ₂	Me
45	Me	Me	Н	Н	2	Н	Н	NBu-t	-((CH ₂) ₃ -
	Me	Me	н	Н	2	н	Н	NBu-t	-1	(CH ₂) ₄ -
	Me	Me	Н	Н	2	Н	Н	NCH₂Ph	Cl	Ме
50	Me	Me	Н	H	2	н	Н	NCH₂Ph	CF ₃	Н
	Me	Me	Н	Н	2	н	Н	NCH₂Ph	OCHF ₂	H
	Me	Me	Н	Н	2	Н	H	NCH₂OMe	Cı	Ме
	Me	Me	н	н	2	Н	Н	NCH₂OMe	CF₃	н
55	Me	Me	н	Н	2	н	H	NCH₂OMe	OCHF₂	н
	• 1		, ,		ا ا	1	l	1	ı	1 .

	Me	Me	Н	Н	2	Н	Н	NCH ₂ C≡CH	Cl	Me	
	Me	Me	Н	Н	2	Н	Н	1	1		
5	l		1					NCH ₂ C≡CH	CF ₃	H	
	Me	Me	Н	Н	2	Н	H	NCH ₂ C≡CH	OCHF₂	Н	
	Me	Me	Н	H	2	H	H	NCH ₂ CH=CH ₂	C1.	Me	
	Me	Me	H	H	2	Н	н	NCH ₂ CH=CH ₂	CF ₃	H	
10	Me	Me	Н	Н	2	Н	Н	NCH ₂ CH=CH ₂	OCHF ₂	н	
	Me	Me	Н	Н	2	Н	Н	NCHF ₂	Cl	Me	
	Me	Me	Н	Н	2	Н	Н	NCHF₂	CF ₃	Н	
45	Me	Me	Н	Н	2	Н	Н	NCHF ₂	CF ₃	Me	* :
15	Me	Me	Н	Н	2	н	Н	NCHF₂	OCHF ₂	H	
	Me	Me	Н	Н	2	Н	Н	NCHF₂	OCHF ₂	Me	
	Me	Me	н	Н	2	Н	н	NCHF ₂	C(=O)Me	н	
20	Me	Me	Н	Н	2	н	Н	NCHF ₂	C(=O)Me	Me	
	Me	Me	Н	Н	2	Н	Н	NCHF ₂	-(0	CH ₂) ₃ -	
	Me	Me	Н	Н	2	Н	Н	NCHF ₂	-(0	CH ₂) ₄ -	
	Me	Me	н	Н	2	Н	н	NPh	OMe	Me	
25	Me	Me	н	Н	2	Н	н	NPh	OEt	Me	
	Me	Me	Н	Н	2	H	Н	NPh	OCHF ₂	Me	
	Me	Me	H	Н	2	Н	H	NPh	OCH ₂ CF ₃	Ме	
	Me	Me	Н	Н	2	н	н	NPh	CF ₃	Н	
30	Me	Me	Н	Н	2	н	Н	NPh .	OCH ₂ CH=CH ₂	Ме	
	Me	Me	Н	Н	2	Н	H	NPh .	OCH ₂ C≡CH	Ме	
	Me	Me	H	Н	2	Н	н	NPh	Cl	Me	
	Me	Me	Н	Н	2	Н	Н	N(2-Cl)Ph	Cl	Me	
35	Me	Me	H	Н	2	н	Н	N(2-F)Ph	Cl	Me	
	Me	Me	H	Н	2	Н	H	N(2-OMe)Ph	Cı	Ме	
	Me	Me	Н	Н	2	H	Н	N(2-Me)Ph	Cl	Me	
	Me	Me	H	H	2	Н	H	N(3-Cl)Ph	Cl	Me	
	Me	Me	Н	H	2	Н	H	N(3-F)Ph	Cl	Ме	
40	Me	Me	H	H	2	H	Н	N(3-OMe)Ph	Cl	Me	
	Me Me	Me Me	H H	H H	2 2	H H	H H	N(3-Me)Ph N(4-Cl)Ph	Cl	Me	
	Me	Me	H	л Н	2	Н	Н	N(4-C1)Ph N(4-F)Ph	CI CI	Me Me	
	Me	Me	Н	H	2	Н	H	N(4-OMe)Ph	CI	Me	
45	Me	Me	Н	H	2	Н	Н	N(4-Me)Ph	Ci	Me	
/5	Me	Me	H	H	2	H	H	N(Thiophen-2-yl)	Cı	Me	
	Me	Me	Н	Н	2	H	Н	N(Thiophen-2-yl)	CF ₃	Н	
	Me	Me	н	н	2	н	Н	N(Thiophen-2-yl)	OCHF ₂	H	
50	Me	Me	Н	Н	2	Н	Н	NC(=O)Me	Cl	Me	
50			l i		1	1		1	1	l i	
	Me	Me	H	Н	2	Н	H	NC(=0)Me	CF ₃	Н	
	Ме	Me	H	Н	2	н	Н	NC(=O)Me	OCHF ₂	H	
	Me	Me	Н	H	2	Н	H	$NC(=0)CF_3$	Cl	Ме	
55	Me	Me	Н	Н	2	Н	H	NC(=O)CF ₃	CF ₃	Н	

	Me	1 240	LT.	Н	1 2	н	Н	NG(=O)CE	locur.	lu 1
		Me	Н	1	2	1		NC(=0)CF ₃	OCHF ₂	H
5	Me	Me	H	H	2	Н	Н	NC(=O)CH ₂ Ph	Cı	Me
	Me	Me	H	Н	2	H	H	NC(=O)CH ₂ Ph	CF ₃	H
	Me	Me	Н	Н	2	Н	H	NC(=O)CH₂Ph	OCHF ₂	H
	Me	Me	H	Н	2	H	H	NC(=O)Ph	Cl	Me
10	Me	Me	Н	Н	2	Н	H	NC(=O)Ph	CF ₃	н
10	Me	Me	Н	Н	2	Н	н	NC(=O)Ph	OCHF₂	Н
	Me	Me	H	Н	2	Н	H	NC(=O)OMe	CI	Me
	Me	Me	H	Н	2	H	н	NC(=O)OMe	CF₃	H
15	Me	Me	Н	Н	2	H	Н	NC(=O)OMe	OCHF ₂	H
15	Me	Me	Н	Н	2	Н	н	NC(=O)OCH ₂ Ph	Cl	Me
	Me	Me	Н	H	2	Н	H	NC(=O)OCH ₂ Ph	CF ₃	Н
	Me	Me	н	Н	2	Н	Н	NC(=O)OCH ₂ Ph	OCHF ₂	Н
	Me	Me	н	H	2	H	H	NC(=O)OPh	Cl	Me
20	Me	Me	н	Н	2	н	H	NC(=O)OPh	CF ₃	н
	Me	Me	Н	Н	2	н	H	NC(=O)OPh	OCHF ₂	Н
	Me	Me	н	Н	2	Н	H	NC(=O)NHMe	Cl	Me
25	Me	Me	н	Н	2	Н	H	NC(=O)NHMe	CF ₃	Н
20	Me	Me	Н	Н	2	н	H	NC(=O)NHMe	OCHF ₂	Н
	Me	Me	н	Н	2	Н	H	NC(=O)N(Me) ₂	CI	Ме
	Me	Me	н	Н	2	Н	H	NC(=O)N(Me) ₂	CF ₃	н
30	Me	Me	H	Н	- 2	н	H	NC(=O)N(Me) ₂	OCHF ₂	н
	Н	H	H	H	2	Н	H	NPh	Cl ·	Me
	Me	H	н	Н	2	н	H	NPh	Cl	Me
	Me	H	Me	H	2	Н	H	NPh	CI	Ме
35	Me Me	Me Me	H	H H	2 2	Me	, H H	NPh	CI	Me Me
00	Me	Me	H H	H	2	Et Pr-i	H	NPh NPh	C1 C1	Me
	Me	Me	H	Н	2	Me		NPh	Ci	Me
	Me	Et	н	Н	2	н	H	NPh	Cl	Me
	Et	Et	н	Н	2	H	H	NPh	Cl	Me
40	Me	Pr-i	H	Н	2	Н	H	NPh	Cı	Ме
	Me	Pr	H	H	2	H	H	NPh	Cl	Me
	Me	Pr-c	H	Н	2	Н	H	NPh	Cl	Ме
	Me	CH ₂ Pr-c	H	H	2	H		NPh	Cl	Me
45		(CH ₂) ₂ -	H	Н	2	H	H	NPh	Cl	Ме
		(CH ₂) ₃ -	Н	Н	2	Н	H	NPh	Cl	Ме
		(CH ₂) ₄ -	Н	H	2	H	H	NPh	Cl	Me
50		(CH ₂) ₅ -	Н	H	2	H	H	NPh	Cl	Me
	H	-(CH ₂)	- 1	Н	2	Н	H	NPb	Cl	Me
		· -(CH ₂)			2	H	Н	NPh	Cl	Me
	H	-(CH ₂)		Н	2	H	H	NPh	Cl	Ме
55	H Me	-(CH ₂)	6- H	H H	2 2	H H		NPh O	Cl	Me Me
	1416	1416	п	п	2	п	п	ľ	H	11416

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	Me	Me	H	H	2	Н	Н	Ю	Cl	Ме
	Me	Me	Н	Н	2	Н	Н	S	H	Me
5	Me	Me	Н	Н	2	Н	H	S	Cl	Ме
	Me	Me	H	Н	1	Н	Н	NMe	Cl	Н
	Me	Me	Н	H	1	Н	Н	NMe	Cl	Me
	Me	Me	Н	Н	1	H	Н	NMe	CI	Et
10	Me	Me	H	H	1	H	Н	NMe	CI	CF₃
	Me	Me	Н	Н	1	Н	Н	NMe	CF₃	Н
	Me	Me	Н	H	1	Н	Н	NMe	CF₃	Ме
	Me	Me	Н	H	1	H s	· H	NMe ·	OCHF ₂	H
15	Me	Me	H	H	1	Н	H	NMe	OCHF ₂	Ме
	Me	Me	Н	Н	1	H	H	NMe	C(=O)Me	Н
	Me	Me	H	Н	1	H	Н	NMe	C(=O)Me	Ме
	Me	Me	Н	Н	1	Н	Н	NMe	}	-(CH ₂) ₃ -
20	Me	Me	Н	Н	1	Н	Н	NMe		-(CH ₂) ₄ -
	Me	Me	H	Н	1	Н	H	NEt	Cl	Me
	Me	Me	H	H	1	. Н	Н	NEt	CF₃	H
	Me	Me	H	H	1	н	H	NEt	CF ₃	Me
25	Me	Me	H	H	1	H	Н	NEt	OCHF ₂	Н
	Me	Me	Н	H	1 .	Н	Н	NEt	OCHF ₂	Me
	Me	Me	Н	H	1	Н	H	NEt		-(CH ₂) ₃ -
30	Me	Me	H	H	1	Н	H	NEt		-(CH ₂) ₄ -
00	Me	Me	Н	Н	1	Н	H	NPr-i	Cl	Ме
	Me	Me	H	Н	1	Н	Н	NPr-i	CF ₃	Н
	Me	Me	Н	H	1	H	Н	NPr-i	CF ₃	Ме
35	Me	Me	Н	Н	1	Н	Н	NPr-i	OCHF ₂	н
	Me	Me	Н	Н	1	Н	Н	NPr-i	OCHF ₂	Me
	Me	Me	H	H	1	Н	H	NPr-i		-(CH ₂) ₃ -
	Me	Me	Н	Н	1	Н	H	NPr-i		-(CH ₂) ₄ -
40	Me	Me	H	Н	1	H	H	NPr	CI	Me
	Me	Me	H	H	1	Н	H	NPr	CF ₃	Н
	Me	Me	Н	Н	1	н	H	NPr	CF ₃	Ме
	Me	Me	н	Н	1	Н	Н	NPr	OCHF₂	H
45	Me	Me	Н	Н	1	Н	Н	NPr	OCHF ₂	Me
	Me	Me	Н	Н	1	н	H	NPr		-(CH ₂) ₃ -
	Me	Me	Н	Н	1	н	Н	NPr .		-(CH ₂) ₄ -
50	Me	Me	H	H	1	н	Η.	NBu-t	Cl	Me
50	Me	Me	Н	H	1	Н	H	NBu-t	CF ₃	H
	Me	Me	н	Н	1	н	H	NBu-t	CF ₃	Me
	Me	Me	н	Н	1	н	Н	NBu-t	OCHF₂	н
55	Me	Me	н	Н	1	Н	H	NBu-t	OCHF ₂	Me
	Me	Me	н	Н	1	Н	Н	NBu-t		·(CH ₂) ₃ -
	• '				1			1	1	1

	Me	Me	н	Н	1	Н	н	NBu-t	-	(CH ₂) ₄ -
-	Me	Me	н	Н	1	Н	Н	NCH ₂ Ph	CI	Me
5	Me	Me	н	Н	1	Н	H	NCH₂Ph	CF ₃	Н
	Me	Me	Н	Н	1	н	H	NCH₂Ph	OCHF ₂	н
	Me	Me	H	H	1	H	H	NCH ₂ OMe	Cì	Me
10	Me	Me	Н	Н	1	Н	Н	NCH ₂ OMe	CF ₃	н
	Me	Me	Н	Н	1	Н	Н	NCH₂OMe	OCHF ₂	н
	Me	Me	Н	Н	1	Н	Н	NCH ₂ C≡CH	Cl	Me
	Me	Me	H	H	1	. Н	Н	NCH ₂ C≡CH	CF3	H ¹
15	Me	Me	Н	H	1	Н	Н	NCH ₂ C≡CH	OCHF ₂	Н
	Me	Me	H	H		H	Н	NCH ₂ CH=CH ₂	Cl	Me
					1				1	1
	Me	Me	H	H	1	Н		NCH ₂ CH=CH ₂	CF ₃	Н
20	Me	Me	H	Н	1	Н	H	NCH ₂ CH=CH ₂	OCHF ₂	H
	Me	Me	Н	Н	1	н	H	NCHF₂	Cl	Me
	Me	Me	Н	H	1	Н	H	NCHF₂	CF₃	H
	Me	Me	Н	Н	1	Н	H	NCHF₂	CF ₃	Me
25	Me	Me	Н	Н	1	Н	H	NCHF ₂	OCHF ₂	Н
	Me	Me	Н	н	1	Н	H	NCHF ₂	OCHF ₂	Me
	Me	Me	Н	Н	1	н	Н	NCHF ₂	C(=O)Me	Н
	Me	Me	н	Н	1	н	H	NCHF₂	C(=O)Me	Ме
30	Me	Me	н	Н	1	н	Н	NCHF ₂	-	(CH ₂) ₃ -
	Me	Me	Н	Н	1	H	H	NCHF ₂	-	(CH ₂) ₄ -
	Me	Me	Н	Н	1	Н	Н	NPh	ОМе	Ме
35	Me	Me	Н	Н	1	н	Н	NPh	OEt ,	Me
33	Me	Me	Н	Н	1	н	H	NPh	OCHF ₂	Me
	Me	Me	Н	н	1	Н	H	NPh	OCH₂CF₃	Me
	Me	Me	Н	Н	1	Н	H	NPh	CF ₃	H
40	Me	Me	H	Н	1	Н	H	NPh	OCH ₂ CH=CH ₂	Me
	Me	Me	Н	Н	1	н	H	NPh	OCH ₂ C≡CH	Me
	Me	Me	H	H	1	Н	H	NPh	CI	Me
	Me Me	Me Me	H	H H	1 1	H H	H H	N(2-C1)Ph N(2-F)Ph	CI CI	Me Me
45	Me	Me	H	H	1	Н	Н	N(2-OMe)Ph	CI	Me
	Me	Me	Н	Н	1	н		N(2-Me)Ph	CI	Me
	Me	Me	Н	Н	1	Н		N(3-Cl)Ph	CI	Ме
	Me	Me	Н	Н	1	Н		N(3-F)Ph	Cl	Me
50	Me Me	Me Me	H	н н	1 1	H H	H H	N(3-OMe)Ph N(3-Me)Ph	CI CI	Me Me
	Me	Me	H	Н	1	Н		N(4-Cl)Ph	Cl	Me
	Me	Me	Ĥ	Н	1	Н		N(4-F)Ph	CI	Ме
	Me	Me	Н	Н	1	Н		N(4-OMe)Ph	Cl	Ме
55	Me Me	Me Me	H	Н	1	Н		N(4-Me)Ph	Cl	Me
	Me	Me	H	H	1	H	Н	N(Thiophen-2-yl)	Cı	Me

	Me	Me	Н	H	1	Н	H	N(Thiophen-2-yl)	CF₃	Н	
5	Me	Me	н	H	1	Н	Н	N(Thiophen-2-yl)	OCHF₂	Н	
	Me	Me	Н	Н	1	Н	н	NC(=O)Me	CI	Me	
	Me	Me	Н	H	1	Н	H	NC(=O)Me	CF ₃	н	
	Me	Me	Н	Н	1	Н	н	NC(=O)Me	OCHF ₂	Н	
10	Me	Me	н	H	1	Н	Н	NC(=O)CF ₃	Cl	Ме	
	Me	Me	Н	Н	1	Н	Н	NC(=O)CF ₃	CF ₃	Н	
	Me	Me	н	H	1	Н	Н	NC(=O)CF ₃	OCHF ₂	Н	
	Me	Me	Н	Н	1	н	Н	NC(=O)CH₂Ph	Cl	Ме	<u> </u>
15	Me	Me	н	H	1	Н	Н	NC(=O)CH ₂ Ph	CF ₃	Н	
	Me	Me	н	Н	1	н	н	NC(=O)CH ₂ Ph	OCHF ₂	Н	
	Me	Me	Н	Н	1	Н	н	NC(=O)Ph	Cl	Ме	
20	Me	Me	н	Н	1	Н	Н	NC(=O)Ph	CF ₃	н	
20	Me	Me	Н	H	1	Н	Н	NC(=O)Ph	OCHF ₂	Н	
	Me	Me	Н	H	1	Н	н	NC(=O)OMe	Cl	Ме	
	Me	Me	н	Н	1	Н	Н	NC(=O)OMe	CF ₃	Н	
25	Me	Me	Н	Н	1	Н	Н	NC(=O)OMe	OCHF ₂	H	
	Me	Me	Н	H	İ	Н	Н	NC(=0)OCH ₂ Ph	Cl	Ме	
	Me	Me	H	H	1	н	Н	NC(=O)OCH ₂ Ph	CF ₃	н	
	Me	Me	н	H	1	Н	н	NC(=O)OCH ₂ Ph	OCHF ₂	Н	
30	Me	Me	H	H	1	Н	Н	NC(=O)OPh	CI	Ме	
	Me	Me	H	H	1	Н	Н	NC(=O)OPh	CF ₃	н	``
	Me	Me	H	Н	1	Н	Н	NC(=O)OPh	OCHF ₂	H	
	Me	Me	н	Н	1	н	Н	NC(=O)NHMe	Cl	Ме	
35	Me	Me	Н	H	1	H	Н	NC(=O)NHMe	CF ₃	H	
	Me	Me	н	H	1	H	H	NC(=O)NHMe	OCHF ₂	Н	
	Me	Me	Н	H	1	Н	H	$NC(=O)N(Me)_2$	Cl	Me	
	Me	Me	H	Н	1	Н	н	$NC(=O)N(Me)_2$	CF₃	H	
40	Me	Me	Н	Н	1	Н	Н	$NC(=O)N(Me)_2$	OCHF ₂	Н	
	Н	H	H	Н	1	H	H	NPh	CI	Me	
	Me Me	H H	H Me	H H	1 1	H H	H H	NPh NPh	C1 C1	Me Me	
	Me	Me	H	H	1	Me	Н	NPh	Cl	Me	
45	Me	Me	н	H	1	Et	Н	NPh	Cl	Me	
	Me	Me	H	Н	1	Pr-i	Н	NPh	CI	Me	
	Me Me	Me	H	H H	1 1	Me	Me	NPh NPh	CI CI	Me	
	Et	Et Et	H	H	1	H H	H _.	NPh	CI	Me Me	
50	Me	Pr-i	H	Н	1	H	H	NPh	Ci	Me	
	Me	Pr	Н	H	1	. н	Н	NPh	Cl	Me	
	Me	Pr-c	Н	H	1	H	Н	NPh	Cl	Me	
	Me	CH₂Pr-c	Н	H	1	Н	Н	NPh	Cı	Me	
55	-($(CH_2)_2$ -	н	Н	1	Н	Н	NPh	Cl	Me	

	-(CH₂)₃-	н	Н	1	н	Н	NPh	cı	Me
_		CH ₂) ₄ -	н	Н	1	Н	Н	NPh	CI	Me
5	!	CH ₂) ₅ -	Н	Н	1	н	Н	NPh	CI	Me
	Н	-(CH ₂)		Н	1	H	Н	NPh	CI	Ме
	н	-(CH ₂)		Н	1	H	l H	NPh	Cı	Me
10	Н	-(CH ₂)		H	1	Н	H	NPh	Cl	Me
70	н	-(CH ₂)		Н	1	Н	Н	NPh	Ci	Me
	Me	Me	H	Н	1	Н	Н	0	Н	Me
	Me	Me	H	H	ı	Н	Н	0	Cl	Me
15	Me	Me	н	Н	1	н	н	S	Н	Me
	Me	Me	Н	Н	1	Н	Н	S	Cl	Me
	Me	Me	H	H	0	Н	H	NMe	CI	Н
	Me Me	Me Me	H	H H	0 0	H H	H	NMe NMe	Cl Cl	Me Et
20	Me	Me	Н	Н	0	Н	H	NMe	CI	CF ₃
20					ł					
	Me	Me	H	Н	0	Н	Н	NMe	CF ₃	H
	Me	Me	Н	Н	0	Н	Н	NMe	CF ₃	Ме
25	Me	Me	H	H	0	H	Н	NMe	OCHF ₂	H
20	Me	Me	н	H	0	H	Н	NMe	OCHF ₂	Me
	Me	Me	H	H	0	Н	H	NMe	C(=O)Me	H
	Me	Me	H	H	0	Н	H	NMe	C(=O)Me	Ме
i	Me	Me	H	Н	0	Н	H	NMe		(CH ₂) ₃ -
30	Me	Me	H	Н	0	H	H	NMe		(CH ₂) ₄ -
	Me	Me	Н	Н	0	Н	H	NEt	Cl	Me
	Me	Me	H	H	0	H	H	NEt	CF ₃	H
35	Me	Me	H	H	0	Н	Н	NEt	CF ₃	Me
30	Me	Me	H	H	0	Н	H	NEt	OCHF ₂	Н
	Me	Me	Н	H	0	Н	H	NEt	OCHF ₂	Me
	Me	Me	H	H	0	Н	H	NEt	-	(CH ₂) ₃ -
40	Me	Me	н	H	0	Н	H	NEt	_	(CH ₂) ₄ -
	Me	Me	н	H	0	Ħ	H	NPr-i	Cl	Me
	Me	Me	Н	Н	0	Н	H	NPr-i	CF ₃	H
	Me	Me	н	H	0	Н	H	NPr-i	CF ₃	Me
45	Me	Me	н	Н	0	Н	H	NPr-i	OCHF ₂	Н
	Me	Me	н	H	0	Н	Н	NPr-i	OCHF ₂	Ме
	Me	Me	Н	Н	0	н	Н	NPr-i	-	(CH ₂) ₃ -
	Me	Me	н	Н	0	Н	Н	NPr-i	-	(CH ₂) ₄ -
50	Me	Me	н	H	0	Н	H	NPr	Cl	Me
	-Me	Me	н	H	0	Н	Н	NPr	CF ₃	Н
	Me	Me	н	Н	0	Н	H	NPr	CF ₃	Ме
	Me	Me	Н	Н	0	Н	H	NPr	OCHF ₂	н
55	Me	Me	Н	Н	0	н	Ħ	NPr	OCHF ₂	Me
	, ,		1 1		•	, .	ı	1	1	, 1

	Me	Me	Н	Н	0	Н	Н	NPr		(CH ₂) ₃ -
_	Me	Me	Н	н	0	Н	Н	NPr	l .	(CH ₂) ₄ -
5	Ме	Me	H	Н	0	Н	Н	NBu-t	Cl	Me
	Me	Me	Н	н	0	H	Н	NBu-t	CF ₃	Н
	Me	Me	Н	Н	0	н	Н	NBu-t	CF ₃	Ме
10	Me	Me	Н	Н	0	Н	Н	NBu-t	OCHF ₂	Н
	Me	Me	Н	Н	0	Н	Н	NBu-t	OCHF ₂	Ме
	Me	Me	Н	Н	0	н	Н	NBu-t	-	(CH ₂) ₃ -
	Me	Me	н	Н	0	н	H	NBu-t	-	(CH ₂) ₄ -
15	Me	Me	Н	Н	0	Н	H	NCH₂Ph	Cl	Me
	Ме	Me	н	Н	0	Н	Н	NCH₂Ph	CF ₃	H
	Me	Me	Н	Н	0	Н	Н	NCH₂Ph	OCHF ₂	н
20	Me	Me	Н	Н	0	Н	Н	NCH ₂ OMe	Cı	Me
20	Me	Me	н	Н	0	Н	Н	NCH ₂ OMe	CF ₃	н
	Me	Me	н	Н	0	Н	Н	NCH ₂ OMe	OCHF ₂	Н
	Me	Me	н	Н	0	Н	Н	NCH ₂ C≡CH	Cı	Me
25	Me	Me	н	Н	0.	Н	Н	NCH ₂ C≡CH	CF ₃	Н
	Мe	Me	н	Н	0	Н	H	NCH ₂ C≡CH	OCHF ₂	н
	Me	Me	н	Н	0	Н	Н	NCH2CH=CH2	Cl	Ме
	Me	Me	н	Н	0	Н	Н	NCH2CH=CH2	CF ₃	H
30	Me	Me	н	H	0	Н	H	NCH2CH=CH2	OCHF ₂	H
	Me	Me	Н	Н	0	Н	Н	NCHF₂	Cı	Me
	Me	Me	н	Н	0	Н	Н	NCHF ₂	CF ₃	н
35	Me	Me	Н	Н	0	Н	Н	NCHF ₂	CF ₃	Me
	Me	Me	Н	Н	0	Н	Н	NCHF ₂	OCHF ₂	Н
	Ме	Me	Н	H	0	Н	Н	NCHF ₂	OCHF ₂	Me
	Me	Me	Н	Н	0	Н	Н	NCHF ₂	C(=O)Me	H
40	Me	Me	Н	Н	0	Н	Н	NCHF ₂	C(=O)Me	Ме
	Me	Me	Н	Н	0	Н	H	NCHF ₂	-	(CH ₂) ₃ -
	Me	Me	Н	H	0	Н	Н	NCHF ₂		(CH ₂) ₄ -
	Me Me	Me Me	H	H	0	H H	H	NPh	OMe OF:	Me
45	Me	Me Me	H H	H H	0	Н	H	NPh NPh	OEt OCHF₂	Me Me
,	Me	Me	H	H	0	Н	H	NPh	OCH ₂ CF ₃	Me
	Me	Me	Н	H	0	Н	Н	NPh	CF ₃	Н
50	Me	Me	н	Н	0	H	H	NPh	OCH ₂ CH=CH ₂	Me
	Me	Me	H	H	0	Н	H.	NPh	OCH ₂ C≡CH	Me
	Me	Me	Н	Н	0	Н	Н	NPh	Cl	Me
	Me	Me	Н	Н	0	H	H	N(2-Cl)Ph	Cl	Ме
55	Me Me	Me Me	H H	H H	0	H H	H H	N(2-F)Ph N(2-OMe)Ph	C1 C1	Me Me
	1416	1416	11	11	'	11	11	Trick-Onich ii	le.	IVIC

	Me	Me	Н	Н	0	Н	Н	N(2-Me)Ph	C1	Me
	Me	Me	Н	Н	0	Н	Н	N(3-Cl)Ph	Cì	Me
5	Me	Me	Н	Н	0	Н	Н	N(3-F)Ph	CI	Me
	Me	Me	Н	Н	0	H	H	N(3-OMe)Ph	CI ·	Me
	Me	Me	Н	Н	0	Н	Н	N(3-Me)Ph	CI	Me
	Me	Me	H	H	0	H	Н	N(4-Cl)Ph	Cl	Me
10	Me Me	Me Me	H	H	0 0	H	H	N(4-F)Ph N(4-OMe)Ph	Cl Cl	Me Me
	Me	Me	Н	Н	0	H	Н	N(4-OMe)Ph	CI	Me
	Me	Me	Н	Н	ő	Н	H	N(Thiophen-2-yl)	Cl	Me
	Me-		Н	Н	0	Н	Н	N(Thiophen-2-yl)	CF ₃	H:
15	Me	Me	н	Н	0	Н	Н	N(Thiophen-2-yl)	OCHF ₂	Н
	Me	Me	Н	H	0	Н	Н	NC(=O)Me	Ci	Me
	Me	Me	Н	Н	0	Н	Н	NC(=O)Me	CF ₃	H
	Me	Me	Н	Н	0	Н	Н	NC(=O)Me	OCHF ₂	Н
20	Me	Me	H	H	0	Н	Н	NC(=O)CF ₃	CI	Me
	Me	Me	Н	H	0	H	Н	NC(=O)CF ₃	CF ₃	Н
	Me	Me	Н	Н	0	н	Н	NC(=O)CF ₃	OCHF ₂	Н
25	Me	Me	Н	Н	0	н	Н	NC(=O)CH ₂ Ph	CI	Me
	Me	Me	Н	Н	0	Н	Н	NC(=O)CH ₂ Ph	CF ₃	H
	Me	Me	H	Н	0	H	Н	NC(=O)CH ₂ Ph	OCHF ₂	Н
	Me	Me	Н	Н	0	H	H	NC(=O)Ph	Cı	Me
30	Me	Me	Н	Н	0	Н	Н	NC(=O)Ph	CF ₃	H
	Me	Me	н	H	0	Н	H	NC(=O)Ph	OCHF ₂	н
	Me	Me	H	H	0	H	H	NC(=O)OMe	CI	Me
	Me	Me	н	Н	0	H	Н	NC(=O)OMe	CF ₃	Н
35	Me	Me	Н	. H	0	H	Н	NC(=O)OMe	OCHF ₂	Н
İ	Me	Me	Н	Н	0	н	Н	NC(=O)OCH ₂ Ph	Cı	Me
	Me	Me	Н	Н	0	Н	Н	NC(=O)OCH ₂ Ph	CF ₃	H
	Me	Me	н	Н	0	Н	Н	NC(=O)OCH ₂ Ph	OCHF ₂	H
40	Me	Me	Н	Н	0	Н	H	NC(=O)OPh	Cl	Me
	Me	Me	н	Н	0	H	Н	NC(=O)OPh	CF ₃	H
	Me	Me	н	Н	0	н	H	NC(=O)OPh	OCHF ₂	н
	Me	Me	н	Н	0	Н	Н	NC(=O)NHMe	Cl	Me
45	Me	Me	Н	H	0	Н	H	NC(=O)NHMe	CF ₃	H
	Me	Me	Н	H	0	H	Н	NC(=O)NHMe	OCHF ₂	H
	Me	Me	Н	Н	0	Н		$NC(=O)N(Me)_2$	Cl	Me
50	Me	Me	Н	H	0	Н	H	NC(=O)N(Me) ₂	CF ₃	H
50	Me	Me	Н	Н	0	Н	H	NC(=0)N(Me) ₂	OCHF ₂	Н
	Н	Н	Н	Н	0	Н	H	NPh	CI.	Me
	Me Me	H H	H	H	0	Н	H H	NPh NPh	Cl Cl	Me Me
	Me	н Ме	Me H	H H	0 0	H Me		NPh	Cl	Me
55	Me	Me	H	Н	0	Et		NPh	CI	Me
•	· I		ı =		· ·	ı l	-	1	F	ı

	Me	Me	Н	H	0	Pr-i	H	NPh	C1	Me	
5	Me	Me	Н	H	0	Me	Me	NPh	Cı	Me	
· ·	Me	Et	н	H	0	Н	Н	NPh	CI	Me	
	Et	Et	H	H	0	H	Н	NPh	Cı	Me	
	Me	Pr-i	H	Н	0	H	H	NPh	Cl	Me	
	Me	Pr	Н	H	0	H	1	NPh	Cı	Me	
10	Me	Pr-c	Н	H	0	H	H	NPh	CI	Me	
	Me	CH₂Pr-c	Н	Н	0	H	Н	NPh	Cl	Me	
	-($(CH_2)_2$ -	Н	H	0	H	Н	NPh	Cl	Ме	
	-((CH ₂) ₃ -	Н	H	0	Н	Н	NPh	Cı	Ме	
15	-((CH ₂) ₄ -	Н	H	0	Н	H	NPh	CI	Me	ľ
	-((CH ₂) ₅ -	Н	H	0	H	Н	NPh	Cı	Me	
	Н	-(CH ₂)	3-	Н	0	Н	Н	NPh	Cl	Me	
20	н	-(CH ₂)	4-	Н	0	н	Н	NPh	Cl	Me	
	н	-(CH ₂)	5-	H	0	Н	Н	NPh	Cl	Me	
	Н	-(CH ₂)	6-	н	0	Н	Н	NPh	Cı	Me	İ
	Me	Me	Н	H	0	Н	Н	O	Н	Me	1
25	Me	Me	Н	H	0 -	H	Н	О	Cl	Me	
	Me	Me	Н	H	0	Н	Н	s	н	Me	
	Me	Me	н	H	0	Н		S	Cl	Me	-
	Me	Et	Н	H	2	Н	H	NH	H	H	1

Table 6

5					•	R ¹ —	$\frac{1}{2}$ R	S(O) n C R ³⁶	\mathbb{R}^{35} \mathbb{Z}^5	
10	R ¹	R ²	R ³	R ⁴	n	R ⁵	R ⁶	Z ⁵	R ³⁵	R ³⁶
	Me	Me	H	Ή	2	Н	Н	NMe	H	OMe
	Me	Me	H	H	2	Н	Н	NMe	H	OEt
15	Me	Me	Н	Н	2	H	Н	NMe	н	OCHF ₂
	Me	Me	H	Н	2	H	Н	NMe	H	OCH ₂ CF ₃
	Me	Me	H	H	2	Н	Н	NMe		-(CH ₂) ₃ .
	Me	Me	H	H	2	H	Н	NMe		-(CH ₂) ₄ -
20	Me	Me	H	Н	2	Н	Н	NEt		-(CH ₂) ₃ .
	Me	Me	H	Н	2	Н	Н	NEt		-(CH ₂) ₄ -
	Me	Me	Н	Н	2	Н	Н	NPr-i		-(CH ₂) ₃ .
	Me	Me	H	Н	2	Н	Н	NPr-i		-(CH ₂) ₄ -
25	Me	Me	H	14	. 2 .	с. Н :	Н	NGHF ₂		-(CH ₂) ₃ .
	Me	Me	Н	Н	2	Н	Н	NCHF ₂		-(CH ₂) ₄ -
	Me	Me	Н	н	2	Н	Н	N(CH ₂):	O-	Н
	Me	Me	Н	н	2	н	н	N(CH ₂)		Н
30			'	'	1		•	1		

	1	1	1	۱	1 _	1	١			7
	Me	Me	H	H	2	H	Н	N(CH ₂) ₄		Н
5	Me	Me	Н	H	2	H	Н	N(CH ₂) ₅		H
Ü	Me	Me	H	Н	2	H	Н	NPh	H	ОМе
	Me	Me	H	H	2	H	ſ	NPh	H	OEt
	Me	Me	H	H	2	H	H	NPh	H	OCHF ₂
10	Me	Me	H	Н	2	Н	Н	NPh	Н	OCH ₂ CF ₃
10	Me	Me	H	Н	2	Н	H	0	Me	Н
	Me	Me	H	Н	2	Н	ł	S	Me	H
	Н	H	H	Н	2	H		NPh	Н	OMe
	Me	H	Н	Н	2	H		NPh	H.	OEt**
15	Me	H	Me	Н	2	H		NPh	H	ОМе
	Me	Me	Н	Н	2	Me	1	NPh	H	OEt
	Me	Me	Н	Н	2,	Et	1	NPh	Н	OMe
	Me	Me	Н	Н	2	Pr-i		NPh	H	OEt
20	Me Me	Me Et	H	H H	2 2	Me H	ı	NPh NPh	H H	OMe OEt
	Et	Et Et	H	Н	2	Н	i	NPh	Н	OMe
	Me	Pr-i	Н	Н	2	Н	l	NPh	Н	OEt
	Me	Pr	Н	Н	2	Н	l	NPh	H	OMe
25	Me	Pr-c	Н	Н	2	Н		NPh	H	OEt
	Me	CH₂Pr-c	Н	Н	2	Н		NPh	H	OMe
	<u> </u>	CH ₂) ₂ -	H	H	2	H	l	NPh	H	OEt
	Į.	CH ₂) ₃ -	Н	Н	2	Н		NPh	Н	ОМе
30	ļ	CH ₂) ₄ -	Н	н	2	Н		NPh	Н	OEt
	İ	CH ₂) ₅ -	Н	н	2	Н		NPh	Н	ОМе
	Н	-(CH ₂))4-	Н	2	Н		NPh	Н	OEt
35	Н	-(CH ₂)		н	2	Н		NPh	Н	OMe
	н	-(CH ₂)		н	2	н	H	NPh	Н	OMe
	н	-(CH ₂)	6-	н	2	Н	Н	NPh	н	OEt
	Me	Me	Н	н	1	Н	Н	NMe	Н	OMe
40	Me	Me	н	н	1	Н	Н	NMe	н	OEt
	Me	Me	н	Н	1	Н	Н	NMe	Н	OCHF ₂
	Me	Me	Н	H	1	Н	Н	NMe	Н	OCH ₂ CF ₃
	Me	Me	н	Н	1	H	Н	NMe	-(CH ₂) ₃ .
45	Me	Me	Н	Н	1	Н	Н	NMe	-(CH ₂) ₄ -
	Me	Me	Н	Н	1	Н	Н	NEt	-(CH ₂) ₃ .
	Me	Me	Н	Н	1	Н	Н	NEt	-(CH ₂) ₄ -
	Me	Me	Н	Н	1	Н	H	NPr-i	-(CH ₂) ₃ .
50	Me	Me	Н	Н	1	H	Н	NPr-i	-(CH ₂) ₄ -
	Me	Me	н	н	1	Н	Н	NCHF2	-(CH ₂) ₃ .
	Me	Me	Н	н	1	н	Н	NCHF ₂	-(CH ₂) ₄ -
	Me	Me	H	н	1	н	Н	N(CH ₂) ₃ C)-	Н
55	Me	Me	Н	н	1	н	Н	N(CH ₂) ₄ C)-	Н
	•	, ,	, ,	, ,	•	, ,		•		· · · · · · · · · · · · · · · · · · ·

	Me	Me	Н	Н	1	H	Н		N(CH ₂) ₄		Н	
5	Me	Me	Н	Н	1	Н	Н		N(CH ₂) ₅	-	Н	
5	Me	Me	Н	Н	1	Н	Н	NPh		Н	ОМе	
	Me	Me	Н	Н	1	Н	Н	NPh		H .	OEt	
	Me	Me	Н	Н	1	Н	Н	NPh		Н	OCHF ₂	
10	Me	Me	H	н	1	Н	Н	NPh		н	OCH₂CF₃	
. •	Me	Me	Н	Н	i	H	Н	0		Ме	H	
	Me	Me	Н	Н	1	Н		S		Me	H	
	H	H	H	Н	1	H	100	NPh		Н	ОМе	
15	Me	Н	H	H	1	H	1	NPh		Н	OEt	
15	Me	H	Me	Н	1	H	1	NPh		H	ОМе	
	Me	Me	Н	Н	1	Me	I	NPh		H	OEt	
	Me	Me	H	Н	1	Et	l	NPh		H	OMe	
*	Me	Me	Н	Н	1	Pr-i		NPh		H	OEt	
20	Me	Me	H	Н	1	Me	1	NPh		H	OMe	
	Me	Et	H	H	1	H	ı	NPh		H	OEt	
	Et	Et	H	Н	1	Н		NPh		H	OMe OF	
	Me	Pr-i Pr	Н	Н	1	Н	ĺ	NPh NPh	1	Н Н	OEt OMe	
25	Me Me	Pr-c	H	H H	1	H	l	NPh	1	H	OEt	
]	į	1			1	
	Me	CH ₂ Pr-c	H	H	1	H	H	NPh		H	ОМе	
		CH ₂) ₂ -	H	H	1	H		NPh		H	OEt	
30	-((CH ₂) ₃ -	Н	H	1	Н	H	NPh		Н	OMe _	
	-(0	CH ₂)₄-	Н	н	1	Н	Н	NPh		Н .	OEt	
	-((CH ₂) ₅ -	H	H	1	Н	Н	NPh		Н	ОМе	
	Н	-(CH ₂)	3-	H	1	Н	Н	NPh		Н	OEt	
35	H	-(CH ₂)	4-	H	1	Н	H	NPh		Н	ОМе	
	Н	-(CH ₂)	5-	H	1	Н	H	NPh		Н	ОМе	
	Н	-(CH ₂)	6-	Н	1	Н		NPh		Н	OEt	
40	Me	Me	Н	H	0	Н		NMe	1	Н	OMe	
40	Me	Me	Н	H	0	Н	•	NMe			OEt	
	Me	Me	Н	H	0	Н		NMe		H 	OCHF ₂	
	Me	Me	Н	Н	0	Н		NMe		H	OCH ₂ CF ₃	
	Me	Me	Н	H	0	H		NMe			CH ₂) ₃ .	
45	Me	Me	Н	H	0	Н	Н	NMe		-((CH ₂) ₄ -	
	Me	Me	Н	Н	0	Н	Н	NEt		-(1	CH ₂) ₃ .	
	Me	Me	Н	Н	0	Н	Н	NEt		-(0	CH ₂) ₄ -	
}	Me	Me	Н	Н	0	Н	Н	NPr-i		-(1	CH ₂) ₃ .	
50	Me	Me	Н	Н	0	н	Н	NPr-i		-(1	CH ₂) ₄ -	
	Me	ਘ Me∙	· H	°H°	∵:0	H	H.	NCHF ₂		-(1	CH ₂) ₃ .	
	Ме	Me	н	Н	0	н	Н	NCHF₂		-(0	CH ₂) ₄ -	
55	Me	Me	Н	н	0	Н	Н		N(CH ₂) ₃ O	-	Н	
	Me	Me	Н	Н	0	Н	Н		N(CH ₂) ₄ O	~	н	

	Me	Me	Н	Н	0	Н	Н	N(CH ₂) ₄	•]н
5	Me	Me	Н	н	0	Н	Н	N(CH ₂) ₅	-	Н
	Me	Me	Н	Н	0	Н	Н	NPh	Н	OMe
	Me	Me	Н	Н	0	H ·	Н	NPh	н	OEt
	Me	Me	Н	Н	0	H	Н	NPh	н	OCHF₂
10	Me	Me	Н	Н	0	Н	H	NPh	Н	OCH ₂ CF ₃
	Me	Me	Н	Н	0	Н	H	o	Me	Н
	Me	Me	Н	Н	0	н	Н	S	Me	н
15	H	Н	Н	Н	0	н	Н	NPh	H "	ОМе
13	Me	. н	Н	Н	0	н	H	NPh	н .	OEt
	Me	Н	Me	Н	0	H	Н	NPh	Н	OMe
	Me	Me	H	H	0	Me		NPh	Н	OEt
00	Me	Me	H	Н	0	Et	Н	NPh	н	OMe
20	Me	Me	Н	Н	0	Pr-i	Н	NPh	H	OEt
	Me	Me	Н	Н	0	Me	Me	NPh	H	ОМе
	Me	Et	Н	Н	0	H	H	NPh	H	OEt
	Et	Et	Н	Н	0	Н	Н	NPh	H	ОМе
25	Me	Pr-i	H	Н	0	Н	H	NPh	Н	OEt
	Me	Pr	Н	Н	0	H	H	NPh	н	OMe
	Me	Pr-c	H	Н	0	Н	H	NPh	H	OEt
30	Me	CH ₂ Pr-c	Н	н	0	Н	Н	NPh	H	ОМе
00	-(0	$CH_2)_2$ -	H	Н	0	Н	H	NPh	н	OEt
	-(0	CH ₂) ₃ -	Н	Н	0	н	H	NPh	н _	OMe
	-(0	CH ₂) ₄ -	H	н	0	Н	H	NPh	Н	OEt
35	-((CH ₂) ₅ -	Н	Н	0	н	Н	NPh	н	ОМе
	Н	-(CH ₂)	3-	н	0	н	Н	NPh	н	OEt
	H	-(CH ₂)	4-	н	0	Н	H	NPh	н	ОМе
40	Н	-(CH ₂)	5-	н	0	H	Н	NPh	н	ОМе
-	H	-(CH ₂)	6-	Н	0	H	H	NPh	Н	OEt
	Me	Et	Н	Н	2	Н	Н	o .	н	H
	Me	Et	Н	H	2	H		s	н	Н
45	Me	Et	Н	H	2	H	Н	NH	Н	<u>H</u>

Table 7

`S(O)_nC-R⁵ R³⁷ (0)R³⁷ $R^{\bar{2}}$ R³⁸ R³⁹ R⁴⁰ R^1 R^3 R⁶ R⁵ n Me Me H H 2 H H Н Н Н Н

15

5

10

20

25

30

35

40

45

50

	Ме	Me	Н	Н	2	н	Н	н	Н	Н	Н	N-oxide
	Me	Me	H	Н	2	Н	Н	Cl	Ph	Н	H	-
	Me	Me	Н	Н	2	Н	Н	OMe	Ph	Н	Н	-
5	Me	Me	Н	Н	2	Н	Н	Cl	Me	Н	Н	-
•	Me	Me	Н	Н	2	Н	Н	OMe	Me	H	Н	-
	Me	Me	Н	Н	2	Н	Н	H	CF ₃	Н	Н	-
	Me	Me	Н	Н	2	Н	Н	Н	CF ₃	H	Н	N-oxide
10	Me	Me	Н	Н	2	Н	Н	Cl	CF ₃	H	н	
	Me	Me	Н	H	2	H	Н	CN	CF ₃	Н	Н	-
	Me	Me	Н	Н	2	Н	Н	OMe	CF ₃	Ή	Н	-
15	Me	. Me	Н	Н	2	H	Н	OEt	CF ₃	Н	Н	-
	Me	Me	Н	Н	2	Н	н	Me	Me	Н	Н	N-oxide
	Me	Me	Н	Н	2	H	Н	Ph	Ph	H	Н	-
	Me Me	Me Me	H	H H	2 2	H	H H	CI CI	(4-Cl)Ph (4-Cl)Ph	H H	Me H	-
20	Me	Me	H	Н	2	H	H	OMe	Cl	H	H	-
20	Me	Me	Н	Н	2	Н	Н	Cl	(CH ₂) ₃		Н	-
	Me	Me	Н	Н	2	Н	Н	Me	$(CH_2)_3$		Н	-
	Me	Me	н	Н	2	Н	Н	Cl	(CH ₂) ₄		Н	-
25	Me	Me	Н	Н	2	H	Н	Me	(CH ₂) ₄		Н	-
	Me	Me	Н	Н	2	Н	Н	Cl	Н	(CI	$I_2)_3$	-
	Me	Me	Н	Н	2	Н	Н	Me	H	1	$I_2)_3$	-
30	Me	Me	Н	Н	2	H	Н	Cl	Н	(CF		-
	Me	Me	Н	Н	2	H	H	Me	Н	(CI	$H_2)_4$	-
	Н	H	Н	Н	2	Н	Н	Н	CF ₃	Н	Н	-
	Me	H	H	Н	2	Н	Н	H	CF ₃	Н	H	-
35	Me	Н	Me	H	2	Н	Н	Н	CF ₃	H	Н	-
	Me	Me	Me	H	2	H	Н	Н	CF ₃	Н	H	-
	Me	Me	Н	Н	2	Me	Н	Н	CF₃	Н	Н	-
40	Me	Me	Н	H	2	Et	Н	H	CF ₃	Н	Н	-
40	Me	Me	Н	H	2	Pr-i	Н	Н	CF ₃	Н	Ή	-
	Me	Me	Н	Н	2	Me	Me	Н	CF ₃	Н	Н	-
	Me	Et	Н	Н	2	Н	Н	H	CF ₃	Н	Н	-
45	Et	Et	Н	Н	2	Н	Н	Н	CF ₃	Н	Н	-
	Me	Pr-i	Н	H	2	Н	H	Н	CF ₃	Н	Н	-
	Me	Pr	Н	Н	2	Н	Н	Н	CF ₃	H	Н	-
	Me	Pr-c	Н	Н	2	H	Н	H	CF ₃	Н	Н	-
50		CH ₂ Pr-c	Н	Н	2	Н	Н	Н	CF ₃	Н	Н	-
		$(CH_2)_2$ -	Н	Н	2	Н	Н	Н	CF ₃	Н	Н	- '
	-	$(CH_2)_3$ -	Н	Н	2	Н	Н	Н	CF ₃	Н	Н	-
55	-	$(CH_2)_4$ -	Н	Н	2	Н	Н	Н	CF ₃	Н	Н	-

	_	(CH ₂) ₅ -	Н	Н	2	Н	Н	Н	CF ₃	Н	Н	-
	Н	-(CH ₂)3-	Н	2	Н	Н	н	CF ₃	Н	н	-
5	Н	-(CH ₂)4-	Н	2	Н	Н	Н	CF ₃	Н	Н	
	Н	-(CH ₂)5-	Н	2	Н	Н	н	CF ₃	Н	Н	-
	Н	-(CH ₂) ₆ -	Н	2	Н	Н	н	CF ₃	Н	Н	-
	Me	Me	Н	н	1	Н	Н	H	Н	Н	Н	_
10	Me	Me	Н	Н	1	Н	·H	Н	Н	Н	Н	N-oxide
	Me	Me	H	H	1	H	H	Cl	Ph	Н	H	-
	Me Me	Me Me	H H	H H	1	H H	H H	OMe Cl	Ph Me	H H	H H	_
	Me	Me	H	Н	1	H	H	OMe	Me	Н	Н	-
15	Me	Me	Н	Н	1	Н	Н	Н	CF ₃	Н	Н	-
	Me	Me	Н	Н	1	Н	Н	Cl	CF ₃	Н	Н	-
	Me	Me	Н	Н	1	Н	Н	CN	CF ₃	Н	Н	-
20	Me	Me	Н	Н	1	Н	н	OMe	CF ₃	Н	Н	-
	Me	Me	Н	Н	1	Н	Н	OEt	CF ₃	Н	Н	-
	Me	Me	H	Н	1	Н	Н	Me	Me	Н	H	N-oxide
	Me Me	Me Me	H H	H H	1	H H	H H	Ph Cl	Ph (4-Cl)Ph	H H	H Me	-
25	Me	Me Me	H	Н	1 1	H	H	Cl	(4-Cl)Ph	Н	H	-
	Me	Me	Н	Н	1	Н	H	OMe	Cl	Н	H	-
	Me	Me	Н	Н	1	Н	н	Cl	(CH ₂) ₃		Н	-
00	Me	Me .	Н	Н	1	Н	Н	Me	$(CH_2)_3$		H	-
30	Me	Me	Н	Н	1	Н	Н	Cl	$(CH_2)_4$	ļ	Н	-
	Me	Me	Ĥ	Н	1	Н	Н	Me	(CH ₂) ₄		Н	-
	Me	Me	H	Н	1	Н	Н	Cl	H	(CI		
35	Me	Me	H	Н	1	Н	Н	Me	Н	(CF	$I_2)_3$	-
	Me	Me	Н	Н	1	Н	Н	Cl	Н	(CF	$I_2)_4$	-
	Me	Me	Н	H	1	Н	Н	Me	Н	(CI	$I_2)_4$	-
	Н	Н	Н	Н	1	Н	Н	H	CF ₃	H	Н	-
40	Me	Н	Н	Н	1	Н	H	Н	CF ₃	Н	H	-
	Me	H	Me	Н	1	Н	Н	Н	CF ₃	Н	Н	-
	Me	Me	Me	Н	1	Н	Н	H	CF ₃	Н	Н	-
45	Me	Me	H	Н	1	Me	Н	Н	CF ₃	Н	Н	-
45	Me	Me	H	Н	1	Et	Н	Н	CF ₃	H	H	-
	Me	Me	H	н	1	Pr-i	Н	Н	CF_3	Н	H	-
	Me	Me	н	Н	1	Me	Me	Н	CF ₃	Н	Н	-
50	Me	Et	Н	Н	1	Н	Н	Н	CF ₃	Н	Н	-
	Et	Et	н	н	1	Н	Н	H	CF ₃	Н	Н	-
	Me	Pr-i	H	Н	1	Н	Н	н	CF ₃	Н	Н	-
	Me	Pr	Н	Н	1	Н	Н	н	CF ₃	Н	Н	-
55	Me	Pr-c	Н	н	1	Н	н	н	CF ₃	н	Н	-

	Me	CH ₂ Pr-c	∫ н	Н	1	Н	Н	Н	CF ₃	Н	Н	-
	Γ.	$-(CH_2)_2$ -	H	Н	1	Н	Н	Н	CF ₃	H	H	-
5	-	-(CH ₂) ₃ -	Н	Н	1	Н	Н	H	CF ₃	Н	Н	-
		-(CH ₂) ₄ -	Н	Н	1	Н	Н	Н	CF ₃	Н	Н	-
	.	-(CH ₂) ₅ -	Н	Н	1	Н	Н	Н	CF ₃	Н	Н	-
	H	-(CH	2)3-	Н	1	·H	Н	Н	CF ₃	Н	Н	_ [
10	Н	-(CH ₂	2)4-	H	1	Н	Н	н	CF ₃	H	Н	_ [
	Н	-(CH ₂		Н	$ _1$	Н	Н	н	CF ₃	Н	Н	-
	Н	-(CH ₂		Н	1	H	Н	Н	CF ₃	Н	Н	-
4-	Me	Me	Н	Н	0	Н	Н	Н	н	Н	Н	_
15	Me	Me	Н	H	0	Н	Н	Н	Н	Н	Н	N-oxide
	Me	Me	Н	Н	0	Н	Н	CI	Ph	Н	Н	-
	Me	Me	H	Н	0	Н	Н	OMe	Ph	Н	H	- 1
	Me	Me	H	H	0	H	Н	Cl	Me	Н	Н	-
20	Me	Me	H	H	0	H	Н	ОМе	Me	H	Н	-
	Me	Me	H	H	0	H	Н	Н	CF ₃	H	Н	-
	Me	Me	H	H	0	H	Н	Cl	CF ₃	H	Н	-
	Me	Me	H	H	0	Н	H	CN	CF ₃	H	Н	-
25	Me	Me	H	Н	0	Н	Н	OMe	CF ₃	Н	Н	-
	Me	Me	Н	H	0	Н	Н	OEt	CF ₃	Н	Н	-
	Me	Me	H	H	0	H	Н	Me	Me	H	H	N-oxide
	Me	Me	H	H	0	H	H	Ph	Ph	Н	Н	-
30	Me	Me	H	Н	0	H	Н	Cl	(4-Cl)Ph	H	Me	-
	Me	Me	H	Н	0	H	H	Cl	(4-Cl)Ph	H	Н	-
	Me	Me	H	Н	0	H	Н	OMe	Cl	H	Н	- [
	Me	Me	H	Н	0	H	Н	Cl	(CH ₂) ₃		Н	-
35	Me	Me	H	H	0	H	H	Me	(CH ₂) ₃		Н	-
	Me	Me	H	H	0	H	Н	C1	(CH ₂) ₄	•	Н	-
	Me	Me	Н	H	0	Н	H	Me	$(CH_2)_4$		H	-
	Me	Me	H	H	0	H	H	Cl.	Ħ	(CI		-
40	Me	Me	Н	Н	0	Н	H	Me	Н		$I_2)_3$	-
	Me	Me	Н	Н	0	H	Н	Cl	Н	(CI		-
	Me	Me	Н	Н	0	H	Н	Me	·H	(CI	I ₂) ₄	-
45	Me	Me	Н	Н	0	Н	н	(2-Chloropyridin-3- yl)methylthio	н	Н	Н	-
	H	H	Н	Н	0	н	H	Н	CF ₃	Н	Н	-
	Me	H	Н	Н	0	H	H	Н	CF ₃	Н	Н	-
	Me	Me	Me	Н	0	Н	н	Н	CF ₃	Н	Н	-
50	Me	Н	Me	Н	0	Н	н	н	CF ₃	Н	н	-
	Me	Me	Н	Н	0	Me	Н	· H	CF ₃	Н	H	-
	Me	Me	H	Н	0	Et	н	н	CF ₃	н	Н	-
55	Me	Me	Н	Н	0	Pr-i	Н	Н	CF ₃	Н	Н	-

Me	Me	Н	Н	0	Me	Me	Н	CF₃	Н	Н	-	
Me	Et	Н	Н	0	Н	Н	Н	CF ₃	Н	Н	-	
Et	Et	Н	Н	0	Н	Н	Н	CF ₃	Н	Н	-	
Ме	Pr-i	Н	н	0	Н	Н	н	CF ₃	Н	н	-	
Me	Pr	Н	Н	0	Н	Ħ	H	CF ₃	Н	Н	-	
Me	Pr-c	Н	Н	0	Н	Н	H	CF ₃	Н	Н	-	
Me	CH₂Pr-c	Н	Н	0	Н	Н	Н	CF ₃	Н	Н	-	
-	(CH ₂) ₂ -	Н	Н	0	Н	Н	Н	CF ₃	Н	Н	-	
-	(CH ₂) ₃ -	Н	Н	0	Н	Н	H	CF ₃	Н	H	-	
-	(CH ₂) ₄ -	Н	Н	0	Н	Н	H	CF ₃	Н	Н	-	
-	$(CH_2)_5$ -	Н	Ħ	0	Н	Н	H	CF ₃	Н	Н	-	
Н	-(CH ₂))3-	Н	0	Н	Н	Н	CF ₃	Н	Н	-	
Н	-(CH ₂)) ₄ -	Н	0	Н	Н	Н	CF ₃	Н	Н	· -	
Н	-(CH ₂))5-	Н	0	Н	Н	Н	CF ₃	Н	Н	-	
Н	-(CH ₂)	6-	Н	0	Н	Н	Н	CF ₃	Н	Н	-	
Me	Et	Н	Н	2	Н	Н	Н	Н	H	Н	-	

Table 8

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			$R^1 \longrightarrow O$	R ³ R	$S(O)_{\overline{n}}$	R ⁶ -C R ⁵	N .	R ⁴¹	
R ¹	R^2	R ³	R ⁴	n	R ⁵	Rb	R ⁴¹	R ⁴²	R ⁴³
Me	Me	H	Н	2	H	H	H	Cl	Cl
Me	Me	H	H	2	Н	H	H	OH	Cl
Me	Me	Н	H	2	Н	H	H	OMe	Cl
Me	Me	H	H	2	H	H	Н	OEt	Cl
Me	Me	H	H	2	Н	H	Н	OPr-i	Cl
Me	Me	H	H	2	H	H	H	OPr	Cl
Me	Me	H	H	2	Н	Н	H	OBu-t	Cl
Me	Me	H	H	2	H	H	H	OCH ₂ Pr-c	Cl
Me	Me	Н	Н	2	Н	H	H	OCH₂Bu-c	Cl
Me	Me	Н	Н	2	Н	H	Н	OCH ₂ Pen-c	Cl
Me	Me	Н	Н	2	Н	H	H	OCH₂Hex-c	Cl
Me	Me	Н	Н	2	Н	Н	Н	OPen-c	Cl
Me	Me	Н	H	2	Н	H	Н	OHex-c	Cl
Me	Me	Н	H	2	Н	Н	H	OCH₂Ph	Cl
Me	Me	Н	H	2	Н	Н	H	OPh	Cl
Me	Me	Н	Н	2	Н	Н	H	OCHF ₂	C1
Me	Me	Н	Н	2	Н	H	Н	SH	Cl
Me	Me	Н	H	2	Н	Н	H	SMe	Cl

	Me	Me	Н	Н	2	Н	Н	Н	SO₂Me	l Cl
	Me	Me	H	H	2	H	H	H	SEt	Cl
	Me	Me	Н	Н	2	H	H	H	SO ₂ Et	Cl
5	Me	Me	H	H	2	H	H	H	SPr-i	Cl
	Me	Me	H	H	2	H	H	H	SO ₂ Pr-i	Cl
	Me	Me	H	H	2	H	H	H	SPh	Cl
		Me	Н	Н	2	Н	H	Н	SO ₂ Ph	Cl
40	Me	1	H		1	i .	Н		<u>-</u>	Cl
10	Me	Me	,	H	2	H	, ,	H	SCHF ₂] ;
	Me	Me	H	H	2	H	H	H	SO ₂ CHF ₂	Cl
	Me.	Me	H	H	2	H	H	Н	NH ₂	Cl
	Me	Me	H	H	2	Н	H	H	NHMe	Cl
15	Me	Me	H	H	2	Н	H	H	NMe ₂	Cl
	Me	Me	Н	H	2	Н	H	H	NHEt	Cl
	Me	Me	H	H	2	H	H	H	NEt ₂	Cl
	Me	Me	Н	H	2	Н	H	H	NHPh	Cl
0.0	Me	Me	Н	H	2	H	H	H	N(Me)Ph	Cl
20	Me	Me	H	H	2	H	H	H	CN	Cl
	Me	Me	Н	Н	2	H	H	H	F	Me
	Me	Me	H	Н	2	Н	H	H	Cl	Me
	Me	Me	H	Н	2	Н	H	H	OH	Me
25	Me	Me	Н	Н	2	H	H	H	OMe	Me
	Me	Me	H	H	2	Н	H	H	OEt	. Me
	Me	Me	H	H	2	H	H	H	OPr-i	Me
	Me	Me	H	Н	2	Н	H	H	OPr	Me
20	Me	Me	Н	Н	2	Н	Н	H	OBu-t	Me -
30	Me	Me	H	H	2	H	H	H	OCH ₂ Pr-c	Me
	Me	Me	Н	Н	2	Н	H	H	OCH ₂ Bu-c	Me
	Me	Me	Н	H	2	H	H	Н	OCH₂Pen-c	Me
	Me	Me	Н	H	2	H	Н	Н	OCH ₂ Hex-c	Me
35	Me	Me	Н	H	2	Н	Н	H	OPen-c	Me
	Me	Me	H	H	2	Н	H	Н	ОНех-с	Me
	Me	Me	H	H	2	Н	H	Н	OCH_2Ph	Me
	Me	Me	Н	H	2	H	H	H	OPh	Me
40	Me	Me	H	Н	2	Н	H	Н	OCHF ₂	Me
40	Me	Me	H	H	2	H	H	H	SH	Me
	Me	Me	Н	Н	2	Н	H	H	SMe	Me
	Me	Me	Н	Н	2	Н	H	H	SO ₂ Me	Me
	Me	Me	Н	Н	2	H	H	H	SEt	Me
45	Me	Me	Н	Н	2	Н	H	Н	SO ₂ Et	Me
	Me	Me	Н	Н	2	H	H	H	SPr-i	Me
	Me	Me	H	Н	2	H	H	Н	SO₂Pr-i	Me
	Me	Me	Н	Н	2	H	Н	H	SPh	Me
50	Me	Me	H	Н	2	Н	H	H	SO_2Ph	Me
50	Me	. "Me	Н	Н	2	H	H	Н	SCHF ₂	Me
	Me	Me	H	H	2	H	H	H	SO ₂ CHF ₂	Me
•	Me	Me	Н	Н	2	Н	H	H	NH ₂	Me
	Me	Me	H	H	2	H	H	H	NHMe	Me
55	Me	Me	Н	H	2	H	Н	H	NMe ₂	Me
					, –	ı - -	ı - - I			· I

	Me	Me	Н	Н	2	Н	Н	Н	NHEt	Me	1
	Me	Me	H	H	2	H	H	H	NEt ₂	Me	
	Me	Me	H	H	2	H	H	H	NHPh	Me	Ì
5	Me	Me	H	H	2	H	H	H	N(Me)Ph	Me	
	Me	Me	H	H	2	H	H	H	CN	Me	ĺ
	Me	Me	H	H	2	H	H	H	F	Pr-i	1
	1 1	Me	Н	H	2	H	Н	H	Cl	Pr-i	
40	Me		Н	Н	2	H	Н	Н	ОН	Pr-i	ł
10	Me	Me		H	2	H	Н	H	OH OMe	Pr-i	
	Me Me	Me Me	H H	Н	2	Н	Н	Н	OME	Pr-i	
			H	H	2	<mark>Н</mark>	Н	H	OPr-i	Pr-i	n c
	Me	Me		H	$\frac{2}{2}$	l		H	OPT-1 OPr		
15	Me	Me	H	ì	ı	H	H	H	Ī	Pr-i	
	Me	Me	H	H	2	H	H		OBu-t	Pr-i	
	Me	Me	Н	H	2	H	H	H	OCH ₂ Pr-c	Pr-i	i
	Me	Me	H	H	2	H	H	H	OCH ₂ Bu-c	Pr-i	
20	Me	Me	H	H	2	H	H	H	OCH ₂ Pen-c	Pr-i	
20	Me	Me	Н	H	2	H	H	H	OCH ₂ Hex-c	Pr-i	
	Me	Me	Н	H	2	H	H	H	OPen-c	Pr-i	
	Me	Me	H	H	2	H	H	H	OHex-c	Pr-i	
	Me	Me	H	H	2	H	H	·H	OCH₂Ph	Pr-i	
25	Me	Me	Н	H	2	H	H	H	OPh	Pr-i	
	Me	Me	H	H	2	H	H	H	OCHF ₂	Pr-i	
	Me	Me	Н	H	2	H	H	H	SH	Pr-i	
	Me	Me	H	H	2	H	H	Н	SMe	Pr-i	
30	Me	Me	Н	Н	2	Н	H	H	. SO ₂ Me	Pr-i	
00	Me	Me	H	H	2	H	H	H	SEt	Pr-i	
	Me	Me	H	H	2	H	H	H	SO₂Et	Pr-i	
	Me	Me	Н	Н	2	Н	H	H	SPr-i	Pr-i	
	Me	Me	H	H	2	H	H	H	SO ₂ Pr-i	Pr-i	
35	Me	Me	Н	H	2	H	H	H	SPh	Pr-i	
	Me	Me	H	H	2	H	H	H	SO ₂ Ph	Pr-i	
	Me	Me	H	H	2	H	H	H	SCHF ₂	Pr-i	
	Me	Me	H	Н	2	H	H	H	SO ₂ CHF ₂	Pr-i	
40	Me	Me	H	H	2	Н	H	H	NH_2	Pr-i	
	Me	Me	Н	H	2	H	H	H	NHMe	Pr-i	
	Me	Me	Н	H	2	H	H	Н	NMe_2	Pr-i	
	Me	Me	H	H	2	Н	H	H	NHEt	Pr-i	
	Me	Me	Н	H	2	Н	H	H	NEt ₂	Pr-i	
45	Me	Me	Н	Н	2	Н	H	Н	NHPh	Pr-i	
	Me	Me	Н	H	2	Н	H	H	N(Me)Ph	Pr-i	
	Me	Me	Н	H	2	Н	H	H	CN	Pr-i	
	Me	Me	Н	H	2	H	H	Н	F	Pr-c	
50	Me	Me	Н	Н	2	H	Н	H	Cl	Pr-c	
	Me	Me	H	H	2	Н	H	Н	OH	Pr-c	
	Me	Me	H	H	2	Н	H	Н	OMe	Pr-c	
	Me	Me	Н	H	2	Н	H	H	OEt	Pr-c	
	Me	Me	H	Н	2	Н	H	H	OPr-i	Pr-c	1
55	Me	Me	Н	Н	2	H	H	Н	OPr	Pr-c	1

	1 3 6	1 34	1	i	١.	l rr	l rr	l v v	1 07 1	l n 1
	Me	Me	H	H	2	H	H	H	OBu-t	Pr-c
	Me	Me	H	H	2	H	H	H	OCH ₂ Pr-c	Pr-c
5	Me	Me	H	H	2	Н	H	H	OCH ₂ Bu-c	Pr-c
	Me	Me	H	Н	2	H	H	H	OCH ₂ Pen-c	Pr-c
	Me	Me	H	H	2	H	Н	H	OCH ₂ Hex-c	Pr-c
	Me	Me	H	H	2	H	H	H	OPen-c	Pr-c
10	Me	Me	H	H	2	Н	H	Н	OHex-c	Pr-c
10	Me	Me	H	Н	2	H	H	Н	OCH ₂ Ph	Pr-c
	Me	Me	H	Н	2	Н	H	H	OPh	Pr-c
	Me.	Me	H	H	2	H.	H	H	OCHF ₂	Pr-c
	Me	Me	H	Н	2	H	H	H	SH	Pr-c
15	Me	Me	H	Н	2	H	H	Н	SMe	Pr-c
	Me	Me	H	H	2	H	H	H	SO₂Me	Pr-c
	Me	Me	H	H	2	Н	H	H	SEt	Pr-c
	Me	Me	H	H	2	H	H	H	SO ₂ Et	Pr-c
20	Me	Me	H	Н	2	H	H	H	SPr-i	Pr-c
	Me	Me	H	H	2	H	H	H	SO ₂ Pr-i	Pr-c
	Me	Me	Н	H	2	Н	H	H	SPh	Pr-c
	Me	Me	H	H	2	H	H	H	SO ₂ Ph	Pr-c
	Me	Me	H	H	2	H	H	H	SCHF ₂	Pr-c
25	Me	Me	H	Н	2	H	H	H	SO ₂ CHF ₂	Pr-c
	Me	Me	H	H	2	H	H	H	NH_2	Pr-c
	Me	Me	H	Н	2	H	H	H	NHMe	Pr-c
	Me	Me	H	H	2	H	H	H	NMe ₂	Pr-c
30	Me	Me	H	H -	2	Н	H	H	NHEt	Pr-c
	Me	Me	H	H	2	Н	Н	H	NEt ₂	Pr-c
	Me	Me	H	H	2	Н	Н	H	NHPh	Pr-c
	Me	Me	Н	H	2	H	H	H	N(Me)Ph	Pr-c
35	Me	Me	H	H	2	Н	H	Н	CN	Pr-c
	Me	Me	H	Н	2	Н	H	H	F	CHF ₂
	Me	Me	Н	Н	2	H	Н	H	C1	CHF ₂
	Me	Me	H	H	2	Н	Н	H	ОН	CHF ₂
	Me	Me	H	H	2	H	H	H	OMe	CHF ₂
40	Me	Me	H	H	2	H	H	H	OEt	CHF ₂
	Me	Me	H	H	2	H	H	H	OPr-i	CHF ₂
	Me	Me	H	H	2	H	H	H	OPr	CHF ₂
	Me	Me	H	H	2	H	H	H	OBu-t	CHF ₂
45	Me	Me	Н	H	2	H	Н	H	OCH₂Pr-c	CHF ₂
	Me	Me	H	Н	2	H	H	H	OCH ₂ Bu-c	CHF ₂
	Me	Me	H	H	2	H	H	H.	OCH ₂ Pen-c	CHF ₂
	Me	Me	H	H	2	Н	H	H	OCH ₂ Hex-c	CHF ₂
50	Me	Me	Н	H	2	H	H	H	OPen-c	CHF ₂
00	Me	Me	H	H	2	Н	H	Н	OHex-c	CHF ₂
	Me	Me	Н	H	2	H	H	`H	OCH ₂ Ph	CHF ₂
	Me	Me	Н	Н	2	H	H	H	OPh	CHF ₂
	Me	Me	H	Н	2	H	H	H	OCHF ₂	CHF ₂
55	Me	Me	Н	H	2	H	H	Н	SH	CHF ₂

	Me	Me	Н	Н	2	Н	Н	Н	SMe	CHF ₂	
	Me	Me	H	H	2	Н	Н	H	SO ₂ Me	CHF ₂	
	Me	Me	Н	H	2	H	Н	Н	SEt	CHF ₂	
5	Me	Me	H	H	$\frac{2}{2}$	H	Н	Н	SO ₂ Et	CHF ₂	
	Me	Me	Н	Н	2	Н	Н	H	SPr-i	CHF ₂	
	Me	Me	Н	Н	2	H	Н	H	SO ₂ Pr-i	CHF ₂	
	Me	Me	Н	H	2	H	Н	Н	SPh	CHF ₂	
10	Me	Me	Н	Н	2	H	Н	H	SO ₂ Ph	CHF ₂	
	Me	Me	Н	Н	2	H	Н	l .	SCHF ₂	CHF ₂	
	Me	Me Me	Н	H	2	Н	Н	H H	SO ₂ CHF ₂	CHF ₂	
	Me	Me Me	H	Н	2	H	H	H	NH ₂	CHF ₂	
	Me	Me Me	H	H	2	H	Н	H	NHMe	CHF ₂	
15	ì				2	H	Н	Н			
	Me	Me	H	H		l	1 1		NMe ₂	CHF ₂	
	Me	Me	H	H	2	H	H	H	NHEt	CHF ₂	
	Me	Me	H	H	2	H	H	H	NEt ₂	CHF ₂	
20	Me	Me	H	H	2	H	H	H	NHPh	CHF ₂	
	Me	Me	H	H	2	H	H	H	N(Me)Ph	CHF ₂	
	Me	Me	H	H	2	H	H	H	CN	CHF ₂	
	Me	Me	H	H	2	H	H	H	F	CF ₃	
25	Me	Me	H	H	2	H	H	H	Cl	CF ₃	
	Me	Me	H	H	2	H	H	H	ОН	CF ₃	
	Me	Me	H	H	2	H	H	H	OMe	CF ₃	
	Me	Me	H	H	2	H	H	H	OEt	CF ₃	
30	Me	Me	Н	H	2	H	Н	Н	OPr-i	CF ₃	
	Me	Me	H	Н	2	H	Н	H	OPr	CF ₃	
	Me	Me	Н	H	2	H	H	H	OBu-t	CF ₃	
	Me	Me	H	Н	2	H	H	H	OCH ₂ Pr-c	CF ₃	
	Me	Me	H	H	2	H	H	H	OCH ₂ Bu-c	CF ₃	
35	Me	Me	H	H	2	H	Н	H	OCH ₂ Pen-c	CF ₃	
	Me	Me	H	Н	2	H	H	H	OCH₂Hex-c	CF ₃	
	Me	Me	H	H	2	H	H	H	OPen-c	CF ₃	
	Me	Me	H	Н	2	H	H	H	OHex-c	CF₃	
40	Me	Me	H	H	2	H	Н	H	OCH₂Ph	CF ₃	
40	Me	Me	H	H	2	H	H	H	OPh	CF ₃	
	Me	Me	H	H	2	H	H	H	OCHF ₂	CF ₃	
	Me	Me	H	Н	2	H	H	H	SH	CF ₃	
	Me	Me	H	H	2	H	H	H	SMe	CF ₃	
45	Me	Me	H	H	2	H	H	H	SO ₂ Me	CF ₃	
	Me	Me	H	H	2	Н	H	H	SEt	CF ₃	
	Me	Me	Н	H	2	Н	Н	H	SO ₂ Et	CF ₃	
50	Me	Me	H	Н	2	H	Н	H	SPr-i	CF ₃	
	Me	Me	H	H	2	H	H	H	SO ₂ Pr-i	CF ₃	
	Me	Me	H	Н	2	H	H	Н	SPh	CF ₃	
	Me	Me	H,	H	2	' H'	H	H	SO ₂ Ph	CF ₃	
	Me	Me	H	H	2	Н	H	H	SCHF ₂	CF ₃	
<i>EE</i>	Me	Me	H	H	2	H	H	H	SO ₂ CHF ₂	CF ₃	
55	Me	Me	Н	Н	2	Н	H	Н	NH ₂	CF ₃	

Me Me H H 2 H H H NHMe	CF ₃
	CF ₃
	CF ₃
	CF ₃
Me Me H H 2 H H NHPh	CF ₃
Me Me H H 2 H H N(Me)Ph	CF ₃
Me Me H H 2 H H CN	CF ₃
10 Me Me H H 2 H H F	OMe
Me Me H H 2 H H OH	OMe
Me Me H H 2 H H OMe	OMe
Me Me H H 2 H H OEt	OMe
Me Me H H 2 H H OPr-i	OMe
Me Me H H 2 H H H OPr	OMe
Me Me H H 2 H H OBu-t	OMe
Me Me H H 2 H H OCH ₂ Pr-c	OMe
Me Me H H 2 H H OCH ₂ Bu-c	
Me Me H H 2 H H OCH ₂ Pen-o	1 1
Me Me H H 2 H H OCH ₂ Hex-o	1 1
Me Me H H 2 H H OPen-c	OMe
Me Me H H 2 H H OHex-c	OMe
Me Me H H 2 H H OCH2Ph	OMe
Me Me H H 2 H H OPh	OMe
Me Me H H 2 H H OCHF ₂	OMe
Me Me H H 2 H H SH	OMe
Me Me H H 2 H H SMe	OMe
30 Me Me H H 2 H H SO ₂ Me	OMe
Me Me H H 2 H H SEt	OMe
Me Me H H 2 H H SO ₂ Et	OMe
Me Me H H 2 H H SPr-i	OMe
35 Me Me H H 2 H H H SO ₂ Pr-i	OMe
Me Me H H 2 H H SPh	OMe
Me Me H H 2 H H H SO2Ph	OMe
Me Me H H 2 H H SCHF ₂	OMe
Me Me H H 2 H H SO ₂ CHF ₂	OMe
Me Me H H 2 H H NH ₂	OMe
Me Me H H 2 H H NHMe	OMe
Me Me H H 2 H H NMe ₂	OMe
Me Me H H 2 H H NHEt	OMe
Me Me H H 2 H H NEt ₂	OMe
Me Me H H 2 H H NHPh	OMe
Me Me H H 2 H H N(Me)Ph	OMe
Me Me H H 2 H H H CN	OMe
50 Me Me H H 2 H H F	OPh
Me Me H H 2 H H OH	OPh
Me Me H H 2 H H OMe	OPh
Me Me H H 2 H H OEt	OPh
Me Me H H 2 H H OPr-i	OPh
55 Me Me H H 2 H H H OPr	OPh

	Me	Me	Н	Н	2	Н	Н	Н	OBu-t	OPh	1
	Me	Me	H	H	$\frac{2}{2}$	H	H	H	OCH ₂ Pr-c	OPh	
	Me	Me	H	H	2	H	Н	Н	OCH ₂ Bu-c	OPh	
5	Me	Me	H	Н	2	H	Н	H	OCH ₂ Pen-c	OPh	
	Me	Me	H	Н	2	H	H	Н	OCH ₂ Hex-c	OPh	
	Me	Me	H	H	2	H	Н	H	OPen-c	OPh	
	Me	Me	H	Н	2	H	H	Н	OHex-c	OPh	
10	Me	Me	H	H	2	Н	Н	Н	OCH ₂ Ph	OPh	
70	Me	Me	H	Н	2	Н	H	H	OPh	OPh	
	Me	Me	Н	H	2	Н	Н	Н	OCHF ₂	OPh	
	Me	Me	Н	Н	2	Н	Н	Н	SH	OPh	
	Me	Me	Н	Н	2	Н	Н	Н	SMe	OPh	
15	Me	Me	Н	Н	2	Н	Н	Н	SO₂Me	OPh	
	Me	Me	Н	H	2	Н	Н	Н	SEt	OPh	
	Me	Me	Н	Н	2	Н	Н	Н	SO₂Et	OPh	
	Me	Me	Н	Н	2	H	Н	Н	SPr-i	OPh	
20	Me	Me	Н	Н	2	Н	H	Н	SO ₂ Pr-i	OPh	
	Me	Me	Н	Н	2	Н	H	H	SPh	OPh	
	Me	Me	Н	H	2	H	H	H	SO_2Ph	OPh	
	Me	Me	Н	Н	2	H	H	H	$SCHF_2$	OPh	
25	Me	Me	Н	Н	2	H	H	H	SO ₂ CHF ₂	OPh	
	Me	Me	Н	Н	2	Н	H	H	NH_2	OPh	
	Me	Me	Н	Н	2	H	H	Н	NHMe	OPh	
	Me	Me	Н	Н	2	H	H	Н	NMe_2	OPh	l
30	Me	Me	Н	H	2 -	H	Н	Н	NHEt	OPh	
	Me	Me	Н	H	2	H	H	H	NEt_2	OPh	l
	Me	Me	Н	H	2	H	H	H	NHPh	OPh	
	Me	Me	H	H	2	H	H	H	N(Me)Ph	OPh	
0.5	Me	Me	H	H	2	H	H	H	CN	OPh	
35	Me	Me	H	H	2 2	H H	H	H	F	OCHF ₂	
	Me	Me	H	H	i	i .	H H	H	OM		
	Me	Me	H H	H H	2	H H	H	H H	OMe OEt	OCHF ₂	
	Me	Me Me)	H	2 2	Н	Н	H	OPr-i	OCHF ₂	
40	Me Me	Me	H H	H	2	Н	Н	Н	OP1-1	OCHF ₂	ĺ
	Me	Me	Н	H	$\frac{2}{2}$	H	Н	H	OBu-t	OCHF ₂ OCHF ₂	
	Me	Me	Н	H	2	H	H	H	OCH ₂ Pr-c	OCHF ₂	ĺ
	Me	Me	Н	Н	2	H	Н	H	OCH ₂ F1-c	OCHF ₂	l
45	Me	Me	H	Н	2	H	H	H	OCH ₂ Pen-c	OCHF ₂	ĺ
	Me	Me	H	H	2	Н	H	H	OCH ₂ Hex-c	OCHF ₂	
	Me	Me	H	H	2	H	H	H	OPen-c	OCHF ₂	ĺ
	Me	Me	Н	H	2	Н	Н	H	OHex-c	OCHF ₂	
50	Me	Me	H	H	2	H	Н	H	OCH ₂ Ph	OCHF ₂	
	Me		H	H	2	H	H	H	OPh	OCHF ₂	
	Me	Me	H	Н	2	Н	Н	Н	OCHF ₂	OCHF ₂	,
	Me	Me	H	Н	2	H	H	H	SH	OCHF ₂	
55	Me	Me	H	H	2	H	H	Н	SMe	OCHF ₂	İ
55	1	11.20	1 **		ı ~	1 **	1 ^*		51120	1	ı

	Me	Me	Н	Н	2	Н	Н	Н	SO ₂ Me	OCHF ₂
	Me	Me	H	Н	2	Н	H	H	SEt	OCHF ₂
	Me	Me	H	Н	2	H	H	H	SO ₂ Et	OCHF ₂
5	Me	Me	H	H	2	H	H	H	SPr-i	OCHF ₂
	Me	Me	Н	H	2	Н	Н	H	SO ₂ Pr-i	OCHF ₂
	Me	Me	H	H	2	H	Н	H	SPh	OCHF ₂
	Me	Me	H	H	2	H	Н	H	1	OCHF ₂
10	1		H	Н	2	Н	Н	i	SO ₂ Ph	- 1
10	Me	Me	Н		2	Н	1	H	SCHF ₂	OCHF ₂
	Me	Me	H	H H	$\frac{2}{2}$	H	H	H H	SO ₂ CHF ₂	OCHF ₂
	Me	Me	1			i	1	r	NH ₂	OCHF ₂
	Me	Me	H	H	2	H	H	H	NHMe	OCHF ₂
15	Me	Me	Н	H	2	H	H	H	NMe ₂	OCHF ₂
	Me	Me	Н	H	2	Н	H	H	NHEt	OCHF ₂
	Me	Me	H	Н	2	Н	H	H	NEt ₂	OCHF ₂
	Me	Me	Н	H	2	Н	H	H	NHPh	OCHF ₂
20	Me	Me	H	H	2	H	H	H	N(Me)Ph	OCHF ₂
	Me	Me	Н	Н	2	H	H	Н	CN	OCHF ₂
	Me	Me	Н	H	2	H	H	Me	F	CF ₃
	Me	Me	Н	H	2	Н	H	Me	Cl	CF ₃
	Me	Me	H	H	2	Н	H	Me	ОН	CF ₃
25	Me	Me	Н	H	2	H	H	Me	OMe	CF ₃
	Me	Me	H	Н	2	H	H	Me	OE t	CF ₃
	Me	Me	H	H	2	H	H	Me	OPr-i	CF ₃
	Me	Me	H	Н	2	H	H	Me	OPr	CF ₃
30	Me	Me	H	Н	2	H	H	Me	OBu-t	CF ₃
	Me	Me	Н	Н	2	Н	H	Me	OCH ₂ Pr-c	CF ₃
	Me	Me	H	Н	2	H	H	Me	OCH ₂ Bu-c	CF ₃
	Me	Me	H	Н	2	H	H	Me	OCH ₂ Pen-c	CF ₃
35	Me	Me	H	Н	2	H	H	Me	OCH ₂ Hex-c	CF ₃
30	Me	Me	Н	Н	2	Н	H	Me	OPen-c	CF ₃
	Me	Me	H	Н	2	H	H	Me	ОНех-с	CF ₃
	Me	Me	H	H	2	H	H	Me	OCH₂Ph	CF ₃
	Me	Me	H	Н	2	H	H	Me	OPh	CF ₃
40	Me	Me	H	H	2	H	H	Me	OCHF ₂	CF ₃
	Me	Me	Н	H	2	H	H	Me	SH	CF ₃
	Me	Me	H	H	2	H	H	Me	SMe	CF ₃
	Me	Me	H	H	2	Н	H	Me	SO ₂ Me	CF ₃
45	Me	Me	H	H	2	H	H	Me	SEt	CF ₃
	Me	Me	H	H	2	H	H	Me	SO ₂ Et	CF ₃
	Me	Me	Н	Н	2	Н	H	Me	SPr-i	CF ₃
	Me	Me	H	Н	2	H	H	Me	SO ₂ Pr-i	CF ₃
50	Me	Me	Н	H	2	H	H	Me	SPh	CF ₃
50	Me	Me	H	Н	2	H	H	Me	SO_2Ph	CF ₃
	Me	Me	Н	H	2	H	Н	Me	$SCHF_2$	CF ₃
	Me	Me	Н	Н	2	H	H	Me	SO ₂ CHF ₂	CF ₃
	Me	Me	Н	H	2	H	H	Me	NH_2	CF ₃
55	Me	Me	н	Н	2	H	H	Me	NHMe	CF ₃
	·				. '			•		•

	Me	Me	H	Н	2	Н	H	Me	NMe ₂	CF ₃
	Me	Me	H	Н	2	H	H	Me	NHE	CF ₃
!	Me	Me	Н	Н	2	Н	H	Me	NEt ₂	CF ₃
5	Me	Me	H	Н	2	Н	H	Me	NHPh	CF ₃
	Me	Me	Н	Н	2	Н	H	Me	N(Me)Ph	CF ₃
	Me	Me	Н	Н	2	Н	H	Me	CN	CF ₃
	Me	Me	H	Н	2	Н	H	OMe	F	CF ₃
10	Me	Me	H	Н	2	Н	H	OMe	Cl.	CF ₃
	Me	Me	Н	Н	2	Н	H	OMe	ОН	CF ₃
	Me	Me	Н	Н	2	H	Н	OMe	OMe	CF ₃
	Me	Me	Н	Н	2	Н	Н	OMe	OEt	CF ₃
15	Me	Me	Н	Н	2	Н	Н	OMe	OPr-i	CF ₃
,	Me	Me	н	Н	2	Н	Н	OMe	OPr	CF ₃
	Me	Me	Н	Н	2	Н	H	OMe	OBu-t	CF ₃
	Me	Me	Н	Н	2	Н	Н	OMe	OCH ₂ Pr-c	CF ₃
	Me	Me	Н	Н	2	Н	Н	OMe	OCH ₂ Bu-c	CF ₃
20	Me	Me	Н	Н	2	Н	H	OMe	OCH ₂ Pen-c	CF ₃
	Me	Me	Н	H	2	Н	H	OMe	OCH ₂ Hex-c	CF ₃
	Me	Me	Н	H	2	H	Н	OMe	OPen-c	CF ₃
	Me	Me	Н	H	2	Н	H	OMe '	OHex-c	CF ₃
25	Me	Me	Н	Н	2	Н	H	OMe	OCH₂Ph	CF ₃
	Me	Me	H	Н	2	Н	Н	OMe	OPh	CF ₃
	Me	Me	Н	Н	2	Н	H	OMe	$OCHF_2$	CF ₃
	Me	Me	Н	Н	2	Н	H	OMe	SH	CF ₃
30	Me	Me	H	Н	2	Н	H	OMe	SMe	CF ₃
	Me	Me	H	Н	2	H	H	OMe	SO ₂ Me	CF ₃
	Me	Me	H	Н	2	Н	H	OMe	SEt	CF ₃
	Me	Me	H	H	2	H	H	OMe	SO₂Et	CF₃
35	Me	Me	H	H	2	H	H	OMe	SPr-i	CF ₃
00	Me	Me	H	H	2	H	H	OMe	SO₂Pr-i	CF ₃
	Me	Me	H	H	2	H	H	OMe	SPh	CF ₃
	Me	Me	H	H	2	Н	H	OMe	SO₂Ph	CF ₃
40	Me	Me	H	H	2	H	H	OMe	$SCHF_2$	CF ₃
40	Me	Me	H	H	2	H	H	OMe	SO ₂ CHF ₂	CF ₃
	Me	Me	H	H	2	H	H	OMe	NH ₂	CF ₃
	Me	Me	H	H	2	H	H	OMe	NHMe	CF ₃
	Me	Me	H	H	2	H	H	OMe	NMe ₂	CF ₃
45	Me	Me	H	H	2	H	H	OMe	NHEt	CF ₃
	Me	Me	H	H	2	H	H	OMe	NEt ₂	CF ₃
	Me	Me	H	H	2	H	H	OMe	NHPh	CF ₃
	Me	Me	H	H	2	Н	H	OMe OMe	N(Me)Ph	CF₃
50	Me	Me	H	H	2 2	H	Н	OMe SMo	CN F	CF ₃
	Me	Me	H	H	,	H	H	SMe		l l
	Me	Me	H	H	2	H	H	SMe	Cl	CF ₃
	Me	Me Me	H	Н	2 2	H H	H H	SMe SMe	OH OMe	CF ₃ CF ₃
55	Me	Me	Н	H	[1 1	SMe SMo	OMe OEt	1
	Me	Me	H	Н	2	H	H	SMe	OEt	CF ₃

	Me	Me	Н	Н	2	Н	H	SMe	OPr-i	CF ₃	
	Me	Me	Н	Н	2	Н	Н	SMe	OPr	CF ₃	
	Me	Me	H	H	2	Н	Н	SMe	OBu-t	CF ₃	
5	Me	Me	H	H	2	H	Н	SMe	OCH ₂ Pr-c	CF ₃	
	Me	Me	H	H	2	H	H	SMe	OCH ₂ Bu-c	CF ₃	
	Me	Me	H	H	2	H	H	SMe	OCH ₂ Bu-c	CF ₃	
	Me	Me	H	Н	2	Н	Н	SMe	_		
10			1	1	2	1		i	OCH ₂ Hex-c	CF ₃	
	Me	Me	H	H	1	H	H	SMe	OPen-c	CF ₃	
	Me	Me	H	H	2	H	H	SMe	OHex-c	CF ₃	
	Me	Me	H	Н	2	H	H	SMe	OCH ₂ Ph	CF ₃	
	Me	Me	Н	Н	2	Н	H	SMe	OPh	CF ₃	
15	Me	Me	H	H	2	Н	H	SMe	OCHF ₂	CF ₃	
	Me	Me	H	H	2	H	H	SMe	SH	CF ₃	
	Me	Me	H	Н	2	Н	H	SMe	SMe	CF ₃	
	Me	Me	H	H	2	H	H	SMe	SO₂Me	CF ₃	
20	Me	Me	H	H	2	H	H	SMe	SEt	CF ₃	
	Me	Me	H	H	2	H	H	SMe	SO ₂ Et	CF ₃	
	Me	Me	H	Н	2	Н	H	SMe	SPr-i	CF ₃	
	Me	Me	Н	Н	2	Н	H	SMe	SO₂Pr-i	CF ₃	
	Me	Me	H	Н	2	Н	H	SMe	SPh	CF ₃	
25	Me	Me	H	Н	2	Н	H	SMe	SO₂Ph	CF ₃	
	Me	Me	H	Н	2	Н	Н	SMe	SCHF ₂	CF ₃	
	Me	Me	Н	Н	2	Н	Н	SMe	SO ₂ CHF ₂	CF ₃	
	Me	Me	Н	Н	2	Н	H	SMe	NH ₂	CF ₃	
30	Me	Me	Н	Н	2	Н	H	SMe	NHMe	CF ₃	
	Me	Me	Н	Н	2	Н	Н	SMe	NMe ₂	CF ₃	
	Me	Me	H	H	2	H	Н	SMe	NHEt	CF ₃	
	Me	Me	H	Н	2	Н	Н	SMe	NEt ₂	CF ₃	
25	Me	Me	H	H	2	H	Н	SMe	NHPh	CF ₃	
35	Me	Me	H	Н	2	Н	Н	SMe	N(Me)Ph	CF ₃	
	Me	Me	Н	H	2	H	H	SMe	CN	CF ₃	
	Me	Me	H	H	2	H	Н	SO ₂ Me	F	CF ₃	
	Me	Me	Н	H	2	H	H	SO ₂ Me	Cl >		
40	Me	Me	H	Н	2	H	Н	SO ₂ Me	ОН	CF ₃	
	Me	Me	H	H	2	H	1	1		CF ₃	
		1	1				H	SO ₂ Me	OMe	CF ₃	
	Me	Me	H	Н	2	H	H	SO₂Me	OEt	CF ₃	
45	Me	Me	H	Н	2	Н	H	SO ₂ Me	OPr-i	CF ₃	
	Me	Me	H	H	2	H	H	SO ₂ Me	OPr	CF₃	
	Me	Me	H	H	2	Н	H	SO ₂ Me	OBu-t	CF ₃	
	Me	Me	H	H	2	H	H	SO ₂ Me	OCH ₂ Pr-c	CF ₃	
	Me	Me	H	H	2	H	H	SO ₂ Me	OCH ₂ Bu-c	CF ₃	
50	Me	Me	H	H	2	H	H	SO ₂ Me	OCH ₂ Pen-c	CF ₃	
	Me	Me	H	H	2	H	H	SO ₂ Me	OCH ₂ Hex-c	CF ₃	
	Me	Me	H	H	2	Н	Н	SO ₂ Me	OPen-c	CF ₃	
	Me	Me	Н	H	2	H	H	SO ₂ Me	OHex-c	CF ₃	
55	Me	Me	H	H	2	Н	Н	SO ₂ Me	OCH_2Ph	CF ₃	
	Me	Me	H	H	2	H	Н	SO ₂ Me	OPh	CF ₃	

	Me	Me	Н	н	2	Н	Н	SO ₂ Me	OCHF ₂	CF ₃	
	Me	Me	H	H	2	Н	Н	SO ₂ Me	SH	CF ₃	
	Me	Me	H	H	2	H	Н	SO ₂ Me	SMe	CF ₃	
5	Me	Me	Н	H	2	Н	Н	SO ₂ Me	SO ₂ Me	CF ₃	
	Me	Me	Н	H	2	Н	Н	SO ₂ Me	SEt	CF ₃	
	Me	Me	Н	H	2	Н	Н	SO ₂ Me	SO ₂ Et	CF ₃	
	Me	Me	Н	Н	2	Н	Н	SO ₂ Me	SPr-i	CF ₃	
10	Me	Me	H	H	2	Н	Н	SO ₂ Me	SO₂Pr-i	CF ₃	
10	Me	Me	Н	Н	2	Н	Н	SO ₂ Me	SPh	CF ₃	
	Me	Me	Н	н	2	· H	Н	SO ₂ Me	SO₂Ph	CF ₃	
	Me	Me	Н	Н	2	Н	Н	SO ₂ Me	$SCHF_2$	CF ₃	
	Me	Me	н	Н	2	Н	H	SO ₂ Me	SO ₂ CHF ₂	CF ₃	
15	Me	Me	Н	Н	2	Н	Н	SO ₂ Me	NH_2	CF ₃	
	Me	Me	Н	Н	2	Н	Н	SO ₂ Me	NHMe	CF ₃	
	Me	Me	Н	Н	2	Н	Н	SO ₂ Me	NMe_2	CF ₃	
	Me	Me	Н	Н	2	Н	Н	SO ₂ Me	NHEt	CF ₃	
20	Me	Me	Н	Н	2	Н	Н	SO ₂ Me	NEt ₂	CF ₃	
	Me	Me	н	Н	2.	Н	Н	SO ₂ Me	NHPh	CF ₃	
	Me	Me	Н	Н	2	Н	Н	SO ₂ Me	N(Me)Ph	CF ₃	
	Me	Me	н	Н	2	Н	H	SO ₂ Me	CN	CF ₃	
25	Me	Me	Н	Н	2	H	H	NH ₂	F	CF ₃	
	Me	Me	Н	H	2	H	H	NH ₂	Cl	CF ₃	
	Me	Me	Н	Н	2	H	H	NH ₂	OH	CF ₃	
	Me	Me	Н	H	2	H	H	NH ₂	OMe	CF ₃	
30	Me	Me	H	Н	2	H	H	NH ₂	OEt	CF ₃	
30	Me	Me	H	H	2	H	H	NH ₂	OPr-i	CF ₃	
	Me	Me	H	H	2	H	H	NH ₂	OPr	CF ₃	
	Me	Me	H	H	2	H	H	NH ₂	OBu-t	CF ₃	
	Me	Me	H	H	2	H	H	NH ₂	OCH ₂ Pr-c	CF ₃	
35	Me	Me	H	H	2	H	H	NH ₂	OCH₂Bu-c	CF₃	
	Me	Me	H	H	2	H	H	NH ₂	OCH ₂ Pen-c	CF ₃	
	Me	Me	H	H	2	H	H	NH ₂	OCH ₂ Hex-c	CF ₃	
	Me	Me	Н	H	2	H	H	NH ₂	OPen-c	CF ₃	
40	Me	Me	H	H	2	H	H	NH ₂	OHex-c	CF ₃	l
	Me	Me	H	H	2	H	H	NH ₂	OCH₂Ph	CF₃	
	Me	Me	H	H	2	H	H	NH ₂	OPh	CF ₃	
	Me	Me	H	H	2	H	H	NH ₂	OCHF ₂	CF ₃ CF ₃	i
45	Me	Me	H	H	2	H	H	NH ₂	SH	CF ₃	1
	Me	Me	H	H	2	H H	H	NH ₂	SMe SO Ma	CF ₃	
	Me	Me	H	H	2 2	H	H	NH ₂ NH ₂	SO₂Me SEt	CF ₃	
	Me	Me	H	1	2	H	H	NH ₂	SO ₂ Et	CF ₃	
50	Me	Me Me	H	H	2	Н	Н	NH ₂	SPr-i	CF ₃	
	Me Me	Me Me	Н	H	2	H	Н	NH ₂	SO ₂ Pr-i	CF ₃	
	Me	Me Me	Н	H	2	H	H	NH ₂	SPh	CF ₃	
	Me	Me	Н	H	2	H	Н	NH ₂	SO ₂ Ph	CF ₃	
55	Me	Me	Н	H	2	H	H	NH ₂	SCHF ₂	CF ₃	
55	IVIE	INTE	177	l u	1 4	11	11	1112	l SCIM'2	1 013	l

	1	3.6-) FT	l rr	1 2) TT) rr 1	NITT	l so cire	l ce l
	Me	Me	H	H	2	H	H	NH ₂	SO ₂ CHF ₂	CF ₃
	Me	Me	H	H	2	H	H	NH ₂	NH ₂	CF ₃
5	Me	Me	H	H	2	H	H	NH ₂	NHMe	CF ₃
3	Me	Me	H	H	2	H	H	NH ₂	NMe ₂	CF ₃
	Me	Me	H	H	2	H	H	NH ₂	NHEt	CF ₃
	Me	Me	H	H	2	H	H	NH ₂	NEt ₂	CF ₃
	Me	Me	H	Н	2	H	H	NH ₂	NHPh	CF ₃
10	Me	Me	H	H	2	H	H	NH ₂	N(Me)Ph	CF ₃
	Me	Me	H	Н	2	H	Н	NH_2	CN	CF ₃
	H	H	H	H	2	H	H	H	OMe	CF ₃
	Н	Н	H	Н	2	H	H	H	OEt	CF ₃
15	Me	Н	H	H	2	H	H	H	OMe	CF ₃
	Me	H	H	H	2	H	H	H	OEt	CF ₃
	Me	H	Me	H	2	Н	H	H	ОМе	CF ₃
	Me	H	Me	H	2	Н	H	H	OEt	CF ₃
20	Me	Me	H	H	2	Me	H	H	OMe	CF₃
20	Me	Me	H	H	2	Me	H	H	OEt	CF ₃
	Me	Me	H	H	2	Et	H	H	OMe	CF ₃
	Me	Me	H	H	2	Et	H	H	OEt	CF ₃
	Me	Me	H	H	2	Pr-i	H	H	H	CF ₃
25	Me	Me	H	H	2	Pr-i	H	H	OMe	CF ₃
	Me	Me	H	H	2	Pr-i	H	H	OEt	CF ₃
	Me	Me	H	H	2	Me	Me	Н	OMe	CF ₃
	Me	Me	H	H	2	Me	Me	H	OEt	CF ₃
30	Me	Et	H	Н	2	Н	H	H	OMe	CF ₃
	Me	Et	H	Н	2	H	H	H	OEt	CF ₃
	Et	Et	H	H	2	Н	H	H	OMe	CF ₃
	Et	Et	H	H	2	H	H	H	OEt	CF ₃
0.5	Me	Pr-i	H	Н	2	H	H	H	OMe	CF ₃
35	Me	Pr-i	H	H	2	H	H	H	OEt	CF ₃
	Me	Pr	H	H	2	H	H	H	OMe	CF ₃
	Me	Pr	H	Н	2	Н	H	H	OEt	CF ₃
	Me	Pr-c	H	H	2	Н	H	H	OMe	CF ₃
40	Me	Pr-c	H	H	2	H	H	H	OEt	CF ₃
	Me	CH ₂ Pr-c	H	Н	2	Н	H	H	OMe	CF ₃
	Me	CH ₂ Pr-c	H	H	2	Н	H	H	OEt	CF ₃
		$(CH_2)_{2}$ -	H	H	2	Н	H	H	OMe	CF ₃
45	-1	$(CH_2)_2$ -	H	H	2	H	H	H	OEt	CF ₃
		$(CH_2)_3$ -	H	H	2	Н	H	H	OMe	CF ₃
	-($(CH_2)_3$ -	H	Н	2	Н	H	H	OEt	CF ₃
	-($(CH_2)_4$ -	H	Н	2	Н	H	Н	OMe	CF ₃
	-($(CH_2)_4$ -	H	H	2	Н	H	H	OEt	CF ₃
50		$(CH_2)_5$ -	H	Н	2	Н	H	Н	OMe	CF ₃
	-1	$(CH_2)_5$ -	H	H	2	Н	H	Н	OEt	CF ₃
	H	-(CH ₂		Н	2	Н	Н	Н	OMe	CF ₃
	Н	-(CH ₂	2)3-	H	2	H	H	H	OEt	CF ₃
55	Н	-(CH ₂	2)4-	H	2	Н	H	Н	OMe	CF ₃

	Н	-(CH	2)4-	Н	2	Н	H	Н	OEt	CF ₃	l
	Н	-(CH		Н	2	Н	Н	н	OMe	CF ₃	
·	Н	-(CH		Н	2	Н	Н	Н	OEt	CF ₃	
5	Н	-(CH		Н	2	Н	H	Н	OMe	CF ₃	1
	Н	-(CH		Н	2	Н	Н	Н	OEt	CF ₃	
	Me	Me	H	Н	1	Н	Н	Н	Cl	Cl	ļ
	Me	Me	H	Н	1	Н	H	Н	ОН	Cl	
	Me	Me	Н	Н	1	Н	Н	H	OMe	Cl	
10	Me	Me	H	H	1	Н	H	H	OEt	Cl	
	Me	Me	H	H	1	Н	Н	H	OPr-i	Cl	
	Me	Me	H	Н	1	Н	H	H	ОРr	Cl	-
	Me	Me	Н	H	1	Н	H	H	OBu-t	Cl	
15	Me	Me	H	Н	1	H	H	H	OCH₂Pr-c	Cl	
	Me	Me	H	H	1	H	H	H	OCH ₂ Bu-c	Cl	
	Me	Me	H	H	1	H	H	H	OCH ₂ Pen-c	Cl	
	Me	Me	H	H	1	H	H	H	OCH ₂ Hex-c	Cl	
20	Me	Me	H	H	1	H	H	H	OPen-c	Cl	
20	Me	Me	H	Н	1	H	H	H	OHex-c	Cl	
	Me	Me	H	H	1	H	H	H	OCH ₂ Ph	Cl	
	Me	Me	Н	H	1	Н	H	H	OPh	Cl	
	Me	Me	H	H	1	H	H	H	OCHF ₂	Cl	
25	Me	Me	H	H	1	H	H	H	SH	. Cl	l
	Me	Me	H	H	1	H	H	H	SMe	Cl	
	Me	Me	H	H	1	H	H	H	SO₂Me	Cl	
	Me	Me	H	H	1	H	H	Н	SEt	Cl	
30	Me	Me	H	H	1	H	H	H	SO ₂ Et	Cl	
	Me	Me	Н	H	1	H	H	H	SPr-i	Cl	
	Me	Me	Н	H	1	H	H	H	SO ₂ Pr-i	Cl	ļ
	Me	Me	H	H	1	H	H	H	SPh	Cl	
	Me	Me	H	H	1	H	H	Н	SO_2Ph	Cl	
35	Me	Me	H	H	1	H	H	H	SCHF ₂	Cl	
	Me	Me	H	Н	1	H	H	H	SO ₂ CHF ₂	Cl	
	Me	Me	H	H	1	H	H	H	NH ₂	Cl	
	Me	Me	H	H	1	H	H	H	NHMe	Cl	
40	Me	Me	H	H	1	H	H	H	NMe ₂	Cl	
	Me	Me	H	H	1	H	H	H	NHEt	Cl	
	Me	Me	H	H	1	H	H	H	NEt ₂	Cl	
	Me	Me	H	H	1	Н	H	H	NHPh	Cl	
45	Me	Me	H	H	1	H	H	H	N(Me)Ph	Cl	
,,	Me	Me	H	H	1	H	H	H	CN	Cl	
	Me	Me	H	H	1	Н	H	H	F	Me	
	Me	Me	H	H	1	H	H	H	Cl	Me	
	Me	Me	Н	H	1	H	H	H	OH	Me	
50	Me	Me	Н	H	1	H	Н	H	OMe	Me	
	Me	Me	H	H	1	H	H	Ĥ	OEt	Me	
	Me	Me	H	H	1	Н	H	H	OPr-i	Me	
	Me	Me	H	H	1	H	H	H	OPr	Me	
55	Me	Me	H	H	1 1	H	H	H	OBu-t	Me	
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	1 34	1 37.	l rr l	1 17	l • 1) TT	l rr l	l rr	OCIL D	l Ma	1
	Me	Me	H	H	1	H	H	H	OCH ₂ Pr-c	Me	
	Me	Me	H	Н	1	H	H	H	OCH ₂ Bu-c	Me	-
	Me	Me	Н	Н	1	H	H	H	OCH ₂ Pen-c	Me	
5	Me	Me	H	Н	1	H	H	H	OCH ₂ Hex-c	Me	
	Me	Me	H	Н	1	Н	Н	Н	OPen-c	Me	ĺ
	Me	Me	H	Н	1	H	H	H	OHex-c	Me	ŀ
	Me	Me	H	Н	1	H	H	H	OCH₂Ph	Me	
10	Me	Me	H	H	1	H	H	Н	OPh	Me	
	Me	Me	H	H	1	H	H	H	OCHF ₂	Me	
	Me	Me	Н	Н	1	H	H	H	SH	Me	
	Me	Me	Н	H	1	H	H	H	SMe	Me	
	Me	Me	Н	Н	1	H	H	H	SO ₂ Me	Me	
15	Me	Me	Н	Н	1	H	H	Н	SEt	Me	
	Me	Me	Н	Н	1	H	Н	H	SO ₂ Et	Me	1
	Me	Me	Н	Н	1	H	Н	H	SPr-i	Me	•
	Me	Me	Н	Н	1	Н	Н	Н	SO ₂ Pr-i	Me	
20	Me	Me	Н	Н	1	Н	Н	Н	SPh	Me	
	Me	Me	Н	Н	1	Н	Н	Н	SO ₂ Ph	Me	
	Me	Me	H	Н	1	Н	Н	H	SCHF ₂	Me	
	Me	Me	Н	Н	1	Н	Н	H	SO ₂ CHF ₂	Me	
	Me	Me	H	Н	1	Н	Н	H	NH ₂	Me	l
25	Me	Me	Н	H	1	H	Н	H	NHMe	Me	1
	Me	Me	H	H	1	H	H	H	NMe ₂	Me	
	Me	Me	Н	H	1	Н	H	H	NHEt	Me	١.
	Me	Me	Н	H	1	Н	H	H	NEt ₂ .	Me	ļ
30	Me	Me	H	H	1	H	H	H	NHPh	Me	
	Me	Me	H	H	1	Н	H	H	N(Me)Ph	Me	
	Me	Me	H	H	1	H	H	H	CN	Me	l
	Me	Me	H	Н	1	H	H	H	F	Pr-i	ļ
	Me	Me	H	H	1	Н	H	H	Cl	Pr-i	
35	Me	Me	H	H	1	H	H	H	ОН	Pr-i	
	Me	Me	H	H	1	H	H	H	OMe	Pr-i	
	Me	Me	H	H	1	H	H	H	OEt	Pr-i	
	Me	Me	H	H	1	H	H	H	OPr-i	Pr-i	
40	Me	Me	H	H	1	H	H	Н	OPr	Pr-i	
	Me	Me	H	H	1	H	H	H	OBu-t	Pr-i	
	Me	Me	H	H	1	H	H	H	OCH ₂ Pr-c	Pr-i	:
	Me	Me	H	H	1	H	H	H	OCH ₂ Pr-c	Pr-i	
	1		H		1	ł	1	H	l		
45	Me	Me	1	Н	1	H	H	H	OCH Have	Pr-i	
	Me	Me	H	H	1	H	H	ŀ	OCH ₂ Hex-c	Pr-i	
	Me	Me	H	H	1	H	H	Н	OPen-c	Pr-i	
	Me	Me	H	H	1	H	H	H	OHex-c	Pr-i	
50	Me	Me	H	H	1	H	H	H	OCH₂Ph	Pr-i	
	Me	Me	H	H	1	H	H	H	OPh	Pr-i	
	Me	Me	H	H	1	H	H	н	OCHF ₂	Pr-i	
	Me	Me	H	H	1	Н	H	H	SH	Pr-i	1
55	Me	Me	H	H	1	H	H	H	SMe	Pr-i	
55	Me	Me	H	H	1	Н	H	Н	SO ₂ Me	Pr-i	

	Me	Me	Н	Н	1	н	H	Н	SEt-	Pr-i
	Me	Me	Н	H	1	H	H	H	SO₂Et	Pr-i
,	Me	Me	H	H	1	H	H	H	SPr-i	Pr-i
5	Me	Me	H	H	1	H	H	H	SO ₂ Pr-i	Pr-i
		Me Me	H	H	1	Н	H	H	SPh	Pr-i
	Me	1	H	H	1	H	1	ł .	1	1 1
	Me	Me	l .		1	1	H	H	SO₂Ph	Pr-i
10	Me	Me	H	H	1	H	H	H	SCHF ₂	Pr-i
10	Me	Me	H	H	1	H	H	H	SO ₂ CHF ₂	Pr-i
	Me	Me	H	Н	1	Н	H	H	NH ₂	Pr-i
	Me	Me	H	H	1	Н	H.,	H.	NHMe.	Pr-i
	Me	Me	Н	Н	1	H	H	H	NMe ₂	Pr-i
15	Me	Me	H	Н	1	Н	Н	H	NHEt	Pr-i
	Me	Me	H	H	1	H	H	H	NEt ₂	Pr-i
	Me	Me	H	Н	1	Н	H	H	NHPh	Pr-i
	Me	Me	H	H	1	H	H	H	N(Me)Ph	Pr-i
20	Me	Me	Н	H	1	H	H	H	CN	Pr-i
	Me	Me	Н	Н	1	H	H	Н	F	Pr-c
	Me.	Me	H	Н	1	H	H	Н	Cl	Pr-c
	Me	Me	H	Н	1	H	H	H	ОН	Pr-c
	Me	Me	H	Н	1	H	H	H	OMe	Pr-c
25	Me	Me	H	H	1	Н	H	H	OEt	Pr-c
	Me	Me	H	H	1	H	H	H	OPr-i	Pr-c
	Me	Me	H	H	1	Н	H	H	OPr	Pr-c
	Me	Me	H	Н	1	H	H	H	OBu-t	Pr-c
30	Me	Me	Н	Н	1	Н	H	H	OCH ₂ Pr-c	Pr-c
	Me	Me	H	Н	1	Н	H	H	OCH ₂ Bu-c	Pr-c
	Me	Me	H	Н	1	H	H	H	OCH ₂ Pen-c	Pr-c
	Me	Me	H	H	1	H	H	H	OCH ₂ Hex-c	Pr-c
0.5	Me	Me	H	H	1	H	H	H	OPen-c	Pr-c
35	Me	Me	H	Н	1	H	H	H	OHex-c	Pr-c
	Me	Me	H	H	1	H	H	Н	OCH₂Ph	Pr-c
	Me	Me	H	Н	1	H	Н	H	OPh	Pr-c
	Me	Me	Н	Н	1	H	Н	H	OCHF ₂	Pr-c
40	Me	Me	H	H	1	Н	H	H	SH	Pr-c
	Me	Me	H	Н	1	H	H	H	SMe	Pr-c
	Me	Me	H	H	1	H	H	H	SO ₂ Me	Pr-c
	Me	Me	H	H	1	H	H	Н	SEt	Pr-c
45	Me	Me	H	H	1	Н	H	H	SO ₂ Et	Pr-c
40	Me	Me	H	Н	1	H	Н	H	SPr-i	Pr-c
	Me	Me	Н	Н	1	H	Н	H	SO₂Pr-i	Pr-c
	Me	Me	Н	H	1	Н	Н	Н	SPh	Pr-c
	Me	Me	Н	Н	1	Н	Н	H	SO_2Ph	Pr-c
50	Me	Me	Н	Н	1	Н	Н	Н	$SCHF_2$	Pr-c
	Me	Me	Н	Н	1	Н	H	H .	SO ₂ CHF ₂	·Pr-c
	Me	Me	Н	Н	1	Н	Н	Н	NH_2	Pr-c
	Me	Me	Н	Н	1	Η	Н	Н	NHMe	Pr-c
55	Me	Me	Н	Н	1	Н	Н	Н	NMe_2	Pr-c
	Me	Me	Н	H	1	Н	Н	Н	NHEt	Pr-c

	Me	Me	Н	Н	1 1	Н	н	Н	NEt ₂	Pr-c
	Me	Me	H	H	1	H	H	H	NHPh	Pr-c
	Me	Me	Н	H	1	Н	Н	Н	N(Me)Ph	Pr-c
5	Me	Me	Н	Н	1	H	Н	Н	CN	Pr-c
	Me	Me	Н	Н	1	Н	Н	Н	F	CHF ₂
	Me	Me	Н	Н	1	Н	Н	Н	Cl	CHF ₂
	Me	Me	Н	Н	1	Н	Н	Н	OH	CHF ₂
10	Me	Me	Н	H	1	Н	Н	Н	OMe	CHF ₂
	Me	Me	н	Н	1	Н	Н	Н	OEt	CHF_2
	Me	Me	H	Н	1	Н	Н	Н	OPr-i	CHF ₂
	Me	Me	H	H	1	Н	H	Н	OPr	CHF ₂
15	Me	Me	Н	Н	1	Н	Н	H	OBu-t	CHF ₂
	Me	Me	Н	Н	1	Н	Н	Н	OCH ₂ Pr-c	CHF ₂
	Me	Me	Н	H	1	Н	Н	Н	OCH ₂ Bu-c	CHF ₂
	Me	Me	Н	H	1	Н	Н	Н	OCH ₂ Pen-c	CHF ₂
00	Me	Me	Н	Н	1	Н	Н	H	OCH ₂ Hex-c	CHF ₂
20	Me	Me	Н	H	1	Н	Н	H	OPen-c	CHF ₂
	Me	Me	Н	H	1	H	Н	H	OHex-c	CHF ₂
	Me	Me	Н	Н	1	Н	H	H	OCH₂Ph	CHF ₂
	Me	Me	Н	Н	1	Н	H	H	OPh	CHF ₂
25	Me	Me	Н	H	1	H	H	H	OCHF ₂	CHF ₂
	Me	Me	H	H	1	H	'H	H	SH	CHF ₂
	Me	Me	H	H	1	Н	H	H	SMe	CHF ₂
	Me	Me	H	H	1	H	H	H	SO ₂ Me	CHF ₂
30	Me	Me	Н	H	1	Н	H	Н	SEt	CHF ₂
	Me	Me	Н	H	1	H	H	H.	SO ₂ Et	CHF ₂
	Me	Me	H	H	1	H	H	H	SPr-i	CHF ₂
	Me	Me	H	H	1	H	H	H	SO₂Pr-i	CHF ₂
35	Me	Me	H	H	1	H	H	H	SPh	CHF ₂
	Me	Me	H	H	1	H	H	H	SO ₂ Ph	CHF ₂
	Me	Me Me	H H	H H	1 1	H H	H H	H H	SCHF ₂ SO ₂ CHF ₂	CHF ₂ CHF ₂
	Me	Me	Н	H		Н	H	· H	NH ₂	CHF ₂
40	Me Me	Me	Н	H	1 1	H	H	H	NHMe	CHF ₂
	Me	Me	H	H	1	H	H	H	NMe ₂	CHF ₂
	Me	Me	H	H	1	H	H	H	NHEt	CHF ₂
	Me	Me	H	H	1	H	H	H	NEt ₂	CHF ₂
45	Me	Me	Н	H	1	Н	Н	H	NHPh	CHF ₂
40	Me	Me	Н	H	1	Н	H	Н	N(Me)Ph	CHF ₂
	Me	Me	Н	Н	1	Н	Ή	Н	CN	CHF ₂
	Me	Me	Н	Н	1	Н	Н	Н	F	CF ₃
	Me	Me	Н	Н	1	Н	Н	Н	Cl	CF ₃
50	Me	Me	Н	H	1	H	H	H	ОН	CF ₃
	Me	Me	Н	Ĥ	1	Ĥ	Н	H	OMe	CF ₃
	Me	Me	Н	Н	1	Н	Н	Н	OEt	CF ₃
	Me	Me	Н	H	1	Н	H	H	OPr-i	CF ₃
55	Me	Me	Н	Н	1	Η.	H	H	OPr	CF ₃

	Me	Me	H	Н	1	Н	H	Н	OBu-t	CF ₃
	Me	Me	H	Н	1	Н	H	H	OCH ₂ Pr-c	CF ₃
	Me	Me	H	H	1	Н	H	H	OCH ₂ Bu-c	CF ₃
5	Me	Me	Н	H	1	Н	H	H	OCH ₂ Pen-c	CF ₃
	Me	Me	H	H	$\begin{vmatrix} \hat{1} \end{vmatrix}$	H	H	H	OCH ₂ Hex-c	CF ₃
	Me	Me	H	H	1	Н	H	H	OPen-c	CF ₃
	Me	Me	H	Н	1	Н	H	H	OHex-c	CF ₃
10	Me	Me	H	H	1.	H	H	Н	OCH ₂ Ph	CF ₃
	Me	Me	H	Н	1	H	H	H	OPh	CF ₃
	Me	Me	H	H	1	Н	H	H	OCHF ₂	CF ₃
	Me	Me	H	Н	î	Н	H	H	SH	CF ₃
	Me	Me	H	Н	î	H	H	H	SMe	CF ₃
15	Me	Me	H	H	1	H	H	Н	SO ₂ Me	CF ₃
	Me	Me	H	Н	1	H	H	Н	SEt	CF ₃
	Me	Me	H	H	1	H	H	H	SO ₂ Et	CF ₃
	Me	Me	H	Н	1	Н	H	Н	SPr-i	CF ₃
20	Me	Me	H	H	1	H	H	H	SO ₂ Pr-i	CF ₃
	Me	Me	H	Н	Î	Н	H	H	SPh	CF ₃
	Me	Me	H	Н	1	Н	H	H	SO ₂ Ph	CF ₃
	Me	Me	H	Н	1	Н	H	H ·	SCHF ₂	CF ₃
25	Me	Me	Н	Н	1	Н	H	Н	SO ₂ CHF ₂	CF ₃
	Me	Me	Н	Н	1	Н	H	H	NH ₂	CF ₃
	Me	Me	Н	H	1	Н	H	Н	NHMe	CF ₃
	Me	Me	Н	H	1	Н	H	Н	NMe ₂	CF ₃
30	Me	Me	Н	H	1	Н	H	H	NHEt	CF ₃
30	Me	Me	H	H	Î	H	H	Н	NEt ₂	CF ₃
	Me	Me	H	Н	1	H	H	H	NHPh	CF ₃
	Me	Me	Н	Н	1	Н	H	H	N(Me)Ph	CF ₃
	Me	Me	Н	H	1	Н	Н	H	CN	CF ₃
35	Me	Ме	Н	Н	1	Н	H	Н	F	OMe
	Me	Me	Н	Н	1	Н	Н	Н	ОН	OMe
	Me	Me	Н	Н	1	Н	\mid H \mid	Н	OMe	OMe
	Me	Me	H	Н	1	Н	H	\mathbf{H}	OEt	OMe
40	Me	Me	Н	H	1	Н	H	Н	OPr-i	OMe
	Me	Me	H	Н	1	H	H	H	OPr	OMe
	Me	Me	H	H	1	Н	H	H	OBu-t	OMe
	Me	Me	H	Н	1	H	H	H	OCH ₂ Pr-c	OMe
45	Me	Me	H	H	1	H	H	Н	OCH ₂ Bu-c	OMe
70	Me	Me	H	H	1	H	H	H	OCH ₂ Pen-c	OMe
	Me	Me	H	Н	1	H	H	H	OCH ₂ Hex-c	OMe
	Me	Me	Н	Н	1	Н	Н	H	OPen-c	OMe
	Me	Me	H	Н	1	H	H	H	OHex-c	OMe
50	Me	Me	H	H	1	H	H	H	OCH₂Ph	OMe
	Me	Me	H	H	1	H	H	H	OPh	OMe
	Me	Me	H	H	1	H	H	H	OCHF ₂	OMe
·	Me	Me	Н	Н	1	Н	H	H	SH	OMe
55	Me	Me	H	H	1	H	H	H	SMe	OMe

	Me	Me	Н	Н	1	Н	Н	Н	SO₂Me	OMe
	Me	Me	Н	Н	1	Н	Н	H	SEt	OMe
	Me	Me	Н	Н	₁	Н	Н	Н	SO₂Et	OMe
5	Me	Me	Н	Н	1	Н	Н	Н	SPr-i	OMe
	Me	Me	Н	Н	1	Н	Н	Н	SO ₂ Pr-i	OMe
	Me	Me	Н	Н	1	Н	Н	Н	SPh	OMe
	Me	Me	Н	Н	1	Н	Н	Н	SO_2Ph	OMe
10	Me	Me	Н	Н	1	· H	Н	Н	SCHF ₂	OMe
7.0	Me	Me	Н	Н	1	Н	Н	H	SO ₂ CHF ₂	OMe
	Me	Me	Н	Н	1	Н	Н	Н	NH ₂	OMe
	Me	Me	Н	H	1	Н	Н	H	NHMe	OMe
	Me	Me	H	H	1	Н	H	H	NMe ₂	OMe
15	Me	Me	H	H	1	Н	H	Н	NHEt	OMe
	Me	Me	Н	Н	1	Н	H	H	NEt ₂	OMe
	Me	Me	H	Н	1	Н	H	H	NHPh	OMe
	Me	Me	Н	Н	1	Н	Н	Н	N(Me)Ph	OMe
20	Me	Me	Н	Н	1	Н	Н	Н	CN	OMe
	Me	Me	H	Н	1	Н	Н	Н	F	OPh
	Me	Me	Н	Н	- 1	Н	Н	Н	ОН	OPh
	Me	Me	Н	Н	1	Н	Н	Н	OMe	OPh
25	Me	Me	Н	Н	1	Н	H	Н	OEt	OPh
20	Me	Me	Н	Н	1	Н	H	Н	OPr-i	OPh
	Me	Me	Н	Н	1	Н	Н	Н	OPr	OPh
	Me	Me	H	Н	1	H	Н	Н	OBu-t	OPh
	Me	Me	H	Н	1	Н	Н	H	OCH ₂ Pr-c	OPh
30	Me	Me	H	Н	1	H	H	Н	OCH ₂ Bu-c	OPh
	Me	Me	Н	Н	1	Н	Н	Н	OCH ₂ Pen-c	OPh
	Me	Me	H	.H	1	Н	Н	Н	OCH ₂ Hex-c	OPh
	Me	Me	H	Н	1	Н	Н	Н	OPen-c	OPh
35	Me	Me	Н	Н	1	Η -	Н	H	OHex-c	OPh
	Me	Me	Н	Н	1	H	H	Н	OCH ₂ Ph	OPh
	Me	Me	Н	H	1	H	H	H	OPh	OPh
	Me	Me	H	Н	1	H	H	H	$OCHF_2$	OPh
40	Me	Me	H	H	1	H	H	H	SH	OPh
40	Me	Me	H	H	1	H	H	H	SMe	OPh
	Me	Me	Н	Н	1	H	H	Н	SO ₂ Me	OPh
	Me	Me	Н	Н	1	H	H	H	SEt	OPh
	Me	Me	H	Н	1	H	H	H	SO ₂ Et	OPh
45	Me	Me	H	Н	1	Н	H	H	SPr-i	OPh
	Me	Me	H	Н	1	H	H	H	SO ₂ Pr-i	OPh
	Me	Me	Н	Н	1	H	Н	H	SPh	OPh
	Me	Me	H	Н	1	H	H	H	SO₂Ph	OPh
50	Me	Me	H	Н	1	H	H	H	SCHF ₂	OPh
	Me	Me	H	Н	1	H	H	H	SO ₂ CHF ₂	OPh
	Me	Me	H	H	1	H	H	Н	NH_2	OPh
	Me	Me	Н	Н	1	H	H	H	NHMe	OPh
55	Me	Me	Н	Н	1	H	H	Н	NMe ₂	OPh
55	Me	Me	Н	Н	1	H	Н	Н	NHEt	OPh

	Me	Me	Н	Н	1	Н	Н	Н	NEt ₂	OPh
	Me	Me	H	H	1	H	H	H	NHPh	OPh
	Me	Me	Н	H	1	H	H	H	N(Me)Ph	OPh
5	Me	Me	H	H	1	H	H	H	CN	OPh
	Me	Me	Н	H	1	H	H	H	F	OCHF ₂
	Me	Me	Н	H	1	H	H	H	ОН	OCHF ₂
	Me	Me	H	H	1	H	H	H	OMe	OCHF ₂
10	Me	Me	Н	H	1	H	H	Н	OEt	OCHF ₂
70	Me	Me	Н	H	ı	H	H	H	OPr-i	OCHF ₂
	Me	Me	H	H	1	Н	H	H	OPr	OCHF ₂
	Me	Me	H	H	1	H	H	H	OBu-t	OCHF ₂
	Me	Me	H	Н	1	H	H	H	OCH ₂ Pr-c	OCHF ₂
15	Me	Me	H	H	1	H	H	H	OCH ₂ Bu-c	OCHF ₂
	Me	Me	H	Н	1	Н	Н	H	OCH ₂ Pen-c	OCHF ₂
	Me	Me	H	H	1	H	H	Н	OCH ₂ Hex-c	OCHF ₂
	Me	Me	H	H	i	H	H	H	OPen-c	OCHF ₂
20	Me	Me	Н	Н	1	H	Н	Н	OHex-c	OCHF ₂
	Me	Me	Н	Н	ı î	Н	H	H	OCH₂Ph	OCHF ₂
	Me	Me	H	Н	1	Н	Н	H	OPh	OCHF ₂
	Me	Me	Н	Н	1	Н	Н	Н	$OCHF_2$	OCHF ₂
25	Me	Me	Н	Н	1	Н	Н	Н	SH	OCHF ₂
	Me	Me	Н	H	1	Н	H	Н	SMe	OCHF ₂
	Me	Me	H	Н	1	Н	Н	Н	SO₂Me	OCHF ₂
	Me	Me	Н	H	1	H	Н	Н	SEt	OCHF ₂
30	Me	Me	Н	H	1	Н	H	Н	SO ₂ Et	OCHF ₂
00	Me	Me	H	H	1	H	Н	Н	SPr-i	OCHF ₂
	Me	Me	Н	Н	1	Н	Н	Н	SO₂Pr-i	OCHF ₂
	Me	Me	Н	Н	1	Н	H	H	SPh	OCHF ₂
	Me	Me	H	H	1	Η	H	Н	SO₂Ph	OCHF ₂
35	Me	Me	H	H	1	H	H	Н	SCHF ₂	OCHF ₂
	Me	Me	Н	H	1	Н	H	Н	SO ₂ CHF ₂	OCHF ₂
	Me	Me	H	H	1	H	H	Н	NH_2	OCHF ₂
	Me	Me	H	H	1	H	H	· H	NHMe	OCHF ₂
40	Me	Me	H	H	1	Н	H	Н	NMe_2	OCHF ₂
	Me	Me	H	H	1	H	Н	H	NHEt	OCHF ₂
	Me	Me	H	H	1	Н	H	H	NEt ₂	OCHF ₂
	Me	Me	H	H	1	H	H	H	NHPh	OCHF ₂
45	Me	Me	H	H	1	H	H	Н	N(Me)Ph	OCHF ₂
	Me	Me	H	H	1	H	H	Н	CN	OCHF ₂
	Me	Me	H	Н	1	Н	H	Me	F	CF ₃
	Me	Me	H	Н	1	H	H	Me	Cl	CF ₃
50	Me	Me	H	H	1	H	H	Me	OH	CF ₃
	Me	Me	H	H	1	Н	H	Me	OMe	CF ₃
	Me	Me	Н	H	1	H	H	Me	OEt	CF ₃
	Me	Me	H	H	1	H	H	Me	OPr-i	CF ₃
55	Me	Me	H	H	1	H	Н	Me	OPr	CF ₃
55	Me	Me	Н	H	1	Н	H	Me	OBu-t	CF ₃

	Me	Me	Н	Н	1	Н	Н	Me	OCH ₂ Pr-c	CF₃
	Me	Me	Н	Н	1	Н	H	Me	OCH ₂ Bu-c	CF ₃
	Me	Me	Н	Н	1	H	Н	Me	OCH ₂ Pen-c	CF ₃
5	Me	Me	H	H	1	H	Н	Me	OCH ₂ Hex-c	CF ₃
	Me	Me	H	Н	1	Н	Н	Me	OPen-c	CF ₃
	Me	Me	Н	Н	1	Н	Н	Me	OHex-c	CF ₃
	Me	Me	Н	Н	1	Н	H	Me	OCH ₂ Ph	CF ₃
10	Me	Me	H	Н	1	H	Н	Me	OPh	CF ₃
	Me	Me	H	H	1	H	H	Me	OCHF ₂	CF ₃
	Me	Me	H	H	1	H	H.	Me	SH	CF ₃
	Me	Me	H	H	1	H	H	Me	SMe	CF ₃
15	Me	Me	H	H	1	H	Н	Me	SO ₂ Me	CF ₃
15	Me	Me	H	H	1	H	H	Me	SEt	CF ₃
	Me	Me	Н	Н	1	H	H	Me	SO ₂ Et	CF ₃
	Me	Me	Н	н	1	H	H	Me	SPr-i	CF ₃
	Me	Me	H	Н	1	Н	Н	Me	SO ₂ Pr-i	CF ₃
20	1				1	l	1		=	-
	Me	Me	H	H H	1	H H	H	Me	SPh SO ₂ Ph	CF ₃
	√Me Me	Me	H H		1 1	Н	Н	Me Me	SCHF ₂	CF ₃
	Me	Me	1	Н		1	1	Me		CF₃
25	Me	Me	H	Н	1	H H	H	Me	SO ₂ CHF ₂	CF₃
	Me	Me	H	H	1	Н	H H	Me	NH ₂	CF ₃
	Me	Me	H	H	1	l .	1 1	Me	NHMe	CF ₃
	Me	Me	H	H	1	H	H	Me	NMe ₂	CF ₃
00	Me	Me	H	H	1	H	H	Me	NHEt	CF ₃
30	Me	Me	H	H	1	Н	H	Me	'NEt ₂	CF ₃
	Me	Me	H	H	1	H	H	Me	NHPh	CF ₃
	Me	Me	H	H	1	Н	H	Me	N(Me)Ph	CF ₃
	Me	Me	H	H	1	H	H	Me	CN	CF ₃
35	Me	Me	H	H	1	H	H	OMe	F	CF ₃
	Me	Me	H	H	1	H	H	OMe	Cl	CF ₃
	Me	Me	H	H	1	H	H	OMe	OH	CF ₃
	Me	Me	H	H	1	H	H	OMe	OMe	CF ₃
40	Me	Me	H	H	1	H	Н	OMe	OEt	CF ₃
	Me	Me	H	H	1	H	H	OMe	OPr-i	CF ₃
	Me	Me	H	H	1	H	H	OMe	OPr	CF ₃
	Me	Me	H	Н	1	H	H	OMe	OBu-t	CF ₃
	Me	Me	H	H	1	Н	H	OMe	OCH ₂ Pr-c	CF ₃
45	Me	Me	H	H	1	H	H	OMe	OCH ₂ Bu-c	CF ₃
	Me	Me	H	H	1	H	H	OMe	OCH ₂ Pen-c	CF ₃
	Me	Me	H	H	1	H	H	OMe	OCH ₂ Hex-c	CF ₃
	Me	Me	H	H	1	H	H	OMe	OPen-c	CF ₃
50	Me	Me	H	H	1	H	H	OMe	OHex-c	CF ₃
	Me	Me	H	H	1	H	H	OMe	OCH ₂ Ph	CF ₃
	Me	Me	H	H	1	H	H	OMe	OPh	CF ₃
	Me	Me	H	H	1	H	H	OMe	OCHF ₂	CF ₃
55	Me	Me	H.	H	1	H	H	OMe	SH	CF ₃
	Me	Me	H	H	1	Н	Н	OMe	SMe	CF ₃

	Me	Me	Н	Н	1	Н	Н	OMe	SO ₂ Me	CF ₃
	Me	Me	Н	Н	1	Н	Н	OMe	SEt	CF ₃
	Me	Me	H	Н	1	Н	Н	OMe	SO ₂ Et	CF ₃
5	Me	Me	Н	Н	1	Н	Н	OMe	SPr-i	CF ₃
	Me	Me	Н	Н	ı	Н	Н	OMe	SO ₂ Pr-i	CF ₃
	Me	Me	H	Н	1	Н	H	OMe	SPh	CF ₃
	Me	Me	Н	Н	1	Н	Н	OMe	SO_2Ph	CF ₃
10	Me	Me	Н	Н	1	Н	Н	OMe	SCHF ₂	CF ₃
	Me	Me	Н	Н	1	Н	Н	OMe	SO ₂ CHF ₂	CF ₃
	Me	Me	Н	H	. 1	Н	H.	OMe	NH ₂	CF ₃
	Me	Me	Н	Н	1	Н	Н	OMe	NHMe	CF ₃
15	Me	Me	Н	Н	1	Н	$\mid_{\rm H}\mid$	OMe	NMe_2	CF ₃
	Me	Me	Н	Н	1	Н	Н	OMe	NHEt	CF ₃
	Me	Me	Н	Н	1	Н	Н	OMe	NEt ₂	CF ₃
j	Me	Me	Н	Н	1	Н	Н	OMe	NHPh	CF ₃
20	Me	Me	Н	Н	1	H	Н	OMe	N(Me)Ph	CF ₃
20	Me	Me	Н	Н	1	Н	Н	OMe	CN	CF ₃
	Me	Me	H	Н	1	H	Н	SMe	F	CF ₃
	Me	Me	Н	Н	1	Н	Н	SMe	Cl	CF ₃
	Me	Me	Н	Н	1	Н	Н	SMe	OH	CF ₃
25	Me	Me	Н	Н	1	Н	H	SMe	OMe	CF ₃
	Me	Me	Н	Н	1	Н	H	SMe	OEt	CF ₃
	Me	Me	H	Н	1	Н	H	SMe	OPr-i	CF ₃
	Me	Me	H	Н	1	Н	Н	SMe	OPr	CF₃
30	Me	Me	H	Н	1	H	Н	SMe	OBu-t	CF ₃
	Me	Me	H	Н	1	H	H	SMe	OCH ₂ Pr-c	CF ₃
	Me	Me	H	H	1	H	H	SMe	OCH ₂ Bu-c	CF ₃
	Me	Me	H	H	1	Н	H	SMe	OCH ₂ Pen-c	CF ₃
35	Me	Me	H	H	1	H	H	SMe	OCH ₂ Hex-c	CF ₃
	Me	Me	H	Н	1	H	H	SMe	OPen-c	CF ₃
	Me	Me	H	H	1	H	H	SMe	OHex-c	CF ₃
	Me	Me	H	Н	1	H	H	SMe	OCH ₂ Ph	CF ₃
40	Me	Me	H	H	1	H	H	SMe	OPh	CF ₃
	Me	Me	H	H	1	H	H	SMe	OCHF ₂	CF ₃
	Me	Me	H	Н	1	H	H	SMe	SH	CF ₃
	Me	Me	H	Н	1	H	H	SMe	SMe	CF ₃
45	Me	Me	H	H	1	H	H	SMe	SO₂Me	CF ₃
45	Me	Me	H	H	1	H	H	SMe	SEt	CF ₃
	Me	Me	H	H	1	H	H	SMe	SO₂Et	CF₃
	Me	Me	H	H	1	H	H	SMe	SPr-i	CF ₃
	Me	Me	H	H	1	H	H	SMe	SO ₂ Pr-i	CF ₃
50	Me	Me	H	H	1	H	H	SMe	SPh	CF ₃
	Me	Me	H	H	1	H	H	SMe	SO₂Ph	CF ₃
	Me	Me	H	H	1	Н	Н	SMe SMo	SCHF ₂	CF ₃
	Me Me	Me Me	Н	H H	1	H H	H H	SMe SMe	SO ₂ CHF ₂	CF ₃
55	Me	Me Me	H		1	H	1 1	SMe	NH ₂	CF ₃ CF ₃
1	ivie	Me	H	H	1	п	H	Sinte	NHMe	CF3

	Me	Me	Н	Н	1	Н	Н	SMe	NMe ₂	CF ₃	ı
	Me	Me	H	H	1	H	Н	SMe	NHEt	CF ₃	
	Me	Me	H	H	1	H	H	SMe	NEt ₂	CF ₃	
5	Me	Me	H	H	1	H	H	SMe	NHPh	CF ₃	l
	Me	Me	H	H	1	Н	H	SMe	N(Me)Ph	CF ₃	١
	Me	Me	Н	Н	1	Н	Н	SMe	CN	CF ₃	l
	Me	Me	Н	H	1	Н	H	SO ₂ Me	F	CF ₃	
10	Me	Me	Н	H	1	H	Н	SO ₂ Me	CI	CF ₃	ł
10	Me	Me	H	H	1	Н	Н	SO ₂ Me	OH	CF ₃	
	Me	Me	H	H	Î	Н	Н	SO ₂ Me	OMe	CF ₃	
	Me	Me	Н	H	1	Н	Н	SO ₂ Me	ÖEt	CF ₃	147
	Me	Me	Н	Н	1	Н	Н	SO ₂ Me	OPr-i	CF ₃	
15	Me	Me	H	Н	1	Н	Н	SO ₂ Me	OPr	CF ₃	
	Me	Me	Н	Н	1	Н	H	SO ₂ Me	OBu-t	CF ₃	l
	Me	Me	Н	Н	1	H	Н	SO ₂ Me	OCH ₂ Pr-c	CF ₃	l
	Me	Me	Н	Н	1	Н	Н	SO ₂ Me	OCH ₂ Bu-c	CF ₃	
20	Me	Me	Н	Н	1	Н	Н	SO ₂ Me	OCH ₂ Pen-c	CF ₃	
	Me	Me	Н	Н	1	Н	Н	SO ₂ Me	OCH ₂ Hex-c	CF ₃	
	Me	Me	H	Н	1	Н	Н	SO ₂ Me	OPen-c	CF ₃	
	Me	Me	Н	Н	1	Н	Н	SO ₂ Me	OHex-c	CF ₃	l
25	Me	Me	Н	Н	1	H	H	SO ₂ Me	OCH_2Ph	CF ₃	
	Me	Me	H	Н	1	H	Н	SO ₂ Me	OPh	CF ₃	l
	Me	Me	H	H	1	H	H	SO ₂ Me	$OCHF_2$	CF ₃	
	Me	Me	H	Н	1	Н	H	SO ₂ Me	SH	CF ₃	
30	Me	Me	H	Н	1	H	H	SO₂Me	SMe	CF ₃	
	Me	Me	H	Н	1	Н	H	SO₂Me	SO_2Me	CF ₃	
	Me	Me	H	Н	1	Н	Н	SO ₂ Me	SEt	CF ₃	l
	Me	Me	H	Н	1	H	H	SO ₂ Me	SO ₂ Et	CF ₃	
25	Me	Me	H	H	1	H	H	SO ₂ Me	SPr-i	CF ₃	
35	Me	Me	H	H	1	H	H	SO ₂ Me	SO ₂ Pr-i	CF₃	
	Me	Me	H	H	1	H	H	SO ₂ Me	SPh	CF ₃	l
	Me	Me	H	H	1	H	H	SO ₂ Me	SO ₂ Ph	CF₃	l
	Me	Me	H	H	1	H	H	SO ₂ Me	SCHF ₂	CF₃	
40	Me	Me	H	H	1	H	H	SO ₂ Me	SO ₂ CHF ₂	CF ₃	l
	Me	Me	H	Н	1	H	H	SO ₂ Me	NH ₂	CF₃	
	Me	Me	H	H	1	H	H	SO ₂ Me	NHMe	CF₃	1
	Me	Me	H	H	1	H	H	SO ₂ Me	NMe ₂	CF ₃	
45	Me	Me	H	H	1	H	H	SO ₂ Me	NHEt	CF ₃	
	Me	Me	H	H	1	H	H	SO ₂ Me	NEt ₂	CF ₃	
	Me	Me	H	H	1	H	H	SO ₂ Me	NHPh	CF ₃	
	Me	Me	H	H	1	H	H	SO ₂ Me	N(Me)Ph	CF ₃	ĺ
50	Me	Me	H	H	1	H	H	SO ₂ Me	CN	CF ₃	
	Me Me	Me Me	H H	H H	1	H	H	NH ₂ NH ₂	F Cl	CF ₃	
	Me	Me	Н	H	1	Н	Н	NH ₂	OH	CF ₃ CF ₃	
	Me	Me	Н	H	1	H	Н	NH ₂ NH ₂	OH OMe	CF ₃	
55	Me	Me	H	H	1	Н	H	NH ₂ NH ₂	OEt	CF ₃	
JJ	1410	1410	111	11	<u> </u>	1.1	11	11112	OEI	CI'3	l

	Me	Me	H	Н	1	H	H	NH_2	OPr-i	CF ₃	
	Me	Me	Н	Н	1	Н	H	NH_2	OPr	CF ₃	
	Me	Me	Н	Н	1	Н	H	NH_2	OBu-t	CF ₃	l
5	Me	Me	Н	Н	1	Н	H	NH_2	OCH₂Pr-c	CF ₃	
	Me	Me	H	Н	1	Н	H	NH_2	OCH₂Bu-c	CF₃	
	Me	Me	Н	Н	1	Н	Н	NH_2	OCH ₂ Pen-c	CF₃	
	Me	Me	Н	Н	1	Н	H	NH_2	OCH ₂ Hex-c	CF ₃	
10	Me	Me	H	Н	1	Н	H	NH_2	OPen-c	CF ₃	
	Me	Me	Н	Н	1	H	H	NH_2	OHex-c	CF₃	
	Me	Me	Н	H	1	Н	H	NH_2	OCH₂Ph	CF ₃	
	Me	Me	Н	Н	1	Н	Н	NH_2	OPh	CF ₃	
15	Me	Me	Н	Н	1	Н	H	NH_2	OCHF ₂	CF ₃	
	Me	Me	Н	Н	1	H	H	NH_2	SH	CF₃	
	Me	Me	Н	Н	1	H	H	NH_2	SMe	CF₃	
	Me	Me	H	Н	1	Н	H	NH_2	SO₂Me	CF ₃	
20	Me	Me	H	H	1	H	Н	NH_2	SEt	CF ₃	ĺ
20	Me	Me	H	Н	1	H	H	NH_2	SO ₂ Et	CF ₃	l
	Me	Me	H	H	1	H	H	NH_2	SPr-i	CF ₃	l
	Me	Me	H	Н	1	H	H	NH_2	SO ₂ Pr-i	CF ₃	l
	Me	Me	H	H	1	H	H	NH_2	SPh	CF_3	l
25	Me	Me	Н	H	1	H	H	NH_2	SO₂Ph	CF ₃	ĺ
	Me	Me	H	Н	1	H	H	NH_2	SCHF ₂	CF ₃	
	Me	Me	H	Н	1	H	H	NH_2	SO ₂ CHF ₂	CF ₃	
	Me	Me	H	Η,	1	H	H	NH_2	NH ₂	CF ₃	
30	Me	Me	Н	Н	1	H	H	NH_2	NHMe	CF_3	
	Me	Me	Н	Н	1	H	Н	NH_2	NMe ₂	CF ₃	
	Me	Me	H	Н	1	H	H	NH_2	NHEt	CF ₃	
	Me	Me	H	Н	1	H	H	NH_2	NEt ₂	CF ₃	
35	Me	Me	H	H	1	H	H	NH_2	NHPh	CF ₃	
	Me	Me	H	H	1	H	H	NH ₂	N(Me)Ph	CF ₃	
	Me	Me	H	Н	1	H	H	NH ₂	CN	CF ₃	
	Н	Н	H	Н	1	H	Н	Н	OMe OFt	CF ₃	
40	H Me	H H	H H	H H	1	H H	H H	H H	OEt OMe	CF₃ CF₃	
	Me	Н	H	H	1	Н	H	H	OEt	CF ₃	
	Me	H	Me	H	1	H	H	Н	OMe	CF ₃	ĺ
	Me	Н	Me	H	1	H	H	H	OEt	CF ₃	ĺ
45	Me	Me	H	H	î	Me	H	H	OMe	CF ₃	ĺ
40	Me	Me	Н	H	1	Me	H	H	OEt	CF ₃	l
	Me	Me	Н	Н	1	Et	Н	H	OMe	CF ₃	
	Me	Me	Н	Н	1	Et	Н	Н	OEt	CF ₃	
	Me	Me	Н	Н	1	Pr-i	н	Н	Н	CF ₃	
50	Me	Me	Н	Н	1	Pr-i	Н	Н	OMe	CF ₃	İ
	Me	Me	н	H	1	Pr-i	H	Н	OEt	CF ₃	
:	Me	Me	Н	Н	1	Me	Me	H	OMe	CF ₃	
	Me	Me	Н	Н	1	Me	Me	H	OEt	CF ₃	
55	Me	Et	H	Н	1	H	Н	Н	OMe	CF ₃	

	1 3 4	l -	TT			l rr	1 77 1	77	OE.	CE	ł
	Me	Et	H H	H	1	H H	H H	Н	OEt	CF₃ CF₃	
	Et	Et	H	H	1	H	Н	Н	OMe OEt	CF ₃	
5	Et	Et	Н	H H	1	Н	H	· Н	OEt OMe	CF ₃	
	Me	Pr-i			1	1	1 1				
	Me	Pr-i	H	H	1	H	Н	Н	OEt	CF ₃	
	Me	Pr	Н	H	1	H	H	H	OMe	CF ₃	
40	Me	Pr	Н	H	1	H	H	H	OEt	CF ₃	
10	Me	Pr-c	H	H	1	H	H	H	OMe	CF ₃	
	Me	Pr-c	H	H	1	H	H	H	OEt	CF ₃	
	Me	CH ₂ Pr-c	H	H	1	H	H.	H	OMe	CF ₃	ŀ
	Me	CH ₂ Pr-c	H	Н	1	H	H	H	OEt	CF ₃	İ
15		$(H_2)_2$	H	H	1	H	H	H	OMe	CF ₃	
		$(H_2)_2$	H	Н	1	H	H	Н	OEt	CF ₃	
		$(H_2)_3$ -	Н	Н	1	H	H	Н	OMe	CF ₃	
		CH ₂) ₃ -	H	Н	1	H	H	H	OEt	CF ₃	
20		$(H_2)_{4}$	H	H	1	Н	H	H	OMe	CF ₃	
20	-(C	CH ₂) ₄ -	H	H	1	Н	H	H	OEt	CF ₃	
		CH ₂) ₅ -	H	Н	1	Н	H	Н	OMe	CF ₃	
	-(C	CH ₂) ₅ -	H	H	1	H	H	Н	OEt	CF ₃	
	Н	-(CH ₂	2)3-	H	1	Н	H	H	OMe	CF ₃	
25	Н	-(CH ₂	2)3-	Н	1	Н	H	Н	OEt	CF ₃	
	H	-(CH ₂	2)4-	Н	1	Н	H	Н	OMe	CF ₃	
	H	-(CH ₂	2)4-	Н	1	Н	Н	H	OEt	CF ₃	
	H	-(CH ₂		Н	1	Н	Н	Н	OMe	CF ₃	
30	Н	-(CH ₂		Н	.1	Н	Н	Н	OEt	CF ₃	
	Н	-(CH ₂		Н	1	Н	Н	Н	OMe	CF ₃	
	Н	-(CH ₂		Н	1	H	H	H	OEt	CF ₃	
	Me	Me	H	Н	0	Н	H	Н	Cl	Cl	
35	Me	Me	H	Н	0	H	H	Н	OH	Cl	
33	Me	Me	Н	Н	0	Н	Н	H	OMe	Cl	
	Me	Me	Н	Н	0	Н	H	Н	OEt	Cl	
	Me	Me	H	Н	0	Н	H	H	OPr-i	Cl	
	Me	Me	H	Н	0	H	H	H	OPr	Cl	ĺ
40	Me	Me	H	H	0	Н	H	Н	OBu-t	Cl	
	Me	Me	H	H	0	H	H	H	OCH ₂ Pr-c	C1	
	Me	Me	H	Н	0	Н	H	H	OCH ₂ Bu-c	Cl	
	Me	Me	Н	Н	0	Н	H	Н	OCH ₂ Pen-c	Cl	
45	Me	Me	H	Н	0	Н	H	Н	OCH ₂ Hex-c	Cl	
	Me	Me	Н	Н	0	Н	H	H	OPen-c	Cl	
	Me	Me	Н	Н	0	H	H	Н	OHex-c	Cl	
	Me	Me	Н	Н	0	Н	Н	Н	OCH₂Ph	Cl	
	Me	Me	Н	H -	0	Н	Н	Н	OPh	Cl	
50	Me	Me	Н	Н	0	Н	Н	Н	OCHF ₂	Cl	
	Me	Me	Н	Н	0	ŀН	Н	H	SH	Cl	ľ
	Me	Me	Н	Н	0	Н	Н	Н	SMe	Cl	
	Me	Me	Н	Н	0	Н	Н	Н	SO₂Me	Cl	
55	Me	Me	H	Н	0	H	Н	Н	SEt	Cl	

	Me	Me	Н	Н	0	Н	Н	Н	SO ₂ Et	Cl	1
	Me	Me	Н	Н	0	H	Н	H	SPr-i	Cl	
	Me	Me	Н	Н	0	H	Н	H	SO ₂ Pr-i	Cl	
5	Me	Me	H	Н	ő	H	Н	H	SPh	Cl	
	Me	Me	H	H	Ö	H.	Н	H	SO ₂ Ph	Cl	
	Me	Me	H	Н	0	H	Н	H	SCHF ₂	Cl	
	Me	Me	H	H	0	H	Н	H	SO ₂ CHF ₂	Cl	
10	Me	Me	H	H	o	H	H	H	NH ₂	Cl	
	Me	Me	H	H	0	H	Н	H	NHMe	Cl	
	Me	Me	H	H	0	H	Н	H	NMe ₂	Cl	
	Me	Me	H	H	0	H	Н	H	NHEt	Cl	
45	Me	Me	H	H	Ö	H	H	H	NEt ₂	Cl	
15	Me	Me	H	H	0	H	H	Н	NHPh	Cl	
	Me	Me	H	H	0	Н	Н	H	N(Me)Ph	Cl	
	Me	Me	H	H	0	H	·H	H	CN	Cl	
1	Me	Me	Н	H	0	Н	Н	H	F	Me	
20	Me	Me	H	H	ő	H	Н	H	Cl	Me	
	Me	Me	H	H	0	H	H	H	OH	Me	
,	Me	Me	H	H	0	H	Н	H	OMe	Me	
	Me	Me	H	H	0	H	H	H	OEt	Me	
25	Me	Me	H	H	0	H	H	H	OPr-i	Me	
	Me	Me	H	H	0	H	H	H	OPr	3.4-	
	Me	Me	H	H	0	H	Н	Н	OBu-t	Me Me	
	Me	Me	H	H	0	H	Н	H	OCH ₂ Pr-c	Me	
	Me	Me	H	H	0	H	H	Н	OCH ₂ Bu-c	Me	_
30	Me	Me	H	H	0	H	Н	H	OCH ₂ Pen-c	Me	_
	Me	Me	H	H	0	H	Н	H	OCH ₂ Hex-c	Me	
	Me	Me	H	H	0	H	Н	H	OPen-c	Me	ĺ
	Me	Me	H	H	0	H	H	H	OHex-c	Me	
35	Me	Me	H	H	0	H	Н	H	OCH ₂ Ph	Me	
	Me	Me	H	H	0	Н	Н	H	OPh	Me	
	Me	Me	H	Н	0	Н	H	Н	OCHF ₂	Me	ĺ
	Me	Me	H	H	0	H	Н	H	SH	Me	l
40	Me	Me	H	Н	0	H	Н	Н	SMe	Me	
40	Me	Me	H	H		Н	Н	H	SO ₂ Me	Me	ŀ
	Me	Me	H	H	0	H	Н	H	SEt	Me	
	Me	Me	Н	H	0	Н	H	H	SO₂Et	Me	
	Me	Me	H	H	0	H	H	H	SPr-i	Me	ĺ
45	Me	Me	Н	Н	0	H	H	Ĥ	SO ₂ Pr-i	Me	
	Me	Me	H	H	0	H	Н	Н	SPh	Me	1
	Me	Me	H	H	0	H	H	H	SO ₂ Ph	Me	
	Me	Me	H	Н	0	Н	H	H	SCHF ₂	Me	
50	Me	Me	H	H	0	Н	Н	H	SO ₂ CHF ₂	Me	
	Me	Me	H	H	√2 0 × 4		H	H	NH ₂	Me	
	Me	Me	H	H	0	H	Н	H	NHMe	Me	ĺ
	Me	Me	H	H	0	H	H	H	NMe ₂	Me	
55	Me	Me	H	H	0	H	H	H	NHEt	Me	ĺ
55	Me	Me	H	H	0	H	H	H	NEt ₂	Me	l
	INIC	1416	ПП	п	U	п	T.T.	п	NE12	1476	I

	Me	Me	Н	H	0	H	H	H	NHPh	Me
	Me	Me	Н	H	0	Н	H	H	N(Me)Ph	Me
	Me	Me	H	H	0	Н	H	H	CN	Me
5	Me	Me	Н	Н	0	Н	Н	H	F	Pr-i
	Me	Me	Н	н	0	Н	Н	Н	Cl	Pr-i
	Me	Me	Н	Н	0	Н	Н	H	ОН	Pr-i
	Me	Me	Н	Н	0	Н	H	Н	OMe	Pr-i
10	Me	Me	Н	Н	0	Н	Н	Н	OEt	Pr-i
70	Me	Me	Н	Н	0	Н	H	H	OPr-i	Pr-i
	Me	Me	Н	Н	0	Н	Н	H	OPr	Pr-i
	Me	Me	Н	Н	0	Н	H	Ħ	OBu-t	Pr-i
	Me	Me	Н	Н	0	Н	H	H	OCH₂Pr-c	Pr-i
15	Me	Me	H.	Н	0	Н	Н	H	OCH2Bu-c	Pr-i
	Me	Me	Н	Н	- 0	Н	H	Н	OCH ₂ Pen-c	Pr-i
	Me	Me	н	Н	0	Н	H	Н	OCH ₂ Hex-c	Pr-i
	Me	Me	Н	Н	0	Н	Н	Н	OPen-c	Pr-i
20	Me	Me	Н	Н	0	Н	Н	Н	OHex-c	Pr-i
	Me	Me	Н	Н	0	H	H	Н	OCH₂Ph	Pr-i
	Me	Me	Н	н	0	Н	H	H	OPh	Pr-i
	Me	Me	Н	Н	0	Н	H	Н	OCHF ₂	Pr-i
25	Me	Me	H	Н	0	Н	H	H	SH	Pr-i
23	Me	Me	Н	Н	0	H	Н	H	SMe	Pr-i
	Me	Me	Н	Н	0	H	H	Н	SO ₂ Me	Pr-i
	Me	Me	Н	. H	0	H	H	H	SEt	Pr-i
	Me	Me	Н	H	0	H	H	Η.	SO ₂ Et	Pr-i
30	Me	Me	H	H	0	H	Н	Н	SPr-i	Pr-i
	Me	Me	Н	Н	0	Н	H	H	SO₂Pr-i	Pr-i
	Me	Me	Н	H	0	H	H	Н	SPh	Pr-i
	Me	Me	Н	H	0	H	H	H	SO₂Ph	Pr-i
35	Me	Me	Н	Н	0 -	H	H	H	SCHF ₂	Pr-i
	Me	Me	Н	H	0	H	H	H	SO ₂ CHF ₂	Pr-i
	Me	Me	H	H	0	H	H	H	NH_2	Pr-i
	Me	Me	H	Н	0	H	H	Н	NHMe	Pr-i
40	Me	Me	Н	H	0	H	H	Н	NMe_2	Pr-i
40	Me	Me	Н	H	0	H	H	H	NHEt	Pr-i
	Me	Me	Η.	H	0	H	H	Н	NEt ₂	Pr-i
	Me	Me	H	Н	0	H	H	H	NHPh	Pr-i
	Me	Me	H	Н	0	H	H	Н	N(Me)Ph	Pr-i
45	Me	Me	H	Н	0	H	H	H	CN	Pr-i
	Me	Me	H	Н	0	H	H	H	F	Pr-c
	Me	Me	H	Н	0	H	H	H	Cl	Pr-c
	Me	Me	Н	Н	0	H	H	Н	OH	Pr-c
50	Me	Me	Н	Н	0	H	H	H	OMe	Pr-c
	Me	Me	H	H	0	H	H	Н	OEt	Pr-c
	Me	Me	H	H	Ô	H	H	H	OPr-i	Pr-c
	Me	Me	H	Н	0	H	H	Н	OPr	Pr-c
	Me	Me	Н	H	0	H	H	Н	OBu-t	Pr-c
55	Me	Me	Н	H	0	H	H	H	OCH ₂ Pr-c	Pr-c

	Me	Me	Н	Н	0	Н	Н	н	OCH ₂ Bu-c	Pr-c
	Me	Me	H	H	0	H	H	Н	OCH ₂ Pen-c	Pr-c
	Me	Me	H	H	0	H	H	H	OCH ₂ Hex-c	· Pr-c
5	Me	Me	H	H	0	Н	Н	H	OPen-c	Pr-c
	Me	Me	H	H	0	H	H	H	OHex-c	Pr-c
	Me	Me	Н	H	0	H	Н	H	OCH ₂ Ph	Pr-c
	Me	Me Me	Н	Н	0	·Н	Н	H	OCH ₂ FII OPh	Pr-c
10	1	1	1		0		1 '	1	1	
10	Me	Me	H	H	_	H	H	H	OCHF ₂	Pr-c
	Me	Me	H	H	0	H	H	H	SH SMe	Pr-c
	Me	Me	H	H	0	H	H	H	i	Pr-c
	Me	Me	H	H	0	H	H	H	SO₂Me	Pr-c
15	Me	Me	H	H	0	Н	H	H	SEt	Pr-c
	Me	Me	H	Н	0	Н	H	H	SO₂Et	Pr-c
	Me	Me	Н	Н	0	H	H	H	SPr-i	Pr-c
	Me	Me	H	H	0	Н	H	H	SO ₂ Pr-i	Pr-c
20	Me	Me	H	H	0	H	H	H	SPh	Pr-c
20	Me	Me	H	H	0	Н	H	H	SO₂Ph	Pr-c
	Me	Me	Н	H	0	Н	H	H	SCHF ₂	Pr-c
	Me	Me	H	H	0	Н	H	H	SO ₂ CHF ₂	Pr-c
	Me	Me	H	H	0	H	H	H	NH ₂	Pr-c
25	Me	Me	H	H	0	H	H	H	NHMe	Pr-c
	Me	Me	Н	H	0	H	H	H	NMe ₂	Pr-c
	Me	Me	H	H	0	Н	H	H	NHEt	Pr-c
	Me	Me	H	Н	0	H	Н	H	NEt ₂	Pr-c
30	Me	Me	H	H	0	Н	H	H	NHPh	Pr-c
	Me	Me	Н	H	0	H	H	Н	N(Me)Ph	Pr-c
	Me	Me	H	Н	0	H	H	Н	CN	Pr-c
	Me	Me	Н	H	0	H	H	H	F	CHF ₂
	Me	Me	H	H	0	Н	H	H	Cl	CHF ₂
35	Me	Me	H	H	0	H	H	Н	OH	CHF ₂
	Me	Me	Н	Н	0	Н	H	H	OMe	CHF ₂
	Me	Me	H	H	0	H	H	Н	OEt	CHF ₂
	Me	Me	Н	H	0	Н	H	. H	OPr-i	CHF_2
40	Me	Me	H	H	0	H	H	H	OPr	CHF_2
	Me	Me	Н	H	0	Н	Н	H	OBu-t	CHF_2
	Me	Me	Н	Н	0	Н	Н	H	OCH ₂ Pr-c	CHF ₂
	Me	Me	Н	Н	0	Н	Н	H	OCH ₂ Bu-c	CHF ₂
45	Me	Me	Н	Н	0	Н	Н	Н	OCH ₂ Pen-c	CHF ₂
45	Me	Me	Н	H	0	Н	Н	Н	OCH ₂ Hex-c	CHF ₂
	Me	Me	H	Н	0	Н	Н	Н	OPen-c	CHF_2
	Me	Me	Н	Н	0	Н	Н	Н	OHex-c	CHF ₂
	Me	Me	H	Н	0	H	Н	Н	OCH ₂ Ph	CHF ₂
50	Me	Me	H	Н	0	Н	H	H	OPh	CHF ₂
	Me	Me	H	·2H	0	Н	H	H	OCHF ₂	CHF ₂
	Me	Me	Н	Н	0	Н	H	H	SH	CHF ₂
	Me	Me	H	H	0	H	H	H	SMe	CHF ₂
55	Me	Me	H	H	0	H	H	H	SO ₂ Me	CHF ₂
00	1,120	1110	۱ **	1.1	· ·	1 **	1 ** 1	**	5.021410	C111 2

	i Mal	Mo	Н	Н	0	Н	H	Н	SEt	CHF ₂
	Me Me	Me Me	H	Н	0	H	H	H	SO₂Et	CHF ₂
	Me	Me	H	H	0	H	H	H	SPr-i	CHF ₂
5	Me	Me	H	H	0	H	Н	H	SO ₂ Pr-i	CHF ₂
	Me	Me	H	H	0	H	Н	H	SPh	CHF ₂
•	Me	Me	H	H	0	H	H	H	SO ₂ Ph	CHF ₂
	Me	Me	H	H	0	H	H	H	SCHF ₂	CHF ₂
10	Me	Me	H	H	0	H	Н	H	SO ₂ CHF ₂	CHF ₂
	Me	Me	H	H	0	H	H	H	NH ₂	CHF ₂
	Me	Me	H	Н	0	H	Н	. Н	NHMe	CHF ₂
	Me	Me	H	Н	0	Н	H	H	NMe ₂	CHF ₂
15	Me	Me	H	H	0	H	H	H	NHEt	CHF ₂
70	Me	Me	Н	H	0	H	H	H	NEt ₂	CHF ₂
	Me	Me	H	H	0	H	Н	H	NHPh	CHF ₂
	Me	Me	H	H	0	H	H	H	N(Me)Ph	CHF ₂
	Me	Me	H	H	0	H	H	H	CN	CHF ₂
20	Me	Me	H	H	0	H	H	H	F	CF ₃
	Me	Me	H	H	0	H	H	H	Cl	CF ₃
	Me	Me	Н	H	0	H	H	H	OH	CF ₃
	Me	Me	H	Н	0	Н	H	Н	OMe	CF ₃
25	Me	Me	H	H	0	Н	H	H	OEt	CF ₃
	Me	Me	Н	Н	0	Н	Н	H	OPr-i	CF ₃
	Me	Me	Н	Н	0	Н	H	H	OPr	CF ₃
	Me	Me	Н	H	0	Н	Н	Н	OBu-t	CF ₃
30	Me	Me	Н	Н	0	Н	H	Н	OCH ₂ Pr-c	CF ₃
	Me	Me	H	Н	0	Н	Н	H	OCH ₂ Bu-c	CF ₃
	Me	Me	Н	H	0	Н	Н	Н	OCH ₂ Pen-c	CF ₃
	Me	Me	H	H	0	Н	Н	Н	OCH ₂ Hex-c	CF ₃
35	Me	Me	H	Н	0	Н	H	H	OPen-c	CF ₃
33	Me	Me	Н	Н	0	Н	H	H	OHex-c	CF ₃
	Me	Me	Н	Н	0	H	H	Н	OCH_2Ph	CF ₃
	Me	Me	H	Н	0	H	H	Н	OPh	CF ₃
	Me	Me	H	Н	0	H	H	Н	$OCHF_2$	CF ₃
40	Me	Me	H	H	0	Н	H	H	SH	CF ₃
	Me	Me	H	Н	0	H	H	Н	SMe	CF ₃
	Me	Me	Н	Н	0	H	H	Н	SO ₂ Me	CF ₃
	Me	Me	H	H	0	H	H	H	SEt	CF ₃
45	Me	Me	H	H	0	H	H	H	SO₂Et	CF ₃
	Me	Me	H	H	0	H	H	H	SPr-i	CF ₃
	Me	Me	Н	Н	0	H	H	H	SO ₂ Pr-i	CF ₃
	Me	Me	H	Н	0	H	H	Н	SPh	CF ₃
50	Me	Me	H	Н	0	H	H	H	SO_2Ph	CF ₃
	Me	Me	H	H	0	H	H	Н	SCHF ₂	CF ₃
	Me	Me	Н	H	0	Н	H	Н	SO ₂ CHF ₂	CF ₃
	Me	Me	Н	H	0	H	H	H.	NH ₂	CF ₃
55	Me	Me	H	H	0	Н	H	Н	NHMe	CF ₃
55	Me	Me	H	H	0	H	H	Н	NMe_2	CF ₃

	Me	Me	Н	Н	0	Н	Н	Н	NHEt	CF ₃	
	Me	Me	Н	Н	0	Н	Н	Н	NEt ₂	CF₃	
	Me	Me	Н	Н	0	Н	H	Н	NHPh	CF₃	
5	Me	Me	Н	Н	0	Н	Н	Н	N(Me)Ph	CF₃	İ
	Me	Me	Н	Н	0	Н	Н	H	CN	CF ₃	
	Me	Me	Н	Н	0	Н	Н	Н	F	OMe	
	Me	Me	Н	Н	0.	Н	Н	Н	OH	OMe	
10	Me	Me	H	Н	0	Н	Н	H	OMe	OMe	l
	Me	Me	Н	Н	0	Н	Н	Н	OEt	OMe	
	Me	Me	Н	Н	0	Н	Н	Н	OPr-i	OMe	
	Me	Me	Ή	Н	0	H	Н	Н	OPr	OMe	1.
15	Me	Me	Н	Н	0	Н	Н	Н	OBu-t	OMe	1
15	Me	Me	Н	Н	0	H	Н	Н	OCH ₂ Pr-c	OMe	
	Me	Me	Н	Н	0	Н	Н	Н	OCH ₂ Bu-c	OMe	İ
	Me	Me	Н	Н	0	H	Н	Н	OCH ₂ Pen-c	OMe	
	Me	Me	Н	Н	0	Н	Н	Н	OCH₂Hex-c	OMe	
20	Me	Me	Н	Н	0	H	Н	Н	OPen-c	OMe	
	Me	Me	Н	Н	0	H	Н	Н	OHex-c	OMe	l
	Me	Me	Н	Н	0	Н	H	Н	OCH₂Ph	OMe	
	Me	Me	Н	Н	0	Н	Н	Н	OPh	OMe	
25	Me	Me	Н	Н	0	Н	Н	Н	OCHF ₂	OMe	
	Me	Me	H	Н	0	Н	Н	Н	SH	OMe	
	Me	Me	Н	Н	0	Н	Н	H	SMe	OMe	
	Me	Me	Н	H	0	Н	Н	Н	SO ₂ Me	OMe	
30	Me	Me	Н	Н	0	H	Н	Н	SEt	OMe	
30	Me	Me	Н	Н	0	Η	H	H	SO ₂ Et	OMe	
	Me	Me	Н	H	0	H	H	H	SPr-i	OMe	
	Me	Me	H	H	0	H	H	H	SO₂Pr-i	OMe	
i	Me	Me	H	H	0	Н	H	H	SPh	OMe	
35	Me	Me	H	Н	0	H	H	Н	SO₂Ph	OMe	
	Me	Me	H	Н	0	H	H	H	SCHF ₂	OMe	
	Me	Me	H	H	0	H	H	H	SO ₂ CHF ₂	OMe	Ì
	Me	Me	Н	Н	0	H	H	. Н	NH_2	OMe	
40	Me	Me	H	H	0	H	H	H .	NHMe	OMe	
	Me	Me	Н	Н	0	H	H	H	NMe_2	OMe	
	Me	Me	Н	Н	0	H	H	H	NHEt	OMe	
	Me	Me	Н	H	0	H	H	H	NEt ₂	OMe	
45	Me	Me	Н	Н	0	H	H	H	NHPh	OMe	
40	Me	Me	Н	H	0	H	H	H	N(Me)Ph	OMe	
	Me	Me	Н	Н	0	H	$ \mathbf{H}_{\cdot} $	H	CN	OMe	
	Me	Me	H	Н	0	H	H	H	F	OPh	
	Me	Me	H	Н	0	H	H	H	OH	OPh	
50	Me	Me	Н	H	0	H	H	Н	OMe	OPh	ĺ
	Me	Me	ъH	Н	0	H	Н	H	OEt	OPh.	
	Me	Me	Н	H	0	H	H	H	OPr-i	OPh	İ
	Me	Me	H	Н	0	H	H	H	OPr	OPh	
55	Me	Me	H	H	0	H	H	H	OBu-t	OPh	
	Me	Me	H	H	0	H	H	H	OCH ₂ Pr-c	OPh	l

	Me	Me	Н	н	0	H	Н	н	OCH ₂ Bu-c	OPh
	Me	Me	H	Н	l o	H	Н	H	OCH ₂ Pen-c	OPh
5	Me	Me	Н	Н	0	Н	Н	H	OCH ₂ Hex-c	OPh
5	Me	Me	Н	Н	0	Н	H	Н	OPen-c	OPh
	Me	Me	Н	Н	0	Н	Н	Н	OHex-c	OPh
	Me	Me	Н	Н	0	Н	Н	.H	OCH ₂ Ph	OPh
	Me	Me	Н	Н	0	Н	Н	Н	OPh	OPh
10	Me	Me	H	Н	0	Н	H	н	OCHF ₂	OPh
	Me	Me	Н	Н	0	Н	Н	Н	SH	OPh
	Me	Me	н	Н	0	. н	Н	H.,	SMe	OPh
	Me	Me	Н	Н	0	Н	H	Н	SO ₂ Me	OPh
15	Me	Me	Н	Н	0	Н	H	Н	SEt	OPh
	Me	Me	Н	Н	0	Н	H	Н	SO₂Et	OPh
	Me	Me	Н	Н	0	Н	H	Н	SPr-i	OPh
	Me	Me	Н	H	0	Н	H	H	SO ₂ Pr-i	OPh
20	Me	Me	H	Н	0	H	H	H	SPh	OPh
	Me	Me	Н	Н	0	Н	H	H	SO ₂ Ph	OPh
	Me	Me	H	H	0	H	H	H	SCHF ₂	OPh
	Me	Me	H	Н	0	Н	H	H	SO ₂ CHF ₂	OPh
05	Me	Me	H	H	0	H	H	H	NH ₂	OPh
25	Me	Me	H	Н	0	Н	H	H	NHMe	OPh
	Me	Me	H	Н	0	Н	H	H	NMe ₂	OPh
	Me	Me	H	Н	0	Н	H	H	NHEt	OPh
	Me	Me	H	H	0	H	H	H	NEt ₂	OPh
30	Me	Me	H	Н	0	H	H	H	NHPh	OPh
	Me	Me.	H	H	0	H	H	H	N(Me)Ph	OPh
	Me	Me	H	H	0	H	H	H	CN	OPh
	Me	Me	H	H	0	Н	H	H	F	OCHF ₂
35	Me	Me	H	H	0	H	H	H	OH	OCHF ₂
	Me	Me Me	H	H H	0	H H	H	H H	OMe OF	OCHF ₂
	Me Me	Me	H	H	0	Н	H	H	OEt OPr-i	OCHF ₂ OCHF ₂
	Me	Me	H	H	0	H	H	H	OP1-1	OCHF ₂
40	Me	Me	H	H	0	H H	H	H	OBu-t	OCHF ₂
	Me	Me	H	H	0	H	H	H	OCH ₂ Pr-c	OCHF ₂
	Me	Me	H	H	0	H	H	H	OCH ₂ Pr-c	OCHF ₂
	Me	Me	H	Н	0	H	H	H	OCH ₂ Pen-c	OCHF ₂
45	Me	Me	H	H	0	Н	H	H	OCH ₂ Hex-c	OCHF ₂
,,,	Me	Me	H	Н	0	Н	H	H	OPen-c	OCHF ₂
	Me	Me	Н	Н	0	Н	Н	H	OHex-c	OCHF ₂
	Me	Me	H	H	0	Н	H	H	OCH ₂ Ph	OCHF ₂
	Me	Me	Н	Н	0	Н	Н	Н	OPh	OCHF ₂
50	Me	Me	H	Н	0	Н	Н	Н	OCHF ₂	OCHF ₂
	Me	Me	Н	H	0	H	Н	H	SH	OCHF ₂
	Me	Me	Н	Н	0	H	Н	Н	SMe	OCHF ₂
	Me	Me	Н	H	0	Н	Н	H	SO ₂ Me	OCHF ₂
55	Me	Me	Н	H	0	Н	\mid H \mid	Н	SEt	OCHF ₂

	Me	Me	Н	Н	0	Н	Н	Н	SO ₂ Et	OCHF ₂
	Me	Me	H	H	l ő	H	Н	Н	SPr-i	OCHF ₂
	Me	Me	H	H	0	H	Н	H	SO ₂ Pr-i	OCHF ₂
5	Me	Me	H	H	l o	H	H	H	SPh	OCHF ₂
	Me	Me	H	H	ő	H	Н	H ·	SO ₂ Ph	OCHF ₂
	Me	Me	H	H	Ö	Н	H	H	SCHF ₂	OCHF ₂
	Me	Me	Н	H	0	Н	H	Н	SO ₂ CHF ₂	OCHF ₂
10	Me	Me	H	H	ŏ	H	Н	H	NH ₂	OCHF ₂
	Me	Me	Н	H	0	H	Н	H	NHMe	OCHF ₂
	Me	Me	Н	H,	ő	H	H	H	NMe ₂	OCHF ₂
	Me	Me	Н	H	Ö	H	H	H	NHEt	OCHF ₂
15	Me	Me	Н	H	0	H	H	H	NEt ₂	OCHF ₂
70	Me	Me	H	H	$\begin{bmatrix} 0 \\ 0 \end{bmatrix}$	H	H	H	NHPh	OCHF ₂
	Me	Me	H	H	0	Н	H	Н	N(Me)Ph	OCHF ₂
	Me	Me	H	H	0	H	Н	H	CN	OCHF ₂
	Me	Me	H	H	0	H	H	Me	F	CF ₃
20	Me	Me	H	H	0	H	H	Me	Cl	CF ₃
	Me	Me	Н	H	0	H	Н	Me	OH	CF ₃
	Me	Me	H	Н	0	Н	Н	Me	OMe	CF ₃
	Me	Me	H	H	0	H	H	Me	OEt	CF ₃
25	Me	Me	H	H	0	Н	Н	Me	OPr-i	CF ₃
	Me	Me	H	H	0	H	Н	Me	OPr	CF ₃
	Me	Me	H	Н	0	H	Н	Me	OBu-t	CF ₃
	Me	Me	Н	Н	0	Н	Н	Me	OCH ₂ Pr-c	CF ₃
30	Me	Me	Н	Н	0	Н	Н	Me	OCH ₂ Bu-c	CF ₃
	Me	Me	Н	Н	0	Н	Н	Me	OCH ₂ Pen-c	CF ₃
	Me	Me	Н	Н	0	Н	Н	Me	OCH ₂ Hex-c	CF ₃
	Me	Me	Н	Н	0	Н	Н	Me	OPen-c	CF ₃
35	Me	Me	Н	Н	0	Н	Н	Me	OHex-c	CF ₃
	Me	Me	Н	Н	0	Н	Н	Me	OCH₂Ph	CF ₃
	Me	Me	Н	H	0	Н	H	Me	OPh	CF ₃
	Me	Me	Н	H	0	Н	Н	Me	OCHF ₂	CF ₃
40	Me	Me	Н	Н	0	H	H	Me	SH	CF ₃
40	Me	Me	Н	Н	0	H	H	Me	SMe	CF ₃
	Me	Me	H	H	0	Н	Н	Me	SO_2Me	CF ₃
	Me	Me	H	Н	0	H	H	Me	SEt	CF ₃
	Me	Me	H	H	0	H	H	Me	SO ₂ Et	CF₃
45	Me	Me	H	H	0	H	H	Me	SPr-i	CF ₃
	Me	Me	H	H	0	H	H	Me	SO ₂ Pr-i	CF ₃
	Me	Me	H	Н	0	Н	H	Me	SPh	CF₃
	Me	Me	Н	H	0	Н	H	Me	SO ₂ Ph	CF ₃
50	Me	Me	H	Н	0	H	H	Me	$SCHF_2$	CF ₃
	Me	_ж Ме	Н	Н	0	H	H	. Me.	SO ₂ CHF ₂	CF ₃
	Me	Me	H	H	0	H	H	Me	NH ₂	CF ₃
	Me	Me	H	H	0	H	H	Me	NHMe	CF ₃
55	Me	Me	Н	H	0	Н	H	Me	NMe ₂	CF ₃
	Me	Me:	Н	Н	0	Н	H	Me	NHEt	CF ₃

	Me	Me	H	H	0	H	H	Me	NEt ₂	CF ₃	
	Me	Me	Н	Н	0	H	Н	, Ме	NHPh	CF ₃	
5	Me	Me	Н	Н	0	H	H	Me	N(Me)Ph	CF ₃	
	Me	Me	H	H	0	H	H	Me	CN	CF ₃	
	Me	Me	Н	H	0	H	H	OMe	F	CF ₃	
	Me	Me	H	H	0	H	Н	OMe	Cl	· CF ₃	
10	Me	Me	Н	H	0	H	H	OMe	OH	CF ₃	
10	Me	Me	Н	H	0	Н	H	OMe	OMe	CF ₃	
	Me	Me	Н	Н	0	Н	H	OMe	OEt	CF ₃	l
	Me	Me	H	H	0.	H	\cdot H \cdot	- OMe	OPr-i	CF ₃	l
	Me	Me	Н	H	0	H	H	OMe	OPr	CF ₃	
15	Me	Me	Н	H	0	H	H	OMe	OBu-t	CF ₃	
	Me	Me	Н	H	0	H	H	OMe	OCH ₂ Pr-c	CF ₃	l
	Me	Me	H	H	0	H	H	OMe	OCH ₂ Bu-c	CF ₃	l
	Me	Me	H	H	0	H	H	OMe	OCH ₂ Pen-c	CF ₃	
20	Me	Me	H	Н	0	H	Н	OMe	OCH ₂ Hex-c	CF ₃	
	Me	Me	Н	Н	0	H	H	OMe	OPen-c	CF ₃	l
	Me	Me	Н	H	0	H	Н	OMe	OHex-c	CF ₃	
	Me	Me	Н	H	0	H	Н	OMe	OCH₂Ph	CF ₃	
25	Me	Me	H	Н	0	H	H	OMe	OPh	CF₃	
	Me	Me	H	H	0	H	H	OMe	OCHF ₂	CF ₃	
	Me	Me	H	H	0	H	Н	OMe	SH	CF₃	ĺ
	Me	Me	H	H	0	H	H	OMe	SMe	CF ₃	
30	Me	Me	H	H	0	H	H	OMe	SO₂Me	CF ₃	
	Me	Me	H	H	0	H	H	OMe	SEt	CF₃	
	Me	Me	Н	H	0	H	H	OMe	SO₂Et	CF₃	
	Me	Me	H	H	0	H	H	OMe	SPr-i	CF ₃	
_	Me	Me	H	H	0	H	H	OMe	SO ₂ Pr-i	CF ₃	
35	Me	Me	H	H	0	H	H	OMe	SPh	CF ₃	
	Me	Me	H	H	0	H	H	OMe	SO₂Ph	CF ₃	
	Me	Me	H H	H	0	H H	H H	OMe OMe	SCHF ₂	CF ₃	ļ
	Me	Me		H	0	H	Н	OMe OMe	SO ₂ CHF ₂	CF ₃	
40	Me	Me	H]]		NH ₂	CF ₃	
	Me	Me	H	H	0	H	H	OMe OMe	NHMe	CF ₃	
	Me	Me	H	H	0	H H	H H	OMe OMe	NMe ₂	CF ₃	
	Me Me	Me Me	H H	H H	0	Н	H	OMe OMe	NHEt NEt ₂	CF_3 CF_3	
45	Me	Me	Н	H	0	Н	Н	OMe	NHPh	CF ₃	
	Me	Me	Н	Н	0	H	H	OMe	N(Me)Ph	CF ₃	i
	Me	Me	H	H	0.	H	H	OMe	CN	CF ₃	
	Me	Me	Н	H	0	H	H	SMe	F	CF ₃	i
50	Me	Me	H	H	0	H	Н	SMe	Cl	CF ₃	
	Me	Me	H	Н	0	H.	Н	SMe	OH	CF ₃	i
	Me	Me	H	H	0	H	Н	SMe	OMe	CF ₃	l
	Me	Me	H	H	0	H	H	SMe	OEt	CF ₃	ı
	Me	Me	H	H	0	H	Н	SMe	OPr-i	CF ₃	
55	Me	Me	Н	H	0	H	Н	SMe	OPr	CF ₃	
		1.10			1		ı - -		I	3	

	Me	Me	Н	Н	0	Н	Н	SMe	OBu-t	CF ₃
	Me	Me	H	H	o	H	H	SMe	OCH ₂ Pr-c	CF ₃
	Me	Me	H	Н	o	H	H	SMe	OCH ₂ Bu-c	CF ₃
5	Me	Me	H	Н	0	Н	Н	SMe	OCH ₂ Pen-c	CF ₃
	Me	Me	Н	H	0	H	Н	SMe	OCH ₂ Hex-c	CF ₃
	Me	Me	Н	Н	0	Н	Н	SMe	OPen-c	CF ₃
	Me	Me	Н	Н	0	Н	Н	SMe	OHex-c	CF ₃
10	Me	Me	Н	Н	0	Н	H	SMe	OCH₂Ph	CF ₃
	Me	Me	Н	Н	0	Н	Н	SMe	OPh	CF ₃
	Me	Me	Н	Н	0	Н	Н	SMe	OCHF ₂	CF ₃
	Me	Me	Н	Н	0	H	Н	SMe	SH	CF ₃
15	Me	Me	Н	Н	0	H	H	SMe	SMe	CF ₃
70	Me	Me	Н	Н	0	Н	$\mid_{\mathbf{H}}$	SMe	SO ₂ Me	CF ₃
	Me	Me	Н	Н	0	Н	H	SMe	SEt	CF ₃
	Me	Me	Н	Н	0	Н	H	SMe	SO₂Et	CF ₃
	Me	Me	Н	Н	0	H	H	SMe	SPr-i	CF ₃
20	Me	Me	Н	H	0	Н	H	SMe	SO₂Pr-i	CF ₃
	Me	Me	Н	H	0	Н	H	SMe	SPh	CF ₃
	Me	Me	H	H	0	Н	Н	SMe	SO₂Ph	CF ₃
	Me	Me	Н	H	0	H	H	SMe	SCHF ₂	CF ₃
25	Me	Me	H	H	0	Н	H	SMe	SO ₂ CHF ₂	CF ₃
	Me	Me	H	Н	0	H	H	SMe	NH_2	CF ₃
	Me	Me	Н	Н	0	H	H	SMe	NHMe	CF ₃
	Me	Me	H	H	0	H	H	SMe	NMe_2	CF ₃
30	Me	Me	H	. H	0	H	H	SMe	NHEt	CF ₃
	Me	Me	H	H	0	H	H	SMe	NEt_2	CF ₃
	Me	Me	H	H	0	H	H	SMe	NHPh	CF ₃
	Me	Me	H	H	0	H	H	SMe	N(Me)Ph	CF ₃
35	Me	Me	H	H	0	H	H	SMe	CN	CF ₃
	Me	Me	H	H	0	H	H	SO ₂ Me	F	CF ₃
	Me	Me	H	H	0	H	H	SO ₂ Me	Cl	CF₃
	Me	Me	Н	Н	0	H	Н	SO ₂ Me	OH	CF ₃
40	Me	Me	Н	H	0	H	H	SO ₂ Me	OMe	CF ₃
	Me	Me	H	H	0	H	H	SO ₂ Me	OEt	CF ₃
	Me	Me	Н	H	0	H	H	SO₂Me	OPr-i	CF ₃
	Me	Me	H	H	0	H	H	SO₂Me	OPr	CF ₃
45	Me	Me	H	H	0	H	H	SO ₂ Me	OBu-t	CF ₃
45	Me	Me	H	H	0	H	H	SO ₂ Me	OCH Proc	CF ₃
	Me Me	Me	Н	H H	0	H H	H H	SO ₂ Me	OCH ₂ Bu-c OCH ₂ Pen-c	CF ₃ CF ₃
	Me	Me	H	Н	0	l	i	SO ₂ Me	-	1
	Me	Me	Н	Н	0	H H	Н	SO ₂ Me SO ₂ Me	OCH ₂ Hex-c	CF ₃
50	Me Me	Me Me	H H	H	0		H	SO ₂ Me	OPen-c OHex-c	CF ₃ CF ₃
	Me	Me	Н	Н	0	H.	Н	SO ₂ Me	OCH ₂ Ph	CF ₃
	Me	Me	Н	H	0	H	Н	SO ₂ Me	OPh	CF ₃
	Me	Me	H	Н	0	H	H	SO ₂ Me	OCHF ₂	CF ₃
55	Me	Me	Н	H	0	H	H	SO ₂ Me	SH	CF ₃
	INIC	IATC	п		U	п	LI	OO2IVIE	δП	C1.3

1	Me	Me	Н	Н	0	Н	Н	SO ₂ Me	SMe	CF ₃
	Me	Me	H	H	0	H	H	SO ₂ Me	SO ₂ Me	CF ₃
_	Me	Me	H	H	0	H	Н	SO ₂ Me	SEt	CF ₃
5	Me	Me	H	H	0	H	H	SO ₂ Me	SO ₂ Et	CF ₃
	Me	Me	Н	H	0	Н	H	SO ₂ Me	SPr-i	CF ₃
	Me	Me	H	H	0	H	H	SO ₂ Me	SO₂Pr-i	CF ₃
	Me	Me	H	Н	0	H	H	SO ₂ Me	SPh	CF ₃
10	Me	Me	H	H	0	Н	Н	SO ₂ Me	SO ₂ Ph	CF ₃
	Me	Me	Н	H	0	H	Н	SO ₂ Me	SCHF ₂	CF ₃
	Me	Me	Н	H	0	· H	Н	SO ₂ Me	SO ₂ CHF ₂	CF ₃
		l	Н	Н	1 1	Н	Н			1
15	Me	Me			0		1	SO ₂ Me	NH ₂	CF ₃
	Me	Me	H	H	0	H	H	SO ₂ Me	NHMe	CF ₃
	Me	Me	H	H	0	H	H	SO ₂ Me	NMe ₂	CF ₃
	Me	Me	H	H	0	H	H	SO ₂ Me	NHEt	CF ₃
	Me	Me	Н	H	0	H	Н	SO ₂ Me	NEt ₂	CF ₃
20	Me	Me	H	H	0	H	Н	SO ₂ Me	NHPh	CF ₃
	Me	Me	Н	H	0	H	Н	SO ₂ Me	N(Me)Ph	CF ₃
	Me	Me	H	H	0	H	H	SO ₂ Me	CN	CF ₃
	Me	Me	H	Н	0	H	H	NH ₂	F	CF ₃
25	Me	Me	H	H	0	H	H	NH ₂	Cl	CF ₃
	Me	Me	H	H	0	H	H	NH ₂	OH	CF ₃
	Me	Me	H	H	0	H	H	NH ₂	OMe	CF ₃
	Me	Me	H	H	0	H	H	NH ₂	OEt	CF ₃
30	Me	Me	H	H	0	H	H	NH ₂	OPr-i	CF ₃
	Me	Me	H	H	0	Н	Н	NH ₂	OPr	CF ₃
	Me	Me	H	H	0	H	H	NH ₂	OBu-t	CF ₃
	Me	Me	H	H	0	H	H	NH ₂	OCH ₂ Pr-c	CF ₃
	Me	Me	H	H	0	H	H	NH ₂	OCH ₂ Bu-c	CF ₃
35	Me	Me	Н	Н	0	H	H	NH ₂	OCH ₂ Pen-c	CF ₃
	Me	Me	H	Н	0	H	H	NH ₂	OCH ₂ Hex-c	CF ₃
	Me	Me	H	H	0	H	H	NH ₂	OPen-c	CF ₃
	Me	Me	H	H	0	H	H	NH ₂	OHex-c	CF ₃
40	Me	Me	Н	H	0	H	H	NH ₂	OCH ₂ Ph	CF ₃
	Me	Me	Н	H	0	H	H	NH ₂	OPh	CF ₃
	Me	Me	Н	Н	0	H	H	NH ₂	OCHF ₂	CF ₃
	Me	Me	H	H	0	H	H	NH ₂	SH	CF ₃
45	Me	Me	H	H	0	H	H	NH ₂	SMe	CF ₃
.0	Me	Me	H	H	0	H	H	NH ₂	SO ₂ Me	CF ₃
	Me	Me	H	H	0	H	H	NH ₂	SEt	CF ₃
	Me	Me	H	H	0	Н	H	NH ₂	SO ₂ Et	CF ₃
	Me	Me	Н	H	0	H	H	NH ₂	SPr-i	CF ₃
50	Me	Me	Н	H	0	H	H	NH ₂	SO ₂ Pr-i	CF ₃
	Me	Me	Н	Н	√O.#.	H	H	NH ₂	SPh	CF ₃
	Me	Me	Н	H	0	H	H	NH ₂	SO_2Ph	CF ₃
	Me	Me	Н	H	0	Н	H	NH ₂	$SCHF_2$	CF ₃
55	Me	Me	H	Н	0	H	H	NH ₂	SO ₂ CHF ₂	CF ₃
	Me	Me	H	H	0	H	H	NH ₂	NH_2	CF ₃

	Me	Me	Н	Н	0	Н	H	NH ₂	NHMe	CF ₃
	Me	Me	H	H	0	H	H	NH ₂	NMe ₂	CF ₃
	Me	Me	Н	H	0	H	Н	NH ₂	NHEt	CF ₃
5	Me	Me	Н	Н	0	H	H	NH ₂	NEt ₂	CF ₃
	Me	Me	Н	Н	0	H	H	NH ₂	NHPh	CF ₃
	Me	Me	H	H	0	Н	Н	NH ₂	N(Me)Ph	CF ₃
	Me	Me	Н	H	0	H	Н	NH ₂	CN	CF ₃
10	Н	Н	Н	H	0	Н	Н	H		CF ₃
	H	1	Н	H	0	H	Н	H	OMe	_
	Me	H H	Н	Н	0	Н			OEt OMe	CF₃ CF₃
	Į.	H	H	H	0	Н	Н	H		1
15	Me			H		1	1 1		OEt	CF ₃
10	Me	H	Me	1	0	H	H	H	OMe OF	CF ₃
	Me	H	Me	H	0	Н	H	H	OEt	CF ₃
	Me	Me	H	H	0	Me	H	H	OMe	CF ₃
	Me	Me	H	Н	0	Me	H	H	OEt	CF ₃
20	Me	Me	H	H	0	Et	H	H	OMe	CF ₃
	Me	Me	Н	H	0	Et	H	H	OEt	CF ₃
	Me	Me	H	H	0	Pr-i	H	H	H	CF ₃
	Me	Me	H	H	0	Pr-i	H	H	OMe	CF ₃
25	Me	Me	H	Н	0	Pr-i	H	H	OEt	CF ₃
	Me	Me	H	H	0	Me	Me	H	OMe	CF ₃
	Me	Me	H	H	0	Me	Ме	H	OEt	CF ₃
	Me	Et	H	H	0	H	H	H	OMe	CF ₃
30	Me	Et	H	H	0	H	H	H	OEt	CF ₃
30	Et	Et	Н	H	0	Н	H	H	OMe	CF ₃
	Et	Et	H	Н	0	Н	H	H	OEt	CF ₃
	Me	Pr-i	Н	Н	0	H	H	H	OMe	CF ₃
	Me	Pr-i	H	Н	0	H	H	H	OEt	CF ₃
35	Me	Pr	H	Н	0	H	H	H	OMe	CF ₃
	Me	Pr	H	Н	0	H	H	H	OEt	CF ₃
	Me	Pr-c	Н	H	0	Н	H	H	OMe	CF ₃
	Me	Pr-c	H	H	0	Н	H	H	OEt	CF ₃
40	Me	CH ₂ Pr-c	H	Н	0	Н	H	Н	OMe	CF ₃
	Me	CH ₂ Pr-c	H	Н	0	H	H	Н	OEt	CF ₃
	,	$(H_2)_2$ -	Н	H	0	H	H	Н	OMe	CF ₃
		$(H_2)_2$ -	Н	Н	0	H	Н	Н	OEt	CF ₃
45		$(H_2)_3$ -	H	H	0	H	H	H	OMe ·	CF ₃
45		$(H_2)_3$ -	H	Н	0	H	H	Н	OEt	CF ₃
		$CH_2)_4$ -	Н	H	0	H	H	H	OMe	CF ₃
		$^{\circ}H_{2})_{4}$ -	Н	Н	0	Н	H	H	OEt	CF ₃
		$^{\circ}H_{2})_{5}$ -	H	Н	0	H	H	H	OMe	CF ₃
50		CH ₂) ₅ -	H	Н	0	H	H	H	OEt	CF ₃
	H	-(CH ₂		Н	0	Η	He	~ H ⋅ ·	- OMe	CF₃
	H	-(CH ₂	-	Н	0	H	H	H	OEt	CF ₃
	Н	-(CH ₂		Н	0	H	H	H	OMe	CF ₃
55	H	-(CH ₂		H	0	Н	H	H	OEt	CF ₃
	H	-(CH ₂	2)5-	Н	0	Н	H	H	OMe	CF ₃

H	-(CH ₂) ₅ -	H	0	Н	H	H	O E t	CF ₃	
H	-(CH ₂) ₆ -	Н	0	Н	Н	H	OMe	CF ₃	
н	-(CH ₂)6-	Н	0	H	Н	Н	OEt	CF ₂	

Table 9

5	$ \begin{array}{c ccccccccccccccccccccccccccccccccccc$													
10							C	$S(O)_{n}$ $C-Y^{1}$ R^{5}						
	\mathbb{R}^1	R ²	\mathbb{R}^3	R ⁴	n	R ⁵	R ⁶	Y ¹ .	1					
	Me	Me	H	Н	2	H	Н	Pyridin-2-yl	7					
	Me	Me	H	Н	2	Н	Н	Pyridin-2-yl 1-oxide						
15	Me	Me	H	Н	2	H	Н	Pyridin-4-yl	1					
	Me	Me	Н	Н	2	H	H	Pyridin-4-yl 1-oxide						
	Me	Me	H	Н	2	H	Н	1,2,4-Oxadiazol-3-yl						
	Me	Me	Н	Н	2	H	H	3-Phenyl-1,2,4-oxadiazol-5-yl						
20	Me	Me	Н	Н	2	H	н	3-Benzyl-1,2,4-oxadiazol-5-yl						
	Me	Me	Н	Н	2	H	Н	2-Chlorothiazol-4-yl						
	Me	Me	H	H	2	H	H	5-Trifluoromethyl-1,3,4-thiadiazol-2-yl						
	Me	Me	Н	Н	2	H	Н	1,4-Dimethylimidazol-5-yl						
25	Me	Me	H	H	2	H	H	1-Phenyl-4-methoxycarbonyl-1,2,3-triazol-5-yl						
	Me	Me	H	Н	2	H	Н	1-Diflluoromethyl-1,2,4-triazol-3-yl	1					
	Me	Me	Н	Н	2	H	H	1-Diflluoromethyl-1,2,4-triazol-5-yl						
	Me	Me	Н	H	2	H	H	4-Diflluoromethyl-1,2,4-triazol-3-yl	-					
30	Me	Me	H	Н	2	H	H	4,6-Dimethoxypyrimidin-2-yl						
	Me	Me	H	Н	2	H	H	4,6-Diethoxypyrimidin-2-yl						
	Me	Me	Н	Н	2	Н	H	4,6-Dimethylpyrimidin-2-yl						
	Me	Me	Н	Н	2	H	Н	4-Chloro-6-methylpyrimidin-2-yl	Ţ					
35	Me	Me	H	Н	2	Н	Н	4-Methoxy-6-methylpyrimidin-2-yl	1					
	Me	Me	H	Н	2	H	H	4-Difluoromethoxy-6-methylpyrimidin-2-yl	ı					
	Me	Me	Н	Н	2	H		4-Phenoxy-6-methylpyrimidin-2-yl						
	Me	Me	H	H	2	H	H	4-Chloro-6-trifluoromethylpyrimidin-2-yl						
40	Me	Me	Н	Н	2	Н	Н	4-Methoxy-6-trifluoromethylpyrimidin-2-yl						
40	Me	Me		H	2	Н	Н	4-Difluoromethoxy-6-trifluoromethylpyrimidin-2-yl	١					
	Me	Me	Н	Н	2	H	Н	4-Phenoxy-6-trifluoromethylpyrimidin-2-yl						
	H	H	Н	Н	2	Н	Н	4,6-Dimethoxypyrimidin-2-yl						
4.5	Me	H	Н	H	2	Н	Н	4,6-Dimethoxypyrimidin-2-yl						
45	Me	H	Me	Н	2	H	Н	4,6-Dimethoxypyrimidin-2-yl						
	Me	Me	H	H	2	Me	Н	4,6-Dimethoxypyrimidin-2-yl						
	Me	Me	Н	H ·	2	Et	Н	4,6-Dimethoxypyrimidin-2-yl						
	Me	Me	Н	H	2	Pr-i	Н	4,6-Dimethoxypyrimidin-2-yl	ŀ					
50	Me	Me	Н	н	2	Me		4,6-Dimethoxypyrimidin-2-yl						
	Me	Et	ı	Н	2	Н	l	4,6-Dimethoxypyrimidin-2-yl						
	Et	Et	Н	Н	2	H	Н	4,6-Dimethoxypyrimidin-2-yl						

		í	1	1		1			
	Me	Pr-i	H	Н	2	Н	H	4,6-Dimethoxypyrimidin-2-yl	
	Me	Pr		Н	2	H	H	4,6-Dimethoxypyrimidin-2-yl	Ì
5	Me	Pr-c	I .	H	2	H	H	4,6-Dimethoxypyrimidin-2-yl	
	Me		J	H	2	H	H	4,6-Dimethoxypyrimidin-2-yl	1
	-	$(CH_2)_{2}$ -	H	H	2	H	H	4,6-Dimethoxypyrimidin-2-yl	
	-	$(CH_2)_{3}$ -	Н	H	2	Н	H	4,6-Dimethoxypyrimidin-2-yl	
10	1	$(CH_2)_4$ -	H	Н	2	Н	H	4,6-Dimethoxypyrimidin-2-yl	ł
	-	(CH ₂) ₅ -	Н	H	2	H	H	4,6-Dimethoxypyrimidin-2-yl	
	H	-(CH ₂		H	2	H	H	4,6-Dimethoxypyrimidin-2-yl	
	H	-(CH ₂)		H	2	Н	H.	4,6-Dimethoxypyrimidin-2-yl	ĺ
15	H	-(CH ₂)		H	2	H	H	4,6-Dimethoxypyrimidin-2-yl	
10	H	-(CH ₂)6-	H	2	H	H	4,6-Dimethoxypyrimidin-2-yl	
	Me	Me	H	H	1	H	H	Pyridin-2-yl	ĺ
	Me	Me	H	H	1	Н	H	Pyridin-2-yl 1-oxide	İ
	Me	Me	H	Н	1	Н	H	Pyridin-4-yl	
20	Me	Me	Н	H	1	Н	Н	Pyridin-4-yl 1-oxide	
	Me	Me	H	H	1	Н	H	1,2,4-Oxadiazol-3-yl	
	Me	Me	Н	H	1	H	H	3-Phenyl-1,2,4-oxadiazol-5-yl	
	Me	Me	Н	H	1	H	H	3-Benzyl-1,2,4-oxadiazol-5-yl	
25	Me	Me	Н	H	1	H	H	2-Chlorothiazol-4-yl	
	Me	Me	ſ	H	1	H	H	5-Trifluoromethyl-1,3,4-thiadiazol-2-yl	
	Me	Me		H	1	Н	H	1,4-Dimethylimidazol-5-yl	
	Me	Me	į.	H	1	H	Н	1-Phenyl-4-methoxycarbonyl-1,2,3-triazol-5-yl	
00	Me	Me		H	1	H	H	1-Diflluoromethyl-1,2,4-triazol-3-yl	1
30	Me	Me	l	Н	1	H	H	1-Diflluoromethyl-1,2,4-triazol-5-yl	
	Me	Me	l l	H	1	Н	H	4-Diflluoromethyl-1,2,4-triazol-3-yl	
	Me	Me	1	H	1	Н	Н	4,6-Dimethoxypyrimidin-2-yl	
	Me	Me	1	H	1	Н	H	4,6-Diethoxypyrimidin-2-yl	
35	Me	Me	Н	H	1	H	H	4,6-Dimethylpyrimidin-2-yl	
	Me	Me	i	H	1	Н	H	4-Chloro-6-methylpyrimidin-2-yl	
	Me	Me	H	H	1	H	H	4-Methoxy-6-methylpyrimidin-2-yl	
	Me	Me	Н	H H	1	H	H	4-Difluoromethoxy-6-methylpyrimidin-2-yl	
40	Me	Me			1	H	H	4-Phenoxy-6-methylpyrimidin-2-yl	
	Me	Me	Н	H	1	H		4-Chloro-6-trifluoromethylpyrimidin-2-yl	
	Me	Me	1	H	1	H	H	4-Methoxy-6-trifluoromethylpyrimidin-2-yl	
	Me	Me		H	1	H	H	4-Difluoromethoxy-6-trifluoromethylpyrimidin-2-yl	
	Me	Me		H	1	H	H	4-Phenoxy-6-trifluoromethylpyrimidin-2-yl	
45	H	H		H	1	H H	H	4,6-Dimethoxypyrimidin-2-yl	
	Me Me	H	H	H	1	H H	H	4,6-Dimethoxypyrimidin-2-yl 4,6-Dimethoxypyrimidin-2-yl	
	1 1	H	Me	1	1		H	, , , , , , , , , , , , , , , , , , , ,	ļ
	Me	Me Me		H	1	Me	H	4,6-Dimethoxypyrimidin-2-yl 4,6-Dimethoxypyrimidin-2-yl	
50	Me Me	Me Me		H H	1	Et	1	4,6-Dimethoxypyrimidin-2-yl	
	1 1		H	1	1	Pr-i		1	
	Me Me	Me Et		H H	1	Me H		4,6-Dimethoxypyrimidin-2-yl 4,6-Dimethoxypyrimidin-2-yl	
	Et	Et .		п Н	1	Н		4,6-Dimethoxypyrimidin-2-yl	
55	Me	Pr-i	Н		1	Н	•	4,6-Dimethoxypyrimidin-2-yl	
	1	* * - 3		I**	1 1	**	1 **		1

	Me	Pr	Н	Н	1	H	Н	4,6-Dimethoxypyrimidin-2-yl	
_	Me	Pr-c	Н	Н	1	Н	Н	4,6-Dimethoxypyrimidin-2-yl	
5	Me	CH₂Pr-c	Н	Н	1	Н	Н	4,6-Dimethoxypyrimidin-2-yl	
	-	(CH ₂) ₂ -	Н	Н	1	Н	Н	4,6-Dimethoxypyrimidin-2-yl	
	1	(CH ₂) ₃ -	Н	Н	1	Н	Н	4,6-Dimethoxypyrimidin-2-yl	
	-	(CH ₂) ₄ -	Н	Н	1	Н	Н	4,6-Dimethoxypyrimidin-2-yl	
10	-	(CH ₂) ₅ -	Н	Н	1	Н	Н	4,6-Dimethoxypyrimidin-2-yl	
	Н	-(CH ₂))3-	Н	1	Н	Н	4,6-Dimethoxypyrimidin-2-yl	
	Н	-(CH ₂))4-	н	1	Н	Н	4,6-Dimethoxypyrimidin-2-yl	
	H	-(CH ₂)) ₅ -	Н	-1	Н	H	4,6-Dimethoxypyrimidin-2-yl	
15	Н	-(CH ₂)) ₆ -	Н	1	Н	Н	4,6-Dimethoxypyrimidin-2-yl	ŀ
	Me	Me	H	Н	0	Н	Н	Pyridin-2-yl	
	Me	Me	Н	Н	0	н	Н	Pyridin-2-yl 1-oxide	
	Me	Me	Н	H	0	Н	H	Pyridin-4-yl	
	Me	Me	Н	H	0	Н	H	Pyridin-4-yl 1-oxide	
20	Me	Me	Н	Н	0	Н	H	1,2,4-Oxadiazol-3-yl	1
	Me	Me	Н	H	0	Н	H	3-Phenyl-1,2,4-oxadiazol-5-yl	
	Me	Me	Н	Н	0	Н	Н	3-Benzyl-1,2,4-oxadiazol-5-yl	
	Me	Me	Н	Н	0	Н	H	2-Chlorothiazol-4-yl	
25	Me	Me	Н	H	0	Н	H.	5-Trifluoromethyl-1,3,4-thiadiazol-2-yl	
	Me	Me	Н	Н	0	H	H	1,4-Dimethylimidazol-5-yl	
	Me	Me	H	H	0	Н	H	1-Phenyl-4-methoxycarbonyl-1,2,3-triazol-5-yl	
	Me	Me	H	H	0	Н	H	1-Diflluoromethyl-1,2,4-triazol-3-yl	
30	Me	Me	H	H	0	H	Н	1-Diflluoromethyl-1,2,4-triazol-5-yl	
	Me	Me	Н	Н	0	H	Н	4-Diflluoromethyl-1,2,4-triazol-3-yl	
	Me	Me	H	H	0	H	H	4,6-Dimethoxypyrimidin-2-yl	
	Me	Me	H	Н	0	Н	H	4,6-Diethoxypyrimidin-2-yl	
	Me	Me	H	H	0	Н	H	4,6-Dimethylpyrimidin-2-yl	
35	Me	Me	H	H	0	H	Н	4-Chloro-6-methylpyrimidin-2-yl	
	Me	Me	H	H	0	Н	Н	4-Methoxy-6-methylpyrimidin-2-yl	
	Me	Me	H	H	0	Н	H	4-Difluoromethoxy-6-methylpyrimidin-2-yl	1
	Me	Me	Н	H	0	Н	Н	4-Phenoxy-6-methylpyrimidin-2-yl	
40	Me	Me	Н	H	0	Н		4-Chloro-6-trifluoromethylpyrimidin-2-yl	
	Me	Me	H	Н	0	H	ì	4-Methoxy-6-trifluoromethylpyrimidin-2-yl	
	Me	Me	H	H	0	Н	Н	4-Difluoromethoxy-6-trifluoromethylpyrimidin-2-yl	
	Me	Me	H	H	0	Н	H	4-Phenoxy-6-trifluoromethylpyrimidin-2-yl	
45	H	Н	Н	H	0	Н	Н	4,6-Dimethoxypyrimidin-2-yl	
40	Me	H	H	H	0	Н	Н	4,6-Dimethoxypyrimidin-2-yl	
	Me	1	Me	1	0	Н	Н	4,6-Dimethoxypyrimidin-2-yl	
	Me	1	Н	H	0	Me	Н	4,6-Dimethoxypyrimidin-2-yl	
	Me	ì	H	H	0	Et	H	4,6-Dimethoxypyrimidin-2-yl	
50	Me	1	H	H	0	Pr-i	H	4,6-Dimethoxypyrimidin-2-yl	
	Me	1	H	Н	0	Me	1	4,6-Dimethoxypynmidin-2-yl	
	Me		H	Н	0	Н	Н	4,6-Dimethoxypyrimidin-2-yl 4,6-Dimethoxypyrimidin-2-yl	
	Et	Et De :	H	H	0	H H	H	4,6-Dimethoxypyrimidin-2-yl	
55	Me Me	1	H	1	0	H	Н	4,6-Dimethoxypyrimidin-2-yl	
	INTE	ri	11	H	1 0	11	I **	, , zimononjejimimi z ji	ı

	Me	Pr-c	Н	H	1 o 1	Н	Н	4,6-Dimethoxypyrimidin-2-yl
5	Me	CH ₂ Pr-c	1	Н	0	H	н	4,6-Dimethoxypyrimidin-2-yl
	-((CH ₂) ₂ -	H	Н	0	H	Н	4,6-Dimethoxypyrimidin-2-yl
	-((CH ₂) ₃ -	Н	Н	0	Н	Н	4,6-Dimethoxypyrimidin-2-yl
	-((CH ₂) ₄ -	Н	Н	0	Н	Н	4,6-Dimethoxypyrimidin-2-yl
10	-(CH ₂) ₅ -	Н	Н	0	Н	Н	4,6-Dimethoxypyrimidin-2-yl
	Н	-(CH ₂))3-	Н	0	H	Н	4,6-Dimethoxypyrimidin-2-yl
	Н	-(CH ₂))4-	H	0	H	Н	4,6-Dimethoxypyrimidin-2-yl
	н	-(CH ₂))5-	Н	0	Н	Н	4,6-Dimethoxypyrimidin-2-yl
15	Н	-(CH ₂)) ₆ -	H	0	Н	H⊹∞	4,6-Dimethoxypyrimidin-2-yl
	Me	Et	Н	Н	2	H	H	Pirrol-1-yl
	Me	Et	H	Н	2	Н	H	Oxazol-2-yl
	Me	Et	H	H	2	Н	Н	Thiazol-2-yl
20	Me	Et	Н	Н	2	H	Н	Thiazol-4-yl
	Me	Et	H	H	2	H	Н	1,2,3-Thiadiazol-4-yl
	Me	Et	H	Н	2	Н	H	1,2,3-Thiadiazol-5-yl
	Me	Et	Н	Н	2	H	Н	1,2,4-Thiadiazol-3-yl
25	Me	Et	Н	H	2	Н	Н	1,2,4-Thiadiazol-5-yl
	Me	Et	Н	Н	2	H	H	1,3,4-Thiadiazol-2-yl
	Me	Et	H	H	2	H	H	1,3,4-Thiadiazol-5-yl
	Me	Et		Н	2	Н	H	Pyridin-2-yl
30	Me	Et		Н	2	H	H	Pyridin-3-yl
	Me	Et		H	2	Н	H	Pyridin-4-yl
	Me	Et		Ħ	2	Н	Н	1H-Imidazol-2-yl
	Me	Et		Н	2	Н	Н	1H-Imidazol-4-yl
35	Me	Et	H	Н	2	Н	H	1H-Imidazol-5-yl
	Me	Et	H	Н	2	H	H	1H-1,3,4-Triazol-2-yl
	Me	Et	Н	Н	2	H	H	1H-1,3,4-Triazol-5-yl

Table 10

5	
10	
15	

$ \begin{array}{c c} R^{2} & R^{3} \\ R^{1} \xrightarrow{R^{2}} & R^{4} \\ O & R^{5} \end{array} $							
R ¹	\mathbb{R}^2	\mathbb{R}^3	R⁴	n	R ⁵	R ⁶	Y ¹
Me	Me	Н	Н	2	Н	Н	Benzimidazol-2-yl
Me	Me	Н	Н	2	Н	Н	Benzothiophen-2-yl
Me	Me	Н	H	2	Н	Н	3-Chlorobenzothiophen-2-yl
Me	Me	H	Н	2	Н	Н	Benzotriazol-1-yl
Me	Me	Н	H	2	Н	Н	1-Methylindazol-4-yl
Me	Me	Н	Н	2	H	Н	Benzothiazol-2-yl
Me	Me	H	H	2	Н	Н	Benzothiophen-3-yl
Me	Me	Н	H	2	Н	Н	5-Chlorobenzothiophen-3-yl

	Me	Me	Н	H	2	Н	H	Benzoxazol-2-yl
	Me	Me	H	H	2	H	H	,
5	Me	Me	Н	Н	2	H	H	
	Me	Me	Н	H	2	H	Н	
	Me	Me	Н	H	2	Н	Н	2-Methylbenzofuran-7-yl
	Me	Me	H	Н	2	Н	Н	3-Bromobenzofuran-2-yl
10	Me	Me	Н	H	2	H	Н)
	Me	Me	H	Н	2	Н	Н	1 3
	Me	Me	Н	Н	2	H	Н	
	Me	Me	H	H	2	Н	Н	,
15	Me	Me	Н	H	2	H	Н	3-Chloro-1-methylindol-2-yl
10	Me	Me	H	Н	1	Н	H	Benzimidazol-2-yl
	Me	Me	H	Н	1	H	Н	Benzothiophen-2-yl
	Me	Me	Н	H	1	H	H	3-Chlorobenzothiophen-2-yl
	Me	Me	Н	Н	1	H	H	Benzotriazol-1-yl
20	Me	Me	H	H	1	H	H	1-Methylindazol-4-yl
	Me	Me	H	, H	1	H	H	Benzothiazol-2-yl
	Me	Me	H	H	1	H	H	Benzothiophen-3-yl
	Me	Me	H	H	1	Н	H	5-Chlorobenzothiophen-3-yl
25	Me	Me	H	H	1	H	H	Benzoxazol-2-yl
	Me	Me	Н	H	1	H	H	3-Methylbenzothiophen-2-yl
	Me	Me	Н	H	1	Н	H	3-Bromobenzothiophen-2-yl
	Me	Me	H	Н	1	H	H	Benzofuran-2-yl
20	Me	Me	H	Н	1	H	H	2-Methylbenzofuran-7-yl
30	Me	Me	H	Н	1	H		3-Bromobenzofuran-2-yl
	Me	Me	H	Н	1	H		Benzothiophen-7-yl
ĺ	Me	Me	Н	H	1	H		1-Methylindazol-7-yl
	Me	Me	H	H	1	H	H	3-Methylbenzofuran-2-yl
35	Me	Me	H	H	1	Н		3-Chloro-1-methylindol-2-yl
	Me	Me	H	H	0	H	l	Benzimidazol-2-yl
{	Me	Me	Н	H	0	H		Benzothiophen-2-yl
	Me	Me	H	H	0	H	,	3-Chlorobenzothiophen-2-yl
40	Me	Me	H	H	0	H	1	Benzotriazol-1-yl
	Me Me	Me Me	H H	H	0	H		1-Methylindazol-4-yl
	Me	Me	J	H	0	H	ì	Benzothiazol-2-yl
	Me	Me	H H	H H	0	H H	i	Benzothiophen-3-yl
	Me	Me	H	H	0	H	H	5-Chlorobenzothiophen-3-yl
45	Me	Me	H	H	0	Н		Benzoxazol-2-yl
	Me	Me	H	H	0	Н		3-Methylbenzothiophen-2-yl
1	Me	Me	H	Н	0.	H		3-Bromobenzothiophen-2-yl Benzofuran-2-yl
	Me	Me	H	H	0	H		2-Methylbenzofuran-7-yl
50	Me	Me	H	Н	0	H		3-Bromobenzofuran-2-yl
	Me	Me	H	H	0	Н		Benzothiophen-7-yl
	Me	Me	H	H	0	H		1-Methylindazol-7-yl
ł	Me	Me	H	H	0	H		3-Methylbenzofuran-2-yl
55	Me	Me	H	H	0	H		3-Chloro-1-methylindol-2-yl
	ı	1	- 1		٠)	^^	1 montymuot 2 yl

	1 30	l .	l vr	l ++	١.	l rr	l	ا ما
	Me	Et	H	H	2	H	H	Benzoxazol-2-yl
	Me	Et	Н	H	2	Н	H	4-Chlorobenzoxazol-2-yl
5	Me	Et	H	H	2	H	Н	5-Chlorobenzoxazol-2-yl
	Me	Et	H	H	2	Н	H	6-Chlorobenzoxazol-2-yl
	Me	Et	H	H	2	H	H	7-Chiorobenzoxazol-2-yl
	Me	Et	H	H	2	H	H	4-Fluorobenzoxazol-2-yl
10	Me	Et	H	Н	2	Н	H	5-Fluorobenzoxazol-2-yl
10	Me	Et	H	H	2	H	H	6-Fluorobenzoxazol-2-yl
	Me	Et	Н	H	2	H	H	7-Fluorobenzoxazol-2-yl
	Me	Et	Н	Н	2	H	H	4-Methylbenzoxazol-2-yl
	Me	Et	Н	Н	2	H	H	5-Methylbenzoxazol-2-yl
15	Me	Et	Н	H	2	H	H	6-Methylbenzoxazol-2-yl
	Me	Et	Н	H	2	H	H	7-Methylbenzoxazol-2-yl
	Me	Et	Н	Н	2	Н	Н	4-Methoxybenzoxazol-2-yl
	Me	Et	Н	Н	2	H	H	5-Methoxybenzoxazol-2-yl
20	Me	Et	Н	H	2	H	Н	6-Methoxybenzoxazol-2-yl
20	Me	Et	Н	H	2	H	Н	7-Methoxybenzoxazol-2-yl
	Me	Et	Н	H	2	Н	H	Benzothiazol-2-yl
	Me	Et	Н	H	2	Н	H	4-Chlorobenzothiazol-2-yl
	Me	Et	Н	H	2	Н	Н	5-Chlorobenzothiazol-2-yl
25	Me	Et	Н	H	2	H	H	6-Chlorobenzothiazol-2-yl
	Me	Et	Н	Н	2	Н	H	7-Chlorobenzothiazol-2-yl
	Me	Et	Н	H	2	H	Н	4-Fluorobenzothiazol-2-yl
	Me	Et	Н	H	2	H	H	5-Fluorobenzothiazol-2-yl
30	Me	Et	H	H	2	H	H	6-Fluorobenzothiazol-2-yl
30	Me	Et	Н	Н	2	Н	H	7-Fluorobenzothiazol-2-yl
	Me	Et	Н	H	2	H	Н	4-Methylbenzothiazol-2-yl
	Me	Et	Н	H	2	Н	H	5-Methylbenzothiazol-2-yl
	Me	Et	Н	H	2	Н	H	6-Methylbenzothiazol-2-yl
35	Me	Et	H	H	2	H	Н	7-Methylbenzothiazol-2-yl
	Me	Et	Н	Н	2	Н	Н	4-Methoxybenzothiazol-2-yl
	Me	Et	Н	Н	2	Н	H	5-Methoxybenzothiazol-2-yl
	Me	Et	Н	H	2	Н	Н	6-Methoxybenzothiazol-2-yl
40	Me	Et	Н	Н	2	Н	Н	7-Methoxybenzothiazol-2-yl
40	Me	Et	Н	H	2	Н	Н	Qnolin-2-yl
	Me	Et	Н	Н	2	Н	Н	Qinolin-6-yl
	Me	Et	Н	H	2	Н	Н	Quinoxalin-2-yl
	Me	Et	Н	H	2	H	Н	Benzofuran-2-yl
45	Me	Et	Н	Н	2	H	Н	3-Chlorobenzofuran-2-yl
	Me	Et	Н	H	2	Н	Н	4-Chlorobenzofuran-2-yl
	Me	Et	Н	H	2	H	Н	5-Chlorobenzofuran-2-yl
	Me	Et	Н	Н	2	Н	Н	6-Chlorobenzofuran-2-yl
50	Me	Et	Н	Н	2	Н	Н	7-Chlorobenzofuran-2-yl
50	Me	Et	Н	H	2	Н	Н	3-Methylbenzofuran-2-yl
	Me	Et	Η.	H	2	Н	Н	4-Methylbenzofuran-2-yl
	Me	Et	Н	H	2	Н	Н	5-Methylbenzofuran-2-yl
	Me	Et	Н	H	2	Н	Н	6-Methylbenzofuran-2-yl
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1	Me	Et	H	H	2	Н	Н	7-Methylbenzofuran-2-yl
	Me	Et	Н	H	2	H	Н	3-Methoxybenzofuran-2-yl
	Me	Et	Н	Н	2	H	H	4-Methoxybenzofuran-2-yl
	Me	Et	Н	Н	2	H	H	5-Methoxybenzofuran-2-yl
	Me	Et	Н	Н	2	Н	Н	6-Methoxybenzofuran-2-yl
	Me	Et	H	Н	2	H	H	7-Methoxybenzofuran-2-yl

[0046] The present compound represented by the general formula [I] can be produced according to the processes shown below; however, the compound can be produced also by other processes. < Production Process 1> Step 1 to Step 5

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$$R^{1}$$
 $SO_{2}R^{7}$ $SO_{2}R^{7}$ $SO_{2}R^{7}$ SID_{2} S

[0047] In the above production scheme, R¹, R², R³, R⁴, R⁵, R⁶ and Y have the same definitions as given above; X¹ is a halogen atom; R⁷ is a C1 to C4 alkyl group, an optionally substituted phenyl group or an optionally substituted benzyl group; L is a leaving group such as halogen atom, C1 to C4 alkylsulfonyl group, optionally substituted phenylsulfonyl group, optionally substituted benzylsulfonyl group or the like; and x is an integer of 1 or more.

[0048] The above production process is described below in detail on each step.

(Step 1)

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[0049] A sulfide derivative represented by the general formula [5] can be produced by reacting a compound represented by the general formula [1] with a sodium hydrosulfide hydrate represented by the general formula [2] in the presence or absence of a solvent (preferably in an appropriate solvent) in the presence of a salt to produce a base of a mercaptan, represented by the general formula [3] in the reaction system, and then, without isolating the salt of a mercaptan [3], reacting the salt [3] with a halogen derivative represented by the general formula [4] [in this case, a radical-generating agent, for example, Rongalit (trade name): CH₂ (OH) SO₂Na - 2H₂O may be added].

[0050] The reaction temperature in each reaction is any temperature between 0° C and the reflux temperature of each reaction system and is preferably 10 to 100° C. The reaction time varies depending upon the compounds used, but is 0.5 to 24 hours.

[0051] With respect to the amounts of the reagents used in each reaction, each of the compound represented by the general formula [2] and the compound represented by the general formula [4] is used in an amount of 1 to 3 equivalents relative to one equivalent of the compound represented by the general formula [1] and, when a base is used, the base is used in an amount of 0.5 to 3 equivalents.

[0052] As the solvent, there can be mentioned, for example, ethers such as dioxane, tetrahydrofuran (THF) and the like; halogenated hydrocarbons such as dichloroethane, carbon tetrachloride, chlorobenzene, dichlorobenzene and the like; amides such as N,N-dimethylacetamide, N,N-dimethylformamide, N-methyl-2-pyrrolidinone and the like; sulfur compounds such as dimethyl sulfoxide, sulfolane and the like; aromatic hydrocarbons such as benzene, toluene, xylene and the like; alcohols such as methanol, ethanol, propanol, isopropanol, butanol, tert-butanol and the like; ketones such as acetone, 2-butanone and the like; nitriles such as acetonitrile and the like; water; and mixtures thereof.

[0053] As the base, there can be mentioned, for example, metal hydrides such as sodium hydride and the like; alkali metal amides such as sodium amide, lithium diisopropylamide and the like; organic bases such as pyridine, triethylamine, 1,8-diazabicyclo[5.4.0]-7-undecene and the like; alkali metal hydroxides such as sodium hydroxide, potassium hydroxide and the like; alkaline earth metal hydroxides such as calcium hydroxide, magnesium hydroxide and the like; alkali metal carbonates such as sodium carbonate, potassium carbonate and the like; alkali metal hydrogencarbonates such as sodium hydrogencarbonate, potassium hydrogencarbonate and the like; and metal alcholates such as sodium methoxide, potassium tertbutoxide and the like.

(Step 2)

[0054] A sulfoxide derivative represented by the general formula [6] can be produced by reacting the sulfide derivative represented by the general formula [5] with an oxidizing agent in an appropriate solvent.

[0055] The reaction temperature is any temperature between 0°C and the reflux temperature of the reaction system and is preferably 0 to 60°C. The reaction time varies depending upon the compounds used, but is 1 to 72 hours.

[0056] With respect to the amounts of the reagents used in the reaction, the oxidizing agent is used in an amount of 1 to 3 equivalents per equivalent of the compound represented by the general formula [5].

[0057] As the solvent, there can be mentioned, for example, halogenated hydrocarbons such as dichloromethane, chloroform, dichloroethane, carbon tetrachloride, chlorobenzene, dichlorobenzene and the like; ethers such as dioxane, tetrahydrofuran (THF), dimethoxyethane, diethyl ether and the like; amides such as N,N-dimethylacetamide, N, N-dimethylformamide, N-methyl-2-pyrrolidinone and the like; alcohols such as methanol, ethanol, propanol, butanol, tert-butanol and the like; ketones such as acetone, 2-butanone and the like; nitriles such as acetonitrile and the like; acetic acid; water; and mixtures thereof.

[0058] As the oxidizing agent, there can be mentioned, for example, organic peroxides such as m-chloroperbenzoic acid, performic acid, peracetic acid and the like; and inorganic peroxides such as hydrogen peroxide, potassium permanganate, sodium periodate and the like.

50 (Step 3)

[0059] A sulfone derivative represented by the general formula [7] can be produced by reacting the sulfoxide derivative represented by the general formula [6] with an oxidizing agent in an appropriate solvent.

[0060] The reaction temperature is any temperature between 0°C and the reflux temperature of the reaction system and is preferably 0 to 60°C. The reaction time varies depending upon the compounds used, but is 1 to 72 hours.

[0061] With respect to the amounts of the reagents used in the reaction, the oxidizing agent is used in an amount of 1 to 3 equivalents per equivalent of the compound represented by the general formula [6].

[0062] As the solvent and the oxidizing agent, there can be mentioned the same solvents and oxidizing agents as

in the step 2.

(Step 4)

[0063] The sulfone derivative represented by the general formula [7] can also be produced by reacting the sulfide derivative represented by the general formula [5] with an oxidizing agent of appropriate amount in an appropriate solvent without isolating the sulfoxide derivative represented by the general formula [6].

[0064] The reaction temperature is any temperature between 0°C and the reflux temperature of the reaction system and is preferably 0 to 60°C. The reaction time varies depending upon the compounds used, but is 1 to 72 hours.

[0065] With respect to the amounts of the reagents used in the reaction, the oxidizing agent is used in an amount of 1 to 3 equivalents per equivalent of the compound represented by the general formula [5].

[0066] As the solvent and the oxidizing agent, there can be mentioned the same solvents and oxidizing agents as in the step 2.

15 (Step 5)

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[0067] The sulfide derivative represented by the general formula [5] can also be produced by reacting a compound represented by the general formula [8] with a mercaptan derivative represented by the general formula [9] in the presence or absence of a solvent (preferably in an appropriate solvent) in the presence of a base.

[0068] The reaction temperature is any temperature between 0°C and the reflux temperature of the reaction system and is preferably 10 to 100°C. The reaction time varies depending upon the compounds used, but is 0.5 to 24 hours. [0069] With respect to the amounts of the reagents used in the reaction, the compound represented by the general formula [9] is used in an amount of 1 to 3 equivalents per equivalent of the compound represented by the general formula [8], and the base is used in an amount of 0.5 to 3 equivalents.

[0070] As the solvent, there can be mentioned, for example, ethers such as diethyl ether, dimethoxyethane, dioxane, tetrahydrofuran (THF) and the like; halogenated hydrocarbons such as dichloromethane, chloroform, carbon tetrachloride, dichloroethane, chlorobenzene, dichlorobenzene and the like; amides such as N,N-dimethylacetamide, N,N-dimethylformamide, N-methyl-2-pyrrolidinone and the like; sulfur compounds such as dimethyl sulfoxide, sulfolane and the like; aromatic hydrocarbons such as benzene, toluene, xylene and the like; alcohols such as methanol, ethanol, propanol, isopropanol, butanol, tert-butanol and the like; ketones such as acetone, 2-butanone and the like; nitriles such as acetonitrile and the like; water; and mixtures thereof.

[0071] As the base, there can be mentioned, for example, metal hydrides such as sodium hydride and the like; alkali metal amides such as sodium amide, lithium diisopropylamide and the like; organic bases such as pyridine, triethylamine, 1,8-diazabicyclo[5.4.0]-7-undecene and the like; alkali metal hydroxides such as sodium hydroxide, potassium hydroxide and the like; alkaline earth metal hydroxides such as calcium hydroxide, magnesium hydroxide and the like; alkali metal carbonates such as sodium carbonate, potassium carbonate and the like; alkali metal hydrogencarbonates such as sodium hydrogencarbonate, potassium hydrogencarbonate and the like; and metal alcholates such as sodium methoxide, potassium tertbutoxide and the like.

[0072] A compound of the general formula [8] wherein L is a halogen atom, i.e. a compound [12] can be produced by a process shown by the following step 6. As necessary, a mixture of the compound [12] and a compound [13] is subjected to a separation and purification procedure to isolate the compound [12].

(Step 6)

(Step 6)

$$X^{1} \longrightarrow NOH$$
 $R^{2} \longrightarrow R^{4}$

Step 6

 $R^{2} \longrightarrow R^{4}$

[10]

[12]

[13]

[0073] In the above reaction, X¹, R¹, R², R³ and R⁴ have the same definitions as given above.

[0074] The isoxazoline compounds represented by the general formulas [12] and [13] can be produced by reacting

an olefin derivative represented by the general formula [10] with an oxime derivative represented by the general formula [11] in the presence or absence of a solvent (preferably in an appropriate solvent) in the presence of a base. When R³ and R⁴ are each a hydrogen atom, the isoxazoline compound represented by the general formula [12] can be obtained preferentially.

[0075] The reaction temperature is any temperature between 0°C and the reflux temperature of the reaction system and is preferably 10 to 80°C. The reaction time varies depending upon the compounds used, but is 0.5 hours to 2 weeks.

[0076] With respect to the amounts of the reagents used in the reaction, the compound represented by the general formula [10] is used in an amount of 1 to 3 equivalents per equivalent of the compound represented by the general formula [11].

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[0077] As the solvent, there can be mentioned, for example, ethers such as ethylene glycol dimethyl ether, ethylene glycol diethyl ether, diethyl ether, dioxane, tetrahydrofuran and the like; halogenated hydrocarbons such as dichloroethane, carbon tetrachloride, chlorobenzene, dichlorobenzene and the like; aromatic hydrocarbons such as benzene, toluene, xylene and the like; acetic acid esters such as ethyl acetate, butyl acetate and the like; water; and mixtures thereof.

[0078] As the base, there can be mentioned, for example, alkali metal hydroxides such as sodium hydroxide, potassium hydroxide and the like; alkaline earth metal hydroxides such as calcium hydroxide, magnesium hydroxide and the like; alkali metal carbonates such as sodium carbonate, potassium carbonate and the like; alkali metal hydrogencarbonates such as sodium hydrogencarbonate, potassium hydrogencarbonate and the like; alkali metal acetates such as sodium acetate, potassium acetate and the like; alkali metal fluorides such as sodium fluoride, potassium fluoride and the like; and organic bases such as pyridine, triethylamine, 1,8-diazabicyclo[5.4.0]-7-undecene and the like.

[0079] In the above production process, the compound represented by the general formula [10], used as an intermediate can be a commercial product or can be produced by a known reaction such as Wittig reaction or the like. The compound represented by the general formula [11] can be produced, for example, by a process described in Liebigs Annalen der Chemie, 985 (1989).

[0080] The compound represented by the general formula [1] can be produced from the above-shown compound represented by the general formula [12-] by the following process.

[0081] In the above reaction, X¹, R¹, R², R³, R⁴ and R⁷ have the same definitions as given above.

[0082] A compound represented by the general formula [15] can be produced by the above-described step 5; a compound represented by the general formula [16] can be produced by the above-described step 2; and the compound represented by the general formula [1] can be produced from the compound [15] by the above-described step 4 or from the compound [16] by the above-described step 3.

[0083] As the solvent, base and oxidizing agent, there can be mentioned the same solvents, bases and oxidizing agents as mentioned in the step 2, 3, 4 or 5.

[0084] A compound represented by the general formula [4] wherein R⁶ is a hydrogen atom, i.e. a compound represented by the general formula [21] can be produced by the following process.

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$$R^{8}$$
-O- C -Y [17]

10 O Reducing Agent O HO- C -Y O HO-CHR 5 -Y O [21]

15 O Step 11 O Step 12 [19]

[0085] In the above reaction, R^5 , X^1 and Y have the same definitions as given above; and R^8 is an alkyl group.

(Step 11)

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[0086] A compound represented by the general formula [20] can be produced by reacting a compound [17], [18] or [19] with a reducing agent in a solvent.

[0087] This reaction is conducted ordinarily at -60 to 150°C for 10 minutes to 24 hours.

[0088] With respect to the amounts of the reagents used in the reaction, the reducing agent is used in an amount of desirably 0.5 to 2 equivalents per equivalent of the compound [17], [18] or [19]; however, the amount can be varied appropriately depending upon the condition of the reaction.

[0089] As the reducing agent, there can be mentioned, for example, metal hydrides (e.g. diisobutyl aluminum hydride) and metal hydrogen complex compounds (e.g. sodium borohydride and lithium aluminum hydride) in production of [20] from [17]; and metal hydrides (e.g. diisobutyl aluminum hydride), metal hydrogen complex compounds (e.g. sodium borohydride and lithium aluminum hydride) and diborane in production of [20] from [18] or [19].

[0090] As the solvent, there can be mentioned, for example, ethers such as diethyl ether, tetrahydrofuran, dioxane and the like; aromatic hydrocarbons such as benzene, toluene and the like; and alcohols such as methanol, ethanol and the like.

(Step 12)

[0091] A compound represented by the general formula [21] can be produced by reacting the compound [20] with a halogenating agent in a solvent.

[0092] This reaction is conducted ordinarily at -50 to 100°C for 10 minutes to 24 hours.

[0093] With respect to the amounts of the reagents used in the reaction, the halogenating agent is used in an amount of desirably 1 to 3 equivalents per equivalent of the compound [20]; however, the amount can be varied appropriately depending upon the condition of the reaction.

[0094] As the halogenating agent, there can be mentioned, for example, hydrogen chloride, hydrogen bromide, phosphorus tribromide and thionyl chloride.

[0095] As the solvent, there can be mentioned, for example, halogenated hydrocarbons such as dichloroethane, carbon tetrachloride and the like; acids such as acetic acid and the like; and ethers such as tetrahydrofuran and the like.

[0096] The compound represented by the general formula [4] can be produced by the following process.

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$$\begin{array}{ccccc}
R^5 & & R^5 \\
H-C-Y & \longrightarrow & X^1-C-Y \\
R^6 & & R^6
\end{array}$$
[22] Step 13 [4]

[0097] In the above reaction, R⁵, R⁶, X¹ and Y have the same definitions as given above.

[0098] The compound represented by the general formula [4] can be produced by reacting a compound [22] with a halogenating agent in a solvent in the presence or absence of a catalyst.

[0099] This reaction is conducted ordinarily at 30 to 150°C for 10 minutes to 24 hours.

[0100] With respect to the amounts of the reagents used in the reaction, the halogenating agent is used in an amount of desirably 1 to 10 equivalents relative to one equivalent of the compound [22]; however, the amount of the halogenating agent can be varied appropriately depending upon the condition of the reaction. The catalyst is used in an amount of 0.01 to 0.5 equivalent.

[0101] As the halogenating agent, there can be mentioned, for example, halogens such as bromine, chlorine and the like; N-halosuccinimides such as N-bromosuccinimide and the like; and pyridine salts such as pyridinium perbromide and the like.

[0102] As the solvent, there can be mentioned, for example, halogenated hydrocarbons such as dichloroethane, carbon tetrachloride, chlorobenzene, dichlorobenzene and the like; amides such as N,N-dimethylacetamide, N,N-dimethylformamide, N-methyl-2-pyrrolidinone and the like; sulfur compounds such as dimethyl sulfoxide, sulfolane and the like; and carboxylic acids such as formic acid, acetic acid and the like.

[0103] As the catalyst, there can be mentioned, for example, benzoyl peroxide, α , α -azobisisobutyronitrile and a mixture thereof.

[0104] A compound represented by the general formula [4] wherein R^5 and R^6 are each a hydrogen atom, i.e. a compound represented by the general formula [24] can be produced by the following process.

$$H-Y \longrightarrow X^1-CH_2-Y$$
Step 14
[23] [24]

[0105] In the above reaction, X¹ and Y have the same definitions as given above.

[0106] The compound represented by the general formula [24] can be produced by reacting a compound [23], hydrogen halide, and formaldehyde or paraformaldehyde in a solvent in the presence or absence of a Lewis acid according to the method described in Org. Synth., III, 557 (1955) or J. Am. Chem. Soc., 72, 2216 (1950), or by reacting the compound [23] with a halogenomethyl ether in a solvent in the presence of a Lewis acid according to the method described in J. Am. Chem. Soc., 97, 6155 (1975).

[0107] This reaction is conducted ordinarily at -40 to 150°C for 10 minutes to 24 hours.

[0108] With respect to the amounts of the reagents used in the reaction, the hydrogen halide, formaldehyde, paraformaldehyde, Lewis acid or halogenomethyl ether is used in an amount of desirably 1 to 2 equivalents per equivalent of the compound [23]; however, the amount of the former can be varied appropriately depending upon the condition of the reaction.

[0109] As the Lewis acid, there can be mentioned, for example, titanium tetrachloride, zinc chloride, aluminum chloride and zinc bromide.

[0110] As the hydrogen halide, there can be mentioned hydrogen chloride, hydrogen bromide and hydrogen iodide.

[0111] As the solvent, there can be mentioned, for example, halogenated hydrocarbons such as dichloroethane, carbon tetrachloride, chloroform and the like; aliphatic hydrocarbons such as hexane, heptane and the like; ethers such as dioxane, tetrahydrofuran and the like; carboxylic acids such as acetic acid and the like; carbon disulfide; and mixtures thereof.

[0112] A compound represented by the general formula [19] wherein R⁵ is a hydrogen atom, i.e. a compound represented by the general formula [25] can be produced by the following process.

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[0113] In the above reaction, Y has the same definition as given above.

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[0114] The compound represented by the general formula [25] can be produced by reacting the compound [23] with N,N-dimethylformamide in the presence of phosphoryl chloride, phosgene or thionyl chloride in the presence or absence of a solvent according to the Vilsmeier method described in Org. Synth., IV, 831 (1963), or by reacting the compound [23] with a dihalogenomethyl ether in a solvent in the presence of a Lewis acid and then giving rise to hydrolysis according to the method described in Chem. Ber., 93, 88 (1960).

[0115] This reaction is conducted ordinarily at -40 to 150°C for 10 minutes to 24 hours.

[0116] With respect to the amounts of the reagents used in the reaction, the phosphoryl chloride, phosgene, thionyl chloride, N,N-dimethylformamide, Lewis acid or dihalogenomethyl ether is used in an amount of desirably 1 to 2 equivalents per equivalent of the compound [23]; however, the amount of the former can be varied appropriately depending upon the condition of the reaction.

[0117] As the Lewis acid, there can be mentioned, for example, titanium tetrachloride, tin tetrachloride, zinc chloride, aluminum chloride and zinc bromide.

[0118] As the solvent, there can be mentioned, for example, halogenated hydrocarbons such as dichloroethane, carbon tetrachloride, chloroform and the like; aliphatic hydrocarbons such as hexane, heptane and the like; ethers such as dioxane, tetrahydrofuran and the like; carboxylic acids such as acetic acid and the like; amides such as N,N-dimethylformamide and the like; carbon disulfide; and mixtures thereof.

[0119] The compounds represented by the general formulas [17], [18], [19] and [20] can be produced by the following process.

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		Mg Reagent	X ² -MgY		R ⁸ OĊ-Y	[17]
35		or		Electrophilic	Q	
	X ² —Y	Li Reagent	[27]	Reagent	HOC-Y	[18]
	11 1		or		O	
40	[26]	Step 16	Li-Y	Step 17	$R^5\ddot{\ddot{\mathbb{C}}}$ -Y	[19]
			[28]		HOCH ₂ -Y	[20]

[0120] In the above reaction, R^5 , R^8 and Y have the same definitions as given above; and X^2 is a chlorine atom, a bromine atom or an iodine atom.

[0121] The compounds represented by the general formulas [17], [18], [19] and [20] can be produced by reacting a compound [26] with a magnesium reagent in the presence or absence of a solvent to obtain a compound [27] and then reacting the compound [27] with an electrophilic reagent according to the method described in J. Org. Chem., 65, 4618 (2000), or by reacting the compound [26] with n-butyl lithium in a solvent to obtain a compound [28] and then reacting the compound [28] with an electrophilic reagent according to the method described in Synth. Commun., 24 (2), 253 (1994).

[0122] This reaction is conducted ordinarily at -100 to 150°C for 10 minutes to 24 hours.

[0123] With respect to the amounts of the reagents used in the reaction, the amount of the magnesium reagent or the lithium reagent is desirably 1 to 5 equivalents per equivalent of the compound [26], and the amount of the electrophilic reagent is desirably 1 to 5 equivalents; however, these amounts scan be varied appropriately depending upon the condition of the reaction.

[0124] As the magnesium reagent, there can be mentioned, for example, metal magnesium, isopropyl magnesium

bromide and diisopropyl magnesium.

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[0125] As the lithium reagent, there can be mentioned, for example, n-butyl lithium, sec-butyl lithium and tert-butyl lithium.

[0126] As the electrophilic reagent, there can be mentioned, for example, esters such as ethyl formate, ethyl cyanoformate, ethyl acetate and the like; acid halides such as acetyl chloride, methyl chloroformate and the like; amides such as N,N-dimethylformamide and the like; aldehydes such as paraformaldehyde and the like; and carbon dioxide.

[0127] As the solvent, there can be mentioned, for example, halogenated hydrocarbons such as dichloroethane, carbon tetrachloride, chloroform and the like; aliphatic hydrocarbons such as hexane, pentane and the like; ethers such as dioxane, tetrahydrofuran and the like; and mixtures thereof.

[0128] Among compounds represented by the general formulas [4], [17], [18], [19], [20], [22], [23], [26], [29] or [34], a compound represented by the general formula [31] can be produced by the following process.

$$\begin{array}{c}
L^{1}-R^{9} \\
 & [30] \\
Y-OH \longrightarrow Y-OR^{9} \\
 & [29] \\
Base
\end{array}$$
[31]

[0129] In the above reaction, Y has the same definition as given above; R^9 is an alkyl group, a haloalkyl group, a cycloalkyl group, an optionally substituted benzyl group, an optionally substituted heterocyclic alkyl group, an alkenyl tionally substituted heterocyclic alkyl group, an alkenyl group, an alkenyl group, an optionally substituted aromatic heterocyclic group, an optionally substituted phenylsulfonyl group, an acyl group, a haloalkylcabonyl group, an optionally substituted benzylcarbonyl group or an optionally substituted benzoyl group; and L^1 is a leaving group such as halogen atom, C1 to C4 alkylsulfonate group, C1 to C4 alkylsulfonyl group, optionally substituted benzylsulfonate group, optionally substituted benzylsulfonate group, optionally substituted phenylsulfonate group, optionally substituted benzylsulfonate group or the like. When R^9 is a haloalkyl group, L^1 is a leaving group having a higher reactivity than the halogen atom remaining after haloalkylation. For example, when R^9 is a CHF_2 group, L^1 is a chlorine atom or a bromine atom; and when L^9 is a L^9 group, L^1 is a chlorine atom, a bromine atom, a p-toluenesulfonyloxy group or a methylsulfonyloxy group.

[0130] The compound represented by the general formula [31] can be produced by reacting a compound [29] with a compound [30] in a solvent in the presence of a base.

[0131] This reaction is conducted ordinarily at 0 to 120°C for 10 minutes to 24 hours.

[0132] With respect to the amounts of the reagents used in the reaction, the amount of the compound [30] is 1 to 20 equivalents per equivalent of the compound [29], and the amount of the base is 1 to 3 equivalents.

[0133] As the base, there can be mentioned, for example, alkali metal carbonates such as sodium carbonate, potassium carbonate and the like; alkali metal hydroxides such as sodium hydroxide, potassium hydroxide and the like; alkali metal alcoholates such as sodium ethoxide, sodium methoxide and the like; and organic bases such as 1,8-diazabicyclo[5.4.0]-7-undecene and the like. [0134] As the solvent, there can be mentioned, for example, halogenated hydrocarbons such as dichloromethane, chloroform and the like; ethers such as diethyl ether, tetrahydrofuran and the like; aromatic hydrocarbons such as benzene, toluene and the like; aliphatic hydrocarbons such as hexane, heptane and the like; ketones such as acetone, methyl isobutyl ketone and the like; esters such as ethyl acetate, methyl acetate and the like; amides such as Nemethylpyrrolidone, N,N-dimethylformamide and the like; sulfur compounds such as dimethyl sulfoxide, sulfolane and the like; nitriles such as acetonitrile and the like; and mixtures thereof.

[0135] Among compounds represented by the general formulas [4], [17], [18], [19], [20], [22], [23], [26], [29] or [31], a compound represented by the general formula [34] can be produced by the following process.

$$\begin{array}{cccc}
& L^{1}-R^{10} \\
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[0136] In the above reaction, L^1 has the same definition as given above; and R^{10} is an alkyl group, an alkyl group mono-substituted with a group selected from the substituent group β , a haloalkyl group, a cycloalkyl group, an alkenyl group, an alkylsulfinyl group, an alkylsulfonyl group, an alkylsulfonyl group mono-substituted with a group selected from the substituent group γ , a haloalkylsulfonyl group, an optionally substituted phenyl group, an optionally substituted aromatic heterocyclic group, an optionally substituted phenylsulfonyl group, an optionally substituted aromatic heterocyclicsulfonyl group, an acyl group, a haloalkylcarbonyl group, an optionally substituted benzylcarbonyl group, an optionally substituted benzylcarbonyl group, an optionally substituted benzylcarbonyl group, an optionally substituted benzylcarbonyl group, an optionally substituted phenoxycarbonyl group, or a carbamoyl group (its nitrogen atom may be substituted with same or different groups selected from alkyl groups and optionally substituted phenyl group). The carbon atoms of the pyrazole ring may be substituted with 1 to 2 same or different groups selected from the substituent group α .

[0137] The compound represented by the general formula [34] can be produced by reacting a compound [32] with a compound [33] in a solvent in the presence of a base.

[0138] This reaction is conducted ordinarily at 0 to 120°C for 10 minutes to 24 hours.

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[0139] With respect to the amounts of the reagents used in the reaction, the amount of the compound [33] is 1 to 20 equivalents per equivalent of the compound [32], and the amount of the base is 1 to 3 equivalents.

[0140] As the base and the solvent, there can be mentioned, for example, the same bases and solvents as mentioned in production of the compound [31] from the compound [29].

[0141] Introduction of a trifluoromethyl group into Y can be conducted according to or based on, for example, the methods described in J. Chem. Soc. Perkin Trans. 1, 8, 2293-2299 (1990); J. Fluorine Chem., 50 (3), 411-426 (1990); J. Chem. Soc. Chem. Commun., 1, 53-54 (1992); Chem. Lett., 1719-1720 (1981); Chem. Pharm. Bull., 38 (9), 2446-2458 (1990); J. Chem. Soc. Perkin Trans. 1, 921-926 (1988); Heterocycles, 37 (2), 775-782 (1994); Tetrahedron Lett., 30 (16), 2133-2136 (1989); J. Chem. Soc. Perkin Trans. 1, 2755-2761 (1980); Hetrocycles, 22 (1), 117-124 (1984); Eur. J. Med. Chem. Chim. Ther., 24, 249-258 (1989); Acta Chem. Scand. Ser. B, 38 (6), 505-508 (1984); J. Fluorine Chem., 21, 495-514 (1982); J. Chem. Soc. Chem. Commun., 10, 638-639 (1988); J. Fluorine Chem., 67 (1), 5-6 (1994); J. Heterocycl. Chem., 31 (6), 1413-1416 (1994); Chem. Heterocycl. Compd., 30 (5), 576-578 (1994); J. Fluorine Chem., 78 (2), 177-182 (1996); J. Heterocycl. Chem., 34 (2), 551-556 (1997); Tetrahedron, 55 (52), 15067-15070 (1999); and Synthesis, 11, 932-933 (1980).

[0142] The -compounds represented by the general formulas [4], [17], [18], [19], [20], [21], [22], [23], [24], [25], [26], [29] and [31] can be produced according to or based on, for example, the methods described in Methoden der Organischen Chemie, E6a, 16-185 (1994) when Y is a furyl group; Methoden der Organischen Chemie, E6a, 186-555 (1994) when Y is a thienyl group; Methoden der Organischen Chemie, E6a, 556-798 (1994) when Y is a pyrrolyl group; Methoden der Organischen Chemie, E8b, 399-763 (1994) and JP-A-2000-219679 when Y is a pyrazolyl group; Methoden der Organischen Chemie, E8a, 45-225 (1993) when Y is an isoxazolyl group; Methoden der Organischen Chemie, E8a, 668-798 (1993) when Y is an isothiazolyl group; Methoden der Organischen Chemie, E8a, 891-1019 (1993) when Y is an oxazolyl group; Methoden der Organischen Chemie, E8b, 1-398 (1994) when Y is a thiazolyl group; Methoden der Organischen Chemie, E8c, 1-215 (1994) when Y is an imidazolyl group; Methoden der Organischen Chemie, E7a, 286-686 (1992) when Y is a pyridyl group; Methoden der Organischen Chemie, E9a, 557-682 (1997) when Y is a pyridazinyl group; Methoden der Organischen Chemie, E9b/1, 1-249 (1998) when Y is a pyrimidinyl group; Methoden der Organischen Chemie, E9b/1, 250-372 (1998) when Y is a pyrazinyl group; Methoden der Organischen Chemie, E9c, 530-796 (1998) when Y is a triazinyl group; Methoden der Organischen Chemie, E8d, 305-405 and 479-598 (1994) when Y is a triazolyl group; Methoden der Organischen Chemie, E8c, 397-818 (1994) when Y is an oxadiazolyl group; Methoden der Organischen Chemie, E8d, 59-304 (1994) when Y is a thiadiazolyl group; Methoden der Organischen Chemie, E6b1, 33-216 (1994) and Published International Patent Application WO-1997/29105 when Y is a benzofuryl group; Methoden der Organischen Chemie, E6b1, 217-322 (1994) when Y is a benzothienyl group; Methoden der Organischen Chemie, E6b1,546-848 (1994), Methoden der Organischen Chemie, E6b2, 849-1336 (1994) and Published International Patent Application WO-1997/42188-A1 when Y is an indolyl group; Methoden der Organischen Chemie, E8a, 1020-1194 (1993) when Y is a benzoxazolyl group; Methoden der Organischen Chemie, E8b, 865-1062 (1994) when Y is a benzothiazolyl group; Methoden der Organischen Chemie, E8c, 216-391 (1994) when Y is a benzimidazolyl group; Methoden der Organischen Chemie, E8a, 226-348 (1993) when Y is a benzisoxazolyl group; Methoden der Organischen Chemie, E8a, 799-852 (1993) when Y is a benzisothiazolyl group; Methoden der Organischen Chemie, E8b, 764-864 (1994) when Y is an indazolyl group; Methoden der Organischen Chemie, E7a, 290-570 (1991) when Y is a quinolyl group; Methoden der Organischen Chemie, E7a, 571-758 (1991) when Y is an isoquinolyl group; Methoden der Organischen Chemie, E9a, 744-789 (1997) when Y is a phthalazinyl group; Methoden der Organischen Chemie, E9b/2, 93-265 (1998) when Y is a quinoxalinyl group; Methoden der Organischen Chemie, E9b/2, 1-192 (1998) when Y is a quinazolinyl group; Methoden der Organischen Chemie, E9a, 683-743 (1997) when Y is a cinnolinyl group; and Methoden der Organischen Chemie, E8d, 406-478 (1994) when Y is a benzotriazolyl group.

<Pre><Pre>coduction Process 2>

[0143]

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[0144] In the above reaction, R^1 , R^2 , R^3 , R^4 , R^5 and R^6 have the same definitions as given above. The carbon atoms of the pyrazole ring may be substituted with 1 to 2 same or different groups selected from the substituent group α .

[0145] A compound of the present invention represented by the general formula [36] can be produced by reacting a compound [35] of the present invention, produced by the Production Process 1, with an acid in a solvent.

[0146] This reaction is conducted ordinarily at 0 to 120°C for 10 minutes to 24 hours.

[0147] With respect to the amounts of the reagents used in the reaction, the amount of the acid is 1 to 10 equivalents per equivalent of the compound [35]; however, the amount can be varied appropriately depending upon the condition of the reaction.

[0148] As the acid, there can be mentioned, for example, hydrochloric acid, hydrobromic acid and trifluoroacetic acid. **[0149]** As the solvent, there can be mentioned, for example, halogenated hydrocarbons such as dichloroethane, carbon tetrachloride, chlorobenzene, dichlorobenzene and the like; amides such as N,N-dimethylacetamide, N,N-dimethylformamide, N-methyl-2-pyrrolidinone and the like; sulfur compounds such as dimethyl sulfoxide, sulfolane and the like; carboxylic acids such as formic acid, acetic acid and the like; and water.

<Production Process 3>

[0150]

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[0151] In the above reaction, n, L¹, R¹, R², R³, R⁴, R⁵, R⁶ and R¹⁰ have the same definitions as given above. The carbon atoms of the pyrazole ring may be substituted with 1 to 2 same or different groups selected from the substituent group α .

[0152] A compound of the present invention represented by the general formula [37] can be produced by reacting the compound [36] of the present invention with the compound [33] in a solvent in the presence of a base.

[0153] With respect to the amounts of the reagents used in the reaction, the amount of the compound [33] is 1 to 3 equivalents per equivalent of the compound represented by the general formula [36] and the amount of the base is 1 to 3 equivalents.

[0154] As the solvent, there can be mentioned, for example, ethers such as dioxane, tetrahydrofuran (THF) and the like; halogenated hydrocarbons such as dichloroethane, carbon tetrachloride, chlorobenzene, dichlorobenzene and the like; amides such as N,N-dimethylacetamide, N,N-dimethylformamide, N-methyl-2-pyrrolidinone and the like; sulfur compounds such as dimethyl sulfoxide, sulfolane and the like; aromatic hydrocarbons such as benzene, toluene, xylene and the like; alcohols such as methanol, ethanol, propanol, isopropanol, butanol, tert-butanol and the like; ketones such as acetone, 2-butanone and the like; nitriles such as acetonitrile and the like; water; and mixtures thereof.

[0155] As the base, there can be mentioned, for example, metal hydrides such as sodium hydride and the like; alkali metal amides such as sodium amide, lithium diisopropylamide and the like; organic bases such as pyridine, triethylamine, 1,8-diazabicyclo[5.4.0]-7-undecene and the like; alkali metal hydroxides such as sodium hydroxide, potassium hydroxide and the like; alkaline earth metal hydroxides such as calcium hydroxide, magnesium hydroxide and the like; alkali metal carbonates such as sodium carbonate, potassium carbonate and the like; alkali metal hydrogencarbonates such as sodium hydrogencarbonate, potassium hydrogencarbonate and the like; and metal alcoholates such as sodium methoxide, potassium tertbutoxide and the like.

<Production Process 4>

[0156]

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[0157] In the above reaction, R^1 , R^2 , R^3 , R^4 , R^5 and R^6 have the same definitions as given above; R^{11} is a hydrogen atom or substituent group α as mentioned above; X^3 is a chlorine atom, a fluorine atom, an alkylsulfonyl group or an optionally substituted benzylsulfonyl group; R^{12} is an alkyl group, a haloalkyl group, a cycloalkyl group, a cycloalkylalkyl group, an alkenyl group, an alkynyl group, an optionally substituted phenyl group, an optionally substituted aromatic heterocyclic group; R^{13} is an alkyl group, a haloalkyl group, an optionally substituted phenyl group, an optionally substituted aromatic heterocyclic group, an alkoxycarbonylalkyl group or an optionally substituted benzyl group; R^{14} and R^{15} may be the same or different and are each a hydrogen atom, an alkyl group, an optionally substituted phenyl group, an acyl group, a haloalkylcarbonyl group, an optionally substituted benzylcarbonyl group, an optionally substituted benzylsulfonyl group, an alkylsulfonyl group, an alkoalkylsulfonyl group, an optionally substituted benzylsulfonyl group or an optionally substituted benzylsulfonyl group, an alkylsulfonyl group, an alkylsulfonyl group, an optionally substituted benzylsulfonyl group or an optionally substituted benzylsulfonyl group, an alkylsulfonyl group, an optionally substituted benzylsulfonyl group, an optionally substituted benzylsulfonyl group, an optionally substituted benzylsulfonyl group, an optionally substituted benzylsulfonyl group, an optionally substituted benzylsulfonyl group, an optionally substituted benzylsulfonyl group, an optionally substituted benzylsulfonyl group, an optionally substituted benzylsulfonyl group, an optionally substituted benzylsulfonyl group, an optionally substituted benzylsulfonyl group, an optionally substituted benzylsulfonyl group, an optionally substituted benzylsulfonyl group, an optionally substituted benzylsulfonyl group, an optionally substituted benzylsulfonyl group, an optionally substituted benzylsul

[0158] Compounds of the present invention represented by the general formulas [40], [42] and [44] can be produced by reacting a compound of the present invention represented by the general formula [38] with a compound [39], a compound [41] and a compound [43], respectively, in the presence or absence of a solvent and, as necessary, in the presence of a base.

[0159] This reaction is conducted ordinarily at 20 to 200°C, preferably 30 to 180°C for 10 minutes to 48 hours and, as necessary, under pressure.

[0160] With respect to the amounts of the reagents used in the reaction, the amount of the compound [39], the

compound [41] or the compound [43] is 1 to 20 equivalents per equivalent of the compound [38].

[0161] As the base used as necessary, there can be mentioned, for example, alkali metal hydroxides such as potassium hydroxide, sodium hydroxide and the like; alkali metal hydrides such as potassium hydride, sodium hydride and the like; alkali metal alcoholates such as sodium ethoxide, sodium methoxide and the like; and organic bases such as 1,8-diazabicyclo[5.4.0]-7-undecene and the like.

[0162] As the solvent, there can be mentioned, for example, halogenated hydrocarbons such as chloroform and the like; ethers such as diethyl ether, tetrahydrofuran and the like; aromatic hydrocarbons such as benzene, toluene and the like; aliphatic hydrocarbons such as hexane, heptane and the like; ketones such as acetone, methyl isobutyl ketone and the like; esters such as ethyl acetate and the like; amides such as N-methylpyrrolidone, N,N-dimethylformamide and the like; sulfur compounds such as dimethyl sulfoxide, sulfolane and the like; acetonitrile; and mixtures thereof.

<Pre><Pre>roduction Process 5>

[0163]

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[0164] In the above reaction, R¹, R², R³, R⁴, R⁵, R⁶, R⁸, R¹¹ and Z have the same definitions as given above.

[0165] A compound of the present invention represented by the general formula [46] can be produced by reacting a compound [45] of the present invention with an acid in a solvent.

[0166] This reaction is conducted ordinarily at 0 to 120°C for 10 minutes to 24 hours.

[0167] With respect to the amounts of the reagents used in the reaction, the amount of the acid is desirably 1 to 10 equivalents per equivalent of the compound [45]; however, the amount can be varied appropriately depending upon the condition of the reaction.

[0168] As the acid and the solvent, there can be mentioned the same acids and solvents as mentioned in the Production Process 2.

<Pre><Production Process 6>

[0169]

[0170] In the above reaction, Y, R¹, R², R³, R⁴, R⁵, R⁶, R⁹ and L¹ have the same definitions as given above. Y may be substituted with 1 to 5 same or different groups selected from the substituent group α .

[0171] A compound represented by the general formula [48] according to the present invention can be produced by reacting a compound [47] of the present invention with the compound [30] in a solvent in the presence of a base.

[0172] This reaction is conducted ordinarily at 0 to 150°C for 10 minutes to 24 hours.

[0173] With respect to the amounts of the reagents used in the reaction, the amount of the acid is desirably 1 to 1.2

equivalents per equivalent of the compound [47]; however, the amount can be varied appropriately depending upon the condition of the reaction.

[0174] As the base and the solvent, there can be mentioned the same bases and solvents as mentioned in the Production Process 3.

<Pre><Pre>roduction Process 7>

[0175]

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[0176] In the above reaction, Y, R¹, R², R³, R⁴, and R⁶ have the same definitions as given above; and R¹⁷ is an alkyl group, an optionally substituted benzyl group or an optionally substituted phenyl group. Y may be substituted with 1 to 5 same or different groups selected from the substituent group α .

[0177] A compound represented by the general formula [50] according to the present invention can be produced by hydrolyzing a compound [49] of the present invention in water or a mixed solvent of water and other solvent in the presence or absence of a base.

[0178] This reaction is conducted ordinarily at 0 to 100°C for 10 minutes to 24 hours.

[0179] With respect to the amounts of the reagents used in the reaction, the amount of the base, when used, is desirably 1 to 2 equivalents per equivalent of the compound [49]; however, the amount can be varied appropriately depending upon the condition of the reaction.

[0180] As the base, there can be mentioned, for example, inorganic bases such as potassium carbonate, sodium hydride, sodium hydroxide and the like; and organic bases such as 1,8-diazabicyclo[5.4.0]-7-undecene and the like.

[0181] As the other solvent mixed with water, there can be mentioned, for example, alcohols such as methanol, ethanol and the like; ethers such as tetrahydrofuran and the like; ketones such as acetone, methyl isobutyl ketone and the like; amides such as N,N-dimethylformamide and the like; sulfur compounds such as dimethyl sulfoxide, sulfolane and the like; acetonitrile; and mixtures thereof.

<Production Process 8>

[0182]

NH₂OR¹⁸ (Hydrochloride or Sulfate)

R² R³
R⁴ R⁶
S - C-Y-COR⁸

Base $R^{1} \longrightarrow R^{2}$ $R^{1} \longrightarrow R^{4}$ $R^{1} \longrightarrow R^{5}$ $R^{2} \longrightarrow R^{1}$ $R^{2} \longrightarrow R^{1}$ $R^{2} \longrightarrow R^{1}$ $R^{2} \longrightarrow R^{1}$ $R^{2} \longrightarrow R^{2}$ $R^{3} \longrightarrow R^{4}$ $R^{5} \longrightarrow R^{5}$ $R^{1} \longrightarrow R^{5}$ $R^{5} \longrightarrow R^{5}$ [53]

[0183] In the above reaction, Y, R¹, R², R³, R⁴, R⁵, R⁶ and R⁸ have the same definitions as given above; and R¹⁸ is an alkyl group. Y may be substituted with 1 to 5 same or different groups selected from the substituent group α .

[0184] A compound represented by the general formula [53] according to the present invention can be produced by reacting a compound [51] of the present invention with a compound [52] in a solvent in the presence of a base.

[0185] This reaction is conducted ordinarily at 0 to 100°C for 10 minutes to 24 hours.

[0186] With respect to the amounts of the reagents used in the reaction, the amount of the hydrochloride or sulfate of the compound [52] is desirably 1 to 5 equivalents per equivalent of the compound [51] and the amount of the base

is desirably 1 to 10 equivalents; however, these amounts can be varied appropriately depending upon the condition of the reaction.

[0187] As the base, there can be mentioned, for example, metal carbonates such as potassium carbonate, sodium carbonate and the like; metal acetates such as potassium acetate, sodium acetate and the like; and organic bases such as triethylamine, dimethylamine, 1,8-diazabicyclo[5.4.0]-7-undecene and the like.

[0188] As the solvent, there can be mentioned, for example, alcohols such as methanol, ethanol and the like; ethers such as tetrahydrofuran and the like; amides such as N,N-dimethylformamide and the like; water; and mixtures thereof.

<Production Process 9>

[0189]

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[0190] In the above reaction, Y, R¹, R², R³, R⁴, R⁵ and R⁶ have the same definitions as given above; and R¹⁹ and R²⁰ are each a hydrogen atom or an alkyl group. Y may be substituted with 1 to 5 same or different groups selected from the substituent group α .

[0191] A compound represented by the general formula [57] according to the present invention can be produced by reacting the compound [50] of the present invention with thionyl chloride in the presence or absence of a solvent to obtain a compound [55] and then reacting the compound [55] with a compound [56] in the presence or absence of a solvent.

[0192] The reaction from the compound [50] to the compound [55] is conducted ordinarily at 0 to 100°C for 10 minutes to 24 hours

[0193] With respect to the amounts of the reagents used in the reaction, the amount of thionyl chloride [54] is desirably 1 to 100 equivalents per equivalent of the compound [50] but it can be varied appropriately depending upon the condition of the reaction.

[0194] As the solvent, there can be mentioned, for example, halogenated hydrocarbons such as dichloromethane, chloroform and the like; ethers such as diethyl ether, tetrahydrofuran and the like; and aromatic hydrocarbons such as benzene, toluene and the like.

[0195] The reaction from the compound [55] to the compound [57] is conducted ordinarily at 0 to 100° C for 10 minutes to 24 hours.

[0196] With respect to the amounts of the reagents used in the reaction, the amount of the compound [56] is desirably 1 to 100 equivalents per equivalent of the compound [55] but it can be varied appropriately depending upon the condition of the reaction.

[0197] As the solvent, there can be mentioned, for example, the same solvents as used in the reaction from the compound [50] to the compound [55].

<Pre><Pre>roduction Process 10>

[0198]

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[0199] In the above reaction, Z, R¹, R², R³, R⁴, R⁵, R⁶, R¹¹ and X³ have the same definitions as given above.

[0200] A compound represented by the general formula [59] according to the present invention can be produced by reacting the compound [38] of the present invention with a compound [58] in a solvent.

[0201] This reaction is conducted ordinarily at 0 to 100°C for 10 minutes to 24 hours.

[0202] With respect to the amounts of the reagents used in the reaction, the amount of the compound [58] is desirably 1 to 2 equivalents per equivalent of the compound [38] but it can be varied appropriately depending upon the condition of the reaction.

[0203] As the solvent, there can be mentioned, for example, ethers such as dioxane, tetrahydrofuran (THF) and the like; halogenated hydrocarbons such as dichloroethane, carbon tetrachloride, chlorobenzene, dichlorobenzene and the like; amides such as N,N-dimethylacetamide, N,N-dimethylformamide, N-methyl-2-pyrrolidinone and the like; sulfur compounds such as dimethyl sulfoxide, sulfolane and the like; ketones such as acetone, 2-butanone and the like; nitriles such as acetonitrile and the like; water; and mixtures thereof.

<Pre><Pre>roduction Process 11>

[0204]

[0205] In the above reaction, Y, R¹, R², R³, R⁴, R⁵ and R⁶ have the same definitions as given above; and R²¹ is an alkyl group, a haloalkyl group, a cycloalkyl group, a cycloalkylalkyl group, an alkenyl group, an alkynyl group, an alkynyl group, an alkoxycarbonylalkyl group, an optionally substituted heteroalkyl group or an optionally substituted benzyl group. Y may be substituted with 1 to 5 same or different groups selected from the substituent group α .

[0206] A compound represented by the general formula [61] according to the present invention can be produced by reacting the compound [47] of the present invention with a compound [60] in the presence of an azo compound and triphenylphosphine in a solvent according to a known method [Synthesis, 1-28 (1981)].

[0207] This reaction is conducted ordinarily at 0 to 100°C for 10 minutes to 24 hours.

[0208] With respect to the amounts of the reagents used in the reaction, the amounts of the compound [60], the azo compound and triphenylphosphine are desirably each 1 to 1.5 equivalents per equivalent of the compound [47] but the amounts can be varied appropriately depending upon the condition of the reaction.

[0209] As the solvent, there can be mentioned, for example, ethers such as dioxane, tetrahydrofuran (THF) and the like; halogenated hydrocarbons such as dichloroethane, carbon tetrachloride, chlorobenzene, dichlorobenzene and the like; amides such as N,N-dimethylacetamide, N,N-dimethylformamide, N-methyl-2-pyrrolidinone and the like; sulfur compounds such as dimethyl sulfoxide, sulfolane and the like; aromatic hydrocarbons such as benzene, toluene, xylene

and the like; acetonitrile; and mixtures thereof.

[0210] As the azo compound, there can be mentioned, for example, diethyl azodicarboxylate and diisopropyl azodicarboxylate.

5 < Production Process 12>

[0211]

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[0212] In the above reaction, X^3 , n, R^1 , R^2 , R^3 , R^4 , R^5 , R^6 and Z have the same definitions as given above; and m is an integer of 1 to 4. The carbon atom of the 3-position of the pyrazole ring may be substituted with a group selected from the substituent group α .

[0213] A compound represented by the general formula [63] according to the present invention can be produced by reacting a compound [62] of the present invention in the presence of a base in a solvent.

[0214] This reaction is conducted ordinarily at 0 to 120°C for 10 minutes to 24 hours.

[0215] With respect to the amounts of the reagents used in the reaction, the amount of the base is desirably 1 to 3 equivalents per equivalent of the compound represented by the general formula [62] but the amount can be varied appropriately depending upon the condition of the reaction.

[0216] As the base and the solvent, there can be mentioned the same bases and solvents as mentioned in the Production Process 3.

[0217] Incidentally, the sulfide compound mentioned in the Production Process 2 or the Production Processes 4 to 11 can be converted into a sulfoxide compound or a sulfone compound by oxidation according to the method described in the Production Process 1. Furthermore, the sulfide compound mentioned in the Production Process 2 or the Production Processes 4 to 11 wherein substituent Y is substituted by C1 to C10 alkylthio group, C1 to C10 alkylthio group mono-substituted with a group selected from the substituent group γ or C1 to C4 haloalkylthio group, can be converted into a sulfoxide compound or a sulfone compound according to the method described in the Production Process 1, by adding equi-molar to excess amount of an oxidizing agent to the sulfide compound; oxidizing the substituent substituted to substituent Y (C1 to C10 alkylthio group, C1 to C10 alkylthio group mono-substituted with a group selected from the substituent group γ or C1 to C4 haloalkylthio group) at the same time, and convert these substituent into a sulfoxide group or a sulfone group.

[0218] Then, specific description is made on the production process of the present compound, the production method of the present herbicide and the application of the present herbicide by way of Examples. Description is also made on the production process of each intermediate of the present compound.

<Example 1>

Production of 3-(5-chloro-1-phenyl-3-trifluoromethyl-1H-pyrazol-4-ylmethylthio)-5,5-dimethyl-2-isoxazoline (present compound No. 3-0001)

[0219] 2.1 g of sodium hydrosulfide hydrate (purity: 70%, 26.2 mmoles) was added to a solution of 2.3 g (13.1 mmoles) of 5,5-dimethyl-3-methylsulfonyl-2-isoxazoline dissolved in 20 ml of N,N-dimethylformamide. The mixture was stirred for 2 hours. Thereto were added 1.8 g (13.1 mmoles) of anhydrous potassium carbonate, 2.0 g (13.1 mmoles) of Rongalit and 3.6 g (10.5 mmoles) of 4-bromomethyl-5-chloro-1-phenyl-3-trifluoromethyl-1H-pyrazole. The resulting mixture was stirred at room temperature for 15 hours to give rise to a reaction. After the completion of the reaction, the reaction mixture was poured into water, followed by extraction with ethyl acetate. The resulting organic layer was washed with an aqueous sodium chloride solution and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein. The residue was purified by silica gel column chromatography (developing solvent: hexane-ethyl acetate mixed solvent) to obtain 2.7 g (yield: 65.5%) of 3-(5-chloro-1-phenyl-3-trifluoromethyl-1H-pyrazol-4-ylmethylthio)-5,5-dimethyl-2-isoxazoline as white crys-

<Example 2>

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Production of 3-(5-chloro-1-phenyl-3-trifluoromethyl-1H-pyrazol-4-ylmethylsulfonyl)-5,5-dimethyl-2-isoxazoline (present compound No. 3-0002)

[0220] 0.63 g of m-chloroperbenzoic acid (purity: 70%, 2.6 mmoles) was added, with ice-cooling, to a solution of 0.4 g (1.0 mmoles) of 3-(5-chloro-1-phenyl-3-trifluoromethyl-1H-pyrazol-4-ylmethylthio)-5,5-dimethyl-2-isoxazoline dissolved in 15 ml of chloroform. The mixture was stirred at room temperature for 22 hours to give rise to a reaction. After the completion of the reaction, the reaction mixture was poured into water, followed by extraction with chloroform. The resulting organic layer was washed with an aqueous sodium hydrogensulfite solution, an aqueous sodium hydrogencarbonate solution and an aqueous sodium chloride solution in this order and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein. The resulting crystals were washed with hexane to obtain 0.4 g (yield: 83.2%) of 3-(5-chloro-1-phenyl-3-trifluoromethyl-1H-pyrazol-4-ylmethylsulfonyl)-5,5-dimethyl-2-isoxazoline as white crystals (melting point: 132 to 133°C). 1 H-NMR [CDCl₃/TMS, δ (ppm)]:

7.60-7.51 (5H,m), 4.37 (2H,s), 3.14 (2H,s) 1.53 (6H,s)

<Example 3>

Production of 3-(5-chloro-1-methyl-3-phenyl-IH-pyrazol-4-ylmethylsulfinyl)-5,5-dimethyl-2-isoxazoline (present compound No. 3-0003)

[0221] 0.87 g of m-chloroperbenzoic acid (purity: 70%, 3.54 mmoles) was added, with ice-cooling, to a solution of 0.85 g (2.53 mmoles) of 3-(5-chloro-1-methyl-3-phenyl-IH-pyrazol-4-ylmethylthio)-5,5-dimethyl-2-isoxazoline dissolved in 30 ml of chloroform. The mixture was stirred at room temperature for 1 hour to give rise to a reaction. After the completion of the reaction, the reaction mixture was poured into water, followed by extraction with chloroform. The resulting organic layer was washed with an aqueous sodium hydrogensulfite solution, an aqueous sodium hydrogencarbonate solution and an aqueous sodium chloride solution in this order and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein. The residue was purified by silica gel column chromatography (developing solvent: hexane-ethyl acetate mixed solvent) to obtain 0.48 g (yield: 53.9%) of 3-(5-chloro-1-methyl-3-phenyl-IH-pyrazol-4-ylmethylsulfinyl)-5,5-dimethyl-2-isoxazoline as a transparent viscous substance.

¹H-NMR [CDCl₃/TMS, δ (ppm)]:

7.63-7.60 (2H,m), 7.48-7.37 (3H,m), 4.29 (2H,q), 3.91 (3H,s), 3.12 (1H,d), 2.79 (1H,d), 1.41 (3H,s), 1.35 (3H,s)

<Example 4>

Production of 5,5-dimethyl-3-(5-fluoro-1-phenyl-3-trifluoromethyl-1H-pyrazol-4-ylmethylthio)-2-isoxazoline (present compound No. 3-0021)

[0222] 9.3 g of sodium hydrosulfide hydrate (purity: 70%, 116.3 mmoles) was added to a solution of 18.7 g (105.7 mmoles) of 5,5-dimethyl-3-methylsulfonyl-2-isoxazoline (present compound No. 2-1) dissolved in 300 ml of N,N-dimethylformamide. The mixture was stirred for 2 hours. The reaction system was ice-cooled. Thereto was added a solution of 30.3 g (93.8 mmoles) of 4-bromomethyl-5-fuoro-1-phenyl-3-trifluoromethyl-1H-pyrazole dissolved in 200 ml of N,N-dimethylformamide. The mixture was stirred at 0°C for 30 minutes to give rise to a reaction. After the completion of the reaction, the reaction mixture was poured into water, followed by extraction with ethyl acetate. The resulting organic layer was washed with an aqueous sodium chloride solution and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein. The residue was purified by silica gel column chromatography (developing solvent: hexane-ethyl acetate mixed solvent) to obtain 13.11 g (yield: 37.4%) of 5,5-dimethyl-3-(5-fluoro-1-phenyl-3-trifluoromethyl-1H-pyrazol-4-ylmethylthio)-2-isoxazoline as a yellow oily substance.

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<sup>1</sup>H-NMR [CDCl<sub>3</sub>/TMS, δ (ppm)]: 7.65-7.39 (5H,m), 4.24 (2H,s), 2.81 (2H,s), 1.43 (6H,s)
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<Example 5>

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Production of 5,5-dimethyl-3-(5-ehtylthio-1-phenyl-3-trifluoromethyl-1H-pyrazol-4-ylmethylthio)-2-isoxazoline (present compound No. 3-0022)

[0223] 0.2 g (4.0 mmoles) of sodium hydroxide and 1 ml of water were added to a solution of 0.25 g (4.0 mmoles) of ethanethiol dissolved in 10 ml of N,N-dimethylformamide. The mixture was stirred at room temperature for 30 minutes. Thereto was added a solution of 0.5 g (1.4 mmoles) of 5,5-dimethyl-3-(5-fluoro-1-phenyl-3-trifluoromethyl-IH-pyrazol-4-ylmethylthio)-2-isoxazoline dissolved in 5 ml of N,N-dimethylformamide. The resulting mixture was stirred for 1 hour to give rise to a reaction. After the completion of the reaction, the reaction mixture was poured into water, followed by extraction with ethyl acetate. The resulting organic layer was washed with an aqueous sodium chloride solution and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein, to obtain 0.6 g (yield: 100%) of 5,5-dimethyl-3-(5-ethylthio-1-phenyl-3-trifluoromethyl-1H-pyrazol-4-ylmethylthio)-2-isoxazoline.

¹H-NMR [CDCl₃/TMS, δ (ppm)]:

7.62-7.47 (5H,m), 4.44 (2H,s), 2.83 (2H,s), 2.50 (2H,q), 1.45 (6H,s), 1.02 (3H,t)

<Example 6>

Production of 5,5-dimethyl-3-(5-ethylsulfonyl-1-phenyl-3-trifluoromethyl-1H-pyrazol-4-ylmethylsulfonyl)-2-isoxazoline (present compound No. 3-0004)

[0224] 1.7 g of m-chloroperbenzoic acid (purity: 70%, 6.7 mmoles) was added, with ice-cooling, to a solution of 0.6 g (1.3 mmoles) of 5,5-dimethyl-3-(5-ethylthio-1-phenyl-3-trifluoromethyl-1H-pyrazol-4-ylmethylthio)-2-isoxazoline dissolved in 10 ml of chloroform. The mixture was stirred at room temperature for 16 hours to give rise to a reaction. After the completion of the reaction, the reaction mixture was poured into water, followed by extraction with chloroform. The resulting organic layer was washed with an aqueous sodium hydrogensulfite solution, an aqueous sodium hydrogencarbonate solution and an aqueous sodium chloride solution in this order and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein. The resulting crystals were washed with hexane to obtain 0.6 g (yield: 93.0%) of 5,5-dimethyl-3-(5-ethylsulfonyl-1-phenyl-3-trifluoromethyl-IH-pyrazol-4-ylmethylsulfonyl)-2-isoxazoline as light yellow crystals (melting point: 158 to 160° C).

1H-NMR [CDCl₃/TMS, δ (ppm)]:

7.58-7.54 (5H,m), 5.16 (2H,s), 3.18 (2H,s), 3.15 (2H,q), 1.55 (6H,s), 1.24 (3H,t)

35 <Example 7>

Production of 5,5-dimethyl-3-(5-dimethylamino-1-phenyl-3-trifluoromethyl-1H-pyrazol-4-ylmethylthio)-2-isoxazoline (present compound 3-0023)

[0225] 0.8 g (6.7 mmoles) of a 40% aqueous dimethylamine solution was added to a solution of 0.5 g (1.3 mmoles) of 5,5-dimethyl-3-(5-fluoro-1-phenyl-3-trifluoromethyl-IH-pyrazol-4-ylmethylthio)-2-isoxazoline dissolved in 10 ml of N, N-dimethylformamide. The mixture was stirred at 100°C for 9 hours in a sealed tube. Thereto was added 3.0 g (26.6 mmoles) of a 40% aqueous dimethylamine solution, and the resulting mixture was stirred for 9 hours to give rise to a reaction. After the completion of the reaction, the reaction mixture was poured into water, followed by extraction with ethyl acetate. The resulting organic layer was washed with an aqueous sodium chloride solution and then dried over anhydrous sodium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein. The residue was purified by silica gel column chromatography (developing solvent: hexane-ethyl acetate mixed solvent) to obtain 0.4 g (yield: 80.6%) of 5,5-dimethyl-3-(5-dimethylamino-1-phenyl-3-trifluoromethyl-1H-pyrazol-4-yl-methylthio)-2-isoxazoline.

⁵⁰ ¹H-NMR [CDCl₃/TMS, δ (ppm)]:

7.58-7.38 (5H,m), 4.35 (2H,s), 2.82 (2H,s), 2.77 (6H,s), 1.45 (6H,s)

<Example 8>

Production of 5,5-dimethyl-3-(5-dimethylamino-1-phenyl-3-trifluoromethyl-1H-pyrazol-4-ylmethylsulfonyl)-2-isoxazoline (present compound 3-0005)

[0226] 0.7 g of m-chloroperbenzoic acid (purity: 70%, 2.7 mmoles) was added, with ice-cooling, to a solution of 0.4

g (1.1 mmoles) of 5,5-dimethyl-3-(5-dimethylamino-1-phenyl-3-trifluoromethyl-IH-pyrazol-4-ylmethylthio)-2-isoxazoline dissolved in 10 ml of chloroform. The mixture was stirred at room temperature for 20 hours to give rise to a reaction. After the completion of the reaction, the reaction mixture was poured into water, followed by extraction with chloroform. The resulting organic layer was washed with an aqueous sodium hydrogensulfite solution, an aqueous sodium hydrogencarbonate solution and an aqueous sodium chloride solution in this order and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein. The resulting crystals were washed with hexane to obtain 0.2 g (yield: 52.0%) of 5,5-dimethyl-3- (5-dimethylamino-1-phenyl-3-trifluoromethyl-1H-pyrazol-4-ylmethylsulfonyl)-2-isoxazoline as a white powder (melting point: 150 to 151°C). 1 H-NMR [CDCl₃/TMS, δ (ppm)]:

7.61-7.38 (5H,m), 4.75 (2H,s), 3.13 (2H,s), 2.76 (6H,s), 1.53 (6H,s)

<Example 9>

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Production of 3-(1-tert-butyl-5-chloro-3-trifluoromethyl-1H-pyrazol-4-ylmethylthio)-5,5-dimethyl-2-isoxazoline (present compound No. 3-0006)

[0227] 21.8 g of sodium hydrosulfide (purity: 70%, 272.5 mmoles) was added to a solution of 24.1 g (136.0 mmoles) of 5,5-dimethyl-3-methylsulfonyl-2-isoxazoline dissolved in 200 ml of N,N-dimethylformamide. The mixture was stirred for 1 hour. Thereto were added 18.8 g (136.2 mmoles) of anhydrous potassium carbonate and 21.0 g (136.2 mmoles) of Rongalit. The resulting mixture was stirred for 2 hours. Thereto was added, with ice-cooling, 40 g (125 mmoles) of 4-bromomethyl-1-tert-butyl-5-chloro-3-trifluoromethyl-1H-pyrazole. The resulting mixture was stirred at room temperature for 2 hours to give rise to a reaction. After the completion of the reaction, the reaction mixture was poured into water, followed by extraction with ethyl acetate. The resulting organic layer was washed with water and an aqueous sodium chloride solution and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein. The residue was purified by silica gel column chromatography (developing solvent: hexane-ethyl acetate mixed solvent) to obtain 23.0 g (yield: 57.1%) of 3-(1-tert-butyl-5-chloro-3-trifluoromethyl-IH-pyrazol-4-ylmethylthio)-5,5-dimethyl-2-isoxazoline as light pink crystals (melting point: 79.0 to 81.0°C).

¹H-NMR [CDCl₃/TMS, δ (ppm)]: 4.24 (2H,s), 2.80 (2H,s), 1.71 (9H,s), 1.43 (6H,s)

<Example 10>

Production of 3-(5-chloro-3-trifluoromethyl-IH-pyrazol-4-ylmethylthio)-5,5-dimethyl-2-isoxazoline (present compound No. 3-0007)

[0228] 19.8 g (53.4 mmoles) of 3-(1-tert-butyl-5-chloro-3-trifluoromethyl-1H-pyrazol-4-ylmethylthio)-5,5-dimethyl-2-isoxazoline was added to 170 ml of a 25% hydrogen bromide-acetic acid solution. The mixture was stirred at 40 to 50°C for 2 hours to give rise to a reaction. After the completion of the reaction was confirmed, the reaction mixture was poured into water, followed by extraction with ethyl acetate. The resulting organic layer was washed with water and an aqueous sodium chloride solution and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein, to obtain 12.0 g (yield: 60.6%) of 3-(5-chloro-3-trifluoromethyl-1H-pyrazol-4-ylmethylthio)-5,5-dimethyl-2-isoxazoline as light yellow crystals (melting point: 120.0 to 122.0°C).

¹H-NMR [CDCl₃/TMS, δ (ppm)] : 4.26 (2H,s), 2.81 (2H,s), 1.44 (6H,s)

<Example 11>

Production of 3-(5-chloro-1-difluoromethyl-3-trifluoromethyl-1H-pyrazol-4-ylmethylthio)-5,5-dimethyl-2-isoxazoline (present compound No. 3-0008) and 3-3-(3-chloro-1-difluoromethyl-5-trifluoromethyl-1H-pyrazol-4-ylmethylthio)-5,5-dimethyl-2-isoxazoline (present compound 3-0009)

[0229] 3.1 g (22.5 mmoles) of anhydrous potassium carbonate was added to a solution of 2.3 g (7.3 mmoles) of 3-(5-chloro-3-trifluoromethyl-1H-pyrazol-4-ylmethylthio)-5,5-dimethyl-2-isoxazoline dissolved in 50 ml of N,N-dimethylformamide. Thereinto was blown chlorodifluoromethane. The resulting mixture was stirred at 130 to 140°C for 3 hours to give rise to a reaction. After confirmation of the completion of the reaction, the reaction mixture was pored into water, followed by extraction with ethyl acetate. The resulting organic layer was washed with water and an aqueous sodium

chloride solution and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein. The residue was purified by silica gel column chromatography (developing solvent: hexane-ethyl acetate mixed solvent) to obtain 0.69 g (yield: 25.8%) of 3-(5-chloro-1-difluoromethyl-3-trifluoromethyl-IH-pyrazol-4-ylmethylthio)-5,5-dimethyl-2-isoxazoline as light yellow crystals (melting point: 41.0 to 42.0°C) and 0.54 g (yield: 20.2%) of 3-(3-chloro-1-difluoromethyl-5-trifluoromethyl-IH-pyrazol-4-ylmethylthio)-5,5-dimethyl-2-isoxazoline as a white powder (melting point: 89.0 to 90.0°C).

3-(5-Chloro-1-difluoromethyl-3-trifluoromethyl-IH-pyrazol-4-ylmethylthio)-5,5-dimethyl-2-isoxazoline 1 H-NMR [CDCl₃/TMS, δ (ppm)]:

7.22 (1H,t), 4.25 (2H,s), 2.80 (2H,s), 0.44 (6H,s) 3-(3-Chloro-1-difluoromethyl-5-trifluoromethyl-1H-pyrazol-4-yl-methylthio)-5,5-dimethyl-2-isoxazoline

¹H-NMR [CDCl₃/TMS, δ (ppm)]:

7.19 (1H, t), 4.28 (2H,s), 2.80 (2H,s), 1.44 (6H,s)

<Example 12>

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Production of 3-(5-chloro-1-difluoromethyl-3-trifluoromethyl-1H-pyrazol-4-ylmethylsulfonyl)-5,5-dimethyl-2-isoxazoline (present compound No. 3-0010)

[0230] 1.4 g of m-chloroperbenzoic acid (purity: 70%, 8.1 mmoles) was added, with ice-cooling, to a solution of 0.69 g (1.9 mmoles) of 3-(5-chloro-1-difluoromethyl-3-trifluoromethyl-1H-pyrazol-4-ylmethylthio)-5,5-dimethyl-2-isoxazoline dissolved in 20 ml of chloroform. The mixture was stirred for 1 hour and then at room temperature for 12 hours to give rise to a reaction. After confirmation of the completion of the reaction, the reaction mixture was poured into water, followed by extraction with chloroform. The resulting organic layer was washed with an aqueous sodium hydrogensulfite solution, an aqueous sodium hydrogencarbonate solution, water and an aqueous sodium chloride solution in this order and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein. The resulting solid was washed with n-hexane to obtain 0.4 g (yield: 53.3%) of 3-(5-chloro1-difluoromethyl-3-trifluoromethyl-1H-pyrazol-4-ylmethylsulfonyl)-5,5-dimethyl-2-isoxazoline as a white powder (melting point: 126.0 to 127.0° C).

¹H-NMR [CDCl₃/TMS, δ (ppm)]:

7.26 (1H,t), 4.68 (2H,s), 3.11 (2H,s), 1.53 (6H,s)

<Example 13>

Production of 3-(3-chloro-1-difluoromethyl-5-trifluoromethyl-1H-pyrazol-4-ylmethylsulfonyl)-5, 5-dimethyl-2-isoxazoline (present compound No. 3-0011)

[0231] 1.1 g of m-chloroperbenzoic acid (purity: 70%, 6.4 mmoles) was added, with ice-cooling, to a solution of 0.54 g (1.5 mmoles) of 3-(3-chloro-1-difluoromethyl-5-trifluoromethyl-1H-pyrazol-4-ylmethylthio)-5,5-dimethyl-2-isoxazoline dissolved in 20 ml of chloroform. The mixture was stirred for 1 hour and then at room temperature for 12 hours to give rise to a reaction. After confirmation of the completion of the reaction, the reaction mixture was poured into water, followed by extraction with chloroform. The resulting organic layer was washed with an aqueous sodium hydrogensulfite solution, an aqueous sodium hydrogencarbonate solution, water and an aqueous sodium chloride solution in this order and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein. The resulting solid was washed with n-hexane to obtain 0.47 g (yield: 79.7%) of 3-(3-chloro-1-difluoromethyl-5-trifluoromethyl-1H-pyrazol-4-ylmethylsulfonyl)-5,5-dimethyl-2-isoxazoline as a white powder (melting point: 136.0 to 137.0° C).

¹R-NNR [CDCl₃/TMS, δ (ppm)]:

7.23 (1H,t), 4.71 (2H,s), 3.11 (2H,s), 1.53 (6H,s)

50 < Example 14>

Production of 5,5-dimethyl-3-(3-methoxy-1-methyl-5-trifluoromethyl-1H-pyrazol-4-ylmethylthio)-2-isoxazoline (present compound No. 3-0024)

[0232] 3.1 g of sodium hydrosulfide hydrate (purity: 70%, 22.0 mmoles) was added to a solution of 3.3 g (17.3 mmoles) of 5,5-dimethyl-3-ethylsulfonyl-2-isoxazoline dissolved in 10 ml of N,N-dimethylformamide. The mixture was stirred for 2 hours. Thereto were added 3.1 g (22.0 mmoles) of anhydrous potassium carbonate, 2.7 g (17.5 mmoles) of Rongalit and 4.0 g (17.5 mmoles) of 4-chloromethyl-3-methoxy-1-methyl-5-trifluoromethyl-1H-pyrazole. The resulting mixture

was stirred at room temperature for 2 hours to give rise to a reaction. After the completion of the reaction, the reaction mixture was poured into water, followed by extraction with ethyl acetate. The resulting organic layer was washed with an aqueous sodium chloride solution and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein. The residue was purified by silica gel column chromatography (developing solvent: hexane-ethyl acetate mixed solvent) to obtain 2.8 g (yield: 52.0%) of 5,5-dimethyl-3-(3-methoxy-1-methyl-5-trifluoromethyl-IH-pyrazol-4-ylmethylthio)-2-isoxazoline.

<Example 15>

Production of 5,5-dimethyl-3-(3-hydroxy-1-methyl-5-trifluoromethyl-1H-pyrazol-4-ylmethylthio)-2-isoxazoline (present compound No. 3-0025)

[0233] To 20 ml of a 25% hydrogen bromide acetic acid solution was added 3.3 g (10.6 mmoles) of 5,5-dimethyl-3-(3-methoxy-1-methyl-5-trifluoromethyl-IH-pyrazol-4-ylmethylthio)-2-isoxazoline. The mixture was stirred at 50°C for 3 hours to give rise to a reaction. After the completion of the reaction, the reaction mixture was subjected to vacuum distillation to remove the solvent contained therein. The residue was poured into water. The resulting crystals were collected by filtration, washed with water and dried to obtain 3.1 g (yield: 96.0%) of intended 5,5-dimethyl-3-(3-hydroxy-1-methyl-5-trifluoromethyl-1H-pyrazol-4-ylmethylthio)-2-isoxazoline.

20 <Example 16>

Production of 5,5-dimethyl-3-(3-ethoxy-1-methyl-5-trifluoromethyl-1H-pyrazol-4-ylmethylthio)-2-isoxazoline (present compound No. 3-0026)

[0234] 0.20 g (1.3 mmoles) of anhydrous potassium carbonate and 0.20 g (1.5 mmoles) of ethyl iodide were added to a solution of 0.30 g (1.0 mmoles) of 5,5-dimethyl-3-(3-hydroxy-1-methyl-5-trifluoromethyl-1H-pyrazol-4-ylmethylth-io)-2-isoxazoline dissolved in 10 ml of N,N-dimethylformamide. The mixture was stirred at 50°C for 3 hours to give rise to a reaction. After the completion of the reaction, the reaction mixture was poured into water, followed by extraction with ethyl acetate. The resulting organic layer was washed with an aqueous sodium chloride solution and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein, to obtain 0.30 g (yield: 92.0%) of intended 5,5-dimethyl-3-(3-ethoxy-1-methyl-5-trifluoromethyl-IH-pyrazol-4-ylmethylthio)-2-isoxazoline.

<Example 17>

Production of 5,5-dimethyl-3-(3-ethoxy-1-methyl-5-trifluoromethyl-1H-pyrazol-4-ylmethylsulfonyl)-2-isoxazoline (present compound No. 3-0012)

[0235] 0.68 g of m-chloroperbenzoic acid (purity: 70%, 2.76 mmoles) was added, with ice-cooling, to a solution of 0.30 g (0.92 mmoles) of 5,5-dimethyl-3-(3-ethoxy-1-methyl-5-trifluoromethyl-1H-pyrazol-4-ylmethylthio)-2-isoxazoline dissolved in 10 ml of chloroform. The mixture was stirred at room temperature for 5 hours to give rise to a reaction. After the completion of the reaction, the reaction mixture was poured into water, followed by extraction with chloroform. The resulting organic layer was washed with an aqueous sodium hydrogensulfite solution, an aqueous sodium hydrogencarbonate solution and an aqueous sodium chloride solution in this order and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein. The resulting crystals were washed with hexane to obtain 0.24 g (yield: 73.0%) of 5,5-dimethyl-3-(3-ethoxy-1-methyl-5-trifluoromethyl-1H-pyrazol-4-ylmethylsulfonyl)-2-isoxazoline as white crystals (melting point: 124 to 125°C). 1 H-NMR [CDCl₃/TMS, δ (ppm)]:

4.50 (2H,s), 4.27 (2H,q), 3.86 (3H,s), 3.04 (2H,s), 1.49 (6H,s), 1.39 (3H,t)

<Example 18>

Production of 5,5-dimethyl-3-(5-fluoro-1-methyl-3-trifluoromethyl-1H-pyrazol-4-ylmethylthio)-2-isoxazoline (present compound No. 3-0027)

[0236] 19.3 g of sodium hydrosulfide (purity: 70%, 344.6 mmoles) was added to a solution of 21.3 g (120.3 mmoles) of 5,5-dimethyl-3-methylsulfonyl-2-isoxazoline dissolved in 200 ml of N,N-dimethylformamide. The mixture was stirred for 1 hour. Thereto were added 16.7 g (121.0 mmoles) of anhydrous potassium carbonate and 18.6 g (120.7 mmoles)

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of Rongalit. The resulting mixture was stirred for 2 hours. Thereto was added, with ice-cooling, 31.4 g (120.3 mmoles) of 4-bromomethyl-5-fluoro-1-methyl-3-trifluoromethyl-1H-pyrazole. The resulting mixture was stirred at room temperature for 2 hours to give rise to a reaction. After confirmation of the completion of the reaction, the reaction mixture was poured into water, followed by extraction with ethyl acetate. The resulting organic layer was washed with water and an aqueous sodium chloride solution and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein, to obtain 29.0 g (yield: 90.3%) of 5,5-dimethyl-3-(5-fluoro-1-methyl-3-trifluoromethyl-1H-pyrazol-4-ylmethylthio)-2-isoxazoline as a yellow oily substance. 1 H-NMR [CDCl₃/TMS, δ (ppm)]:

4.24 (2H,s), 3.90 (3H,s), 2.78 (2H,s), 1.42 (6H,s)

<Example 19>

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Production of 5,5-dimethyl-3-(5-methoxy-1-methyl-3-trifluoromethyl-1H-pyrazol-4-ylmethylthio)-2-isoxazoline (present compound No. 3-0028)

[0237] 0.77 g (4.0 mmoles) of sodium methoxide (a 28% methanol solution) was added to a solution of 0.5 g (1.6 mmoles) of 5,5-dimethyl-3-(5-fluoro-1-methyl-3-trifluoromethyl-1H-pyrazol-4-ylmethylthio)-2-isoxazoline dissolved in 20 ml of methanol. The mixture was stirred for 4 hours under refluxing, to give rise to a reaction. After confirmation of the completion of the reaction, the reaction mixture was poured into water, followed by extraction with ethyl acetate. The resulting organic layer was washed with water and an aqueous sodium chloride solution and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein, to obtain 0.5 g (yield: 96.7%) of 5,5-dimethyl-3-(5-methoxy-1-methyl-3-trifluoromethyl-1H-pyrazol-4-yl-methylthio)-2-isoxazoline as a yellow oily substance.

¹H-NMR [CDCl₃/TMS, δ (ppm)]:

4.26 (2H,s), 4.07 (3H,s), 3.72 (3H,s), 2.80 (2H,s), 1.43 (6H,s)

<Example 20>

Production of 5,5-dimethyl-3-(5-methoxy-1-methyl-3-trifluoromethyl-1H-pyrazol-4-ylmethylsulfonyl)-2-isoxazoline (present compound No. 3-0013)

[0238] 1.3 g of m-chloroperbenzoic acid (purity: 70%, 7.5 mmoles) was added, with ice-cooling, to a solution of 0.5 g (1.5 mmoles) of 5,5-dimethyl-3-(5-methoxy-1-methyl-3-trifluoromethyl-1H-pyrazol-4-ylmethylthio)-2-isoxazoline dissolved in 20 ml of chloroform. The mixture was stirred for 1 hour and then at room temperature for 12 hours to give rise to a reaction. After confirmation of the completion of the reaction, the reaction mixture was poured into water, followed by extraction with chloroform. The resulting organic layer was washed with an aqueous sodium hydrogensulfite solution, an aqueous sodium hydrogencarbonate solution, water and an aqueous sodium chloride solution in this order and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein. The resulting solid was washed with n-hexane to obtain 0.31 g (yield: 58.2%) of 5,5-dimethyl-3-(5-methoxy-1-methyl-3-trifluoromethyl-1H-pyrazol-4-ylmethylsulfonyl)-2-isoxazoline as a white powder (melting point: 113.0 to 114.0°C).

¹H-NMR [CDCl₃/TMS, δ (ppm)]: 4.60 (2H,s), 4.11 (3H,s), 3.79 (3H,s), 3.10 (2H,s), 1.51(6H,s)

45 <Example 21>

Production of 3-(5-(2-chlorophenoxy)-1-methyl-3-trifluoromethyl-1H-pyraxzol-4-ylmethylthio)-5,5-dimethyl-2-isoxazoline (present compound No. 3-0029)

[0239] 0.2 g (8.3 mmoles) of sodium hydride (purity: 60%) was added, with ice-cooling, to a solution of 0.44 g (3.4 mmoles) of 2-chlorophenol dissolved in 30 ml of N,N-dimethylformamide. The mixture was stirred for 1 hour. Thereto was added 0.7 g (2.2 mmoles) of 5,5-dimethyl-3-(5-fluoro-1-methyl-3-trifluoromethyl-1H-pyrazol-4-ylmethylthio)-2-iso-xazoline. The resulting mixture was stirred at 120 to 130°C for 5 hours to give rise to a reaction. After confirmation of the completion of the reaction, the reaction mixture was poured into water, followed by extraction with ethyl acetate. The resulting organic layer was washed with water and an aqueous sodium chloride solution and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein. The residue was purified by silica gel column chromatography (developing solvent: hexane-ethyl acetate mixed solvent) to obtain 0.63 g (yield: 66.7%) of 3-(5-(2-chlorophenoxy)-1-methyl-3-trifluoromethyl-1H-pyraxzol-4-yl-

methylthio)-5,5-dimethyl-2-isoxazoline as a yellow oily substance.

<Example 22>

Production of 3-(5-(2-chlorophenoxy)-1-methyl-3-trifluoromethyl-1H-pyraxzol-4-ylmethylsulfonyl)-5,5-dimethyl-2-isoxazoline (present compound No. 3-0014)

[0240] 1.0 g of m-chloroperbenzoic acid (purity: 70%, 5.8 mmoles) was added, with ice-cooling, to a solution of 0.63 g (1.5 mmoles) of 3-(5-(2-chlorophenoxy)-1-methyl-3-trifluoromethyl-1H-pyrazol-4-ylmethylthio)-5,5-dimethyl-2-isoxazoline dissolved in 20 ml of chloroform. The mixture was stirred for 1 hour and then at room temperature for 12 hours to give rise to a reaction. After confirmation of the completion of the reaction, the reaction mixture was poured into water, followed by extraction with chloroform. The resulting organic layer was washed with an aqueous sodium hydrogensulfite solution, an aqueous sodium hydrogencarbonate solution, water and an aqueous sodium chloride solution in this order and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein. The resulting solid was washed with n-hexane to obtain 0.31 g (yield: 45.7%) of 3-(5-(2-chlorophenoxy)-1-methyl-3-trifluoromethyl-1H-pyrazol-4-ylmethylsulfonyl)-5,5-dimethyl-2-isoxazoline as a white powder (melting point: <math>67.0 to 70.0° C).

¹H-NMR [CDCl₃/TMS, δ (ppm)]:

7,50-6.91 (4H,m), 4.45 (2H,s), 3.71 (3H,s), 3.03 (2H,s), 1.47 (6H,s)

<Example 23>

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Production of 3-(5-cyclopentyloxy-1-methyl-3-trifluoromethyl-1H-pyrazol-4-ylmethylthio)-5,5-dimethyl-2-isoxazoline (present compound No. 3-0030)

[0241] To a solution of 0.43 g (1.6 mmoles) of triphenylphosphine dissolved in 10 ml of benzene were added 0.14 g (1.6 mmoles) of cyclopentanol, 0.5 g (1.6 mmoles) of 5,5-dimethyl-3-(5-hydroxy-1-methyl-3-trifluoromethyl-1H-pyrazol-4-ylmethylthio)-2-isoxazoline and 0.7 g (1.6 mmoles) of diethyl azodicarboxylate (a 40% toluene solution). The mixture was stirred at room temperature for 12 hours to give rise to a reaction. After confirmation of the completion of the reaction, the reaction mixture was poured into water, followed by extraction with ethyl acetate. The resulting organic layer was washed with water and an aqueous sodium chloride solution and then dried over anhydrous magnesium sulfate. The resulting organic layer was subjected to vacuum distillation to remove the solvent contained therein. The residue was purified by silica gel column chromatography (developing solvent: hexane-ethyl acetate mixed solvent) to obtain 0.52 g (yield: 85.2%) of 3-(5-cyclopentyloxy-1-methyl-3-trifluoromethyl-1H-pyrazol-4-ylmethylthio)-5,5-dimethyl-2-isoxazoline as a colorless transparent oily substance.

<Example 24>

Production of 3-(5-cyclopentyloxy-1-methyl-3-trifluoromethyl-1H-pyrazol-4-ylmethylsulfonyl)-5,5-dimethyl-2-isoxazoline (present compound No. 3-0015)

[0242] 0.85 g of m-chloroperbenzoic acid (purity: 70%, 4.9 mmoles) was added, with ice-cooling, to a solution of 0.52 g (1.4 mmoles) of 3-(5-(cyclopentyloxy-1-methyl-3-trifluoromethyl-1H-pyrazol-4-ylmethylthio)-5,5-dimethyl-2-iso-xazoline dissolved in 20 ml of chloroform. The mixture was stirred for 1 hour and then at room temperature for 12 hours to give rise to a reaction. After confirmation of the completion of the reaction, the reaction mixture was poured into water, followed by extraction with chloroform. The resulting organic layer was washed with an aqueous sodium hydrogensulfite solution, an aqueous sodium hydrogencarbonate solution, water and an aqueous sodium chloride solution in this order and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein. The resulting solid was washed with n-hexane to obtain 0.2 g (yield: 35.5%) of 3-(5-cyclopentyloxy-1-methyl-3-trifluoromethyl-IH-pyrazol-4-ylmethylsulfonyl)-5,5-dimethyl-2-isoxazoline as a white powder (melting point: 113.0 to 114.0°C).

¹H-NMR [CDCl₃/TMS, δ (ppm)]:

5.03 (1H,br), 4.60 (2H,s), 3.73 (3H,s), 3.05 (2H,s), 1.88-1.70 (8H,m), 1.50 (6H,s)

<Example 25>

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Production of 3-(5-cyano-1-methyl-3-trifluoromethyl-1H-pyrazol-4-ylmethylthio)-5,5-dimethyl-2-isoxazoline (present compound No. 3-0031)

[0243] 0.2 g (4.0 mmoles) of sodium cyanide was added to a solution of 0.5 g (1.6 mmoles) of 5,5-dimethyl-3-(5-fluoro-1-methyl-3-trifluoromethyl-1H-pyrazol-4-ylmethylthio)-2-isoxazoline dissolved in 30 ml of N,N-dimethylformamide. The mixture was stirred at 40°C for 1 hour to give rise to a reaction. After confirmation of the completion of the reaction, the reaction mixture was poured into water, followed by extraction with ethyl acetate. The resulting organic layer was washed with water and an aqueous sodium chloride solution and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein, to obtain 0.9 g of crude 3-(5-cyano-1-methyl-3-trifluoromethyl-1H-pyrazol-4-ylmethylthio)-5,5-dimethyl-2-isoxazoline as a yellow oily substance.

¹H-NMR [CDCl₃/TMS, δ (ppm)]: 4.30 (2H,s), 4.08 (3H,s), 2.81 (2H,s), 1.43 (6H,s)

<Example 26>

Production of 3-(5-cyano-1-methyl-3-trifluoromethyl-1H-pyrazol-4-ylmethylsulfonyl)-5,5-dimethyl-2-isoxazoline (present compound No. 3-0016)

[0244] 2.1 g of m-chloroperbenzoic acid (purity: 70%, 12.2 mmoles) was added, with ice-cooling, to a solution of 0.9 g of 3-(5-cyano-1-methyl-3-trifluoromethyl-IH-pyrazol-4-ylmethylthio)-5,5-dimethyl-2-isoxazoline (crude compound) dissolved in 50 ml of chloroform. The mixture was stirred for 1 hour and then at room temperature for 12 hours to give rise to a reaction. After confirmation of the completion of the reaction, the reaction mixture was poured into water, followed by extraction with chloroform. The resulting organic layer was washed with an aqueous sodium hydrogensulfite solution, an aqueous sodium hydrogencarbonate solution, water and an aqueous sodium chloride solution in this order and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein. The resulting solid was washed with n-hexane to obtain 0.43 g (yield: 76.4%) of 3-(5-cyano-l-methyl-3-trifluoromethyl-1H-pyrazol-4-ylmethylsulfonyl)-5,5-dimethyl-2-isoxazoline as a white powder (melting point: 105.0 to 108.0°C).

¹H-NMR [CDCl₃/TMS, δ (ppm)]: 4.73 (2H,s), 4.16 (3H,s), 3.14 (2H,s), 1.53 (6H,s)

35 < Example 27>

Production of 3-(3,5-dichloro-1-ethyl-1H-pyrazol-4-ylmethylthio)-5,5-dimethyl-2-isoxazoline (present compound No. 3-0032)

[0245] 0.6 g of sodium hydrosulfide (purity: 70%, 10.7 mmoles) was added to a solution of 0.7 g (3.7 mmoles) of 5,5-dimethyl-3-ethylsulfonyl-2-isoxazline dissolved in 30 ml of N,N-dimethylformamide. The mixture was stirred for 1 hour. Thereto were added 0.51 g (3.7 mmoles) of anhydrous potassium carbonate and 0.56 g (3.6 mmoles) of Rongalit. The resulting mixture was stirred for 2 hours. Thereto was added, with ice-cooling, 0.9 g (3.5 mmoles) of 4-bromomethyl-3,5-dichloro-1-ethyl-1H-pyrazole. The resulting mixture was stirred at room temperature for 2 hours to give rise to a reaction. After confirmation of the completion of the reaction, the reaction mixture was poured into water, followed by extraction with ethyl acetate. The resulting organic layer was washed with water and an aqueous sodium chloride solution and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein. The residue was purified by silica gel column chromatography (developing solvent: hexane-ethyl acetate mixed solvent) to obtain 0.8 g (yield: 70.8%) of 3-(3,5-dichloro-1-ethyl-1H-pyrazol-4-yl-methylthio)-5,5-dimethyl-2-isoxazoline as a colorless transparent oily substance.

1H-NMR [CDCl₂/TMS, δ (ppm)]:

4.14 (2H,s), 4.14 (2H, q), 2.81 (2H,s), 1.43 (6H,s), 1.42 (3H,t)

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<Example 28>

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Production of 3-(3,5-dichloro-1-ethyl-1H-pyrazol-4-ylmethylsulfonyl)-5,5-dimethyl-2-isoxazoline (present compound No. 3-0017)

[0246] 2.0 g of m-chloroperbenzoic acid (purity: 70%, 11.6 mmoles) was added, with ice-cooling, to a solution of 0.8 g (2.6 mmoles) of 3-(3,5-dichloro-1-ethyl-1H-pyrazol-4-ylmethylthio)-5,5-dimethyl-2-isoxazoline dissolved in 20 ml of chloroform. The mixture was stirred for 1 hour and then at room temperature for 12 hours to give rise to a reaction. After confirmation of the completion of the reaction, the reaction mixture was poured into water, followed by extraction with chloroform. The resulting organic layer was washed with an aqueous sodium hydrogensulfite solution, an aqueous sodium hydrogencarbonate solution, water and an aqueous sodium chloride solution in this order and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein. The resulting solid was washed with n-hexane to obtain 0.41 g (yield: 46.6%) of 3-(3,5-dichloro-1-ethyl-1H-pyrazol-4-ylmethylsulfonyl)-5,5-dimethyl-2-isoxazoline as a white powder (melting point: 105.0 to 107.0°C).

¹H-NMR [CDCl₃/TMS, δ (ppm)]:

4.48 (2H,s), 4.19 (2H,q), 3.05 (2H,s), 1.51 (6H,s), 1.45 (3H,t)

<Example 29>

Production of 3-(5-chloro-3-difluoromethyl-1-methyl-1H-pyrazol-4-ylmethylthio)-5,5-dimethyl-2-isoxazoline (present compound No. 3-0020)

[0247] 1.2 g of sodium hydrosulfide hydrate (purity: 70%, 15.0 mmoles) was added to a solution of 1.9 g (10.0 mmoles) of 5,5-dimethyl-3-ethylsulfonyl-2-isoxazoline dissolved in 30 ml of N,N-dimethylformamide. The mixture was stirred for 2 hours. Thereto were added 2.1 g (15.0 mmoles) of anhydrous potassium carbonate, 2.3 g (15.0 mmoles) of Rongalit and 2.6 g (10.0 mmoles) of 4-bromomethyl-5-chloro-3-difluoromethyl-1-methyl-1H-pyrazole The resulting mixture was stirred at room temperature for 15 hours to give rise to a reaction. After the completion of the reaction, the reaction mixture was poured into water, followed by extraction with ethyl acetate. The resulting organic layer was washed with an aqueous sodium chloride solution and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein. The residue was purified by silica gel column chromatography (developing solvent: hexane-ethyl acetate mixed solvent) to obtain 2.1 g (yield: 68.0%) of 3-(5-chloro-3-difluoromethyl-1-methyl-1H-pyrazol-4-ylmethylthio)-5,5-dimethyl-2-isoxazoline as a colorless viscous liquid (n_D²⁰ = 1.5183).

¹H-NMR [CDCl₃/TMS, δ (ppm)]: 6.70 (1H,t, J=54.2 Hz), 4.24 (2H,s), 3.86 (3H,s), 2.80 (2H,s), 1.42 (6H,s)

<Example 30>

Production of 3-(5-chloro-3-difluoromethyl-1-methyl-1H-pyrazol-4-ylmethylsulfonyl)-5,5-dimethyl-2-isoxazoline (present compound No. 3-0018)

[0248] 3.6 g of m-chloroperbenzoic acid (purity: 70%, 14.5 mmoles) was added, with ice-cooling, to a solution of 1.8 g (5.8 mmoles) of 3-(5-chloro-3-difluoromethyl-1-methyl-1H-pyrazol-4-ylmethylthio)-5,5-dimethyl-2-isoxazoline dissolved in 15 ml of chloroform. The mixture was stirred at room temperature for 22 hours to give rise to a reaction. After the completion of the reaction, the reaction mixture was poured into water, followed by extraction with chloroform. The resulting organic layer was washed with an aqueous sodium hydrogensulfite solution, an aqueous sodium hydrogencarbonate solution and an aqueous sodium chloride solution in this order and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein. The resulting crystals were washed with hexane to obtain 1.7 g (yield: 85.9%) of 3-(5-chloro-3-difluoromethyl-1-methyl-1Hpyrazol-4-ylmethylsulfonyl)-5,5-dimethyl-2-isoxazoline as white crystals (melting point: 78 to 79°C). ¹H-NMR [CDCl₃/TMS, δ (ppm)]:

6.80 (1H,t, J=54.8 Hz), 4.60 (2H,s), 3.91 (3H,s), 3.08 (2H,s), 1.51 (6H,s)

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<Example 31>

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Production of 5,5-dimethyl-3-(5-methyl-3-trifluoromethylisoxazol-4-ylmethylthio)-2-isoxazoline (present compound No. 4-0003)

[0249] 0.4 g of sodium hydrosulfide hydrate (purity: 70%, 4.6 mmoles) was added to a solution of 0.4 g (2.3 mmoles) of 5,5-dimethyl-3-methylsulfonyl-2-isoxazline dissolved in 10 ml of N,N-dimethylformamide. The mixture was stirred for 2 hours. Thereto were added 0.3 g (2.3 mmoles) of potassium carbonate, 0.4 g (2.3 mmoles) of Rongalit and 0.5 g (1.8 mmoles) of 4-bromomethyl-5-methyl-3-trifluoromethylisoxazole. The resulting mixture was stirred at room temperature for 14 hours to give rise to a reaction. After the completion of the reaction, the reaction mixture was poured into water, followed by extraction with ethyl acetate. The resulting organic layer was washed with an aqueous sodium chloride solution and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein. The residue was purified by silica gel column chromatography (developing solvent: hexane-ethyl acetate mixed solvent) to obtain 0.4 g (yield: 70.0%) of 5,5-dimethyl-3-(5-methyl-3-trifluoromethylisoxazol-4-ylmethylthio)-2-isoxazoline.

¹H-NMR [CDCl₃/TMS, δ (ppm)]:

4.11 (2H,s), 2.77 (2H,s), 2.54 (3H,s), 1.42 (6H,s)

<Example 32>

Production of 5,5-dimethyl-3-(5-methyl-3-trifluoromethylisoxazol-4-ylmehtylsulfonyl)-2-isoxazoline (present compound No. 4-0001)

[0250] 0.8 g of m-chloroperbenzoic acid (purity: 70%, 3.2 mmoles) was added, with ice-cooling, to a solution of 0.4 g (1.3 mmoles) of 5,5-dimethyl-3-(5-methyl-3-trifluoromethylisoxazol-4-ylmehtylthio)-2-isoxazoline dissolved in 10 ml of chloroform. The mixture was stirred at room temperature for 4 hours to give rise to a reaction. After the completion of the reaction, the reaction mixture was poured into water, followed by extraction with chloroform. The resulting organic layer was washed with an aqueous sodium hydrogensulfite solution, an aqueous sodium hydrogencarbonate solution and an aqueous sodium chloride solution in this order and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein. The resulting crystals were washed with hexane to obtain 0.4 g (yield: 95.0%) of 5,5-dimethyl-3-(5-methyl-3-trifluoromethylisoxazol-4-ylmehtylsulfonyl)-2-isoxazoline as white crystals (melting point: 135 to 136°C).

¹H-NMR [CDCl₃/TMS, δ (ppm)]: 4.54 (2H,s), 3.11 (2H,s), 2.61 (3H,s), 1.52 (6H,s)

<Example 33>

Production of [(5-chloro-3-methyl-isothiazol-4-yl)-methylthio]-5,5-dimethyl-2-isoxazoline (present compound No. 4-0004)

[0251] 0.82 g of sodium hydrosulfide (purity: 70%, 10.00 mmoles) was added at the room temperature to a solution of 0.89 g (5.00 mmoles) of 5,5-dimethyl-3-methylsulfonyl-2-isoxazoline dissolved in 10 ml of N,N-dimethylformamide. The mixture was stirred for 2 hours. Thereto were added 0.70 g (5.00 mmoles) of anhydrous potassium carbonate, 0.78 g (5.00 mmoles) of Rongalit and 0.91 g (5.00 mmoles) of 5-chloro-4-chloromethyl-3-methylisothiazole. The resulting mixture was stirred at room temperature overnight to give rise to a reaction. After confirmation of the completion of the reaction, the reaction mixture was poured into water, followed by extraction with ethyl acetate. The resulting organic layer was washed with water and an aqueous sodium chloride solution and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein. The residue was purified by silica gel column chromatography to obtain 1.38 g (yield: quantitative) of [(5-chloro-3-methyl-isothiazol-4-yl)-methylthio]-5,5-dimethyl-2-isoxazoline.

<Example 34>

Production of [(5-chloro-3-methyl-isothiazol-4-yl)-methylsulfonyl]-5,5-dimethyl-2-isoxazoline (present compound No. 4-0002)

[0252] 2.96 g of m-chloroperbenzoic acid (purity: 70%, 12.00 mmoles) was added, with ice-cooling, to a solution of 1.38 g (5.00 mmoles) of [(5-chloro-3-methyl-isothiazol-4-yl)-methylthio]-5,5-dimethyl-2-isoxazoline dissolved in 20 ml

of chloroform. The mixture was stirred for 1 hour and then at room temperature for overnight to give rise to a reaction. After the completion of the reaction, the reaction mixture was poured into water, followed by extraction with chloroform. The resulting organic layer was washed with an aqueous sodium hydrogensulfite solution, an aqueous sodium hydrogencarbonate solution and an aqueous sodium chloride solution in this order and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein. The reside was purified by silica gel column chromatography to obtain 0.65 g (yield: 47.0%) of [(5-chloro-3-methyl-isothiazol-4-yl)-methylsulfonyl]-5,5-dimethyl-2-isoxazoline as a light yellow powder (melting point: 113 to 114° C). 1 H-NMR [CDCl₃/TMS, δ (ppm)]:

8.89 (1H,s), 4.67 (2H,s), 3.05 (2H,s), 2.59 (3H,s), 1.51 (6H,s)

<Example 35>

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Production of 5,5-dimethyl-3-[2,5-dimethyl-4-(1-methoxyiminoethyl)-thiophen-3-ylmethylthio]-2-isoxazoline (present compound No. 2-0002)

[0253] 0.57 g (6.8 mmoles) of O-methylhydrozylamine hydrochloride and 0.56 g (6.8 mmoles) of sodium acetate were added to a solution of 1.0 g (3.4 mmoles) of 3-(4-acetyl-2,5-dimethylthiophen-3-ylmethylthio)-5,5-dimethyl-2-iso-xazoline dissolved in 50 ml of ethanol. The mixture was stirred for 5 hours under refluxing, to give rise to a reaction. After confirmation of the completion of the reaction, the reaction mixture was poured into water, followed by extraction with ethyl acetate. The resulting organic layer was washed with water and an aqueous sodium chloride solution and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein. The residue was purified by silica gel column chromatography (developing solvent: hexane-ethyl acetate mixed solvent) to obtain 0.4 g (36.4%) of 5,5-dimethyl-3-[2,5-dimethyl-4-(1-methoxyiminoethyl)-thiophen-3-ylmethylthio]-2-isoxazoline as a yellow oily substance.

¹R-NMR [CDCl₃/TMS, δ (ppm)] :

4.21 (2H,s), 3.95 (3H,s), 2.76 (2H,s), 2.38 (3H,s), 2.34 (3H,s), 2.13 (3H,s), 1.42 (6H,s)

<Example 36>

Production of 5,5-dimethyl-3-[2,5-dimethyl-4-(1-methoxyiminoethyl)-thiophen-3-ylmethylsulfonyl]-2-isoxazoline (present compound No. 2-0001)

[0254] 0.61 g of m-chloroperbenzoic acid (purity: 70%, 3.5 mmoles) was added, with ice-cooling, to a solution of 0.4 g (1.2 mmoles) of 5,5-dimethyl-3-[2,5-dimethyl-4-(1-methoxyiminoethyl)-thiophen-3-ylmethylthio]-2-isoxazoline dissolved in 30 ml of chloroform. The mixture was stirred for 1 hour and then at room temperature for 12 hours to give rise to a reaction. After confirmation of the completion of the reaction, the reaction mixture was poured into water, followed by extraction with chloroform. The resulting organic layer was washed with an aqueous sodium hydrogensulfite solution, an aqueous sodium hydrogencarbonate solution, water and an aqueous sodium chloride solution in this order and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein. The residue was purified by silica gel column chromatography (developing solvent: hexane-ethyl acetate mixed solvent) to obtain 0.35 g (80%) of 5,5-dimethyl-3-[2,5-dimethyl-4-(1-methoxyiminoethyl)-thiophen-3-ylmethylsulfonyl]-2-isoxazoline as white crystals (melting point: 95.0 to 96.0°C).

4.79 (2H,s), 3.95 (3H,s), 2.93 (2H,s), 2.42 (3H,s), 2.37 (3H,s), 2.17 (3H,s), 1.47 (6H,s)

<Example 37>

Production of 5,5-dimethyl-3-(4-trifluoromethyl-pyridin-3-ylmethylthio)-2-isoxazoline (present compound No. 7-0003)

[0255] 0.26 g of sodium hydrosulfide (purity: 70%, 4.6 mmoles) was added to a solution of 0.3 g (1.6 mmoles) of 5,5-dimethyl-3-ethylsulfonyl-2-isoxazoline dissolved in 20 ml of N,N-dimethylformamide. The mixture was stirred for 1 hour. Thereto were added 0.22 g (1.6 mmoles) of anhydrous potassium carbonate and 0.25 g (1.6 mmoles) of Rongalit. The resulting mixture was stirred for 2 hours. Thereto was added, with ice-cooling, 0.3 g (1.3 mmoles) of 3-bromomethyl-4-trifluoromethyl-pyridine. The resulting mixture was stirred at room temperature for 2 hours to give rise to a reaction. After confirmation of the completion of the reaction, the reaction mixture was poured into water, followed by extraction with ethyl acetate. The resulting organic layer was washed with water and an aqueous sodium chloride solution and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein. The residue was purified by silica gel column chromatography (developing solvent:

hexane-ethyl acetate mixed solvent) to obtain 0.45 g (yield: 98.9%) of 5, 5-dimethyl-3-(4-trifluoromethyl-pyridin-3-ylmethylthio)-2-isoxazoline as a yellow oily substance.

¹H-NMR [CDCl₃/TMS, δ (ppm)]:

8.98 (1H,s) 8.70 (1H,d), 7.51 (1H,d), 4.47 (2H,s), 2.79 (2H,s), 1.43 (6H,s)

<Example 38>

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Production of 5,5-dimethyl-3-(4-trifluoromethyl-pyridin-3-ylmethylsulfonyl)-2-isoxazoline (present compound No. 7-0001) and 5,5-dimethyl-3-(4-trifluoromethyl-pyridine-N-oxide-3-ylmethylsulfonyl)-2-isoxazoline (present compound No. 7-0002)

[0256] 0.77 g of m-chloroperbenzoic acid (purity: 70%, 4.5 mmoles) was added, with ice-cooling, to a solution of 0.45 g (1.6 mmoles) of 5,5-dimethyl-3-(4-trifluoromethyl-pyridin-3-ylmethylthio)-2-isoxazoline dissolved in 20 ml of chloroform. The mixture was stirred for 1 hour and then at room temperature for 12 hours to give rise to a reaction. After confirmation of the completion of the reaction, the reaction mixture was poured into water, followed by extraction with chloroform. The resulting organic layer was washed with an aqueous sodium hydrogensulfite solution, an aqueous sodium hydrogencarbonate solution, water and an aqueous sodium chloride solution in this order and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein. The residue was purified by silica gel column chromatography (developing solvent: hexane-ethyl acetate mixed solvent) to obtain 0.06 g (yield: 12.0%) of 5,5-dimethyl-3-(4-trifluoromethyl-pyridin-3-ylmethylsulfonyl)-2-isoxazoline as light yellow crystals (melting point: 77.0 to 80.0°C) and 0.12 g (yield: 23.1%) of 5,5-dimethyl-3-(4-trifluoromethyl-pyridin-N-oxide-3-ylmethylsulfonyl)-2-isoxazoline as white crystals (melting point: 114.0 to 116.0°C). 5,5-Dimethyl-3-(4-trifluoromethyl-pyridin-3-ylmethylsulfonyl)-2-isoxazoline

¹H-NMR [CDCl₃/TMS, δ (ppm)]:

8.98 (1H,s), 8.84 (1H,d) 7.64 (1H,d), 4.92 (2H,s), 3.09 (2H,s), 1.52 (6H,s) 5,5-Dimethyl-3-(4-trifluoromethyl-pyridin-N-oxide-3-ylmethylsulfonyl)-2-isoxazoline ¹H-NMR [CDCl₃/TMS, δ (ppm)]:

8.50 (1H,s) 8.25 (1H,d), 7.59 (1H,d), 4.81 (2H,s), 3.12 (2H,s), 1.53 (6H,s)

30 <Example 39>

> Production of 5,5-dimethyl-[(4-methoxy-6-trifluoromethylpyrimidin-5-yl)-methylthio]-2-isoxazoline (present compound No. 8-0002)

[0257] 0.32 g of sodium hydrosulfide (purity: 70%, 4.00 mmoles) was added, at room temperature, to a solution of 0.35 g (2.00 mmoles) of 5,5-dimethyl-3-methylsulfonyl-2-isoxazoline dissolved in 10 ml of dimethylformamide. The mixture was stirred for 2 hours. To the reaction mixture were added 0.28 g (2.00 mmoles) of anhydrous potassium carbonate, 0.31 g (2.00 mmoles) of Rongalit and 0.45 g (2.00 mmoles) of 5-chloromethyl-4-methoxy-6-trifluoromethylpyrimidine. The resulting mixture was stirred at room temperature for 2 hours to give rise to a reaction. After confirmation of the completion of the reaction, the reaction mixture was poured into water, followed by extraction with ethyl acetate. The resulting organic layer was washed with water and an aqueous sodium chloride solution and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein. The residue was purified by silica gel column chromatography to obtain 0.55 g (yield: 85.9%) of 5,5-dimethyl-[(4-methoxy-6-trifluoromethylpyrimidin-5-yl)-methylthio]-2-isoxazoline.

¹H-NMR [CDCl₃/TMS, δ (ppm)]: 8.81 (1H,s), 4.44 (2H,d), 4.12 (3H,s), 2.81 (2H,s), 1.45 (6H,s)

<Example 40>

50 Production of 5,5-dimethyl-[(4-methoxy-6-trifluoromethylpyrimidin-5-yl)-methylsulfonyl]-2-isoxazoline (present compound No. 8-0001)

[0258] 1.05 g of m-chloroperbenzoic acid (purity: 70%, 4.28 mmoles) was added, with ice-cooling, to a solution of 0.55 g (1.71 mmoles) of 5,5-dimethyl-[(4-methoxy-6-trifluoromethylpyrimidin-5-yl)-methylthio]-2-isoxazoline dissolved in 20 ml of chloroform. The mixture was stirred for 1 hour and then at room temperature for 4 hours to give rise to a reaction. After the completion of the reaction, the reaction mixture was poured into water, followed by extraction with chloroform. The resulting organic layer was washed with an aqueous sodium hydrogensulfite solution, an aqueous sodium hydrogencarbonate solution and an aqueous sodium chloride solution in this order and then dried over anhy-

drous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein. The residue was purified by silica gel column chromatography to obtain 0.45 g (yield: 75.0%) of 5,5-dimethyl-[(4-methoxy-6-trifluoromethylpyrimidin-5-yl)-methylsulfonyl]-2-isoxazoline as white feather-like crystals (melting point: 175 to 176°C).

 1 H-NMR [CDCl $_{3}$ /TMS, δ (ppm)]:

8.89 (1H,s), 5.00 (2H,d), 4.11 (3H,s), 3.11 (2H,s), 1.53 (6H,s)

<Example 41>

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Production of 3-(5,5-dimethyl-2-isoxazolin-3-ylthiomethyl)-2-trifluoromethyl-6,7-dihydro-5H-pyrazolo[5,1-b] [1,3] oxazine (present compound No. 3-0033)

[0259] A solution of 0.82 g (2.3 mmoles) of 3-[5-chloro-1-(3-hydroxypropyl)-3-trifluoromethyl-1H-pyrazol-4-ylmethylthio]-5,5-dimethyl-2-isoxazole dissolved in 5 ml of N,N-dimethylformamide was dropwise added to a suspension of 0.11 g (2.8 mmoles) of sodium hydride in 15 ml of N,N-dimethylformamide. After the completion of the dropwise addition, the resulting mixture was stirred at room temperature for 30 minutes, then heated to 100°C, and stirred for 1 hour to give rise to a reaction. After confirmation of the completion of the reaction, the reaction mixture was poured into water, followed by extraction with ethyl acetate. The resulting organic layer was washed with an aqueous citric acid solution and an aqueous sodium chloride solution, and then dried over magnesium sulfate. The resulting solution was subjected to vacuum distillation to obtain 0.77 g (yield: 100%) of 3-(5,5-dimethyl-2-isoxazolin-3-ylthiomethyl)-2-trifluoromethyl-6,7-dihydro-5H-pyrazolo[5,1-b][1,3]oxazine.

¹H-NMR [CDCl₃/TMS, δ (ppm)]:

4.37 (2H,t), 4.19 (2H,t), 4.15 (2H,s), 2.80 (2H,s), 2.31 (2H,m), 1.42 (6H,s)

25 <Example 42>

Production of 3-(5,5-dimethyl-2-isoxazolin-3-ylsulfonylmethyl)-2-trifluoromethyl-6,7-dihydro-5H-pyrazolo[5,1-b][1,3] oxazine (present compound No. 3-0019)

[0260] 1.25 g of m-chloroperbenzoic acid (purity: 70%, 5.1 mmoles) was added, with ice-cooling, to a solution of 0.77 g (2.3 mmoles) of 3-(6,7-dihydro-3-trifluoromethyl-5H-pyrazolo[5,1-b] [1,3]oxazin-4-yl-methylthio)-5,5-dimethyl-2-isoxazoline dissolved in 20 ml of chloroform. The mixture was stirred for 1 hour and then at room temperature for 12 hours to give rise to a reaction. After confirmation of the completion of the reaction, the reaction mixture was poured into water, followed by extraction with chloroform. The resulting organic layer was washed with an aqueous sodium hydrogensulfite solution, an aqueous sodium hydrogencarbonate solution, water and an aqueous sodium chloride solution in this order and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein. The residue was purified by silica gel column chromatography to obtain 0.36 g (yield: 43%) of 3-(5,5-dimethyl-2-isoxazolin-3-ylsulfonylmethyl)-2-trifluoromethyl-6,7-dihydro-5H-pyrazolo[5,1-b][1,3]oxazine as a white powder (melting point: 151.0 to 152.0°C).

⁴⁰ ¹H-NMR [CDCl₃/TMS, δ (ppm)]:

4.47 (2H,s), 4.40 (2H,t), 4.23 (2H,t), 3.09 (2H,s), 2.34 (2H,m), 1.50 (6H,s)

[0261] Compound numbers shown in Tables 11 to 20 are referred to in the Examples.

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Table 11

| 5 | | | | | , | 2 R ³ | R ⁴ | | $\mathbf{R}^{!}$ | 22 | | | | | |
|----|---|----------------|----------------|----------------|----|------------------|----------------|----------------|------------------|-------------------|-----------------|----------|---|--|--|
| 10 | $\begin{array}{c c} R^{-6} \\ \hline N \\ S(O)_{\overline{n}} \\ \hline C \\ R^{5} \\ \hline Z_{1} \\ R^{24} \end{array}$ | | | | | | | | | | | | | | |
| 15 | Compound
No. | R ¹ | R ² | R ³ | R⁴ | n | R ⁵ | R ⁶ | Z_1 | R ²² , | R ²³ | | Melting point(°C) or refractive index (np ²⁰) | | |
| 20 | 1-0001 | Me | Me | Н | Н | 2 | Н | Н | S | Me | Н | H | 66-68 | | |
| 20 | 1-0002 | Me | Me | Н | Н | 2 | Н | Н | S | Cl | Me | H | 87-88 | | |
| | 1-0003 | Me | Me | Н | Н | 2 | Н | Н | S | Н | Н | Ме | 95-97 | | |
| | 1-0004 | Me | Me | Н | Н | 2 | Н | Н | S | Cl | Н | Н | 70-72 | | |
| 25 | 1-0005 | Me | Me | Н | Н | 2 | Н | Н | S | H | Н | Cl | 118-119 | | |
| | 1-0006 | Me | Me | Н | Н | 2 | Н | Н | 0 | Н | Н | н | Inpossible to measure | | |
| | 1-0007 | Me | Me | H | Н | 2 | Н | H | 0 | Н | H | C(=O)OMe | 124-125 | | |

Table 12

| 5 | | | | | | \mathbf{R}^{1} | R^2 O | R ³ | | R
(O) _n C
R | $ \begin{array}{cccccccccccccccccccccccccccccccccccc$ | | |
|----|----------------------|----------------|----------------|----------------|----------------|------------------|----------------|----------------|----------------|------------------------------|---|-----------------|---|
| 15 | Com-
pound
No. | R ¹ | R ² | R ³ | R ⁴ | n | R ⁵ | R ⁶ | Z ² | R ²⁵ | R ²⁶ | R ²⁷ | Melting point(°C) or refractive index (np ²⁰) |
| | 2-0001 | Me | Me | Н | Н | 2 | H | Н | S | 1 | C(=NOMe)Me | Me | 95-96 |
| 00 | 2-0002 | Me | Me | Н | H | 0 | H | Н | S | Me | C(=NOMe)Me | Me | |
| 20 | 2-0003 | Me | Me | Н | H | 2 | Н | Н | S | Н | H | Н | 99-101 |
| | 2-0004 | Me | Me | Н | Н | 2 | Н | Н | S | Н | Ome | H | 96-97 |
| | 2-0005 | Me | Me | Н | Н | 2 | Н | Н | S | Cl | Н | Cl | 125-127 |
| 25 | 2-0006 | Me | Me | Ή | Н | 2 | Н | Н | S | Cl | Cl | Cl | 158-160 |
| | 2-0007 | Me | Me | Н | Н | 2 | Н | Н | S | Me | Ме | Me | 117-117 |
| | 2-0008 | Me | Me | Н | Н | 2 | Н | Н | S | Me | C(=O)Me | Me | 146-148 |
| 00 | 2-0009 | Me | Me | Н | Н | 2 | H | Н | S | Ph | C(=O)Me | Me | 1.5730 |
| 30 | 2-0010 | Me | Me | Н | Н | 2 | Н | Н | S | Ph | C(=NOMe)Me | Me | 129-131 |
| | 2-0011 | Me | Me | Н | Н | 2 | Н | Н | S | Cl | C(=O)Ome | Cl | 157-158 |
| | 2-0012 | Me | Me | Н | H | 2 | H | Н | S | Cl | C(=O)NHMe | Cl | 178-180 |
| 35 | 2-0013 | Me | Me | Н | H | 2 | Н | H | О | Н | Н | H | 58-61 |
| | 2-0014 | Me | Me | Н | Н | 2 | H | Н | 0 | Me | Н | Cl | 180-181 |

Table 13

| | | $ \begin{array}{cccccccccccccccccccccccccccccccccccc$ | | | | | | | | | | | | | | |
|----|----------------------|---|----------------|----|----------------|---|----------------|----------------|------------------|------------------|-------------------------------------|--------------------|---|--|--|--|
| 15 | Com-
pound
No. | R ¹ | R ² | R³ | R ⁴ | n | R ⁵ | R ⁶ | R ²⁹ | | R ²⁸ | R³º | Melting point(°C) or refractive index (np ²⁰) | | | |
| | 3-0001 | Me | Me | Н | Н | 0 | Н | Н | CF ₃ | Ph | | Cl | 89-90 | | | |
| 20 | 3-0002 | Me | Me | Н | Н | 2 | Н | Н | CF ₃ | Ph | | Cl | 132-133 | | | |
| | 3-0003 | Ме | Me | Н | н | 1 | н | | Ph | Ме | | Cl | Inpossible to measure | | | |
| | 3-0004 | Me | Me | Н | H | 2 | Н | | CF ₃ | Ph | | SO₂Et | 158-160 | | | |
| 25 | 3-0005 | Ме | Me | Н | Н | 2 | Н | | CF ₃ | Ph | | N(Me) ₂ | 150-151 | | | |
| | 3-0006 | | Me | Н | Н | 0 | H | | CF₃ | Bu-t | | CI | 79-81 | | | |
| | 3-0007 | Me | Me | Н | Н | 0 | Н | | CF ₃ | H | | CI | 120-122 | | | |
| | 3-0008 | Me | Me | Н | H | 0 | Н | | CF₃ | CHF ₂ | • | C1 | 41-42 | | | |
| 30 | 3-0009 | Me | Me | Н | Н | 0 | Н | H | Cl | CHF ₂ | | CF ₃ | 89-90 | | | |
| | 3-0010 | Me | Me | Н | H | 2 | Н | H | CF ₃ | CHF ₂ | | CI | 126-127 | | | |
| | 3-0011 | Me | Me | Н | Н | 2 | Н | Н | Cı | CHF ₂ | | CF ₃ | 136-137 | | | |
| 25 | 3-0012 | Me | Me | Н | Н | 2 | Н | Н | OEt | Me | | CF ₃ | 124-125 | | | |
| 35 | 3-0013 | Me | Me | Н | Н | 2 | н | Н | CF ₃ | Me | | ОМе | 113-114 | | | |
| | 3-0014 | Me | Me | Н | Н | 2 | Н | H | CF ₃ | Ме | | O(2-Cl)Ph | 67-70 | | | |
| | 3-0015 | Me | Me | Н | Н | 2 | Н | Н | CF ₃ | Ме | | OPen-c | 113-114 | | | |
| 40 | 3-0016 | Me | Me | H | Н | 2 | Н | Н | CF ₃ | Me | | CN | 105-108 | | | |
| : | 3-0017 | Me | Me | Н | н | 2 | н | Н | Cl | Et | | Cl | 105-107 | | | |
| | 3-0018 | Ме | Me | H | Н | 2 | Н | H | CHF ₂ | Ме | | Cl | 78-79 | | | |
| | 3-0019 | Me | Me | Н | Н | 2 | Н | Н | CF ₃ | | -(CH ₂) ₃ O- | | 151-152 | | | |
| 45 | 3-0020 | Me | Me | н | н | 0 | н | H | CHF ₂ | Me | | Cl | 1.5183 | | | |
| | 3-0021 | Me | Me | Н | н | 0 | Н | H | CF ₃ | Ph | | F | | | | |
| | 3-0022 | Me | Me | H | н | 0 | н | Н | CF ₃ | Pħ | | SEt | | | | |
| F0 | 3-0023 | Me | Me | Н | Н | 0 | Н | Н | CF ₃ | Ph | | N(Me)2 | | | | |
| 50 | 3-0024 | Me | Me | Н | Н | 0 | Н | Н | OMe_ | Me | 1 | CF ₃ | | | | |
| | 3-0025 | Me | Me | Н | Н | 0 | Н | Н | он | Me | | CF ₃ | | | | |
| | 3-0026 | Me | Me | Н | Н | 0 | н | Н | OEt | Me | | CF ₃ | | | | |
| 55 | 3-0027 | Me | Me | Н | н | 0 | н | H | CF ₃ | Me | | F | | | | |

| | 3-0028 | Me | Me | Н | н | 0 | Н | Н | CF ₃ | Ме | ОМе | |
|----|--------|----|----|---|---|---|---|---|-------------------|------------------------|-----------------------------------|-----------------------|
| | 3-0029 | Me | Me | н | Н | 0 | Н | Н | CF ₃ | Ме | O(2-Cl)Ph | |
| 5 | 3-0030 | Me | Me | Н | Н | 0 | Н | Н | CF ₃ | Ме | OPen-c | |
| Ü | 3-0031 | Me | Ме | н | Н | 0 | н | Н | CF ₃ | Ме | CN | |
| | 3-0032 | Me | Me | Н | Н | 0 | H | Н | CI | Et | CI | |
| | 3-0033 | Me | Me | н | Н | 0 | Н | Н | CF ₃ | -(CH ₂)₃O- | | |
| 10 | 3-0034 | Me | Me | Н | Н | 2 | Н | Н | CF ₃ | Н | Cl | 138-140 |
| | 3-0035 | Ме | Me | н | Н | 2 | Н | Н | Н | Me | CI | 105-106 |
| | 3-0036 | Me | Me | Н | Н | 2 | Н | Н | Me | Ме | Ме | 148-150 |
| 45 | 3-0037 | Me | Me | н | Н | 2 | Н | Н | Me | Ме | Cl | 99-101 |
| 15 | 3-0038 | Me | Me | н | Н | 2 | Н | Н | CI | Ме | CI | 143-145 |
| | 3-0039 | Me | Me | н | Н | 2 | н | Н | CF ₃ | Ме | Cl | 115-116 |
| | 3-0040 | Me | Me | Н | Н | 2 | н | H | Cı | Ме | CF ₃ | 120-122 |
| 20 | 3-0041 | Me | Me | н | Н | 2 | Н | Н | CF ₃ | Ме | F | 79-82 |
| | 3-0042 | Me | Me | н | Н | 2 | Н | Н | CF ₃ | Ме | он | 90-92 |
| | 3-0043 | Me | Me | н | Н | 2 | н | Н | ОМе | Ме | CF₃ | 125-126 |
| | 3-0044 | Me | Me | H | Н | 2 | н | Н | CF ₃ | Ме | OE t | 92-94 |
| 25 | 3-0045 | Me | Me | н | Н | 2 | н | Н | CF ₃ | Ме | OPr-i | 69-71 |
| | 3-0046 | Me | Me | Н | Н | 2 | н | H | CF ₃ | Me | OPr | 82-83 |
| | 3-0047 | Me | Me | н | Н | 2 | Н | Н | CF ₃ | Ме | OBu-t | 86-89 |
| 30 | 3-0048 | Me | Me | н | Н | 2 | Н | H | CF ₃ | Me | OBu | 61-62 |
| | 3-0049 | Me | Me | н | Н | 2 | Н | H | CF ₃ | Ме | OHex-c | 124-125 |
| | 3-0050 | Me | Me | Н | Н | 2 | н | H | CF ₃ | Ме | OCH ₂ Pr-c | 93-94 |
| | 3-0051 | Me | Me | Н | Н | 2 | н | Н | CF ₃ | Me | OCH₂Pen-c | 112-113 |
| 35 | 3-0052 | Me | Me | н | Н | 2 | Н | Н | CF, | Ме | OCH ₂ Hex-c | 56-59 |
| | 3-0053 | Me | Me | н | Н | 2 | Н | Н | CF ₃ | Ме | OCH₂C≡CH | 92-93 |
| | 3-0054 | Me | Me | н | H | 2 | Н | H | CF ₃ | Me . | OCHF ₂ | 129-130 |
| 40 | 3-0055 | Me | Me | н | Н | 2 | H | Н | OCHF ₂ | Me | CF ₃ | Inpossible to measure |
| | 3-0056 | Me | Me | Н | Н | 2 | Н | Н | CF ₃ | Ме | OCH ₂ CHF ₂ | 89-91 |
| | 3-0057 | Me | Me | H | Н | 2 | Н | H | CF ₃ | Ме | OCH ₂ CF ₃ | 93-95 |
| | 3-0058 | Me | Me | Н | Н | 2 | Н | Н | CF, | Ме | OCH2CN | 1.4872 |
| 45 | 3-0059 | Me | Me | Н | H | 2 | Н | Н | CF ₃ | Ме | OCH₂Ph | 79-81 |
| | 3-0060 | Me | Me | Н | Н | 2 | H | Н | CF ₃ | Ме | OPh | 122-123 |
| | 3-0061 | Me | Me | н | Н | 2 | Н | Н | CF ₃ | Ме | O(3-Cl)Ph | Inpossible to measure |
| 50 | 3-0062 | Me | | Н | Н | 2 | Н | Н | CF ₃ | Ме | O(3-OMe)Ph | 1.5059 |
| | 3-0063 | Me | Ме | н | Н | 2 | Н | Н | CF ₃ | Ме | O(4-Cl)Ph | 68-69 |
| | 3-0064 | Me | Me | Н | Н | 2 | Н | | CF ₃ | Ме | O(4-Me)Ph | 132-133 |
| 55 | 3-0065 | Ме | Me | Н | Н | 2 | Н | Н | CF ₃ | Ме | O(4-OMe)Ph | 115-117 |

| | 3-0066 | Me | Me | Н | Н | 2 | Н | Н | CF ₃ | Ме | OC(=O)Me | 130-131 |
|----|--------|----|----|----|---|---|----|---|-----------------|---------------------------------------|--------------------|---------|
| | 3-0067 | Me | Me | Н | н | 2 | Н | Н | CF ₃ | Ме | SO₂Me | 168-169 |
| 5 | 3-0068 | Me | Me | Н | Н | 2 | Н | Н | CF₃ | Me . | SEt | 100-102 |
| | 3-0069 | Me | Me | Н | Н | 2 | Н | Н | CF ₃ | Ме | SO ₂ Et | 107-108 |
| | 3-0070 | Me | Me | Н | н | 2 | Н | Н | CF₃ | Me | SO₂Ph | 166-168 |
| | 3-0071 | Me | Me | Н | Н | 2 | Н | Н | CF₃ | Me | Ме | 105-107 |
| 10 | 3-0072 | Me | Me | Н | Н | 2 | Н | Н | Ph | Ме | Cı | 127-129 |
| | 3-0073 | Me | Me | Н | Н | 2 | Н | Н | CF ₃ | Et | Cı | 111-112 |
| | 3-0074 | Me | Me | Н | Н | 2 | H | Н | Cl | Et | CF ₃ | 112-114 |
| 15 | 3-0075 | Me | Me | Н | н | 2 | Н | Н | CF ₃ | Pr-i | Cl | 157-158 |
| | 3-0076 | Me | Me | Н | н | 2 | Н | Н | Cl | Pr-i | CF₃ | 135-136 |
| | 3-0077 | Me | Me | Н | Н | 2 | H | Н | CF₃ | Pr | CI | 89-90 |
| | 3-0078 | Ме | Me | Н | Н | 2 | Н | Н | CI | Pr | CF ₃ | 111-113 |
| 20 | 3-0079 | Me | Me | Н | Н | 2 | Н | Н | CF₃ | Bu-t | Н | 101-103 |
| | 3-0080 | Me | Мe | Н | н | 2 | Н | Н | CF ₃ | Bu-t | Cl | 118-119 |
| | 3-0081 | Me | Me | Н | Н | 2 | H | Н | CF ₃ | Bu-s | Cl | 110-112 |
| 25 | 3-0082 | Me | Me | ·H | Н | 2 | Н | Н | CI | Bu-s | CF₃ | 110-111 |
| 20 | 3-0083 | Me | Me | Н | Н | 2 | H | Н | CF₃ | Bu-i | Cı . | 96-98 |
| | 3-0084 | Me | Me | Н | Н | 2 | H | Н | Cl | Bu-i | CF ₃ | 140-141 |
| | 3-0085 | Me | Me | Н | Н | 2 | Н | Н | CF ₃ | Bu | Cl | 89-90 |
| 30 | 3-0086 | Me | Me | Н | Н | 2 | Н | Н | Cl | Bu · | CF₃ | 108-110 |
| | 3-0087 | Me | Мe | Н | Н | 2 | Н | Н | CF ₃ | CH₂Ph | Cı | 132-133 |
| | 3-0088 | Me | Me | Н | Н | 2 | H | Н | Cı | CH₂Ph | CF ₃ | 118-120 |
| 25 | 3-0089 | Me | Me | H | Н | 2 | H | H | CF₃ | Pen-c | Cı | 130-131 |
| 35 | 3-0090 | Me | Me | Н | Н | 2 | H | Н | Cı | Pen-c | CF ₃ | 147-148 |
| | 3-0091 | Me | Me | Н | Н | 2 | Н | Н | CF₃ | Hex-c | CI | 151-152 |
| | 3-0092 | Me | Me | Н | H | 2 | Н | Н | CF₃ | CH₂Pr-c | CI | 93-95 |
| 40 | 3-0093 | Me | Me | Н | Н | 2 | H | Н | CI | CH₂Pr-c | CF ₃ | 129-130 |
| | 3-0094 | Me | Me | Н | н | 2 | Н | Н | CF ₃ | 1-cyclopropylethyl | Cı | 87-89 |
| | 3-0095 | Me | Me | Н | Н | 2 | H | Н | Cı | 1-cyclopropylethyl | CF ₃ | 121-123 |
| | 3-0096 | Ме | Me | н | Н | 2 | H | Н | CF ₃ | CH ₂ (2-Methylcyclopropyl) | Cl | 102-103 |
| 45 | 3-0097 | Me | Me | Н | Н | 2 | H | Н | Cı | CH ₂ (2-Methylcyclopropyl) | CF ₃ | 118-119 |
| | 3-0098 | Me | Me | Н | Н | 2 | H | Н | CF ₃ | CH₂Bu-c | Cı | 94-96 |
| | 3-0099 | Me | Me | Н | Н | 2 | Н | Н | Cl | CH₂Bu-c | CF ₃ | 141-142 |
| 50 | 3-0100 | Me | Me | H | Н | 2 | H | Н | CF ₃ | CH₂Pen-c | Cı | 127-129 |
| • | 3-0101 | Me | Me | Н | Н | 2 | H, | H | Cl | CH₂Pen-c | CF₃ | 146-149 |
| | 3-0102 | Me | Me | H | Н | 2 | Н | Н | CF ₃ | CH₂Hex-c | Cl | 152-154 |
| | 3-0103 | Me | Me | Н | Н | 2 | H | Н | CI | CH ₂ Hex-c | CF ₃ | 115-117 |
| 55 | 3-0104 | Me | Me | Н | Н | 2 | H | Н | CF ₃ | CH₂CH=CH₂ | Cı | 78-80 |

| | 3-0105 | Me | Me | н | Н | 2 | Н | Н | Cì | CH ₂ CH=CH ₂ | CF ₃ | 105-106 |
|----|--------|----|----|---|---|---|---|---|-----------------|--|-----------------|---------|
| | 3-0106 | Me | Me | н | Н | 2 | H | Н | CF ₃ | CH ₂ C≡CH | Cl | 73-74 |
| 5 | 3-0107 | Me | Me | н | Н | 2 | Н | Н | Cı | CH ₂ C≡CH | CF ₃ | 108-109 |
| | 3-0108 | Me | Me | н | Н | 2 | Н | Н | CF ₃ | CHMeC≡CH | Cl | 95-96 |
| | 3-0109 | Me | Me | н | Н | 2 | Н | Н | Cı | СНМеС≡СН | CF ₃ | 116-118 |
| | 3-0110 | Me | Me | Н | Н | 2 | Н | Н | CF₃ | CH ₂ C≡CMe | Cı | 114-115 |
| 10 | 3-0111 | Me | Me | Н | Н | 2 | Н | Н | Cı | CH ₂ C≡CMe | CF ₃ | 115-116 |
| | 3-0112 | Me | Me | Н | Н | 2 | Н | Н | CF ₃ | CHF₂ | ОМе | 72-74 |
| | 3-0113 | Ме | Me | Н | Н | 2 | Н | Н | ОМе | CHF ₂ | CF ₃ | 108-109 |
| 15 | 3-0114 | Me | Me | Н | Н | 2 | Н | Н | CF ₃ | CH ₂ CHF ₂ | Cl | 99-100 |
| | 3-0115 | Ме | Me | н | Н | 2 | Н | Н | Cı | CH ₂ CHF ₂ | CF ₃ | 107-109 |
| | 3-0116 | Me | Me | н | Н | 2 | Н | Н | CF ₃ | CH ₂ CF ₃ | Cl | 135-136 |
| | 3-0117 | Me | Me | Н | Н | 2 | Н | Н | Cı | CH ₂ CF ₃ | CF ₃ | 112-115 |
| 20 | 3-0118 | Ме | Me | н | Н | 2 | Н | Н | CF₃ | CH ₂ OMe | Cı | 87-89 |
| | 3-0119 | Me | Me | н | Н | 2 | H | Н | Cı | CH ₂ OMe | CF ₃ | 125-128 |
| | 3-0120 | Me | Me | н | Н | 2 | Н | Н | CF₃ | CH₂OEt | Cl | 97-98 |
| 25 | 3-0121 | Me | Me | н | H | 2 | Н | Н | Cl | CH ₂ OEt | CF ₃ | 128-129 |
| | 3-0122 | Me | Me | н | Н | 2 | Н | H | CF ₃ | CH₂CH₂OH | CI . | 79-81 |
| | 3-0123 | Me | Me | н | Н | 2 | H | Н | Cı | CH₂CH₂OH | CF ₃ | 93-94 |
| | 3-0124 | Me | Me | н | H | 2 | Н | Н | CF₃ | CH₂CH₂OMe | Cı | 102-104 |
| 30 | 3-0125 | Me | Me | Н | Н | 2 | Н | Н | Cı | CH₂CH₂OMe | CF ₃ | 118-119 |
| | 3-0126 | Me | Me | н | Н | 2 | H | H | CF₃ | CH ₂ CH ₂ OEt | CI | 56-59 |
| | 3-0127 | Me | Me | н | H | 2 | Н | Н | Cl | CH ₂ CH ₂ OEt | CF ₃ | 118-119 |
| 25 | 3-0128 | Me | Me | н | Н | 2 | H | Н | CF ₃ | CH₂SMe | Cı | 103-105 |
| 35 | 3-0129 | Me | Me | Н | H | 2 | H | Н | Cl | CH₂SMe | CF ₃ | 128-129 |
| | 3-0130 | Me | Me | Н | Н | 2 | H | Н | CF ₃ | CH ₂ SO ₂ Me | Cl | 157-159 |
| | 3-0131 | Me | Me | Н | Н | 2 | H | Н | Cl | CH ₂ SO ₂ Me | CF ₃ | 165-166 |
| 40 | 3-0132 | Me | Ме | Н | H | 2 | H | H | CF ₃ | CH ₂ CH ₂ SO ₂ Me | Cl | 155-157 |
| | 3-0133 | Me | Me | н | H | 2 | Н | Н | Cl | CH ₂ CH ₂ SO ₂ Me | CF ₃ | 166-168 |
| | 3-0134 | Me | Me | н | H | 2 | H | Н | CF ₃ | CH₂CN | CI | 128-129 |
| | 3-0135 | Me | Me | H | Н | 2 | Н | H | Cl | CH ₂ CN | CF ₃ | 117-118 |
| 45 | 3-0136 | Me | Me | н | Н | 2 | Н | H | CF ₃ | CH ₂ C(≈O)OEt | Ci | 127-129 |
| | 3-0137 | Me | Me | н | Н | 2 | Н | Н | Cl | CH ₂ C(≈O)OEt | CF ₃ | 143-145 |
| | 3-0138 | Me | Me | Н | H | 2 | Н | Н | CF₃ | CH ₂ C(=O)NH ₂ | Cl | 173-174 |
| 50 | 3-0139 | Me | Me | Н | Н | 2 | Н | Н | Cl | CH ₂ C(=0)NH ₂ | CF ₃ | 182-183 |
| | 3-0140 | Me | Ме | H | H | 2 | Н | Н | CF ₃ | $CH_2C(=0)N(Me)_2$ | Ci . | 142-143 |
| | 3-0141 | Me | Me | Н | Н | 2 | Н | H | Cl | $CH_2C(=O)N(Me)_2$ | CF ₃ | 181-182 |
| | 3-0142 | Ме | Me | н | Н | 2 | Н | H | CF ₃ | CH ₂ C(=O)Me | Cı | 148-149 |
| 55 | 3-0143 | Me | Me | н | Н | 2 | Н | Н | CI | CH ₂ C(=O)Me | CF ₃ | 163-164 |

| | 3-0144 | i sza l | Me | ш | ы | ادا | ן עם | u | CF ₃ | CH ₂ CH ₂ C(=O)Me | cı | 89-91 |
|----|--------|---------|----|---|---|-----|------|---|------------------|---|-------------------|---------|
| | | | | | i | 1 1 | Н | | Me | Ph | <u> </u> | 140-141 |
| | 3-0145 | Me | | H | ĺ | 2 | ľ | | 1 | | Me | 124-125 |
| 5 | 3-0146 | | Me | Н | | 2 | Н | | Me | Ph | Cl | 112-113 |
| | 3-0147 | Ме | | H | H | 2 | Н | | Et | Ph | Cl | ſ |
| | 3-0148 | Me | Me | Н | H | 2 | H | | Pr | Ph | Cl | 122-123 |
| 10 | 3-0149 | Me | | Н | Н | 2 | H | | Pr-i | Ph | Cl | 116-117 |
| 10 | 3-0150 | Me | | H | H | 2 | H | | Bu-t | Ph | Cl | 100-102 |
| | 3-0151 | Me | | H | H | 2 | H | Н | 1 | Ph | H | 111-112 |
| | 3-0152 | Me | Me | Н | H | 2 | Н | | CF ₃ | Ph | Me | 129-132 |
| 15 | 3-0153 | Me | Me | H | H | 2 | H | | CF₃ | Ph | CF ₃ | 112-113 |
| | 3-0154 | | Me | Н | H | 2 | Н | | CF ₃ | Ph | F | 90-91 |
| | 3-0155 | Me | ' | Н | Н | 2 | H | | CF ₃ | Ph | ОМе | 104-106 |
| | 3-0156 | Me | 1 | Н | Н | 2 | Н | | CF ₃ | Ph | OEt | 129-131 |
| 20 | 3-0157 | Me | ĺ | Н | 1 | 2 | Н | | CF ₃ | Ph | OPr-i | 86-88 |
| | 3-0158 | Me | Me | Н | Н | 2 | Н | Н | CF ₃ | Ph | OPr | 117-118 |
| | 3-0159 | Me | Me | Н | Н | 2 | Н | Н | CF ₃ | Ph | OBu-t | 105-108 |
| 05 | 3-0160 | Me | Me | Н | H | 2 | Н | Н | CF ₃ | Ph | OCHF ₂ | 90-92 |
| 25 | 3-0161 | Me | Me | Н | Н | 2 | Н | Н | CF ₃ | Ph | SO₂Me | 167-168 |
| | 3-0162 | Me | Me | H | Н | 2 | H | Н | CF ₃ | Ph | CN | 113-115 |
| | 3-0163 | Me | Me | Н | H | 2 | Н | Н | CF ₃ | (2-Cl)Ph | Cl | 153-154 |
| 30 | 3-0164 | Me | Me | Н | Н | 2 | Н | Н | CF ₃ | (3-Cl)Ph | Cl | 106-107 |
| | 3-0165 | Me | Me | Н | Н | 2 | Н | H | CF ₃ | (4-Cl)Ph | Cı | 142-143 |
| | 3-0166 | Me | Me | Н | Н | 2 | H | Н | CF ₃ | (4-F)Ph | Cı | 135-138 |
| | 3-0167 | Me | Me | Н | H | 2 | Н | Н | CF ₃ | (4-OMe)Ph | Cı | 136-138 |
| 35 | 3-0168 | Me | Me | Н | Н | 2 | Н | Н | CF ₃ | (4-Me)Ph | Cl | 129-130 |
| | 3-0169 | Me | Me | Н | Н | 2 | Н | Н | CF ₃ | (4-NO ₂)Ph | Cı | 145-147 |
| | 3-0170 | Me | Me | Н | H | 2 | Н | Н | CF ₃ | (4-CN)Ph | Cl | 91-93 |
| 40 | 3-0171 | Me | Me | Н | Н | 2 | Н | Н | CF ₃ | (4-C(=O)Me)Ph | Cı | 133-135 |
| 40 | 3-0172 | Me | Me | н | н | 2 | Н | Н | CF ₃ | (4-C(=O)OMe)Ph | Cl | 121-124 |
| | 3-0173 | Me | Me | н | Н | 2 | Н | Н | CF ₃ | Pyrmidin-2-yl | Cl | 148-150 |
| | 3-0174 | Me | Me | Н | н | 2 | н | Н | CF ₃ | 4,6-Dimethoxypyrmidin-
2-yl | Cı | 117-118 |
| 45 | 3-0175 | Me | Me | Н | н | 2 | Н | Н | CF ₃ | SO₂Me | C1 | 146-148 |
| | 3-0176 | Me | Me | Н | н | 2 | H | Н | CF ₃ | SO₂Ph | Cı | 145-148 |
| | 3-0177 | Me | Me | Н | н | 2 | Н | Н | CF ₃ | C(=O)Me | Cı | 130-131 |
| 50 | 3-0178 | Me | Me | Н | Н | 2 | Н | Н | CF ₃ | C(=O)Ph | Cı | 114-117 |
| 50 | 3-0179 | Me | Me | Н | Н | 2 | Н | Н | CF ₃ | C(=O)OMe | CI | 104-106 |
| | 3-0180 | Me | Et | Н | н | 2 | Н | Н | CF ₃ | Ме | Cı | 108-110 |
| | 3-0181 | Me | Me | Н | Н | 0 | H | Н | CHF ₂ | Ме | Cı | 1.5183 |
| 55 | 3-0182 | Me | Me | Н | Н | 0 | Н | Н | Ph | Ме | Cı | 76-77 |
| | • | • | • | • | • | ' ' | | , | • | , | ' | |

EP 1 364 946 A1

| 3-0183 | Me | Ме | Н | H | 0 | н | Н | CF₃ | Bu-t | ОМе | 1.4831 |
|--------|----|----|---|---|---|---|---|-----|--------------------------------------|-----|---------|
| 3-0184 | Me | Me | н | Н | 0 | Н | н | CF₃ | CH ₂ C(=O)NH ₂ | Cl | 179-180 |
| 3-0185 | Me | Me | H | Н | 0 | Н | н | Me | Ph | Cl | 58-60 |

Table 14

| | Table 14 | | | | | | | | | | | | |
|----------------|---|---|---|---|---|---|-----------------|-----|---------|--|--|--|--|
| | $ \begin{array}{cccccccccccccccccccccccccccccccccccc$ | | | | | | | | | | | | |
| R ² | Melting point(°C) | | | | | | | | | | | | |
| Me | Н | Н | 2 | Н | Н | 0 | CF ₃ | Me | 135-136 | | | | |
| Me | Н | Н | 2 | Н | Н | S | Me | Cl | 113-114 | | | | |
| Me | H | Н | 0 | H | Н | 0 | CF ₃ | Me | | | | | |
| Me | Н | Н | 0 | H | Н | S | Me | Cl | | | | | |
| Me | H | Н | 2 | H | H | 0 | Me | Me | 178-179 | | | | |
| Me | Н | Н | 2 | H | Н | 0 | CF ₃ | OEt | 89-91 | | | | |
| Me | Н | Н | 2 | Н | Н | 0 | Ph | Me | 81-83 | | | | |
| Me | H | Н | 2 | H | Н | S | Me | OEt | 109-111 | | | | |

Table 15

| | | | | \mathbb{R}^1 | | 2 F | 23 1 | S(O) | R ⁶ R ³³ R ³⁴ Z ⁴ -N | | |
|--------------|----------------|----------------|----------------|----------------|---|----------------|----------------|-------------------|--|-----------------|--|
| Compound No. | R ¹ | R ² | R ³ | R⁴ | n | R ⁵ | R ⁶ | Z ⁴ | R ³³ | R ³⁴ | Melting point(℃) or refractive index (n _D ²⁰) |
| 5-0001 | Me | Me | Н | Н | 2 | Н | Н | NMe | Cl | Me | 114-115 |
| 5-0002 | Me | Me | Н | Н | 2 | Н | Н | NMe | Cl | Et | 107-108 |
| 5-0003 | Me | Me | H | Н | 2 | Н | Н | NMe | CF ₃ | Н | 142-143 |
| 5-0004 | Me | Me | H | Н | 2 | Н | Н | NCHF ₂ | -(CH ₂) ₄ - | | 123-125 |
| 5-0005 | Me | Ме | Н | Н | 2 | Н | Н | NPh | Oet | Me | 1.5397 |
| 5-0006 | Me | Me | Н | Н | 2 | Н | Н | NPh | OCHF ₂ | Me | 1.5339 |
| 5-0007 | Me | Ме | Н | Н | 2 | H | Н | NPh | CF ₃ | H . | 99-101 |
| 5-0008 | Me | Me | H | Н | 2 | Н | Н | NPh | OCH ₂ CH=CH ₂ | Me | 87-90 |
| 5-0009 | Me | Me | Н | Н | 1 | Н | Н | NPh | OCH₂CH=CH₂ | Me | 1.5702 |

Table 16

| | $ \begin{array}{c ccccccccccccccccccccccccccccccccccc$ | | | | | | | | | | | |
|----------------|--|----------------|----------------|----|---|----------------|----------------|-------------------|-----------------|----------------------------------|---|--|
| Com- pound No. | \mathbb{R}^{1} | R ² | \mathbb{R}^3 | R⁴ | n | R ⁵ | R ⁶ | Z ⁵ | R ³⁵ | R ³⁶ | Melting point(°C) or refractive index (n _D ²⁰) | |
| 6-0001 | Ме | Me | Н | Н | 2 | Н | Н | NCHF ₂ | -(0 | CH ₂) ₄ - | Inpossible to measure | |
| 6-0002 | Me | Me | Н | H | 2 | H | H | NPh | H | Oet | 107-108 | |
| 6-0003 | Me | Me | Н | Н | 2 | Н | Н | NPh | Н | OCHF ₂ | 1.5383 | |
| 6-0004 | Me | Me | Н | H | 2 | Н | Н | o | Me | Н | 100-102 | |
| 6-0005 | Me | Me | Н | Н | 0 | Н | Н | NCHF ₂ | -(C | CH ₂) ₄ - | 1.5264 | |

Table 17

| 5 | | |
|----|--|--|
| 10 | | |
| 15 | | |
| 20 | | |
| 25 | | |
| 30 | | |

| | | | | | 3 | R ¹ — | | R ⁶ R ⁶ S(O) _n C R ⁵ R ⁵ R ⁵ | > | R ³⁹ → R | 40 | | |
|----------------------|----|----|----------------|----|---|------------------|----------------|--|-----------------|---------------------|-----------------|---------|---|
| Com-
pound
No. | R¹ | R² | R ³ | R⁴ | n | R ⁵ | R ⁶ | R ³⁷ | R³8 | R³9 | R ⁴⁰ | | Melting point(°C) or refractive index (np ²⁰) |
| 7-0001 | Me | Me | Н | Н | 2 | H | Н | Н | CF ₃ | Н | Н | - | 77-80 |
| 7-0002 | Me | Me | Н | Н | 2 | н | Н | н | CF ₃ | Н | Н | N-oxide | 114-116 |
| 7-0003 | Me | Me | Н | Н | 0 | H | Н | H | CF ₃ | Н | Н | - | |
| 7-0004 | Me | Me | Н | Н | 2 | н | Н | Н | н | Н | н | - | 130-131 |
| 7-0005 | Me | Me | Н | Н | 2 | н | Н | н | Н | H | Н | N-oxide | 166-168 |
| 7-0006 | Me | Me | Н | Н | 2 | Н | H | Cl | Ph | Н | Н | | 118-120 |
| 7-0007 | Me | Me | Н | Н | 2 | н | H | OMe | Ph | Н | Н | - | 105-106 |
| 7-0008 | Me | Me | Н | Н | 2 | Н | H | Cl | Me | Н | Н | - | 115-116 |
| 7-0009 | Me | Me | H | Н | 2 | Н | Н | ОМе | Me | Н | Н | - | 134-135 |
| 7-0010 | Me | Me | H | Н | 2 | н | Н | Me | Me | Н | Н | N-oxide | 198-199 |
| 7-0011 | Me | Me | Н | Н | 2 | Н | Н | Ph | Ph | Н | Н | - | 161-162 |
| 7-0012 | Me | Me | н | Н | 1 | Н | Н | Н | H | Н | Н | - | 97-99 |
| 7-0013 | Me | Me | Н | Н | 0 | н | Н | (2-Chloropyridin-
3-yl)methylthio | Н | Н | Н | - | 154-155 |

Table 18

5

10

15

20

30

35

40

45

50

55

Me Me

Η

Η

0

Pr-i

Η

H

H

CF₃

1.4986

Melting point(℃) or \mathbb{R}^4 R^{43} R^1 R^2 R^5 R6 R^{41} R^{42} \mathbb{R}^3 n refractive index (n_{D}^{20}) CF₃ 2 175-176 Me Me H Η H Н Н OMe 0 H Η OMe CF_3 Me Me Η Η H 2 Me Me H H H Cl Cl 119-120 H H 2 CF_3 Me Me H H H H H **OEt** 94-95 Me Me Η Η 2 H H Η OMe OMe 186-187 Me Me H 2 OMe CF_3 143-144 Η H Η Me 2 Н 144-145 H OMe CF₃ Me Me Η H OMe 2 Me | Me H Η H H SMe OMe CF_3 160-162 2 Me Me H H OMe CF₃ Η H SO₂Me 144-146 2 CF₃ 208-209 Me Me Η H H Η NH_2 OMe CF₃ Me Me H Η 2 Pr-i H Η Η 112-113

Table 19

| 0 | | $ \begin{array}{c c} R^2 & R^3 \\ R^1 & R^6 \\ \hline O_N & S(O)_{\overline{n}} & C-Y^1 \\ \hline R^5 & R^5 \end{array} $ | | | | | | | | | | |
|---|----------------------|---|-----------------------------|----------------|----|----|----------------|----------------|-------------------------------|--|--|--|
| 5 | Com-
pound
No. | R¹ | [*] R ² | R ³ | R⁴ | 'n | R ⁵ | R ⁶ | Y¹ | Melting point(℃) or refractive index (n _D ²⁰) | | |
| | 9-0001 | Me | Me | Н | Н | 2 | Н | Н | Pyridin-2-yl | 116-118 | | |
| 0 | 9-0002 | Me | Me | H | Н | 2 | H | Н | Pyridin-2-yl 1-oxide | 140-143 | | |
| | 9-0003 | Me | Me | Н | Н | 2 | Н | Н | Pyridin-4-yl | 133-136 | | |
| | 9-0004 | Me | Me | Н | Н | 2 | Н | Н | Pyridin-4-yl 1-oxide | 110-113 | | |
| 5 | 9-0005 | Me | Me | Н | Н | 2 | Н | Н | 1,2,4-Oxadiazol-3-yl | Inpossible to
measure | | |
| | 9-0006 | Me | Me | Н | Н | 2 | Н | H | 3-Phenyl-1,2,4-oxadiazol-5-yl | 153-154 | | |
| | 9-0007 | Me | Me | Н | Н | 2 | Н | Н | 3-Benzyl-1,2,4-oxadiazol-5-yl | 108-109 | | |
|) | 9-0008 | Me | Me | H | Н | 2 | Н | Н | 2-Chlorothiazol-4-yl | 110-112 | | |
| | 9-0009 | Me | Me | Н | Н | 2 | Н | Н | 1,4-Dimethylimidazol-5-yl | 163-164 | | |
| | 9-0010 | Me | Me | H | Н | 1 | Н | Н | Pyridin-2-yl | 81-82 | | |
| | 9-0011 | Me | Me | Н | Н | 1 | Н | Н | Pyridin-4-yl | 94-96 | | |
| i | 9-0012 | Me | Me | H | Н | 1 | Н | Н | 1,4-Dimethylimidazol-5-yl | 138-140 | | |
| | 9-0013 | Me | Me | Н | H | 0 | Н | Н | 1,4-Dimethylimidazol-5-yl | 1.5427 | | |

Table 20

| 5 | | | | | | | | | p3 | | | | | |
|----|----------------------|--|----------------|----------------|----------------|----|----------------|----------------|---|---|--|--|--|--|
| 10 | | $ \begin{array}{c c} R^2 & R^3 \\ R^1 & R^4 \\ \hline & R^6 \\ \hline & C-Y^1 \\ \hline & R^5 \end{array} $ | | | | | | | | | | | | |
| 15 | Com-
pound
No. | R¹ | R ² | R ³ | R ⁴ | 'n | R ⁵ | R ⁶ | . Y ¹ | Melting point(°C) or refractive index (n _D ²⁰) | | | | |
| 20 | 10-0001
10-0002 | | | H | H
H | 2 | H
H | ł | Benzimidazol-2-yl
Benzothiophen-2-yl | 171-174
181-183 | | | | |
| | 10-0003 | Me | Me | Н | Н | 2 | Н | Н | 3-Chlorobenzothiophen-2-yl | 109-112 | | | | |
| | 10-0004 | Me | Me | H | Н | 2 | Н | Н | Benzotriazol-1-yl | 206-207 | | | | |
| 25 | 10-0005 | Me | Me | Н | H | 2 | Н | H | 1-Methylindazol-4-yl | 128-130 | | | | |
| | 10-0006 | Me | Me | Н | H | 2 | Н | Н | Benzothiazol-2-yl | 142-143 | | | | |
| | 10-0007 | Me | Me | Н | Н | 2 | H | Н | Benzothiophen-3-yl | 188-191 | | | | |
| | 10-0008 | Me | Me | Н | Н | 2 | Н | H | 5-Chlorobenzothiophen-3-yl | 129-130 | | | | |
| 30 | 10-0009 | Me | Me | Н | Н | 2 | Н | Н | Benzoxazol-2-yl | 127-129 | | | | |
| | 10-0010 | Me | Me | H | Н | 2 | Н | Н | 3-Methylbenzothiophen-2-yl | 161-163 | | | | |
| | 10-0011 | Me | Me | Н | Н | 2 | H | H | 3-Bromobenzothiophen-2-yl | 118-119 | | | | |
| 35 | 10-0012 | Me | Me | Н | Н | 2 | Н | Н | Benzofuran-2-yl | 123-124 | | | | |
| | 10-0013 | Me | Me | Н | Н | 2 | H | H | 2-Methylbenzofuran-7-yl | 135-137 | | | | |
| | 10-0014 | Me | Me | H | H | 2 | Н | Н | 3-Bromobenzofuran-2-yl | 107-108 | | | | |
| | 10-0015 | Me | Me | Н | Н | 2. | H | H | Benzothiophen-7-yl | 95-97 | | | | |
| 40 | 10-0016 | Me | Me | Н | Н | 2 | Н | Н | 1-Methylindazol-7-yl | 89-90 | | | | |
| | 10-0017 | Me | Me | Н | Н | 2 | Н | Н | 3-Methylbenzofuran-2-yl | 111-112 | | | | |
| | 10-0018 | Me | Me | Н | Н | 2 | Н | Н | 3-Chloro-1-methylindol-2-yl | 162-165 | | | | |

(Production Examples of intermediates)

<Reference Example 1>

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Production of 3-chloro-5,5-dimethyl-2-isoxazoline

[0262] 534.0 g (4.0 moles) of N-chlorosuccinimide was gradually added, at 65 to 70° C, to a solution of 182.7 g (2.05 moles) of glyoxylic acid aldoxime dissolved in 2 liters of 1,2-dimethoxyethane. The mixture was refluxed for 1 hour with heating. Thereto were added, with ice-cooling, 1,440.0 g (14.4 moles) of potassium hydrogencarbonate and 10 ml of water. Then, 360.0 g (6.4 moles) of 2-methylpropene was added. The resulting mixture was stirred at room temperature for 24 hours to give rise to a reaction. The reaction mixture was poured into water, followed by extraction with diisopropyl ether. The resulting organic layer was washed with water and an aqueous sodium chloride solution in this order and

then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein, to obtain 107.7 g (yield: 40.0%) of 3-chloro-5,5-dimethyl-2-isoxazoline as a yellow viscous liquid.

¹H-NMR [CDCl₃/TMS, δ (ppm)]: 2.93 (2H,s), 1.47 (6H,s)

<Reference Example 2>

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Production of 3-chloro-5-ethyl-5-methyl-2-isoxazoline

[0263] 61.9 g (463.4 mmoles) of N-chlorosuccinimide was gradually added, at 60° C, to a solution of 20.6 g (231.7 mmoles) of glyoxylic acid aldoxime dissolved in 500 ml of 1,2-dimethoxyethane. After the addition, the mixture was refluxed for 10 minutes with heating. Thereto were added, with ice-cooling, 50 ml (463.4 mmoles) of 2-methyl-1-butene, 98.9 g (1,622 mmoles) of potassium hydrogencarbonate and 10 ml of water. The resulting mixture was stirred for 12 hours to give rise to a reaction. The reaction mixture was poured into water, followed by extraction with n-hexane. The resulting organic layer was washed with water and an aqueous sodium chloride solution in this order and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein, to obtain 13.9 g (yield: 40.6%) of 3-chloro-5-ethyl-5-methyl-2-isoxazoline as a yellow viscous liquid. 1 H-NMR [CDCl₃/TMS, δ (ppm)]:

2.91 (2H, ABq, J=17.0, Δν=46.1 Hz), 1.73 (2H,q), 1.42 (3H,s), 0.96 (3H,t)

<Reference Example 3>

Production of 3-benzylthio-5,5-dimethyl-2-isoxazoline

[0264] 3.2 g (23.2 mmoles) of anhydrous potassium carbonate and 3.0 g (22.5 mmoles) of 3-chloro-5,5-dimethyl2-isoxazoline were added, in a nitrogen atmosphere, to a solution of 2.8 g (22.5 mmoles) of benzylmercaptan dissolved in 50 ml of N,N-dimethylformamide. The mixture was stirred at 100° C for 2 hours to give rise to a reaction. After the completion of the reaction, the reaction mixture was poured into water, followed by extraction with ethyl acetate. The resulting organic layer was washed with water and an aqueous sodium chloride solution in this order and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein. The residue was purified by silica gel column chromatography to obtain 3.1 g (yield: 62.0%) of 3-benzylthio-5,5-dimethyl-2-isoxazoline as a yellow oily substance ($n_D^{20} = 1.5521$).

7.24-7.39 (5H,m), 4.26 (2H,s), 2.77 (2H,s), 1.40 (6H,s)

<Reference Example 4>

Production of 3-(2,6-difluorobenzylsulfinyl)-5-ethyl-5-methyl-2-isoxazoline

[0265] 4.6 g of m-chloroperbenzoic acid (purity: 70%, 18.8 mmoles) was added, with ice-cooling, to a solution of 4.1 g (15.0 mmoles) of 3-(2,6-difluorobenzylthio)-5-ethyl-5-methyl-2-isoxazoline dissolved in 50 ml of chloroform. The mixture was stirred for 1 hour and then at room temperature for 12 hours to give rise to a reaction. After the completion of the reaction the reaction mixture was poured into water, followed by extraction with chloroform. The resulting organic layer was washed with an aqueous sodium hydrogensulfite solution, an aqueous sodium hydrogencarbonate solution, water and an aqueous sodium chloride solution in this order and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein. The residue was purified by silica gel column chromatography (developing solvent: hexane-ethyl acetate mixed solvent) to obtain 1.5 g (yield: 34.8%) of 3-(2,6-difluorobenzylsulfinyl)-5-ethyl-5-methyl-2-isoxazoline as a white powder (melting point: 30°C or less). 1 H-NMR [CDCl₃/TMS, δ (ppm)]:

 $7.39-7.28~(1H,m),~7.03-6.94~(2H,m),~4.38~(2H,s),~3.04~(1H,ABq,J=17.2,\Delta\nu=85.7~Hz),~3.12~(1H,s),~1.75~(2H,m)~,~1.44~(3H,S)+1.41~(3H,s),~0.97~(3H,m)$

<Reference Example 5>

Production of 3-(2,6-difluorobenzylsulfonyl)-5-ethyl-5-methyl-2-isoxazoline

[0266] 1.0 g of m-chloroperbenzoic acid (purity: 70%, 4.1 mmoles) was added, with ice-cooling, to a solution of 0.8

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g (2.8 mmoles) of 3-(2,6-difluorobenzylsulfinyl)-5-ethyl-5-methyl-2-isoxazoline dissolved in 50 ml of chloroform. The mixture was stirred for 1 hour and then at room temperature for 12 hours to give rise to a reaction. After the completion of the reaction the reaction mixture was poured into water, followed by extraction with chloroform. The resulting organic layer was washed with an aqueous sodium hydrogensulfite solution, an aqueous sodium hydrogensarbonate solution, water and an aqueous sodium chloride solution in this order and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein. The residue was purified by silica gel column chromatography (developing solvent: hexane-ethyl acetate mixed solvent) to obtain 0.6 g (yield: 75.0%) of 3-(2, 6-difluorobenzylsulfonyl)-5-ethyl-5-methyl-2-isoxazoline as a white powder (melting point: 64 to 65°C). 1 H-NMR [CDCl₃/TMS, δ (ppm)]:

7.36-7.46 (1H,m) 6.98-7.04 (2H,m), 4.73 (2H,s), 3.04 (2H, ABq, J=17.2, Δv =51.1 Hz), 1.77 (2H,q), 1.46 (3H,s), 0.97 (3H,t)

<Reference Example 6>

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Production of 5,5-dimethyl-3-methylsulfonyl-2-isoxazoline

[0267] 1.0 kg of an aqueous sodium methanethiolate solution (content: 15%, 2.14 mmoles) was dropwise added, with ice-cooling, to a solution of 143.0 g (1.07 moles) of 3-chloro-5,5-dimethyl-2-isoxazoline dissolved in 500 ml of N, N-dimethylformamide. The mixture was stirred at room temperature for 12 hours to give rise to a reaction. After the completion of the reaction, the reaction mixture was poured into water, followed by extraction with ethyl acetate. The resulting organic layer was washed with an aqueous sodium chloride solution and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein, to obtain 115.0 g (yield: 74.1%) of 5,5-dimethyl-3-methylthio-2-isoxazoline. This residue (741.2 mmoles) was dissolved in 1 liter of chloroform. Thereto was added, with ice-cooling, 392.0 g of m-chloroperbenzoic acid (purity: 70%, 1.59 moles). The resulting mixture was stirred for 1 hour and then at room temperature for 12 hours to give rise to a reaction. After the completion of the reaction, the separated m-chloroperbenzoic acid was removed by filtration. The resulting filtrate was washed with an aqueous sodium hydrogensulfite solution, water, an aqueous sodium hydrogencarbonate solution and an aqueous sodium chloride solution in this order and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein. The residue was washed with diisopropyl ether to obtain 77.6 g (yield: 59.1%) of 5,5-dimethyl-3-methylsulfonyl-2-isoxazoline as a white powder (melting point: 82 to 84°C).

¹H-NMR [CDCl₃/TMS, δ (ppm)]: 3.26 (3H,s), 3.12 (2H,s), 1.51 (6H,s)

35 <Reference Example 7>

Production of 5,5-dimethyl-3-ethylthio-2-isoxazoline

[0268] 1,500 ml of an aqueous solution containing 560.0 g (9.0 moles) of ethyl mercaptan and 360.0 g (9.0 moles) of sodium hydroxide was added to a solution containing 3-chloro-5,5-dimethyl-2-isoxazoline. The mixture was stirred at 60 to 70°C for 16 hours to give rise to a reaction. After confirmation of the completion of the reaction, the reaction mixture was poured into water, followed by extraction with ethyl acetate. The resulting organic layer was washed with water and an aqueous sodium chloride solution and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein, to obtain 270.0 g of crude 5,5-dimethyl-3-ethylthio-2-isoxazoline as a dark red oily substance.

<Reference Example 8>

Production of 5,5-dimethyl-3-ethylsulfonyl-2-isoxazoline

[0269] 270.0 g (1.7 moles) of crude oily 5,5-dimethyl-3-ethylthio-2-isoxazoline was dissolved in 1.0 liter of chloroform. Thereto was added, with ice-cooling, 1,050 g of m-chloroperbenzoic acid (purity: 70%, 6.1 moles). The resulting mixture was stirred for 1 hour and then at room temperature for 12 hours to give rise to a reaction. After confirmation of the completion of the reaction, the separated m-chloroperbenzoic acid was removed by filtration. The resulting filtrate was washed with an aqueous sodium hydrogensulfite solution, an aqueous sodium hydrogencarbonate solution, water and an aqueous sodium chloride solution in this order and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein. The residue was washed with n-hexane to obtain 133.6 g (yield: 65.4%) of 5,5-dimethyl-3-ethylsulfonyl-2-isoxazoline as a white powder.

<Reference Example 9>

Production of 1-phenyl-3-trifluoromethyl-1H-pyrazol-5-ol

[0270] 20 g (184.9 mmoles) of phenylhydrazine and 4 ml of concentrated hydrochloric acid were added to a solution of 34.1 g (184.9 mmoles) of ethyl trifluoroacetoacetate dissolved in 500 ml of ethanol. The mixture was refluxed for 1 hour with heating, to give rise to a reaction. After the completion of the reaction, the reaction mixture was subjected to vacuum distillation to remove the most part of the solvent contained therein. The residue was mixed with water to precipitate crystals. The crystals were collected by filtration, washed with water until the filtrate became neutral, and dried to obtain 37.1 g (yield: 87.9%) of 1-phenyl-3-trifluoromethyl-1H-pyrazol-5-ol as ocherous crystals. 1 H-NMR [CDCl₃/TMS, δ (ppm)]:

7.68-7.41 (5H,m), 5.86 (1H,s), 3.71 (1H,s)

<Reference Example 10>

Troibiolico Example 10

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Production of 5-chloro-1-phenyl-3-trifluoromethyl-1H-pyrazole-4-carboaldehyde

[0271] 33.6 g (219.1 mmoles) of phosphorus oxychloride was added to 7.7 g (105.2 mmoles) of N,N-dimethylformamide with ice-cooling. Thereto was added, at room temperature, 20 g (87.7 mmoles) of 1-phenyl-3-trifluoromethyl-1H-pyrazol-5-ol. The resulting mixture was refluxed for 1 hour with heating, to give rise to a reaction. After the completion of the reaction, the reaction mixture was poured into water with ice-cooling, followed by extraction with chloroform. The resulting organic layer was washed with an aqueous sodium hydrogencarbonate solution and an aqueous sodium chloride solution in this order and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein. The residue was purified by silica gel column chromatography (developing solvent: hexane-ethyl acetate mixed solvent) to obtain 19.1 g (yield: 79.1%) of 5-chloro-1-phenyl-3-trifluoromethyl-1H-pyrazole-4-carboaldehyde as white crystals.

¹H-NMR [CDCl₃/TMS, δ (ppm)]:

10.06 (1H,s), 7.57 (5H,s)

<Reference Example 11>

Production of (5-chloro-1-phenyl-3-trifluoromethyl-1H-pyrazol-4-yl)-methanol

[0272] A solution of 0.21 g (5.5 mmoles) of lithium aluminum hydride dissolved in 70 ml of THF was cooled to -30°C. Thereto was gradually added a solution of 3 g (10.9 mmoles) of 5-chloro-1-phenyl-3-trifluoromethyl-1H-pyrazole-4-carboaldehyde dissolved in 30 ml of tetrahydrofuran. The resulting mixture was stirred at -30°C for 30 minutes to give rise to a reaction. After the completion of the reaction, ethyl acetate was added, followed by stirring. Then, water was added, followed by stirring for a while. The reaction mixture was filtered under vacuum. The filtrate was extracted with ethyl acetate. The resulting organic layer was washed with an aqueous sodium chloride solution and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein, to obtain 3.0 g (yield: 99.9%) of (5-chloro-1-phenyl-3-trifluoromethyl-1H-pyrazol-4-yl)-methanol as white crystals.

¹H-NMR [CDCl₃/TMS, δ (ppm)]: 7.54-7.51 (5H,m), 4.71 (2H,d) 1.79 (1H,b)

<Reference Example 12>

Production of 4-bromomethyl-5-chloro-1-phenyl-3-trifluoromethyl-1H-pyrazole

[0273] A solution of 3.0 g (10.9 mmoles) of (5-chloro-1-phenyl-3-trifluoromethyl-1H-pyrazol-4-yl)-methanol dissolved in 60 ml of diethyl ether was cooled to -10°C. Thereto was added 1.0 g (3.8 mmoles) of phosphorus tribromide. The mixture was stirred at room temperature for 1 hour to give rise to a reaction. After the completion of the reaction, the reaction mixture was poured into water, followed by extraction with ethyl acetate. The resulting organic layer was washed with an aqueous sodium chloride solution and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein, to obtain 3.6 g (yield: 95.8%) of 4-bromomethyl-5-chloro-1-phenyl-3-trifluoromethyl-1H-pyrazole as white crystals.

1H-NMR [CDCl₃/TMS, δ (ppm)]:

7.58-7.48 (5H,m), 4.48 (2H,s)

<Reference Example 13>

Production of 5-fluoro-1-phenyl-3-trifluoromethyl-1H-pyrazole-4-carboaldehyde

[0274] 10.5 g (180.2 mmoles) of potassium fluoride was added to a solution of 33.0 g (120.1 mmoles) of 5-chloro-1-phenyl-3-trifluoromethyl-1H-pyrazole-4-carboaldehyde dissolved in 500 ml of dimethyl sulfoxide. The mixture was stirred at 100°C for 2 hours to give rise to a reaction. After the completion of the reaction, the reaction mixture was poured into water, followed by extraction with ethyl acetate. The resulting organic layer was washed with an aqueous sodium chloride solution and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein. The residue was purified by silica gel column chromatography (developing solvent: hexane-ethyl acetate mixed solvent) to obtain 26.5 g (yield: 85.0%) of 5-fluoro-1-phenyl-3-trifluoromethyl-1H-pyrazole-4-carboaldehyde.

¹H-NMR [CDCl₃/TMS, δ (ppm)] : 9.96 (1H,s), 7.68-7.51 (5H,m)

<Reference Example 14>

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Production of (5-fluoro-1-phenyl-3-trifluoromethyl-1H-pyrazol-4-yl)-methanol

[0275] To a solution of 1.6 g (41.0 mmoles) of sodium borohydride dissolved in 300 ml of methanol was added, with ice-cooling, a solution of 26.5 g (102.5 mmoles) of 5-fluoro-1-phenyl-3-trifluoromethyl-1H-pyrazole-4-carboaldehyde dissolved in 200 ml of methanol. The resulting mixture was stirred at 0°C for 30 minutes to give rise to a reaction. After the completion of the reaction, the reaction mixture was poured into water, followed by extraction with ethyl acetate. The resulting organic layer was washed with an aqueous sodium chloride solution and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein, to obtain 28.5 g (yield: 100%) of (5-fluoro-1-phenyl-3-trifluoromethyl-1H-pyrazol-4-yl)-methanol.

7.65-7.41 (5H,m), 4.68 (2H,d), 1.73 (1H,t)

<Reference Example 15>

Production of 4-bromomethyl-5-fluoro-1-phenyl-3-trifluoromethyl-1H-pyrazole

[0276] A solution of 27.5 g (105.7 mmoles) of (5-fluoro-1-phenyl-3-trifluoromethyl-1H-pyrazol-4-yl)-methanol dissolved in 300 ml of diethyl ether was cooled to 0°C. Thereto was added 10.0 g (37.0 mmoles) of phosphorus tribromide. The mixture was stirred at room temperature for 2 hours to give rise to a reaction. After the completion of the reaction, the reaction mixture was poured into water, followed by extraction with diethyl ether. The resulting organic layer was washed with an aqueous sodium chloride solution and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein, to obtain 30.3 g (yield: 88.8%) of 4-bromomethyl-5-fluoro-1-phenyl-3-trifluoromethyl-1H-pyrazole.

¹H-NMR [CDCl₃/TMS, δ (ppm)]:

7.66-7.42 (5H,m), 4.44 (2H,s)

<Reference Example 16>

Production of 1-tert-butyl-3-trifluoromethyl-1H-pyrazol-5-ol

[0277] 373.8 g (3.0 moles) of tert-butylhydrazine hydrochloride and 50 ml of concentrated hydrochloric acid were added to a solution of 552.3 g (3.0 moles) of ethyl trifluoroacetoacetate dissolved in 1,500 ml of ethanol. The mixture was refluxed for 2 days with heating, to give rise to a reaction. After the completion of the reaction, the reaction mixture was subjected to vacuum distillation to remove the most part of the solvent contained therein. The residue was poured into water, followed by extraction with ethyl acetate. The resulting organic layer was washed with water and an aqueous sodium chloride solution in this order and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein. The residue was washed with n-hexane to obtain 369.0 g (yield: 59.1%) of 1-tert-butyl-3-trifluoromethyl-1H-pyrazol-5-ol as a white powder.

<Reference Example 17>

Production of 1-tert-butyl-5-chloro-3-trifluoromethyl-1H-pyrazole-4-carboaldehyde

[0278] 462.0 g (3.0 moles) of phosphorus oxychloride was added to 87.7 g (1.2 moles) of N,N-dimethylformamide with ice-cooling. Thereto was added, at room temperature, 208.2 g (1.0 moles) of 1-tert-butyl-3-trifluoromethyl-1H-pyrazol-5-ol. The resulting mixture was refluxed for 10 hours with heating, to give rise to a reaction. After the completion of the reaction, the reaction mixture was poured into water, followed by extraction with chloroform. The resulting organic layer was washed with water, a 5% aqueous sodium hydroxide solution and water in this order and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein. The residue was purified by silica gel column chromatography (developing solvent: hexane-ethyl acetate mixed solvent) to obtain 131.5 g (yield: 21.7%) of 1-tert-butyl-5-chloro-3-trifluoromethyl-1H-pyrazole-4-carboaldehyde as white crystals.

¹H-NMR [CDCl₃/TMS, δ (ppm)]: 9.97 (1H,d) 1.76 (9H,s)

<Reference Example 18>

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Production of (1-tert-butyl-5-chloro-3-trifluoromethyl-1H-pyrazol-4-yl)-methanol

[0279] A solution of 39.9 g (156.9 mmoles) of (1-tert-butyl-5-chloro-3-trifluoromethyl-1H-pyrazole-4-carboaldehyde dissolved in 300 ml of methanol was cooled to 0°C. Thereto was gradually added 6.5 g (172.6 mmoles) of sodium borohydride. The mixture was stirred at room temperature for 3 hours to give rise to a reaction. After the completion of the reaction, the reaction mixture was poured into water, followed by extraction with ethyl acetate. The resulting organic layer was washed with an aqueous sodium chloride solution and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein, to obtain 37.7 g (yield: 93.6%) of (1-tert-butyl-5-chloro-3-trifluoromethyl-1H-pyrazol-4-yl)-methanol.

¹H-NMR [CDCl₃/TMS, δ (ppm)] : 4.60 (2H,d), 1.72 (9H,s), 1.58 (1H,t)

<Reference Example 19>

Production of 4-bromomethyl-1-tert-butyl-5-chloro-3-trifluoromethyl-1H-pyrazole

[0280] A solution of 9.2 g (35.7 mmoles) of (1-tert-butyl-5-chloro-3-trifluoromethyl-1H-pyrazol-4-yl)-methanol dissolved in 100 ml of diethyl ether was cooled to -10°C. Thereto was added 11.6 g (42.9 mmoles) of phosphorus tribromide. The mixture was stirred at room temperature overnight to give rise to a reaction. After the completion of the reaction, the reaction mixture was poured into water, followed by extraction with diethyl ether. The resulting organic layer was washed with an aqueous sodium chloride solution and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein, to obtain 10.0 g (yield: 87.3%) of 4-bromomethyl-1-tert-butyl-5-chloro-3-trifluoromethyl-1H-pyrazole.

<Reference Example 20>

Production of (1-tert-butyl-5-chloro-3-trifluoromethyl-1H-pyrazol-4-yl)-methanethiol

[0281] 43.5 g (136.1 mmoles) of 4-bromomethyl-1-tert-butyl-5-chloro-3-trifluoromethyl-1H-pyrazole was added to a solution of 21.8 g of sodium hydrosulfide hydrate (purity: 70%, 272.2 mmoles) dissolved in 300 ml of N,N-dimethylformamide. The mixture was stirred at room temperature overnight to give rise to a reaction. After the completion of the reaction, the reaction mixture was poured into water, followed by extraction with diethyl ether. The resulting organic layer was washed with an aqueous sodium chloride solution and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein, to obtain 32.3 g (yield: 87.0%) of (1-tert-butyl-5-chloro-3-trifluoromethyl-IH-pyrazol-4-yl)-methanethiol. 1 H-NMR [CDCl₃/TMS, δ (ppm)]:

3.65 (2H,d), 1.90 (1H,t), 1.70 (9H,s)

<Reference Example 21>

Production of 1-tert-butyl-5-methoxy-3-trifluoromethyl-1H-pyrazole

[0282] 15.0 g (108.4 mmoles) of anhydrous potassium carbonate and 19.3 g (135.5 mmoles) of methyl iodide were added, at room temperature, to a solution of 18.8 g (90.3 mmoles) of 1-tert-butyl-3-trifluoromethyl-1H-pyrazol-5-ol dissolved in 100 ml of N,N-dimethylformamide. The mixture was stirred for 15 hours to give rise to a reaction. After the completion of the reaction, the reaction mixture was poured into water, followed by extraction with diethyl ether. The resulting organic layer was washed with water and an aqueous sodium chloride solution in this order and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein, to obtain 20.0 g (yield: 99.8%) of 1-tert-butyl-5-methoxy-3-trifluoromethyl-1H-pyrazole.

<Reference Example 22>

Production of 1-tert-butyl-4-chloromethyl-5-methoxy-3-trifluoromethyl-1H-pyrazole

[0283] 5.4 g of paraformaldehyde (180.2 mmoles in terms of formaldehyde) and 20 ml of concentrated hydrochloric acid were added to a solution of 20.0 g (90.1 mmoles) of 1-tert-butyl-5-methoxy-3-trifluoromethyl-1H-pyrazole dissolved in 90 ml of acetic acid. The mixture was stirred at 60°C for 30 minutes to give rise to a reaction. After the completion of the reaction, the reaction mixture was poured into water, followed by extraction with diisopropyl ether. The resulting organic layer was washed with water and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein, to obtain 21.7 g (yield: 89.0%) of 1-tert-butyl-4-chloromethyl-5-methoxy-3-trifluoromethyl-1H-pyrazole.

25 < Reference Example 23>

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Production of 3-methoxy-1-methyl-5-trifluoromethyl-1H-pyrazole

[0284] 10.0 g (72.3 mmoles) of anhydrous potassium carbonate and 12.8 g (90.3 mmoles) of methyl iodide were added, at room temperature, to a solution of 10.0 g (60.2 mmoles) of 3-hydroxy-1-methyl-5-trifluoromethyl-IH-pyrazole dissolved in 50 ml of N,N-dimethylformamide. The mixture was stirred for 15 hours to give rise to a reaction. After the completion of the reaction, the reaction mixture was poured into water, followed by extraction with diethyl ether. The resulting organic layer was washed with -water and an aqueous sodium chloride solution in this order and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein, to obtain 9.8 g (yield: 90.7%) of 3-methoxy-1-methyl-5-trifluoromethyl-1H-pyrazole.

<Reference Example 24>

Production of 4-chloromethyl-3-methoxy-1-methyl-5-trifluoromethyl-1H-pyrazole

[0285] 0.45 g of paraformaldehyde (15.0 mmoles in terms of formaldehyde) and 5 ml of concentrated hydrochloric acid were added to a solution of 1.00 g (5.6 mmoles) of 3-methoxy-1-methyl-5-trifluoromethyl-IH-pyrazole dissolved in 25 ml of acetic acid. The mixture was stirred at 80°C for 2 hours to give rise to a reaction. After the completion of the reaction, the reaction mixture was poured into water and neutralized with potassium carbonate, followed by extraction with ethyl acetate. The resulting organic layer was washed with water and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein. The residue was purified by silica gel column chromatography (developing solvent: hexane-ethyl acetate mixed solvent) to obtain 0.83 g (yield: 65.0%) of 4-chloromethyl-3-methoxy-1-methyl-5-trifluoromethyl-1H-pyrazole.

50 <Reference Example25>

Production of 5-fluoro-1-methyl-3-trifluoromethyl-1H-pyrazole-4-carboaldehyde

[0286] 42.0 g (711.9 mmoles) of potassium fluoride was added to a solution of 60.4 g (282.7 mmoles) of 5-chloro-1-methyl-3-trifluoromethyl-1H-pyrazole-4-carboaldehyde dissolved- in 700 ml of dimethyl sulfoxide. The mixture was stirred at 120 to 140°C for 5 hours to give rise to a reaction. After confirmation of the completion of the reaction, the reaction mixture was poured into water, followed by extraction with ethyl acetate. The resulting organic layer was washed with water and an aqueous sodium chloride solution and then dried over anhydrous magnesium sulfate. The

resulting solution was subjected to vacuum distillation to remove the solvent contained therein. The residue was purified by silica gel column chromatography (developing solvent: hexane-ethyl acetate mixed solvent) to obtain 36.8 g (yield: 66.0%) of 5-fluoro-1-methyl-3-trifluoromethyl-1H-pyrazole-4-carboaldehyde.

5 <Reference Example 26>

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Production of (5-fluoro-1-methyl-3-trifluoromethyl-1H-pyrazol-4-yl)-methanol

[0287] To a solution of 3.9 g (102.6 mmoles) of sodium borohydride dissolved in 500 ml of methanol was added, with ice-cooling, a solution of 36.8 g (187.6 mmoles) of 5-fluoro-1-methyl-3-trifluoromethyl-1H-pyrazole-4-carboaldehyde dissolved in 200 ml of methanol. The resulting mixture was stirred at 0°C for 30 minutes to give rise to a reaction. After confirmation of the completion of the reaction, the reaction mixture was poured into water, followed by extraction with ethyl acetate. The resulting organic layer was washed with water and an aqueous sodium chloride solution and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein, to obtain 35.4 g (yield: 95.4%) of (5-fluoro-1-methyl-3-trifluoromethyl-1H-pyrazol-4-yl)-methanol.

<Reference Example 27>

Production of 4-bromomethyl-5-fluoro-1-methyl-3-trifluoromethyl-1H-pyrazole

[0288] A solution of 35.4 g (178.7 mmoles) of 5-fluoro-1-methyl-3-trifluoromethyl-1H-pyrazole-4-methanol dissolved in 500 ml of diethyl ether was cooled to -30°C. Thereto was added 54.0 g (199.5 mmoles) of phosphorus tribromide. The mixture was stirred at room temperature for 12 hours to give rise to a reaction. After confirmation of the completion of the reaction, the reaction mixture was poured into water, followed by extraction with diethyl ether. The resulting organic layer was washed with water and an aqueous sodium chloride solution and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein, to obtain 31.4 g (yield: 80.8%) of 4-bromomethyl-5-fluoro-1-methyl-3-trifluoromethyl-1H-pyrazole.

30 <Reference Example 28>

Production of (ethoxycarbonyl)malondialdehyde

[0289] 12.6 g of sodium hydride (purity: 60%, 525.0 mmoles) was washed with diethyl ether by decantation several times and then made into a solution in 500 ml of diethyl ether. Thereto were added, in a nitrogen current at 0 to 10°C, 194 g (2.6 moles) of ethyl formate and 50 g (262.0 mmoles) of ethyl 3,3-diethoxy-propionate. The resulting mixture was stirred at room temperature for 15 hours to give rise to a reaction. After confirmation of the completion of the reaction, the reaction mixture was poured into water, followed by washing with diethyl ether. The resulting aqueous layer was allowed to have a pH of 1 with hydrochloric acid, followed by extraction with dichloromethane. The resulting organic layer was washed with an aqueous sodium chloride solution and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein, to obtain 37.6 g (yield: 100%) of crude (ethoxycarbonyl)malondialdehyde as a dark red oily substance.

¹H-NMR [CDCl₃/TMS, δ (ppm)]:

9.09 (2H,s), 5.26 (1H,s), 4.27 (2H,q), 1.28 (3H,t)

<Reference Example 29>

Production of ethyl 1H-pyrazole-4-carboxylate

[0290] 6.2 g (193 mmoles) of hydrazine was added, with ice-cooling, to a solution of 27.6 g (192 mmoles) of (ethoxycarbonyl)malondialdehyde dissolved in 150 ml of ethanol. The mixture was stirred at room temperature for 17 hours to give rise to a reaction. The reaction mixture was subjected to vacuum distillation to remove the ethanol contained therein. The residue was purified by silica gel column chromatography (developing solvent: dichloromethane-ethyl acetate mixed solvent) to obtain 19.4 g (72.4%) of ethyl 1H-pyrazole-4-carboxylate as yellow crystals.

¹H-NMR [CDCl₃/TMS, δ (ppm)]:

8.08 (2H,s), 5.30 (1H,s) 4.31 (2H,q), 1.36 (3H,t)

<Reference Example 30>

Production of ethyl 1-ethyl-1H-pyrazole-4-carboxylate

[0291] 3.7 g (26.8 mmoles) of anhydrous potassium carbonate and 4.2 g (26.6 mmoles) of ethyl iodide were added to a solution of 1.5 g (10.7 mmoles) of ethyl 1H-pyrazole-4-carboxylate dissolved in 50 ml of N,N-dimethylformamide. The mixture was stirred at room temperature for 20 hours to give rise to a reaction. After confirmation of the completion of the reaction, the reaction mixture was poured into water, followed by extraction with ethyl acetate. The resulting organic layer was washed with water and an aqueous sodium chloride solution and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein. The residue was purified by silica gel column chromatography (developing solvent: hexane-ethyl acetate mixed solvent) to obtain 1.6 g (yield: 88.9%) of ethyl 1-ethyl-1H-pyrazole-4-carboxylate as a yellow oily substance.

7.90 (2H,s), 4.28 (2H,q), 4.18 (2H,q), 1.51 (3H,t), 1.35 (3H,t)

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<Reference Example 31>

Production of ethyl 3,5-dichloro-1-ethyl-1H-pyrazole-4-carboxylate

[0292] In a glass sealed tube were placed 1.6 g (9.5 mmoles) of ethyl 1-ethyl-1H-pyrazole-4-carboxylate and 5.1 g (38.3 mmoles) of N-chlorosuccinimide. There were allowed to react at 160°C for 6 hours. After the completion of the reaction, the reaction mixture was cooled to room temperature, washed with carbon tetrachloride and chloroform, and filtered under vacuum. The resulting filtrate (organic layer) was washed with water and an aqueous sodium chloride solution and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein. The residue was purified by silica gel column chromatography (developing solvent: hexane-ethyl acetate mixed solvent) to obtain 1.0 g (yield: 44.2%) of ethyl 3,5-dichloro-1-ethyl-1H-pyrazole-4-carboxylate as a yellow oily substance.

¹H-NMR [CDCl₃/TMS, δ (ppm)]: 4.36 (2H,q), 4.21 (2H,q), 1.44 (3H,t), 1.38 (3H,t)

<Reference Example 32>

Production of (3,5-dichloro-1-ethyl-1H-pyrazol-4-yl)methanol

[0293] A solution of 0.16 g (4.2 mmoles) of lithium aluminum hydride dissolved in 70 ml of tetrahydrofuran was cooled to-50°C. Thereto was gradually added dropwise a solution of 1.0 g (4.2 mmoles) of ethyl 3,5-dichloro-1-ethyl-1H-pyrazole-4-carboxylate dissolved in 30 ml of tetrahydrofuran. The mixture was stirred at -50°C for 3 hours to give rise to a reaction. After confirmation of the completion of the reaction, ethyl acetate was added, followed by stirring for a while. Water was added, followed by stirring for a while. The resulting mixture was filtered under vacuum. The filtrate was extracted with ethyl acetate. The resulting organic layer was washed with water and an aqueous sodium chloride solution and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein, to obtain 0.82 g (yield: 100%) of (3,5-dichloro-1-ethyl-1H-pyrazol-4-yl)methanol as a brown oily substance.

 1 H-NMR [CDCl₃/TMS, δ (ppm)]: 4.52 (2H,s), 4.16 (2H,q), 1.43 (3H,t)

<Reference Example 33>

Production of 4-bromomethyl-3,5-dichloro-1-ethyl-1H-pyrazole

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[0294] A solution of 0.82 g (4.2 mmoles) of (3.5-dichloro-1-ethyl-1H-pyrazol-4-yl)methanol dissolved in 50 ml of diethyl ether was cooled to -30° C. Thereto was added 1.3 g (4.8 mmoles) of phosphorus tribromide. The mixture was stirred at room temperature for 12 hours to give rise to a reaction. After confirmation of the completion of the reaction, the reaction mixture was poured into water, followed by extraction with ethyl acetate. The resulting organic layer was washed with water and an aqueous sodium chloride solution and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein, to obtain 0.9 g (yield: 81.8%) of 4-bromomethyl-3.5-dichloro-1-ethyl-1H-pyrazole as a yellow oily substance. 1H-NMR [CDCl $_3$ /TMS, δ (ppm)]:

4.33 (2H,s), 4.13 (2H,q), 1.43 (3H,t)

<Reference Example 34>

5 Production of 3-difluoromethyl-1-methyl-1H-pyrazol-5-ol

[0295] 8.3 g (180.6 mmoles) of methylhydrazine and 5 ml of concentrated hydrochloric acid were added to a solution of 30.0 g (180.6 mmoles) of ethyl difluoroacetoacetate dissolved in 200 ml of ethanol. The mixture was refluxed for 2 days with heating, to give rise to a reaction. After the completion of the reaction, the reaction mixture was subjected to vacuum distillation to remove the most part of the solvent contained therein. The residue was poured into water. The mixture was allowed to have a pH of 4 using citric acid and extracted with ethyl acetate. The resulting organic layer was washed with water and an aqueous sodium chloride solution in this order and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein. The residue was purified by silica gel column chromatography (developing solvent: hexane-ethyl acetate mixed solvent) to obtain 8.9 g (yield: 33.3%) of 3-difluoromethyl-1-methyl-1H-pyrazol-5-ol.

<Reference Example 35>

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Production of 5-chloro-3-difluoromethyl-1-methyl-1H-pyrazole-4-carboaldehyde

[0296] 41.6 g (270.1 mmoles) of phosphorus oxychloride was added, with ice-cooling, to 7.9 g (108.0 mmoles) of N, N-dimethylformamide. Thereto was added, at room temperature, 8.0 g (54.0 mmoles) of 3-difluoromethyl-1-methyl-1H-pyrazol-5-ol. The mixture was refluxed for 4 hours with heating, to give rise to a reaction. After the completion of the reaction, the reaction mixture was poured into water, followed by extraction with chloroform. The resulting organic layer was washed with water, a 5% aqueous sodium hydroxide solution and water in this order and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein. The residue was purified by silica gel column chromatography (developing solvent: hexane-ethyl acetate mixed solvent) to obtain 7.7 g (yield: 73.3%) of 5-chloro-3-difluoromethyl-1-methyl-1H-pyrazole-4-carboaldehyde as white crystals.

¹H-NMR [CDCl₃/TMS, δ (ppm)]: 9.96 (1H,s), 6.90 (1H,t, J=53.6 Hz), 3.93 (3H,s)

<Reference Example 36>

Production of (5-chloro-3-difluoromethyl-1-methyl-1H-pyrazol-4-yl)-methanol

[0297] A solution of 7.2 g (37.0 mmoles) of 5-chloro-3-difluoromethyl-1-methyl-1H-pyrazole-4-carboaldehyde dissolved in 100 ml of methanol was cooled to 0° C. Thereto was gradually added 2.1 g (55.5 mmoles) of sodium borohydride. The mixture was stirred at room temperature for 3 hours to give rise to a reaction. After the completion of the reaction, the reaction mixture was poured into water, followed by extraction with ethyl acetate. The resulting organic layer was washed with an aqueous sodium chloride solution and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein, to obtain 3.8 g (yield: 52.1%) of (5-chloro-3-difluoromethyl-1-methyl-1H-pyrazol-4-yl)-methanol. 1 H-NMR [CDCl₃/TMS, δ (ppm)]:

6.70 (1H,t, J=40.8 Hz), 4.63 (2H,s), 3.86 (3H,s), 1.79 (1H,br)

<Reference Example 37>

Production of 4-bromomethyl-5-chloro-3-difluoromethyl-1-methyl-1H-pyrazole

[0298] A solution of 2.0 g (10.0 mmoles) of (5-chloro-3-difluoromethyl-1-methyl-1H-pyrazol-4-yl)-methanol dissolved in 50 ml of diethyl ether was cooled to -10°C. Thereto was added 1.0 g (3.5 mmoles) of phosphorus tribromide. The mixture was stirred at room temperature overnight to give rise to a reaction. After the completion of the reaction, the reaction mixture was poured into ice water, followed by extraction with diethyl ether. The resulting organic layer was washed with an aqueous sodium chloride solution and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein, to obtain 2.6 g (yield: 100.0%) of 4-bromomethyl-5-chloro-3-difluoromethyl-1-methyl-1H-pyrazole.

<Reference Example 38>

Production of trifluoroacetaldehyde oxime etherate

[0299] 24.1 g (347.0 mmoles) of hydroxylamine hydrochloride and 160 ml of water were added to a solution of 50.0 g (347.0 mmoles) of trifluoroacetaldehyde hemiethyl acetal dissolved in 80 ml of methanol. Thereto was dropwise added, with ice-cooling, 80.0 g of a 50% aqueous sodium hydroxide solution (1.7 moles). After the completion of the dropwise addition, the resulting mixture was stirred at room temperature for 6 hours to give rise to a reaction. After the completion of the reaction, 10% hydrochloric acid was added for pH adjustment to 6. The resulting mixture was extracted with diethyl ether. The extract was subjected to vacuum distillation to remove the solvent contained therein. The residue was subjected to distillation to obtain 24.7 g (yield: 38.0%) of trifluoroacetaldehyde oxime etherate.

<Reference Example 39>

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15 Production of trifluoroacetohydroximoyl bromide etherate

[0300] A solution of 38.8 g (218.0 mmoles) of N-bromosuccinimide dissolved in 125 ml of N,N-dimethylformamide was added, with ice-cooling, to a solution of 24.7 g (131.7 mmoles) of trifluoroacetaldehyde oxime etherate dissolved in 50 ml of N,N-dimethylformamide. The mixture was stirred at room temperature for 3 hours to give rise to a reaction. After the completion of the reaction, the reaction mixture was poured into water, followed by extraction with diethyl ether. The resulting organic layer was washed with an aqueous sodium chloride solution and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein. The residue was subjected to distillation to obtain 33.3 g (yield: 95.0%) of trifluoroacetohydroximoyl bromide etherate as a brown oily substance.

¹H-NMR [CDCl₃/TMS, δ (ppm)]: 9.30 (1H,s)

<Reference Example 40>

Production of 4-ethoxycarbonyl-5-methyl-3-trifluoromethylisoxazole

[0301] 2.8 g (51.3 mmoles) of sodium methoxide was added to a solution of 6.7 g (51.3 mmoles) of ethyl acetoacetate dissolved in 80 ml of methanol. Thereto was added, with ice-cooling, a solution of 5.0 g (18.8 mmoles) of trifluorohydroximoyl bromide etherate dissolved in 20 ml of methanol. The resulting mixture was stirred at room temperature for 3 hours to give rise to a reaction. After the completion of the reaction, the reaction mixture was subjected to vacuum distillation to remove the solvent contained therein. Water was added to the residue, followed by extraction with chloroform. The resulting organic layer was washed with an aqueous sodium chloride solution and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein. The residue was purified by silica gel column chromatography (developing solvent: hexane-ethyl acetate mixed solvent) to obtain 2.9 g (yield: 69.0%) of 4-ethoxycarbonyl-5-methyl-3-trifluoromethylisoxazole as a colorless oily substance.

¹H-NMR [CDCl₃/TMS, δ (ppm)]: 4.36 (2H,q) , 2.77 (3H,s), 1.37 (3H,t)

45 <Reference Example 41>

Production of (5-methyl-3-trifluoromethylisoxazol-4-yl)-methanol

[0302] A solution of 0.16 g (4.2 mmoles) of lithium aluminum hydride dissolved in 15 ml of THF was cooled to 0°C. Thereto was gradually added a solution of 0.93 g (4.2 mmoles) of 4-ethoxycarbonyl-5-methyl-3-trifluoromethylisoxazole dissolved in 15 ml of THF. The mixture was stirred at 0°C for 1 hour to give rise to a reaction. After the completion of the reaction, ethyl acetate was added, followed by stirring for a while. Water was added, followed by stirring for a while. The reaction mixture was filtered under vacuum. The filtrate was extracted with diethyl ether. The resulting organic layer was washed with an aqueous sodium chloride solution and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein, to obtain 0.5 g (yield: 60.0%) of (5-methyl-3-trifluoromethylisoxazol-4-yl)-methanol.

¹H-NMR [CDCl₃/TMS, δ (ppm)]:

4.60 (2H,d), 2.54 (3H,s), 1.66 (1H, br)

<Reference Example 42>

Production of 4-bromomethyl-5-methyl-3-trifluoromethylisoxazole

[0303] A solution of 0.45 g (2.5 mmoles) of (5-methyl-3-trifluoromethylisoxazol-4-yl)-methanol dissolved in 10 ml of diethyl ether was cooed to 0°C. Thereto was added 0.2 g (8.9 mmoles) of phosphorus tribromide. The mixture was stirred at room temperature for 1 hour to give rise to a reaction. After the completion of the reaction, the reaction mixture was poured into water, followed by extraction with diethyl ether. The resulting organic layer was washed with an aqueous sodium chloride solution and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein, to obtain 0.5 g (yield: 74.0%) of 4-bromomethyl-5-methyl-3-trifluoromethylisoxazole.

¹H-NMR [CDCl₃/TMS, δ (ppm)]: 4.31 (2H,d), 2.51 (3H,s)

15 < Reference Example 43>

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Production of (5-chloro-3-methyl-isothiazol-4-yl)-methanol

[0304] A solution of 2.06 g (10.0 mmoles) of ethyl 5-chloro-3-methyl-isothiazole-4-carboxylate dissolved in 10 ml of THF was dropwise added, at -30°C, to a solution of 0.42 g (11.0 mmoles) of lithium aluminum hydride dissolved in 10 ml of THF. The mixture was stirred at the same temperature for 1 hour to give rise to a reaction. After confirmation of the completion of the reaction, ethyl acetate was added to the reaction mixture. The resulting mixture was poured into water, followed by extraction with ethyl acetate. The resulting organic layer was washed with water and an aqueous sodium chloride solution in this order and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein. The residue was purified by silica gel column chromatography to obtain 1.50 g (yield: 91.5%) of (5-chloro-3-methylisothiazol-4-yl)-methanol.

<Reference Example 44>

30 Production of 4-chloromethyl-5-chloro-3-methylisothiazole

[0305] 3.26 g (27.44 mmoles) of thionyl chloride was added, at room temperature, to a solution of 1.50 g (9.15 mmoles) of (5-chloro-3-methyl-isothiazol-4-yl)-methanol dissolved in 10 ml of chloroform. The mixture was stirred for 3 hours to give rise to a reaction. After confirmation of the completion of the reaction, the reaction mixture was subjected to vacuum distillation to remove the solvent contained therein, to obtain 1.67 g (yield: quantitative) of 4-chloromethyl-5-chloro-3-methylisothiazole.

<Reference Example 45>

40 Production of methyl 4-trifluoromethylnicotinate

[0306] 6.7 g (48.6 mmoles) of anhydrous potassium carbonate and 6.9 g (48.6 mmoles) of methyl iodide were added to a solution of 4.6 g (24.1 mmoles) of 4-trifluoromethylnicotinic acid dissolved in 70 ml of N,N-dimethylformamide. The mixture was stirred at room temperature for 12 hours to give rise to a reaction. After confirmation of the completion of the reaction, the reaction mixture was poured into water, followed by extraction with ethyl acetate. The resulting organic layer was washed with water and an aqueous sodium chloride solution and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein. The residue was purified by silica gel column chromatography (developing solvent: hexane-ethyl acetate mixed solvent) to obtain 2.77 g (yield: 56.1%) of methyl 4-trifluoromethylnicotinate as a yellow oily substance.

⁵⁰ ¹H-NMR [CDCl₃/TMS, δ (ppm)]:

9.11 (1H,s) 8.92 (1H,d), 7.64 (1H,d), 3.99 (3H,s)

<Reference Example 46>

Production of (4-trifluoromethylpyridin-3-yl)-methanol

[0307] A solution of 0.37 g (9.7 mmoles) of lithium aluminum hydride dissolved in 100 ml of THF was cooled to -50°C. Thereto was gradually added dropwise a solution of 2.0 g (9.8 mmoles) of methyl 4-trifluoromethylnicotinate dissolved

in 30 ml of THF. The mixture was stirred at -50° C for 3 hours to give rise to a reaction. After confirmation of the completion of the reaction, ethyl acetate was added, followed by stirring for a while. Water was added, followed by stirring for a while. The reaction mixture was filtered under vacuum. The filtrate was extracted with ethyl acetate. The resulting organic layer was washed with water and an aqueous sodium chloride solution and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein. The residue was purified by silica gel column chromatography (developing solvent: hexane-ethyl acetate mixed solvent) to obtain 0.6 g (yield: 35.3%) of (4-trifluoromethylpyridin-3-yl)-methanol as a yellow oily substance. 1 H-NMR [CDCl₃/TMS, δ (ppm)]:

9.00 (1H,s), 8.73 (1H,d), 7.51 (1H,d), 4.95 (2H,s)

<Reference Example 47>

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Production of 3-bromomethyl-4-trifluoromethylpyridine

[0308] A solution of 0.6 g (3.4 mmoles) of (4-trifluoromethylpyridin-3-yl)-methanol dissolved in 50 ml of diethyl ether was cooed to -30°C. Thereto was added 1.4 g (5.2 mmoles) of phosphorus tribromide. The mixture was stirred at room temperature for 12 hours to give rise to a reaction. After confirmation of the completion of the reaction, the reaction mixture was poured into water, followed by extraction with ethyl acetate. The resulting organic layer was washed with water and an aqueous sodium chloride solution and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein, to obtain 0.61 g (yield: 75.3%) of 3-bromomethyl-4-trifluoromethylpyridine as a yellow oily substance.

¹H-NMR [CDCl₃/TMS, δ (ppm)]:

8.88 (1H,s), 8.73 (1H,d), 7.54 (1H,d), 4.63 (2H,s)

<Reference Example 48>

Production of 5-bromo-4-hydroxy-6-trifluoromethylpyrimidine

[0309] 77.5 g (945.0 mmoles) of anhydrous sodium acetate was added, at room temperature, to a solution of 49.2 g (300.0 mmoles) of 4-hydroxy-6-trifluoromethylpyrimidine dissolved in 600 ml of acetic acid. Thereto was gradually added 50.3 g (315 mmoles) of bromine at 45°C. The resulting mixture was stirred at the same temperature for 3 hours to give rise to a reaction. After confirmation of the completion of the reaction, the reaction mixture was subjected to vacuum distillation to remove the solvent contained therein. The residue was poured into water, followed by extraction with ethyl acetate. The resulting organic layer was washed with water and an aqueous sodium chloride solution in this order and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein. The residue was washed with n-hexane to obtain 38.9 g (yield: 53.4%) of 5-bromo-4-hydroxy-6-trifluoromethylpyrimidine.

<Reference Example 49>

Production of 5-bromo-4-chloro-6-trifluoromethylpyrimidine

[0310] 24.3 g (100.0 mmoles) of 5-bromo-4-hydroxy-6-trifluoromethylpyrimidine was suspended in 18.5 g (120.0 mmoles) of phosphorus oxychloride. The mixture was stirred at 100°C for 2 hours to give rise to a reaction. After confirmation of the completion of the reaction, the reaction mixture was poured into water gradually, followed by extraction with chloroform. The resulting organic layer was washed with water and an aqueous sodium chloride solution in this order and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein. The residue was purified by silica gel column chromatography to obtain 21.5 g (yield: 82.4%) of 5-bromo-4-chloro-6-trifluoromethylpyrimidine.

<Reference Example 50>

Production of 5-bromo-4-methoxy-6-trifluoromethylpyrimidine

[0311] 16.7 ml of sodium methoxide (a 28% methanol solution, 86.4 mmoles) was added, at room temperature, to a solution of 21.5 g (82.2 mmoles) of 5-bromo-4-chloro-6-trifluoromethylpyrimidine dissolved in 100 ml of methanol. The mixture was stirred to give rise to a reaction. After confirmation of the completion of the reaction, the reaction mixture was subjected to vacuum distillation to remove the solvent contained therein. The residue was poured into

water, followed by extraction with chloroform. The resulting organic layer was washed with water and an aqueous sodium chloride solution in this order and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein. The residue was washed with n-hexane to obtain 19.2 g (yield: 91.0%) of 5-bromo-4-methoxy-6-trifluoromethylpyrimidine.

<Reference Example 51)

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Production of 5-bromo-4-ethoxy-6-trifluoromethylpyrimidine

[0312] 0.94 g (13.77 mmoles) of sodium ethoxide was added, at room temperature, to a solution of 3.00 g (11.48 mmoles) of 5-bromo-4-chloro-6-trifluoromethylpyrimidine dissolved in 50 ml of ethanol. The mixture was stirred to give rise to a reaction. After confirmation of the completion of the reaction, the reaction mixture was subjected to vacuum distillation to remove the solvent contained therein. The residue was poured into water, followed by extraction with chloroform. The resulting organic layer was washed with water and an aqueous sodium chloride solution in this order and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein. The residue was purified by silica gel column chromatography to obtain 2.44 g (yield: 82.9%) of 5-bromo-4-ethoxy-6-trifluoromethylpyrimidine.

<Reference Example 52>

Production of 4-methoxy-6-trifluoromethylpyrimidine-5-carboaldehyde

[0313] 30.0 ml of n-butyllithium (a 1.6 moles/liter n-hexane solution, 48.0 mmoles) was gradually added, at -65 to -60°C, to a solution of 10.3 g (40.0 mmoles) of 5-bromo-4-methoxy-6-trifluoromethylpyrimidine dissolved in 100 ml of tetrahydrofuran. The mixture was stirred for 30 minutes. Thereto was added 3.6 g (48.0 mmoles) of ethyl formate at the same temperature. The resulting mixture was stirred at the same temperature for 3 hours to give rise to a reaction. The reaction mixture was poured into water, followed by extraction with ethyl acetate. The resulting organic layer was washed with water and an aqueous sodium chloride solution in this order and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein. The residue was purified by silica gel column chromatography to obtain 1.3 g (yield: 15.8%) of 4-methoxy-6-trifluoromethylpyrimidine-5-carboaldehyde.

¹H-NMR [CDCl₃/TMS, δ (ppm)]: 10.41 (1H,q), 8.91 (1H,s), 4.18 (3H,s)

35 < Reference Example 53>

Production of 4-ethoxy-6-trifluoromethylpyrimidine-5-carboaldehyde

[0314] A solution of 5.76 g (21.3 mmoles) of 5-bromo-4-ethoxy-6-trifluoromethylpyrimidine dissolved in 250 ml of THF was cooled to -78°C. Thereto was dropwise added 22.6 ml of n-butyllithium (a 1.6 moles/liter n-hexane solution, 36.1 mmoles). The mixture was stirred for 40 minutes. Thereto was added 2.7 g (45.1 mmoles) of methyl formate. The resulting mixture was stirred for 1.5 hours to give rise to a reaction. After the completion of the reaction, an aqueous ammonium chloride solution was added. The mixture was extracted with diethyl ether. The resulting organic layer was washed with an aqueous sodium chloride solution and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein. The residue was purified by silica gel column chromatography (developing solvent: hexane-ethyl acetate mixed solvent) to obtain 3.82 g (yield: 81.6%) of 4-ethoxy-6-trifluoromethylpyrimidine-5-carboaldehyde.

¹H-NMR [CDCl₃/TMS, δ (ppm)]:

10.41 (1H,s), 8.95 (1H,s 4.63 (2H,q), 1.48 (3H,t)

<Reference Example 54>

Production of (4-methoxy-6-trifluoromethylpyrimidin-5-yl)-methanol

[0315] 0.24 g (6.3 mmoles) of sodium borohydride was gradually added, at room temperature, to a solution of 1.3 g (6.3 mmoles) of 4-methoxy-6-trifluoromethylpyrimidine-5-carboaldehyde dissolved in 30 ml of methanol. The mixture was stirred for 3 hours to give rise to a reaction. After confirmation of the completion of the reaction, the reaction mixture was poured into water, followed by extraction with ethyl acetate. The resulting organic layer was washed with water

and an aqueous sodium chloride solution in this order and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein. The residue was purified by silica gel column chromatography to obtain 0.42 g (yield: 32.1%) of (4-methoxy-6-trifluoromethylpyrimidin-5-yl)-methanol

⁵ ¹H-NMR [CDCl₃/TMS, δ (ppm)]: 8.93 (1H,s), 4.81 (2H,s), 4.13 (3H,s), 2.26 (1H,br)

<Reference Example 55>

Production of (4-ethoxy-6-trifluoromethylpyrimidin-5-yl)-methanol

[0316] A solution of 3.82 g (17.2 mmoles) of 4-ethoxy-6-trifluoromethylpyrimidine-5-carboaldehyde dissolved in 50 ml of methanol was added, with ice-cooling, to a solution of 1.7 g (45.7 mmoles) of sodium borohydride dissolved in 50 ml of methanol. The mixture was stirred at 0°C for 1 hour to give rise to a reaction. After the completion of the reaction, the reaction mixture was poured into water, followed by extraction with ethyl acetate. The resulting organic layer was washed with an aqueous sodium chloride solution and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein, to obtain 3.77 g (yield: 97.8%) of (4-ethoxy-6-trifluoromethylpyrimidin-5-yl)-methanol

¹H-NMR [CDCl₃/TMS, δ (ppm)]:

8.80 (1H,s), 4.81 (2H,s), 4.59 (2H,q), 2.28 (1H,b), 1.48 (3H,t)

<Reference Example 56>

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Production of 5-chloromethyl-4-methoxy-6-trifluoromethylpyrimidine

[0317] 1.19 g (10.1 mmoles) of thionyl chloride was added, at room temperature, to a solution of 0.42 g (2.02 mmoles) of (4-methoxy-6-trifluoromethylpyrimidin-5-yl)-methanol. The mixture was stirred for 3 hours to give rise to a reaction. After confirmation of the completion of the reaction, the reaction mixture was subjected to vacuum distillation to remove the solvent contained therein, to obtain 0.45 g (yield: quantitative) of 5-chloromethyl-4-methoxy-6-trifluoromethylpyrimidine.

<Reference Example 57>

Production of 5-bromomethyl-4-ethoxy-6-trifluoromethylpyrimidine

[0318] A solution of 3.77 g (17.0 mmoles) of (4-ethoxy-6-trifluoromethylpyrimidin-5-yl)-methanol dissolved in 50 ml of diethyl ether was cooled to 0°C. Thereto was added 2.0 g (7.2 mmoles) of phosphorus tribromide. The mixture was stirred at room temperature for 1 hour. The resulting salt was dissolved using methanol. The resulting mixture was stirred for 1 hour to give rise to a reaction. The reaction mixture was poured into water, followed by extraction with diethyl ether. The resulting organic layer was washed with an aqueous sodium chloride solution and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein, to obtain crude 5-bromomethyl-4-ethoxy-6-trifluoromethylpyrimidine.

¹H-NMR [CDCl₃/TMS, δ (ppm)]: 8.79 (1H,s), 4.61 (2H,q), 4.55 (2H,s), 1.49 (3H,t)

<Reference Example 58>

Production of (2-chloro-4-methylpyridin-3-yl)methanol

[0319] A solution of 1.9 g (10.0 mmoles) of methyl 2-chloro-4-methylnicotinate dissolved in 5.0 ml of THF was gradually added, at -65 to -60°C, to a suspension of 0.4 g (10.0 mmoles) of lithium aluminum hydride in 30 ml of tetrahydrofuran. The mixture was stirred for 30 minutes and at -20°C for 1 hour to give rise to a reaction. The reaction mixture was poured into water, followed by extraction with ethyl acetate. The resulting organic layer was washed with water and an aqueous sodium chloride solution in this order and then dried over anhydrous- magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein. The residue was purified by silica gel column chromatography to obtain 0.6 g (yield: 38.2%) of (2-chloro-4-methylpyridin-3-yl)methanol. ¹H-NMR [CDCl₃/TMS, δ (ppm)]:

8.19 (1H,d 7.08 (1h,d), 4.85 (2H,s), 2.49 (3H,s)

<Reference Example 59>

Production of 3-acetyl-4-chloromethyl-2,5-dichlorothiophene

[0320] 33 ml of titanium tetrachloride (a 2 moles/liter dichloromethane solution, 66.0 mmoles) was dropwise added, at 10°C with ice-cooling, to a solution of 5.0 g (32.4 mmoles) of 3-acetyl-2,5-dichlorothiophene dissolved in 26 ml (323.0 mmoles) of chloromethyl methyl ether. The mixture was stirred at room temperature for 2 hours to give rise to a reaction. After the completion of the reaction, the reaction mixture was poured into ice water, followed by extraction with chloroform. The resulting organic layer was washed with sodium bicarbonate, water and an aqueous sodium chloride solution in this order and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein. The residue was purified by silica gel column chromatography (developing solvent: hexane/ethyl acetate = 9/1) to obtain 2.6 g (yield: 39.7%) of 3-acetyl-4-chloromethyl-2,5-dichlorothiophene as yellow crystals.

¹H-NMR [CDCl₂/TMS, δ (ppm)]:

4.70 (2H,s), 2.56 (3H,s), 2.54 (3H,s), 2.39 (3H,s)

<Reference Example 60>

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Production of 3-bromo-2-bromomethylbenzofuran

[0321] 2.7 g (15.3 mmoles) of N-bromosuccinimide and 0.4 g (2.7 mmoles) of azobisisobutyronitrile were added to a solution of 2.8 g (13.3 mmoles) of 3-bromo-2-mehtylbenzofuran dissolved in 30 ml of monochlorobenzene. The mixture was stirred at 80°C for 30 minutes to give rise to a reaction. After confirmation of the disappearance of the raw materials, the reaction mixture was cooled to room temperature. The insolubles were removed by filtration. The filtrate was subjected to vacuum distillation to remove the solvent contained therein. The residue was poured into water, followed by extraction with ethyl acetate. The resulting organic layer was washed with water and an aqueous sodium chloride solution in this order and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein, to obtain 3.0 g (yield: 79.0%) of 3-bromo-2-bromomethylbenzofuran.

<Reference Example 61>

Production of ethyl 1-difluoromethyl-1H-pyrazole-4-carboxylate

[0322] 6.0 g (43.5 mmoles) of anhydrous potassium carbonate was added to a solution of 3.0 g (21.4 mmoles) of ethyl 1H-pyrazole-4-carboxylate dissolved in 100 ml of N,N-dimethylformamide. Thereinto was blown chlorodifluor-omethane. The resulting mixture was stirred at 130 to 140°C for 3 hours to give rise to a reaction. After confirmation of the completion of the reaction, the reaction mixture was poured into water, followed by extraction with ethyl acetate. The resulting organic layer was washed with water and an aqueous sodium chloride solution and then dried over anhydrous magnesium sulfate. The resulting solution was subjected to vacuum distillation to remove the solvent contained therein. The residue was purified by silica gel column chromatography (developing solvent: hexane-ethyl acetate mixed solvent) to obtain 1.67 g (yield: 41.0%) of ethyl 1-difluoromethyl-1H-pyrazole-4-carboxylate as a colorless transparent oily substance.

¹H-NMR [CDCl₃/TMS, δ (ppm)]:

8.32 (1H,s), 8.04 (1H,s), 7.20 (1H,t), 4.32 (2H,q), 1.37 (3H,t)

[0323] The herbicide of the present invention contains, as the active ingredient, an isoxazoline derivative represented by the genera formula [I] or a salt thereof.

[0324] In using the compound of the present invention as a herbicide, the present compound may be used by itself. It can also be used in the form of a powder, a wettable powder, an emulsifiable concentrate, a flowable, fine granules, granules, etc. by mixing with a carrier, a surfactant, a dispersant, a adjuvant, etc. all generally used in formulation.

[0325] As the carrier used in formulation, there can be mentioned, for example, solid carriers such as talc, bentonite, clay, kaolin, diatomaceous earth, white carbon, vermiculite, calcium carbonate, slaked lime, siliceous sand, ammonium sulfate, urea and the like; and liquid carriers such as isopropyl alcohol, xylene, cyclohexane, methylnaphthalene and the like.

[0326] As the surfactant and the dispersant, there can be mentioned, for example, metal salts of alkylbenzenesulfonic acids, metal salts of dinaphthylmethanedisulfonic acid, salts of alcohol sulfates, alkylarylsulfonic acid salts, ligninsulfonic acid salts, polyoxyethylene glycol ether, polyoxyethylene alkyl aryl ethers, monoalkylates of polyoxyethylene sorbitan and the like. As the adjuvant, there can be mentioned, for example, carboxymethyl cellulose, polyethylene

glycol and gum arabic. The present herbicide, when used, is diluted to an appropriate concentration and sprayed or applied directly.

[0327] The herbicide of the present invention can be used by spraying on foliage, application to soil, application on water surface, etc. The amount of the active ingredient used is determined appropriately so as to meet the application purpose. The content of the active ingredient is appropriately determined according to the purpose. When the present compound is formulated as a powder or granules, the content is in a range of 0.01% to 10% by weight, preferably 0.05% to 5% by weight. When the present compound is made into an emulsifiable concentrate or a wettable powder, the amount is appropriately determined in a range of 1 to 50% by weight, 5 to 30% by weight. When the present compound is made into a flowable, the amount is appropriately determined in a range of 1 to 40% by weight, preferably 5 to 30% by weight.

[0328] The amount of the present herbicide used varies depending upon the kind of the compound used, the target weed, the tendency of weed emergence, the environmental conditions, the type of the herbicide used, etc. When the present herbicide is used per se as in the case of a powder or granules, the amount is appropriately selected in a range of 1 g to 50 kg, preferably 10 g to 10 kg per 1 hectare in terms of the active ingredient. When the present herbicide is used in a liquid form as in the case of an emulsifiable concentrate, a wettable powder or a flowable, the amount is appropriately selected in a range of 0.1 to 50,000 ppm, preferably 10 to 10,000 ppm.

[0329] The compound of the present invention may be mixed as necessary with an insecticide, a fungicide, other herbicide, a plant growth-regulating agent, a fertilizer, etc.

[0330] Next, formulation from the present compound is described specifically by showing typical examples of formulation. The kinds of compounds and additives and their compounding ratios are not restricted to those shown below and can be varied widely. In the following description, "parts" refer to parts by weight.

<Formulation 1> Wettable powder

[0331] 10 parts of a compound (3-0006) were mixed with 0.5 part of polyoxyethylene octylphenyl ether, 0.5 part of a sodium salt of a β -naphthalenesulfonic acid-formalin condensate, 20 parts of diatomaceous earth and 69 parts of clay. The mixture was mixed and pulverlized to obtain a wettable powder.

<Formulation 2> Flowable

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[0332] 20 parts of a coarsely ground compound (3-0006) were dispersed in 69 parts of water. Thereto were added 4 parts of a polyoxyethylene styryl phenylether sulfate, 7 parts of ethylene glycol and 200 ppm, relative to the herbicide produced, of Silicone AF-118N (a product of Asahi Chemical Industry, Co. Ltd.). The resulting mixture was stirred for 30 minutes using a high-speed stirrer and then ground using a wet grinder to obtain a flowable.

<Formulation 3> Emulsion

[0333] To 30 parts of a compound (3-0006) were added 60 parts of an equal volume mixture of xylene and isophorone and 10 parts of a surfactant mixture of a polyoxyethylene sorbitan alkylate, a polyoxyethylene alkylaryl polymer and an alkylaryl sulfonate. The resulting mixture was stirred sufficiently to obtain an emulsifiable concentrate.

<Formulation 4> Granules

[0334] There were mixed 10 parts of a compound (3-0006), 80 parts of an extender which was a 1:3 mixture of talc and bentonite, 5 parts of white carbon and 5 parts of a surfactant mixture of a polyoxyethylene sorbitan alkylate, a polyoxyethylene alkylaryl polymer and an alkylaryl sulfonate. To the mixture were added 10 parts of water. The resulting mixture was kneaded sufficiently to form a paste. The paste was extruded through the eyes (diameter: 0.7 mm) of a sieve. The extrudate was dried and cut into a length of 0.5 to 1 mm to obtain granules.

[0335] Next, Application Examples of the present compound are described to show the effect of the present compound.

<Application Example 1> Test for herbicidal effect by paddy field soil treatment

[0336] A paddy field soil was filled in a plastic pot of 100 cm² and subjected to puddling. Then, seeds of Echinochloa oryzicola Vasing. and Monochoria vaginalis (Murm. f.) Presl var. plantaginea (Roxb.) Solms-Laub. were sowed and water was filled in a depth of 3 cm. Next day, wettable powders produced in accordance with the Formulation 1 were diluted with water and dropped on the water surface. The application amount of each wettable powder was 1,000 g per 1 hectare in terms of the active ingredient. Then, breeding was made in a greenhouse, and the herbicidal effect of

each wettable powder was examined at the 21st day from the treatment in accordance with the standard shown in Table 21. The results are shown in Table 22.

Table 21

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| Index | Herbicidal effect (extent of growth inhibition) or phytotoxicity |
|-------|--|
| 5 | A herbicidal effect or phytotoxicity of 90% |
| 4 | A herbicidal effect or phytotoxicity of 70% to less than 90% |
| 3 | A herbicidal effect or phytotoxicity of 50% to less than 70% |
| 2 | A herbicidal effect or phytotoxicity of 30% to less than 50% |
| 1 | A herbicidal effect or phytotoxicity of 10% to less than 30% |
| 0 | A herbicidal effect or phytotoxicity of 0% to less than 10% |

Table 22

| | | | Table 22 | |
|----|--------------|--------------------------|-------------------------------|---|
| 20 | Compound No. | Active ingredient (g/ha) | Echinochlo a oryzicola Vasing | Monochoria vaginalis (Burm.F.)
Presl var. plantaginea (Roxb.)
Solms-Laub. |
| | 1-0001 | 1000 | 5 | 5 |
| | 1-0002 | 1000 | 5 | 5 |
| | 1-0003 | 1000 | 5 | 5 |
| 25 | 1-0004 | 1000 | 5 | 5 |
| | 1-0005 | 1000 | 5 | 5 |
| | 2-0001 | 1000 | 5 | 5 |
| | 2-0003 | 1000 | 5 | 5 |
| 00 | 2-0004 | 1000 | 5 | 5 |
| 30 | 2-0005 | 1000 | 5 | 5 |
| | 2-0006 | 1000 | 5 | 5 |
| | 2-0008 | 1000 | 5 | 5 |
| | 2-0011 | 1000 | 5 | 5 |
| 35 | 2-0012 | 1000 | 5 | 5 |
| | 3-0002 | 1000 | 5 | 5 |
| | 3-0004 | 1000 | 5 | 5 |
| | 3-0009 | 1000 | 5 | 5 |
| 40 | 3-0013 | 1000 | 5 | 5 |
| 40 | 3-0014 | 1000 | 5 | 5 |
| | 3-0015 | 1000 | 5 | 5 |
| | 3-0016 | 1000 | 5 | 5 |
| | 3-0034 | 1000 | 5 | 5 |
| 45 | 3-0035 | 1000 | 5 | 5 |
| | 3-0037 | 1000 | 5 | 5 |
| | 3-0038 | 1000 | 5 | 5 |
| | 3-0039 | 1000 | 5 | 5 |
| 50 | 3-0040 | 1000 | 5 | 5 |
| 50 | 3-0041 | 1000 | 5 | 5 |
| | 3-0044 | 1000 | 5 | 5 |
| | 3-0047 | 1000 | 5 | 5 |
| | 3-0049 | 1000 | 5 | 5 |
| 55 | 3-0051 | 1000 | 5 | 5 |
| | 3-0054 | 1000 | 5 | 5 |
| | 3-0059 | 1000 | 5 | 5 |

Table 22 (continued)

| _ | Compound No. | Active ingredient (g/ha) | Echinochlo a oryzicola Vasing | Monochoria vaginalis (Burm.F.) Presl var. plantaginea (Roxb.) Solms-Laub. |
|----|------------------|--------------------------|-------------------------------|---|
| 5 | 3-0060 | 1000 | 5 | 5 |
| | 3-0061 | 1000 | 5 | 5 |
| | 3-0070 | 1000 | 5 | 5 |
| | 3-0072 | 1000 | 5 | 5 |
| 10 | 3-0073 | 1000 | 5 | 5 |
| | 3-0074 | 1000 | 5 | 5 |
| | 3-0081 | 1000 | 5 | 5 |
| | 3-0082 | 1000 | 5 | 5 |
| 15 | 3-0083 | 1000 | 5 | 5 |
| 15 | 3-0084 | 1000 | 5 | 5 |
| | 3-0085 | 1000 | 5 | 5 |
| | 3-0086 | 1000 | 5 | 5 |
| | 3-0087 | 1000 | 5 | 5 |
| 20 | 3-0088 | 1000 | 5 | 5 |
| | 3-0089 | 1000 | 5 | 5 |
| | 3-0090 | 1000 | 5 | 5 |
| | 3-0091 | 1000 | 5 | 5 |
| 25 | 3-0100 | 1000 | 5 | 5 |
| 20 | 3-0101 | 1000 | 5 | 5 |
| | 3-0102 | 1000 | 5 | 5 |
| | 3-0103 | 1000 | 5 | 5 |
| | 3-0114 | 1000 | 5 | 5 |
| 30 | 3-0115 | 1000 | 5 | 5 |
| | 3-0117 | 1000 | 5 | 5 |
| | 3-0118 | 1000 | 5 | 5 |
| | 3-0119 | 1000 | 5 | 5 |
| 35 | 3-0120 | 1000 | 5 | 5 |
| 00 | 3-0121 | 1000 | 5 | 5 |
| | 3-0124 | 1000 | 5 | 5 |
| | 3-0125 | 1000 | 5 | 5 |
| | 3-0126 | 1000 | 5 | 5 |
| 40 | 3-0127 | 1000 | 5 | 5 |
| | 3-0128 | 1000 | 5 | 5 |
| | 3-0129 | 1000 | 5 | 5 |
| | 3-0130 | 1000 | 5 | 5 |
| 45 | 3-0131 | 1000 | 5 | 5 |
| | 3-0134 | 1000 | 5 | 5 |
| | 3-0135 | 1000 | 5 | 5 |
| | 3-0137 | 1000 | 5 | 5 |
| | 3-0139 | 1000 | 5 | 5 |
| 50 | 3-0144 | 1000 | 5 | 5 |
| | 3-0153 | 1000 | 5 | 5 |
| | 3-0156 | 1000 | 5 | 5 |
| | 3-0160 | 1000 | 5 | 5 |
| 55 | 3-0173 | 1000 | 5 | 5 |
| | 3-0174 | 1000 | 5
5 | 5 |
| | 3-0176
3-0177 | 1000 | 5 | 5 |
| | 3-0177 | 1000 | 5 | 5 |

Table 22 (continued)

| 5 | Compound No. | Active ingredient (g/ha) | Echinochlo a oryzicola Vasing | Monochoria vaginalis (Burm.F.)
Presl var. plantaginea (Roxb.)
Solms-Laub. |
|----|--------------|--------------------------|-------------------------------|---|
| Ü | 3-0178 | 1000 | 5 | 5 |
| | 3-0180 | 1000 | 5 | 5 |
| | 4-0001 | 1000 | 5 | 5 |
| | 4-0002 | 1000 | 5 | 5 |
| 10 | 4-0005 | 1000 | 5 | 5 |
| | 4-0007 | 1000 | 5 | 5 |
| | 4-0008 | 1000 | 5 | 5 |
| | 5-0001 | 1000 | 5 | 5 |
| 15 | 5-0002 | 1000 | 5 | 5 |
| 75 | 5-0003 | 1000 | 5 | 5 |
| | 5-0005 | 1000 | 5 | 5 |
| | 5-0006 | 1000 | 5 | 5 |
| | 5-0007 | 1000 | 5 | 5 |
| 20 | 6-0003 | 1000 | 5 | 5 |
| | 6-0004 | 1000 | 5 | 5 |
| | 7-0004 | 1000 | 5 | 5 |
| | 7-0006 | 1000 | 5 | 5 |
| 25 | 7-0008 | 1000 | 5 | 5 |
| 20 | 7-0009 | 1000 | 5 | 5 |
| | 8-0001 | 1000 | 5 | 5 |
| | 8-0012 | 1000 | 5 | 5 |
| | 9-0001 | 1000 | 5 | 5 |
| 30 | 9-0003 | 1000 | 5 | 5 |
| | 9-0005 | 1000 | 5 | 5 |
| | 9-0006 | 1000 | 5 | 5 |
| | 9-0008 | 1000 | 5 | 5 |
| 35 | 10-0002 | 1000 | 5 | 4 |
| 33 | 10-0003 | 1000 | 5 | 5 |
| | 10-0004 | 1000 | 5 | 5 |
| | 10-0005 | 1000 | 5 | 5 |
| | 10-0006 | 1000 | 5 | 5 |
| 40 | 10-0008 | 1000 | 5 | 5 |
| | 10-0009 | 1000 | 5 | 5 |
| | 10-0011 | 1000 | 5 | 5 |
| | 10-0012 | 1000 | 5 | 5 |
| 45 | 10-0013 | 1000 | 5 | 5 |
| 43 | 10-0014 | 1000 | 5 | 5 |
| | 10-0015 | 1000 | 5 | 5 |
| | 10-0016 | 1000 | 5 | 5 |
| | 10-0017 | 1000 | 5 | 5 |
| 50 | 10-0018 | 1000 | 5 | 5 |

<Application Example 2> Test for herbicidal effect by upland field soil treatment

[0337] An upland field soil was filled in a plastic pot of 80 cm². Seeds of Echinochloa crus-galli (L.) Beauv. var. crus-galli and Setaria viridis (L.) Beauv. were sowed, followed by covering with the same soil. Wettable powders produced in accordance with the Formulation 1 were diluted with water and sprayed uniformly on the soil surface using a small sprayer, in an amount of 1,000 liters per 1 hectare so that the amount of each active ingredient became 1,000 g per 1

hectare. Then, breeding was made in a greenhouse, and the herbicidal effect of each wettable powder was examined at the 21st day from the treatment in accordance with the standard shown in Table 21. The results are shown in Table 23.

Table 23

| 5 | Compound No. | Active ingredient (g/ha) | Echinochloa curs-galli (L.) Beauv. Var.
crus-galli | Setaria viridis (L.) Beauv. |
|----|--------------|--------------------------|---|-----------------------------|
| | 1-0001 | 1000 | 5 | 5 |
| | 1-0002 | 1000 | 5 | 5 |
| 10 | 1-0003 | 1000 | 5 | 5 |
| | 1-0004 | 1000 | 5 | 5 |
| | 1-0005 | 1000 | 5 | 5 |
| | 1-0006 | 1000 | 5 | 4 |
| | 2-0001 | 1000 | 5 | 5 |
| 15 | 2-0003 | 1000 | 5 | 5 |
| | 2-0004 | 1000 | 5 | 5 |
| | 2-0005 | 1000 | 5 | 5 |
| | 2-0006 | 1000 | 5 | 4 |
| 20 | 2-0007 | 1000 | 4 | 4 |
| | 2-0008 | 1000 | 5 | 5 |
| | 2-0011 | 1000 | 5 | 4 |
| | 2-0012 | 1000 | 5 | 5 |
| | 3-0002 | 1000 | 5 | 5 |
| 25 | 3-0004 | 1000 | 5 | 5 |
| | 3-0006 | 1000 | 4 | 4 |
| | 3-0008 | 1000 | 5 | 5 |
| | 3-0009 | 1000 | 5 | 5 |
| 30 | 3-0012 | 1000 | 5 | 5 |
| | 3-0013 | 1000 | 5 | 5 |
| | 3-0015 | 1000 | 5 | 5 |
| | 3-0016 | 1000 | 5 | 5 |
| | 3-0017 | 1000 | 5 | 5 |
| 35 | 3-0018 | 1000 | 5 | 5 |
| | 3-0019 | 1000 | 5 | 5 |
| | 3-0020 | 1000 | 5 | 5 |
| | 3-0034 | 1000 | 5 | 5 |
| 40 | 3-0035 | 1000 | 5 | 5 |
| | 3-0036 | 1000 | 5 | 5 |
| | 3-0037 | 1000 | 5 | 5 |
| | 3-0038 | 1000 | 5 | 5 |
| | 3-0039 | 1000 | 5 | 5 |
| 45 | 3-0040 | 1000 | 5 | 5 |
| | 3-0041 | 1000 | 5 | 5 |
| | 3-0043 | 1000 | 5 | 5 |
| | 3-0044 | 1000 | 5 | 5 |
| 50 | 3-0047 | 1000 | 5 | 5 |
| 00 | 3-0048 | 1000 | 5 | 5 |
| | 3-0049 | 1000 | 5 | 5 |
| | 3-0050 | 1000 | 5 | 5 |
| | 3-0053 | 1000 | 5 | 5 |
| 55 | 3-0054 | 1000 | 5 | 5 |
| | 3-0054 | 1000 | 5 | 5 |
| | 3-0056 | 1000 | 5 | 5 |

Table 23 (continued)

| | Compound No. | Active ingredient (g/ha) | Echinochloa curs-galli (L.) Beauv. Var. | Setaria viridis (L.) Beauv. |
|----|--------------|--------------------------|---|-----------------------------|
| | 2.0050 | 1000 | crus-galli | <u></u> |
| 5 | 3-0059 | 1000 | 5 | 5 |
| | 3-0060 | 1000 | 5 | 5 |
| | 3-0063 | 1000 | 5 | 5 |
| | 3-0070 | 1000 | 4 | 4 |
| 10 | 3-0072 | 1000 | 5 | 5 |
| 70 | 3-0073 | 1000 | 5 | 5 |
| | 3-0074 | 1000 | 5 | 5 |
| | 3-0081 | 1000 | 5 | 5 |
| | 3-0082 | 1000 | 5 | 5 |
| 15 | 3-0083 | 1000 | 5 | 5 |
| | 3-0084 | 1000 | 5 | 5 |
| | 3-0085 | 1000 | 5 | 5 |
| | 3-0086 | 1000 | 5 | 5 |
| | 3-0087 | 1000 | 5 | 5 |
| 20 | 3-0088 | 1000 | 5 | 4 |
| | 3-0091 | 1000 | 5 | 5 |
| | 3-0114 | 1000 | 5 | 5 |
| | 3-0115 | 1000 | 5 | 5 |
| 25 | 3-0117 | 1000 | 5 | 5 |
| 20 | 3-0118 | 1000 | 5 | 5 |
| | 3-0119 | 1000 | 5 | 5 |
| | 3-0120 | 1000 | 5 | 5 |
| | 3-0121 | 1000 | 5 | 5 |
| 30 | 3-0124 | 1000 | 5 | 5 |
| | 3-0125 | 1000 | 5 | 5 |
| | 3-0126 | 1000 | 5 | 5 |
| | 3-0127 | 1000 | 5 | 5 |
| 25 | 3-0128 | 1000 | 5 | 5 |
| 35 | 3-0129 | 1000 | 5 | 5 |
| | 3-0130 | 1000 | 5 | 5 |
| | 3-0131 | 1000 | 5 | 5 |
| | 3-0134 | 1000 | 5 | 5 |
| 40 | 3-0135 | 1000 | 5 | 5 |
| | 3-0136 | 1000 | 5 | 5 |
| | 3-0137 | 1000 | 5 | 5 |
| | 3-0138 | 1000 | 4 | 5 |
| | 3-0139 | 1000 | 5 | 5 |
| 45 | 3-0142 | 1000 | 5 | 5 |
| | 3-0143 | 1000 | 5 | 5 |
| | 3-0144 | 1000 | 5 | 5 |
| | 3-0153 | 1000 | 5 | 5 |
| 50 | 3-0156 | 1000 | 5 | 5 |
| | 3-0173 | 1000 | 5 | 5 |
| | 3-0174 | 1000 | 5 | 5 |
| | 3-0180 | 1000 | 5 | 5 |
| | 4-0001 | 1000 | 5 | 5 |
| 55 | 4-0001 | 1000 | 4 | 3 |
| | 4-0002 | 1000 | 5 | 5 |
| | 4-0005 | 1000 | 5 | 5 |
| | . 0000 | 1000 | | J |

Table 23 (continued)

| | Compound No. | Active ingredient (g/ha) | Echinochloa curs-galli (L.) Beauv. Var.
crus-galli | Setaria viridis (L.) Beauv. |
|----|--------------|--------------------------|---|-----------------------------|
| 5 | 4-0006 | 1000 | 5 | 5 |
| | 4-0007 | 1000 | 5 | 5 |
| | 4-0008 | 1000 | 5 | 5 |
| | 5-0001 | 1000 | 5 | 5 |
| | 5-0002 | 1000 | 5 | 5 |
| 10 | 5-0003 | 1000 | 5 | 5 |
| | 5-0005 | 1000 | 5 | 4 |
| | 5-0006 | 1000 | 5 | 5 |
| | 5-0007 | 1000 | 5 | 5 |
| 15 | 6-0001 | 1000 | 5 | 5 |
| | 6-0003 | 1000 | 5 | 5 |
| | 6-0004 | 1000 | 5 | 5 |
| | 7-0002 | 1000 | 5 | 5 |
| | 7-0004 | 1000 | 5 | 4 |
| 20 | 7-0006 | 1000 | 5 | 5 |
| | 7-0007 | 1000 | 5 | 4 |
| | 7-0008 | 1000 | 5 | 5 |
| | 7-0009 | 1000 | 5 | 5 |
| 25 | 8-0001 | 1000 | 5 | 5 |
| 20 | 8-0004 | 1000 | 5 | 5 |
| | 8-0005 | 1000 | 5 | 4 |
| | 8-0007 | 1000 | 5 | 5 |
| | 9-0001 | 1000 | 5 | 5 |
| 30 | 9-0005 | 1000 | 5 | 4 |
| | 9-0006 | 1000 | 5 | 4 |
| | 9-0007 | 1000 | 4 | 4 |
| | 9-0008 | 1000 | 5 | 5 |
| 35 | 10-0003 | 1000 | 5 | 5 |
| | 10-0004 | 1000 | 5 | 5 |
| | 10-0005 | 1000 | 5 | 5 |
| | 10-0006 | 1000 | 5 | 4 |
| | 10-0009 | 1000 | 5 | 5 |
| 40 | 10-0012 | 1000 | 5 | 4 |
| | 10-0013 | 1000 | 5 | 5 |
| | 10-0014 | 1000 | 5 | 5 |
| | 10-0015 | 1000 | 5 | 5 |
| 45 | 10-0016 | 1000 | 5 | 4 |
| ,0 | 10-0017 | 1000 | 5 | 5 |
| | 10-0018 | 1000 | 5 | 5 |

<Application Example 3> Test for herbicidal effect by upland foliage treatment

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[0338] A sand was filled in a plastic pot of 80 cm². Seeds of Echinochloa crus-galli (L.) Beauv. var. crus-galli and Setaria viridis (L.) Beauv. were sowed. Breeding was made in a greenhouse for 2 weeks. Wettable powders produced in accordance with the Formulation 1 were diluted with water and sprayed on the whole foliage of plants from above the plants using a small sprayer in an amount of 1,000 liters per 1 hectare so that the amount of each active ingredient became 1,000 g per 1 hectare. Then, breeding was made in the greenhouse, and the herbicidal effect of each wettable powder was examined at the 14th day from the treatment in accordance with the standard shown in Table 21. The results are shown in Table 24.

Table 24

| _ | Compound No. Compound No. | Active ingredient (g/ha) | Echinochloa curs-galli (L.)
Beauv. Var. crus-galli | Setaria viridis (L.) Beauv. |
|----|---------------------------|--------------------------|---|-----------------------------|
| 5 | 1-0001 | 1000 | 5 | 4 |
| | 1-0004 | 1000 | 5 | 4 |
| | 2-0001 | 1000 | 5 | 4 |
| | 2-0003 | 1000 | 5 | 4 |
| 10 | 2-0004 | 1000 | 5 | 4 |
| | 2-0008 | 1000 | 5 | 5 |
| | 2-0011 | 1000 | 5 | 4 |
| | 3-0008 | 1000 | 4 | 4 |
| 45 | 3-0010 | 1000 | 5 | 4 |
| 15 | 3-0011 | 1000 | 5 | 4 |
| | 3-0013 | 1000 | 5 | 5 |
| | 3-0015 | 1000 | 5 | 4 |
| | 3-0035 | 1000 | 4 | 4 |
| 20 | 3-0036 | 1000 | 4 | 4 |
| | 3-0037 | 1000 | 5 | 4 |
| | 3-0038 | 1000 | 5 | 5 |
| | 3-0039 | 1000 | 5 | 5 |
| | 3-0044 | 1000 | 5 | 4 |
| 25 | 3-0049 | 1000 | 4 | 4 |
| | 3-0073 | 1000 | 5 | 4 |
| | 3-0074 | 1000 | 5 | 4 |
| | 3-0076 | 1000 | 5 | 4 |
| 30 | 3-0077 | 1000 | 5 | 4 |
| | 3-0081 | 1000 | 4 | 4 |
| | 3-0082 | 1000 | 4 | 4 |
| | 3-0083 | 1000 | 4 | 4 |
| | 3-0084 | 1000 | 4 | 4 |
| 35 | 3-0085 | 1000 | 4 | 4 |
| | 3-0086 | 1000 | 4 | 4 |
| | 3-0092 | 1000 | 4 | 4 |
| | 3-0104 | 1000 | 5 | 4 |
| 40 | 3-0105 | 1000 | 5 | 4 |
| 70 | 3-0106 | 1000 | 5 | 4 |
| | 3-0107 | 1000 | 5 | 5 |
| | 3-0115 | 1000 | 5 | 4 |
| | 3-0118 | 1000 | 5 | 4 |
| 45 | 3-0119 | 1000 | 5 | 4 |
| | 3-0120 | 1000 | 5 | 5 |
| | 3-0144 | 1000 | 5 | 5 |
| | 4-0002 | 1000 | 5 | 4 |
| 50 | 4-0005 | 1000 | 5 | 4 |
| 30 | 5-0001 | 1000 | 5 | 4 |
| | 5-0002 | 1000 | 5 | 5 |
| | 5-0003 | 1000 | 5 | 4 |
| | 5-0007 | 1000 | 5 | 5 |
| 55 | 6-0004 | 1000 | 5 | 4 |
| | 7-0008 | 1000 | 5 | 5 |
| | 7-0009 | 1000 | 4 | 4 |
| | | 1000 | т | |

Table 24 (continued)

| Compound No. Compound No. | Active ingredient (g/ha) | Echinochloa curs-galli (L.)
Beauv. Var. crus-galli | Setaria viridis (L.) Beauv. |
|---------------------------|--------------------------|---|-----------------------------|
| 8-0001 | 1000 | 5 | 4 |
| 9-0001 | 1000 | 4 | 4 |
| 9-0005 | 1000 | 4 | 4 |
| 9-0008 | 1000 | 4 | 4 |

Industrial Applicability

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[0339] The compound represented by the general formula [I] according to the present invention shows an excellent herbicidal effect over a wide period from before germination to growth, to various weeds causing problems in upland fields, for example, broadleaf weeds [e.g. Polygonum lapathifolium L. subsp. nodosum (Pers.) Kitam., Amaranthus viridis L., Chenopodium album L., Stellaria media (L.) Villars, Abutilon theophrasti Medik., Sida spinosa, Sesbaria exaltata, ipomoea spp. and Xanthium strumarium L.], perennial or annual cyperaceous weeds [e.g. Cyperus rotundus L., Cyperus esculentus, Kyllinga brevifolia Rottb. subsp. leiolepis (Fraxch. et Savat.) T. Koyama, Cyperus microiria Steud., and Cyperus iria L.], and Gramineae weeds [e.g. Echinochloa crus-galli (L.) Beauv. var. crus-galli, Digitaria ciliaris (Retz.) Koeler, Setaria viridis (L.) Beauv., Poa annua L., Sorghum halepense (L.) Pers., Alopecurus aequalis Sobol. var. amurensis (Komar.) Ohwi, and Avena fatua L.]. Further, the present compound shows a herbicidal effect also to weeds emerging in paddy fields, i.e. annual weeds [e.g. Echinochloa oryzicola Vasing., Cyperus difformis L., Monochoria vaginalis (Burm. f.) Presl. var. plantaginea (Roxb.) Solms-Laub., and Lindernia procumbens] and perennial weeds [e.g. Sagittaria trifolia L., Sagittaria pygmaea Miq., Cyperus serotinus Rottb., Eleocharis kuroguwai Ohwi, and Scirpus juncoides Roxb. subsp. hotarui (Ohwi) T. Koyama, Alisma canaliculatum].

[0340] The herbicide of the present invention has high safety to crops, particularly to rice, wheat, barley, corn, grain sorghum, soybean, cotton, sugar beat, etc.

Claims

1. An isoxazoline derivative represented by the following general formula [I] or a pharmaceutically acceptable salt thereof:

wherein R¹ and R² may be the same or different and are each a hydrogen atom, a C1 to C10 alkyl group, a C3 to C8 cycloalkyl group or a C3 to C8 cycloalkyl C1 to C3 alkyl group; or R¹ and R² may be bonded to each other to form a C3 to C7 spiro ring together with the carbon atoms to which they bond;

 R^3 and R^4 may be the same or different and are each a hydrogen atom, a C1 to C10 alkyl group or a C3 to C8 cycloalkyl group; or R^3 and R^4 may be bonded to each other to form a C3 to C7 spiro ring together with the carbon atoms to which they bond; or R^1 , R^2 , R^3 and R^4 may form a 5- to 8-membered ring together with the carbon atoms to which they bond;

R⁵ and R⁶ may be the same or different and are each a hydrogen atom or a C1 to C10 alkyl group;

Y is a 5- to 6-membered aromatic heterocyclic group or condensed aromatic heterocyclic group having one or more hetero atoms selected from a nitrogen atom, an oxygen atom and a sulfur atom; the heterocyclic group may be substituted with 0 to 6 same or different groups selected from the following substituent group α ; when the heterocyclic group is substituted at the two adjacent positions with two alkyl groups, two alkoxy groups, an alkyl group and an alkylsulfonyl group, an alkyl group and a monoalkylamino group, or an alkyl group and a dialkylamino group, all selected from the sub-

stituent group α , the two groups may form, together with the atoms to which they bond, a 5- to 8-membered ring which may be substituted with 1 to 4 halogen atoms; the hetero atom of the heterocyclic group, when it is a nitrogen atom, may be oxidized to become N-oxide;

n is an integer of 0 to 2.

[Substituent group α]

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Hydroxyl group; thiol group; halogen atoms; C1 to C10 alkyl groups; C1 to C10 alkyl groups each monosubstituted with a group selected from the following substituent group β, C1 to C4 haloalkyl groups; C3 to C8 cycloalkyl groups; C1 to C10 alkoxy groups; C1 to C10 alkoxy groups each mono-substituted with a group selected from the following substituent group γ; C1 to C4 haloalkoxy groups; C3 to C8 cycloalkyloxy groups; C3 to C8 cycloalkyl C1 to C3 alkyloxy groups; C1 to C10 alkylthio groups; C1 to C10 alkylthio groups each mono-substituted with a group selected from the substituent group γ; C1 to C4 haloalkylthio groups; C2 to C6 alkenyl groups; C2 to C6 alkenyloxy groups; C2 to C6 alkynyl groups; C2 to C6 alkynyloxy groups; C1 to C10 alkylsulfinyl groups; C1 to C10 alkylsulfinyl groups each mono-substituted with a group selected from the substituent group γ; C1 to C10 alkylsulfonyl groups; C1 to C10 alkylsulfonyl groups each mono-substituted with a group selected from the substituent group γ; C1 to C4 haloalkylsulfinyl groups; C1 to C10 alkylsulfonyloxy groups each mono-substituted with a group selected from the substituent group γ; C1 to C4 haloalkylsulfonyl groups; C1 to C10 alkylsulfonyloxy groups; C1 to C4 haloalkylsulfonyloxy groups; optionally substituted phenyl group; optionally substituted phenoxy group; optionally substituted phenylthio group; optionally substituted aromatic heterocyclic groups; optionally substituted aromatic heterocyclic oxy groups; optionally substituted aromatic heterocyclic thio groups; optionally substituted phenylsulfinyl groups; optionally substituted phenylsulfonyl groups; optionally substituted aromatic heterocyclic sulfonyl groups; optionally substituted phenylsulfonyloxy groups; acyl groups; C1 to C4 haloalkylcarbonyl groups; optionally substituted benzylcarbonyl group; optionally substituted benzoyl group; carboxyl group; C1 to C10 alkoxycarbonyl groups; optionally substituted benzyloxycarbonyl group; optionally substituted phenoxycarbonyl group; cyano group; carbamoyl group (its nitrogen atom may be substituted with same or different groups selected from C1 to C10 alkyl groups and optionally substituted phenyl group); C1 to C6 acyloxy groups; C1 to C4 haloalkylcarbonyloxy groups; optionally substituted benzylcarbonyloxy group; optionally substituted benzyloxy group; nitro group; and amino group (its nitrogen atom may be substituted with same or different groups selected from C1 to C10 alkyl groups, optionally substituted phenyl group, C1 to C6 acyl groups, C1 to C4 haloalkylcarbonyl groups, optionally substituted benzylcarbonyl group, optionally substituted benzoyl group, C1 to C10 alkylsulfonyl group, C1 to C4 haloalkylsulfonyl groups, optionally substituted benzylsulfonyl group, and optionally substituted phenylsulfonyl group).

[Substituent group β]

Hydroxyl group; C3 to C8 cycloalkyl groups (which may be substituted with halogen atom or alkyl group); C1 to C10 alkoxy groups; C1 to C10 alkylthio groups; C1 to C10 alkylsulfonyl groups; C1 to C10 alkoxycarbonyl groups; C2 to C6 haloalkenyl groups; amino group (its nitrogen atom may be substituted with same or different groups selected from C1 to C10 alkyl groups, C1 to C6 acyl groups; C1 to C4 haloalkylcarbonyl groups, C1 to C10 alkylsulfonyl groups and C1 to C4 haloalkylsulfonyl groups); carbamoyl group (its nitrogen atom may be substituted with same or different C1 to C10 alkyl groups); C1 to C6 acyl groups; C1 to C4 haloalkylcarbonyl groups; C1 to C10 alkoxyimino groups; cyano group; optionally substituted phenyl group; and optionally substituted phenoxy group.

[Substituent group γ]

C1 to C10 alkoxycarbonyl groups; optionally substituted phenyl group; optionally substituted aromatic heterocyclic groups; cyano group; and carbamoyl group (its nitrogen atom may be substituted with same or different C1 to C10 alkyl groups).

An isoxazoline derivative according to Claim 1, wherein the substituent group α on the heterocycle which may be

substituted with 0 to 6 same or different groups, includes hydroxyl group; halogen atoms; C1 to C10 alkyl groups; C1 to C10 alkyl groups each mono-substituted with a group selected from the substituent group β , C1 to C4 haloalkyl groups; C3 to C8 cycloalkyl groups; C1 to C10 alkoxy groups; C1 to C10 alkoxy groups each mono-substituted with a group selected from the substituent group γ ; C1 to C4 haloalkoxy groups; C3 to C8 cycloalkyloxy groups; C3 to C8 cycloalkyl C1 to C3 alkyloxy groups; C1 to C10 alkylthio groups; C1 to C10 alkylthio groups each mono-substituted with a group selected from the substituent group γ ; C1 to C4 haloalkylthio groups; C2 to C6 alkenyl groups; C2 to C6 alkenyl groups; C2 to C6 alkynyloxy groups; C1 to C10 alkylsulfonyl groups; C1 to C4 haloalkylsulfonyl groups; optionally substituted phenyl group; optionally substituted phenoxy group; optionally substituted phenylthio groups; optionally substituted aromatic heterocyclic groups; optionally substituted aromatic heterocyclic thio groups; optionally substituted aromatic heterocyclic thio groups; optionally substituted aromatic heterocyclic thio groups; optionally substituted aromatic heterocyclic thio groups; optionally substituted aromatic heterocyclic thio groups; optionally substituted aromatic heterocyclic thio groups; optionally substituted aromatic heterocyclic thio groups; optionally substituted aromatic heterocyclic thio groups; optionally substituted aromatic heterocyclic thio groups; optionally substituted aromatic heterocyclic thio groups; optionally substituted aromatic heterocyclic thio groups; optionally substituted aromatic heterocyclic thio groups; optionally substituted aromatic heterocyclic thio groups; optionally substituted aromatic heterocyclic thio groups; optionally substituted aromatic heterocyclic thio groups; optionally substituted aromatic heterocyclic thio groups; optionally substituted aromatic heterocyclic thio groups; optionally substituted aromatic heterocyclic thio groups; optionally substituted aro

stituted phenylsulfonyl groups; optionally substituted aromatic heterocyclic sulfonyl groups; C1 to C6 acyl groups; C1 to C4 haloalkylcarbonyl groups; optionally substituted benzylcarbonyl group; optio

group; carboxyl group; C1 to C10 alkoxycarbonyl groups; cyano group; carbamoyl group (its nitrogen atom may be substituted with same or different groups selected from C1 to C10 alkyl groups and optionally substituted phenyl group); nitro group; and amino group (its nitrogen atom may be substituted with same or different groups selected from C1 to C10 alkyl groups, optionally substituted phenyl group, C1 to C6 acyl groups, C1 to C4 haloalkylcarbonyl groups, optionally substituted benzylcarbonyl group, optionally substituted benzylsulfonyl group, and optionally substituted phenylsulfonyl group; when the heterocyclic group is substituted at the two adjacent positions with two alkyl groups, two alkoxy groups, an alkyl group and an alkylsulfonyl group, an alkyl group and an alkylsulfonyl group, an alkyl group and a monoalkylamino group, or an alkyl group and a dialkylamino group, all selected from the substituent group α , the two groups may form, together with the atoms to which they bond, a 5- to 8-membered ring which may be substituted with 1 to 4 halogen atoms.

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- 3. An isoxazoline derivative according to Claim 2, wherein the substituent group α on the heterocycle which may be substituted with 0 to 6 same or different groups, includes halogen atoms; C1 to C10 alkyl groups; C1 to C4 haloalkyl groups; C1 to C10 alkoxy C1 to C3 alkyl groups; C3 to C8 cycloalkyl groups (which may be substituted with halogen atom or alkyl group); C1 to C10 alkoxy groups; C1 to C4 haloalkoxy groups; C3 to C8 cycloalkyl C1 to C3 alkyloxy groups; optionally substituted phenoxy group; C1 to C10 alkylthio groups; C1 to C10 alkylsulfonyl groups; acyl groups; C1 to C4 haloalkylcarbonyl groups; C1 to C10 alkoxycarbonyl groups; cyano group and carbamoyl group (its nitrogen atom may be substituted with same or different C1 to C10 alkyl groups).
- **4.** An isoxazoline derivative according to any of Claim 1, 2 or 3, wherein R¹ and R² may be the same or different and are each a methyl group or an ethyl group; and R³, R⁴, R⁵ and R⁶ are each a hydrogen atom.
- **5.** An isoxazoline derivative according to any of Claim 1, 2, 3 or 4, wherein Y is a 5- or 6-membered aromatic heterocyclic group having a hetero atom selected from a nitrogen atom, an oxygen atom and a sulfur atom.
 - **6.** An isoxazoline derivative according to Claim 5, wherein Y is a thienyl group, a pyrazolyl group, an isoxazolyl group, an isothiazolyl group, a pyridyl group or a pyrimidinyl group.
- **7.** An isoxazoline derivative according to Claim 6, wherein Y is a thiophen-3-yl group, a pyrazol-4-yl group, a pyrazol-5-yl group, an isoxazol-4-yl group, an isothiazol-4-yl group, a pyridyn-3-yl group or a pyrimidin-5-yl group.
 - **8.** An isoxazoline derivative according to Claim 7, wherein Y is a thiophen-3-yl group and the thiophene ring is substituted with the substituent group α at the 2- and 4-positions.
 - 9. An isoxazoline derivative according to Claim 7, wherein Y is a pyrazol-4-yl group and the pyrazole ring is substituted at the 3- and 5-positions with the substituent group α and at the 1-position with a hydrogen atom, a C1 to C10 alkyl group, a C1 to C10 alkyl group mono-substituted with a group selected from the substituent group β, a C1 to C4 haloalkyl group, a C3 to C8 cycloalkyl group, a C2 to C6 alkenyl group, a C2 to C6 alkynyl group, a C1 to C10 alkylsulfinyl group, a C1 to C10 alkylsulfonyl group, a C1 to C10 alkylsulfonyl group mono-substituted with a group selected from the substituent group γ, a C1 to C4 haloalkylsulfonyl group, an optionally substituted phenyl group, an optionally substituted aromatic heterocyclic group, an optionally substituted phenylsulfonyl group, an optionally substituted aromatic heterocyclic sulfonyl group, an acyl group, a C1 to C4 haloalkylcarbonyl group, an optionally substituted benzylcarbonyl group, an optionally substituted benzoyl group, a C1 to C10 alkoxycarbonyl group, an optionally substituted benzyloxycarbonyl group, an optionally substituted phenoxycarbonyl group, a carbamoyl group (its nitrogen atom may be substituted with same or different groups selected from C1 to C10 alkyl groups and optionally substituted phenyl group), or an amino group (its nitrogen atom may be substituted with same or different groups selected from C1 to C10 alkyl groups, optionally substituted phenyl group, acyl groups, C1 to C4 haloalkylcarbonyl groups, optionally substituted benzylcarbonyl group, optionally substituted benzoyl group, C1 to C10 alkylsulfonyl groups, C1 to C4 haloalkylsulfonyl groups, optionally substituted benzylsulfonyl group and optionally substituted phenylsulfonyl group).
 - 10. An isoxazoline derivative according to Claim 7, wherein Y is a pyrazol-5-yl group and the pyrazole ring is substituted at the 4-position with the substituent group α and at the 1-position with a hydrogen atom, a C1 to C10 alkyl group, a C1 to C10 alkyl group mono-substituted with a group selected from the substituent group β, a C1 to C4 haloalkyl group, a C3 to C8 cycloalkyl group, a C2 to C6 alkenyl group, a C2 to C6 alkynyl group, a C1 to C10 alkylsulfinyl group, a C1 to C10 alkylsulfonyl group mono-substituted with a group selected from the substituent group γ, a C1 to C4 haloalkylsulfonyl group, an optionally substituted phenyl group, an op-

tionally substituted aromatic heterocyclic group, an optionally substituted phenylsulfonyl group, an optionally substituted aromatic heterocyclic sulfonyl group, an acyl group, a C1 to C4 haloalkylcarbonyl group, an optionally substituted benzylcarbonyl group, an optionally substituted benzoyl group, a C1 to C10 alkoxycarbonyl group, an optionally substituted benzyloxycarbonyl group, an optionally substituted phenoxycarbonyl group, a carbamoyl group (its nitrogen atom may be substituted with same or different groups selected from C1 to C10 alkyl groups and optionally substituted phenyl group), or an amino group (its nitrogen atom may be substituted with same or different groups selected from C1 to C10 alkyl groups, optionally substituted phenyl group, acyl groups, C1 to C4 haloalkylcarbonyl groups, optionally substituted benzylcarbonyl group, optionally substituted benzoyl group, C1 to C10 alkylsulfonyl groups, C1 to C4 haloalkylsulfonyl groups, optionally substituted benzylsulfonyl group and optionally substituted phenylsulfonyl group).

- 11. An isoxazoline derivative according to Claim 7, wherein Y is an isoxazol-4-yl group and the isoxazole ring is substituted with the substituent group α at the 3- and 5-positions.
- 15 12. An isoxazoline derivative according to Claim 7, wherein Y is an isothiazol-4-yl group and the isothiazole ring is substituted with the substituent group α at the 3- and 5-positions.
 - 13. An isoxazoline derivative according to Claim 7, wherein Y is a pyridin-3-yl group and the pyridine ring is substituted with the substituent group α at the 2- and 4-positions.
 - 14. An isoxazoline derivative according to Claim 7, wherein Y is a pyrimidin-5-yl group and the pyrimidine ring is substituted with the substituent group α at the 4- and 6-positions.
 - 15. An isoxazoline derivative according to any of Claims 1 to 14, wherein n is an integer of 2.
 - 16. An isoxazoline derivative according to any of Claims 1 to 14, wherein n is an integer of 1.
 - 17. An isoxazoline derivative according to any of Claims 1 to 14, wherein n is an integer of 0.
- 30 18. A herbicide containing, as the active ingredient, an isoxazoline derivative set forth in any of Claims 1 to 17 or a pharmaceutically acceptable salt thereof.

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INTERNATIONAL SEARCH REPORT

International application No.
PCT/JP02/01015

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| | SIFICATION OF SUBJECT MATTER | | |
| | Cl ⁷ C07D261/10, 261/12, 413/12 | 2, 498/04, 513/04, 413/ | 14, 417/12, |
| 261/ | 20, 487/04, A01N43/80 | etional alteration and IRC | |
| | o International Patent Classification (IPC) or to both no | ational classification and IPC | |
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| Furthe | er documents are listed in the continuation of Box C. | See patent family annex. | |
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priority date and not in conflict with | |
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| date | document but published on or after the international filing | "X" document of particular relevance; the considered novel or cannot be considered. | |
| L" docum | ent which may throw doubts on priority claim(s) or which is | step when the document is taken alo | ne |
| special | establish the publication date of another citation or other reason (as specified) | "Y" document of particular relevance; the considered to involve an inventive st | |
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